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Pharmaceutical co-crystal compositions

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(12) **United States Patent**
Almarsson et al.(10) **Patent No.:** **US 7,927,613 B2**
(45) **Date of Patent:** ***Apr. 19, 2011**(54) **PHARMACEUTICAL CO-CRYSTAL COMPOSITIONS**(75) Inventors: **Örn Almarsson**, Shrewsbury, MA (US); **Magali Bourghol Hickey**, Medford, MA (US); **Matthew L. Peterson**, Framingham, MA (US); **Michael J. Zaworotko**, Tampa, FL (US); **Brian Moulton**, Providence, RI (US); **Nair Rodriguez-Hornedo**, Ann Arbor, MI (US)(73) Assignees: **University of South Florida**, Tampa, FL (US); **The Regents of the University of Michigan**, Ann Arbor, MI (US); **Transform Pharmaceuticals, Inc.**, Lexington, MA (US)(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 1101 days.
This patent is subject to a terminal disclaimer.(21) Appl. No.: **10/660,202**(22) Filed: **Sep. 11, 2003**(65) **Prior Publication Data**

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Related U.S. Application Data

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(60) Provisional application No. 60/360,768, filed on Mar. 1, 2002, provisional application No. 60/451,213, filed on Feb. 28, 2003, provisional application No. 60/463,962, filed on Apr. 18, 2003, provisional application No. 60/487,064, filed on Jul. 11, 2003, provisional application No. 60/406,974, filed on Aug. 30, 2002, provisional application No. 60/380,288, filed on May 15, 2002, provisional application No. 60/356,764, filed on Feb. 15, 2002, provisional application No. 60/444,315, filed on Jan. 31, 2003, provisional application No. 60/439,282, filed on Jan. 10, 2003, provisional application No. 60/384,152, filed on May 31, 2002.

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514/217, 403
See application file for complete search history.(56) **References Cited**

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Primary Examiner — Sreeni Padmanabhan*Assistant Examiner* — Renee Claytor(74) *Attorney, Agent, or Firm* — Saliwanchik, Lloyd & Saliwanchik(57) **ABSTRACT**

A pharmaceutical composition comprising a co-crystal of an API and a co-crystal former; wherein the API has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, pyridine and the co-crystal former has at least one functional group selected from amine, amide, pyridine, imidazole, indole, pyrrolidine, carbonyl, carboxyl, hydroxyl, phenol, sulfone, sulfonyl, mercapto and methyl thio, such that the API and co-crystal former are capable of co-crystallizing from a solution phase under crystallization conditions.

36 Claims, 66 Drawing Sheets

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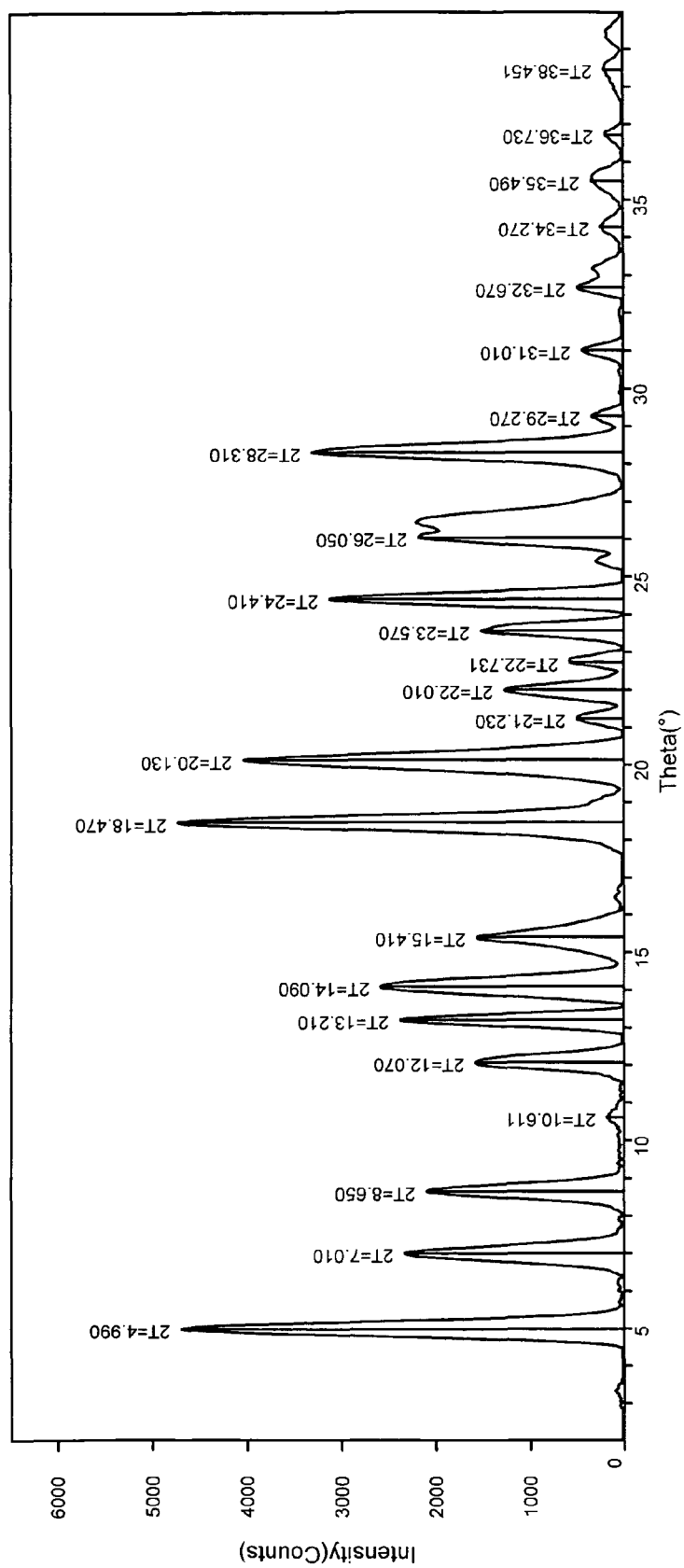


FIG. 1

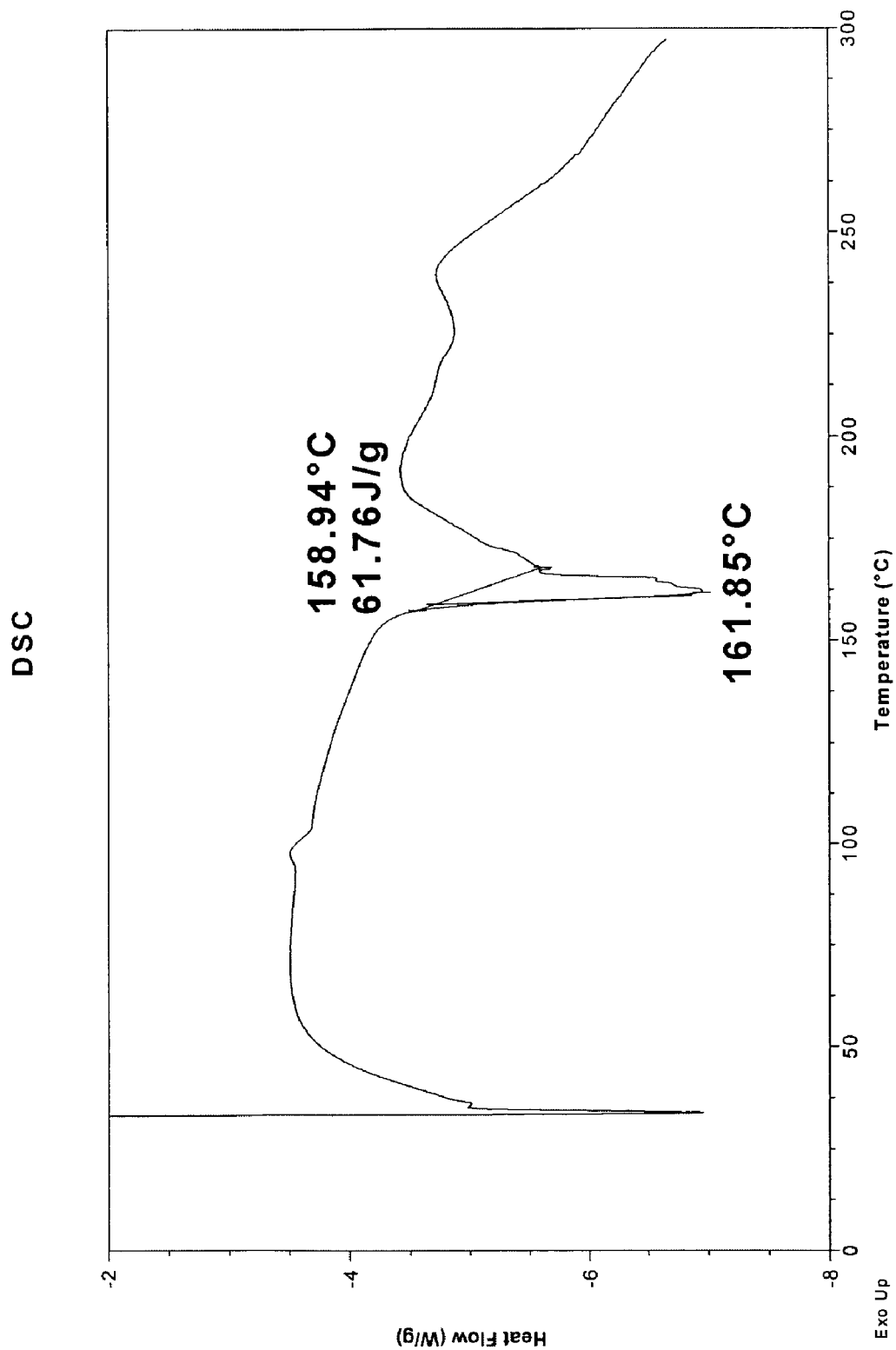


FIG. 2

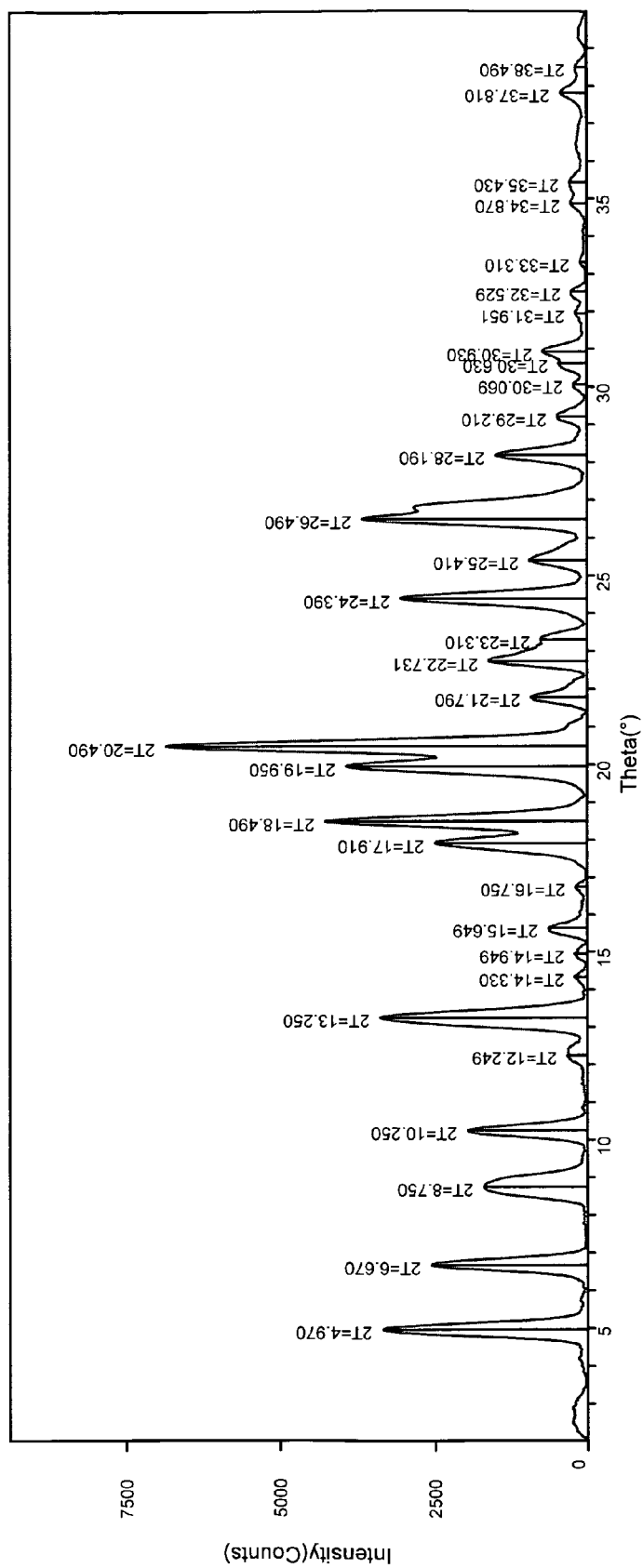


FIG. 3

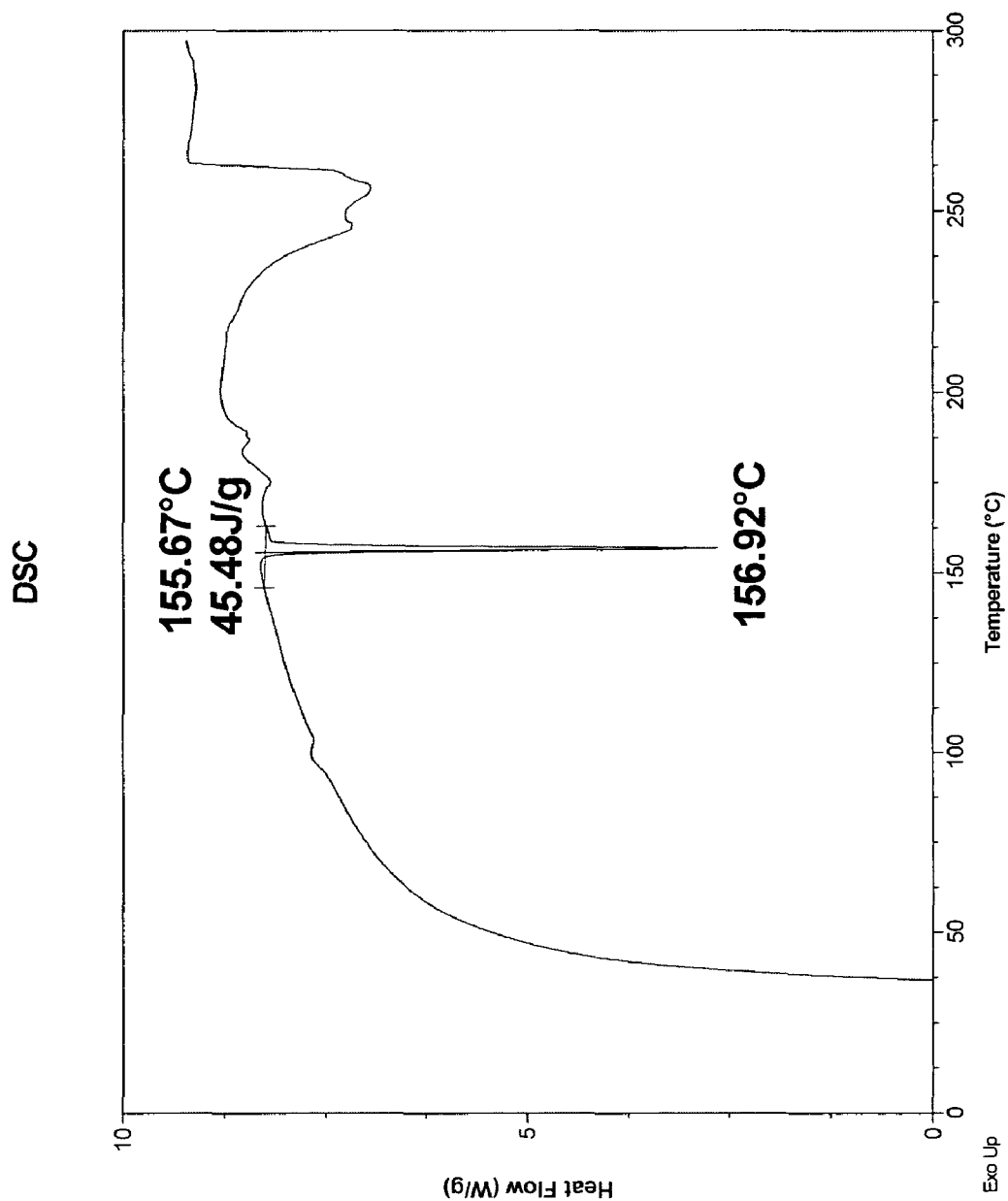


FIG. 4

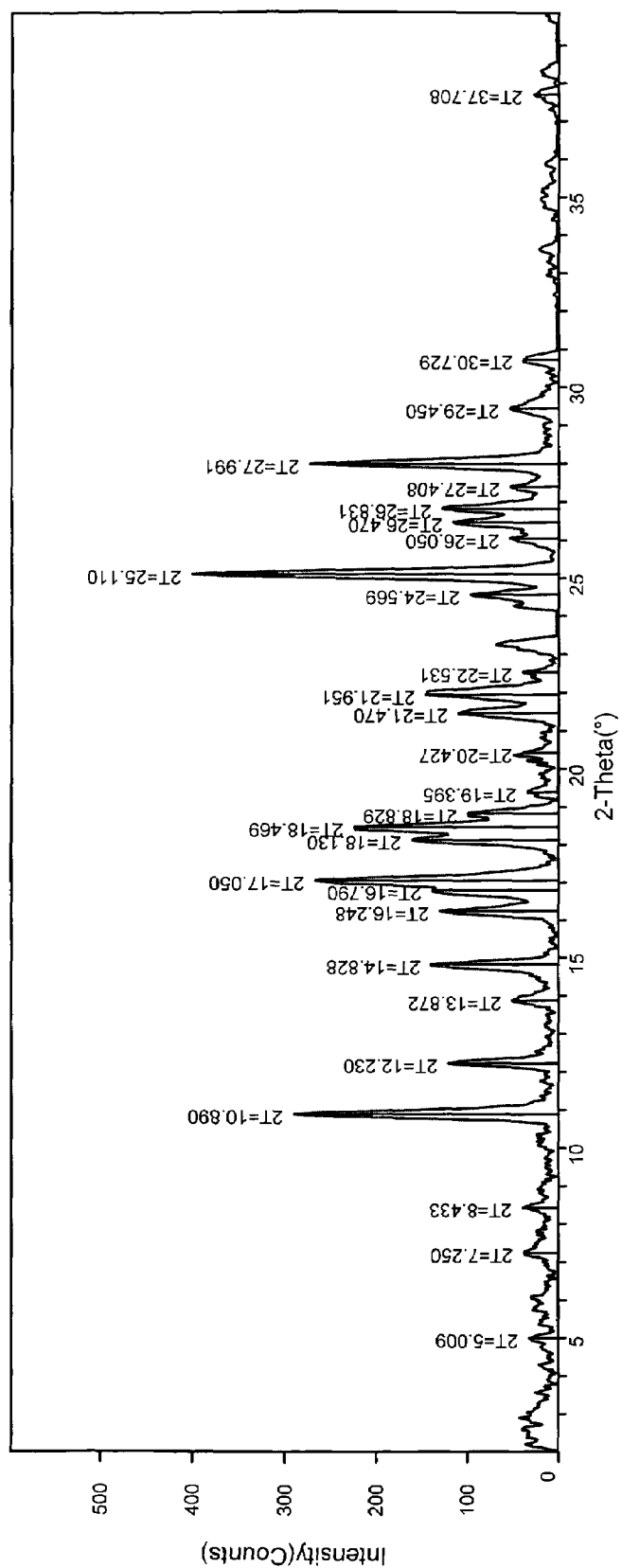


FIG. 5

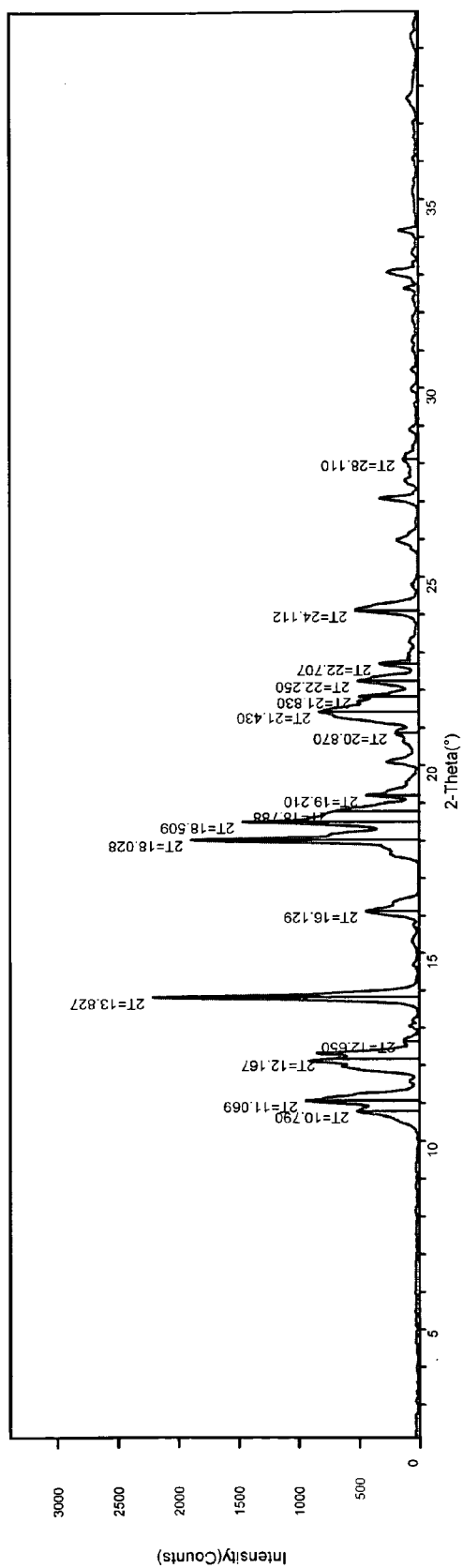


FIG. 6

DSC

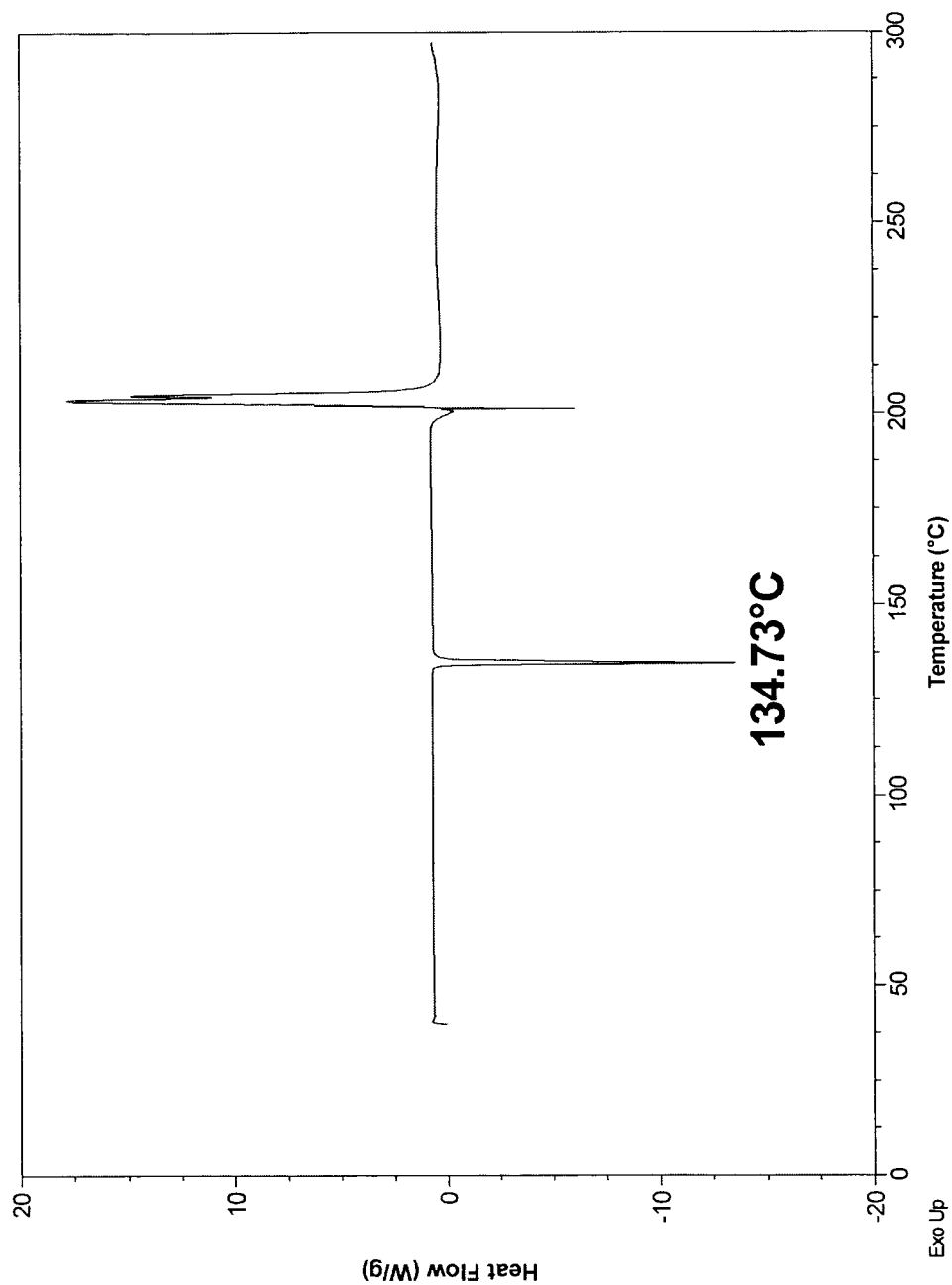


FIG. 7

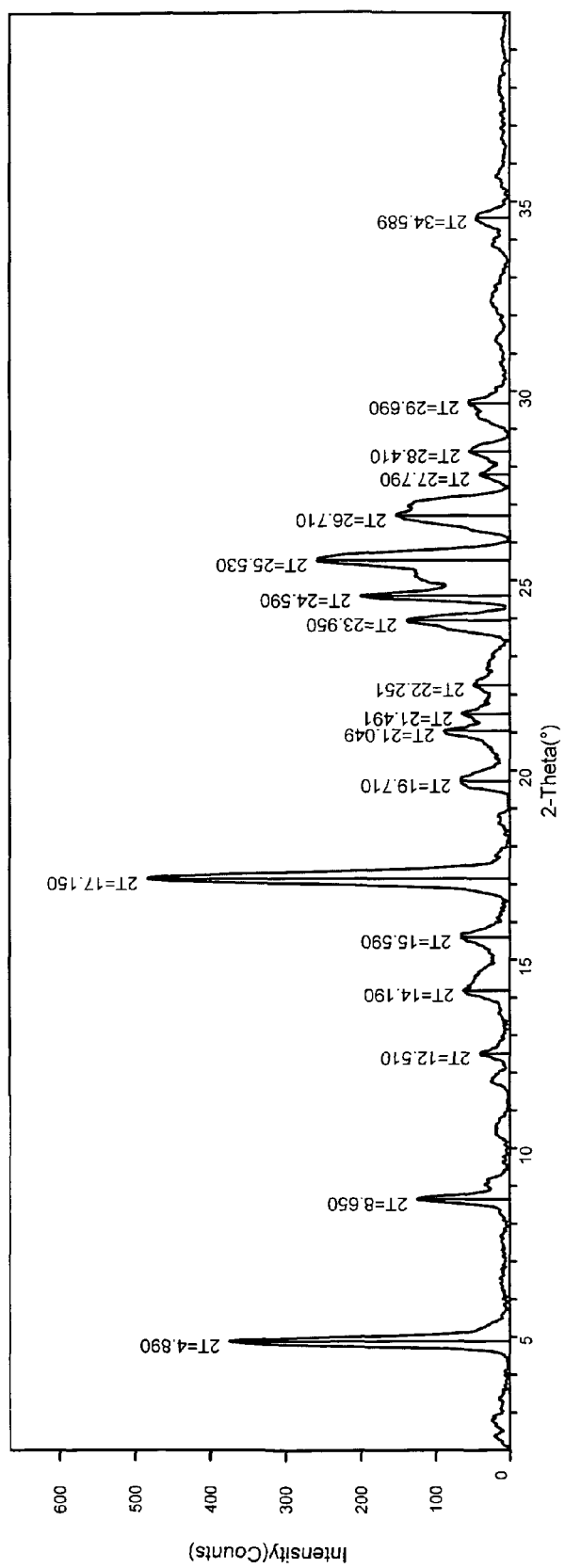


FIG. 8

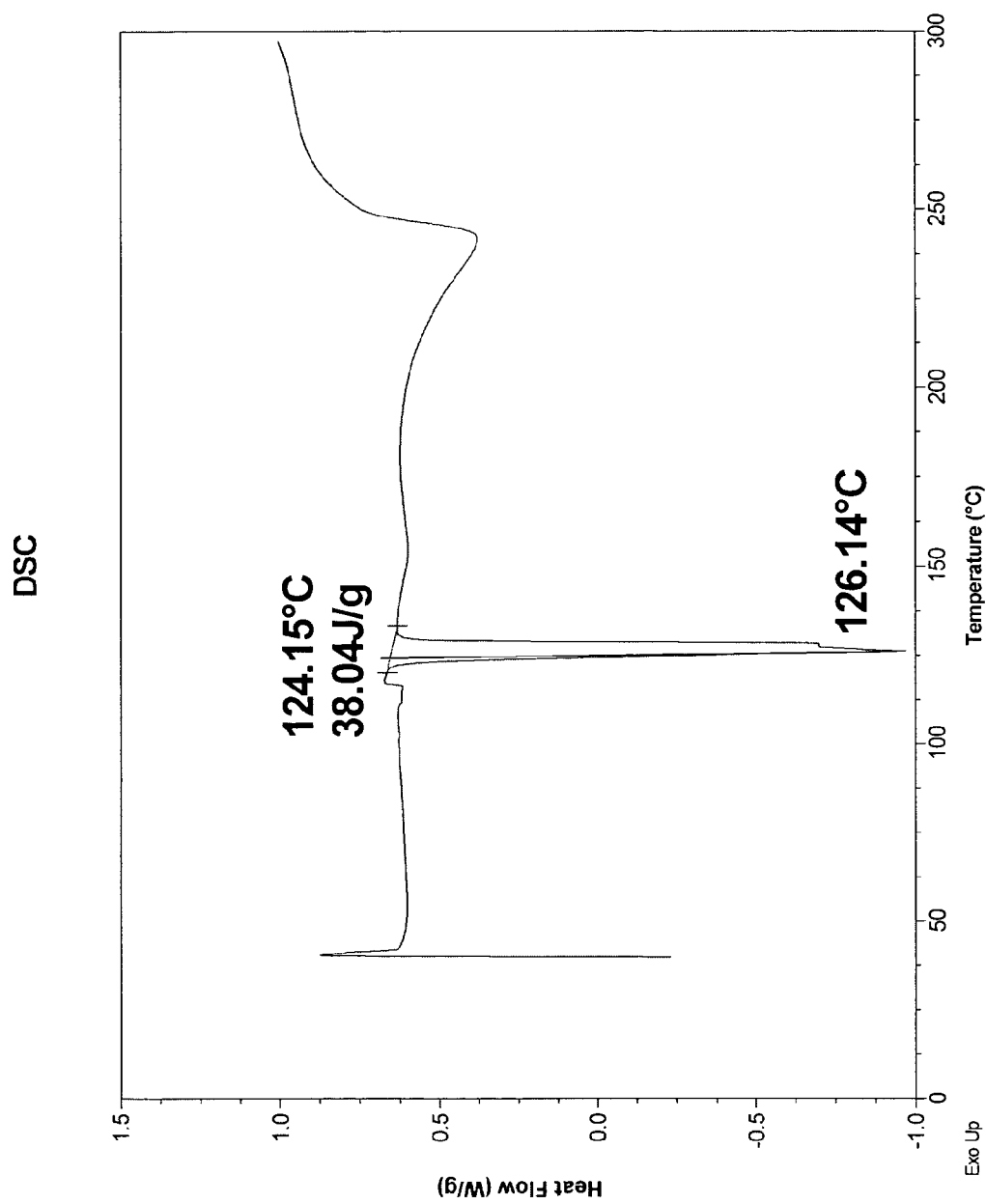


FIG. 9

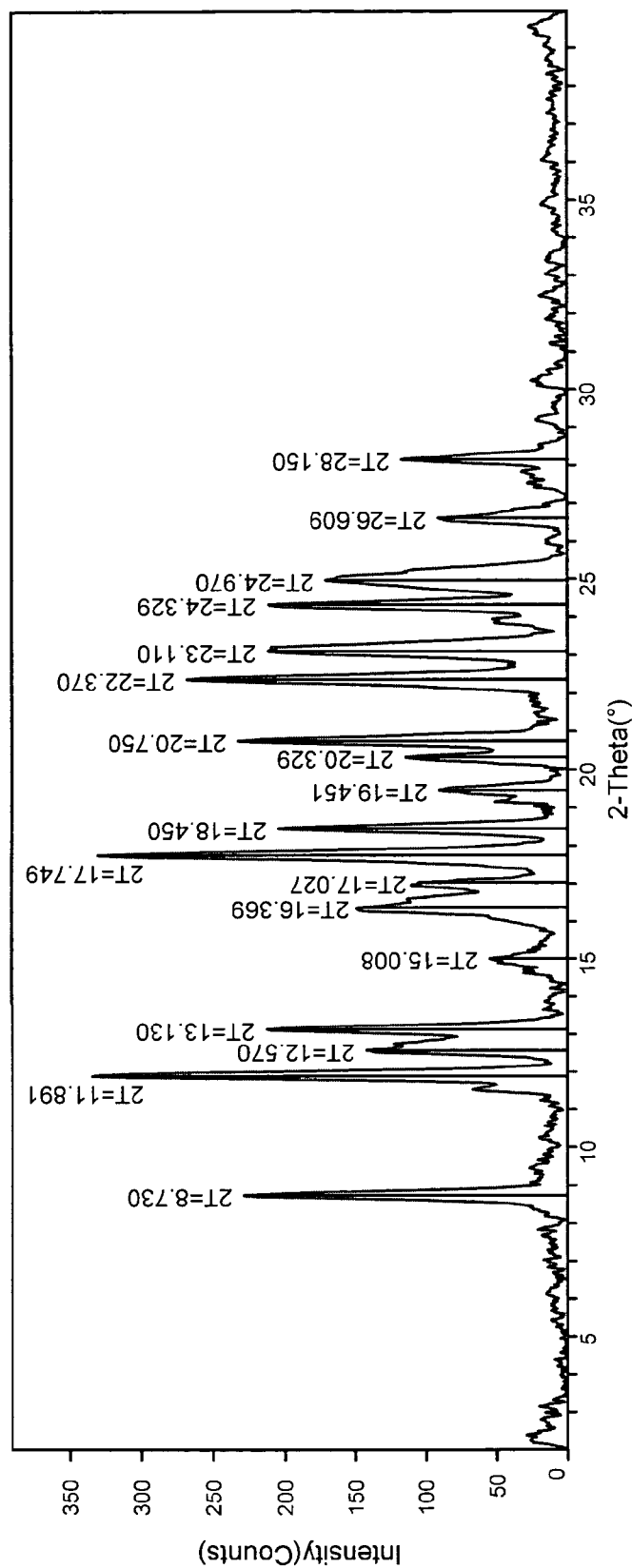


FIG. 10

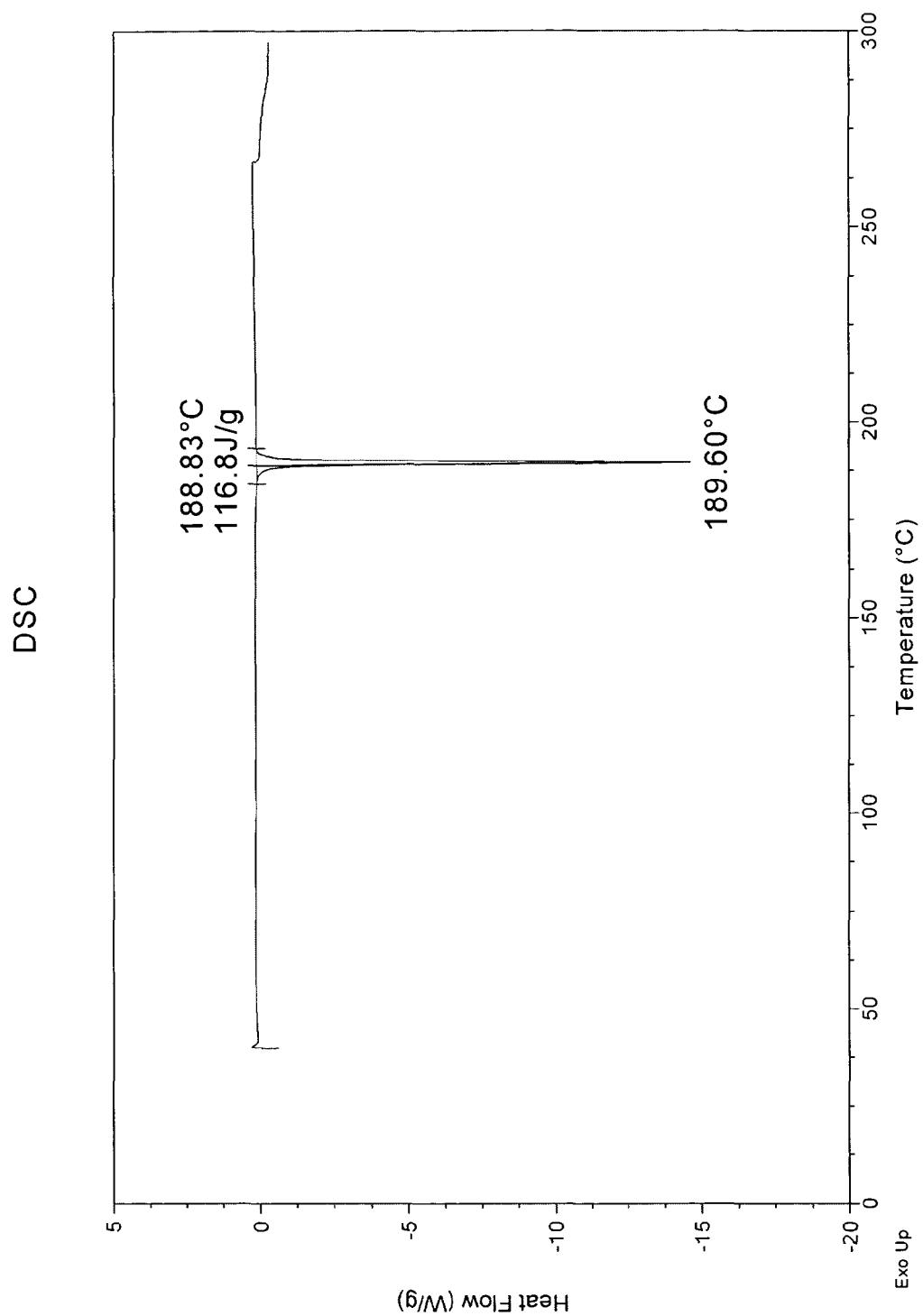


FIG. 11

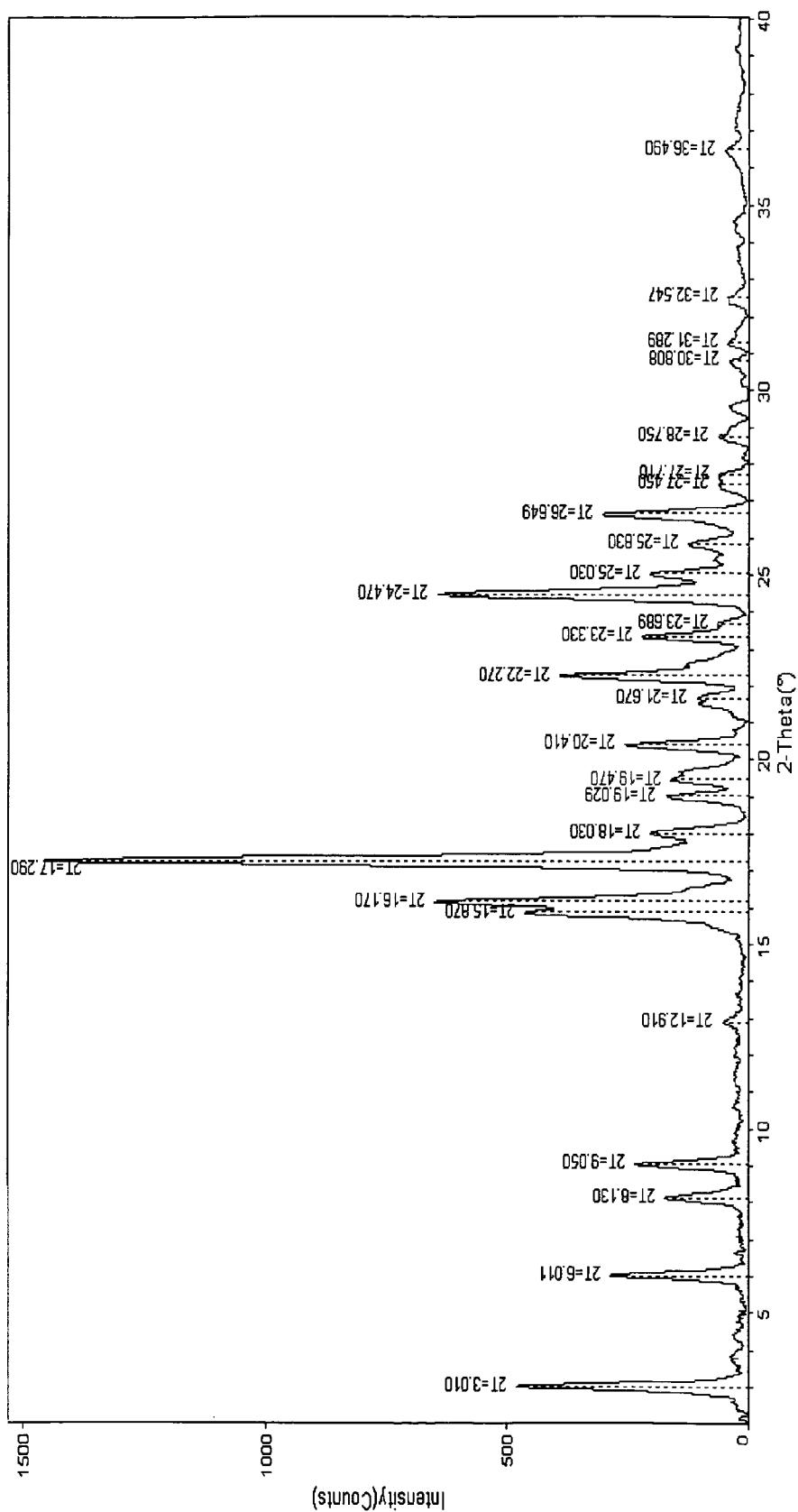


FIG. 12

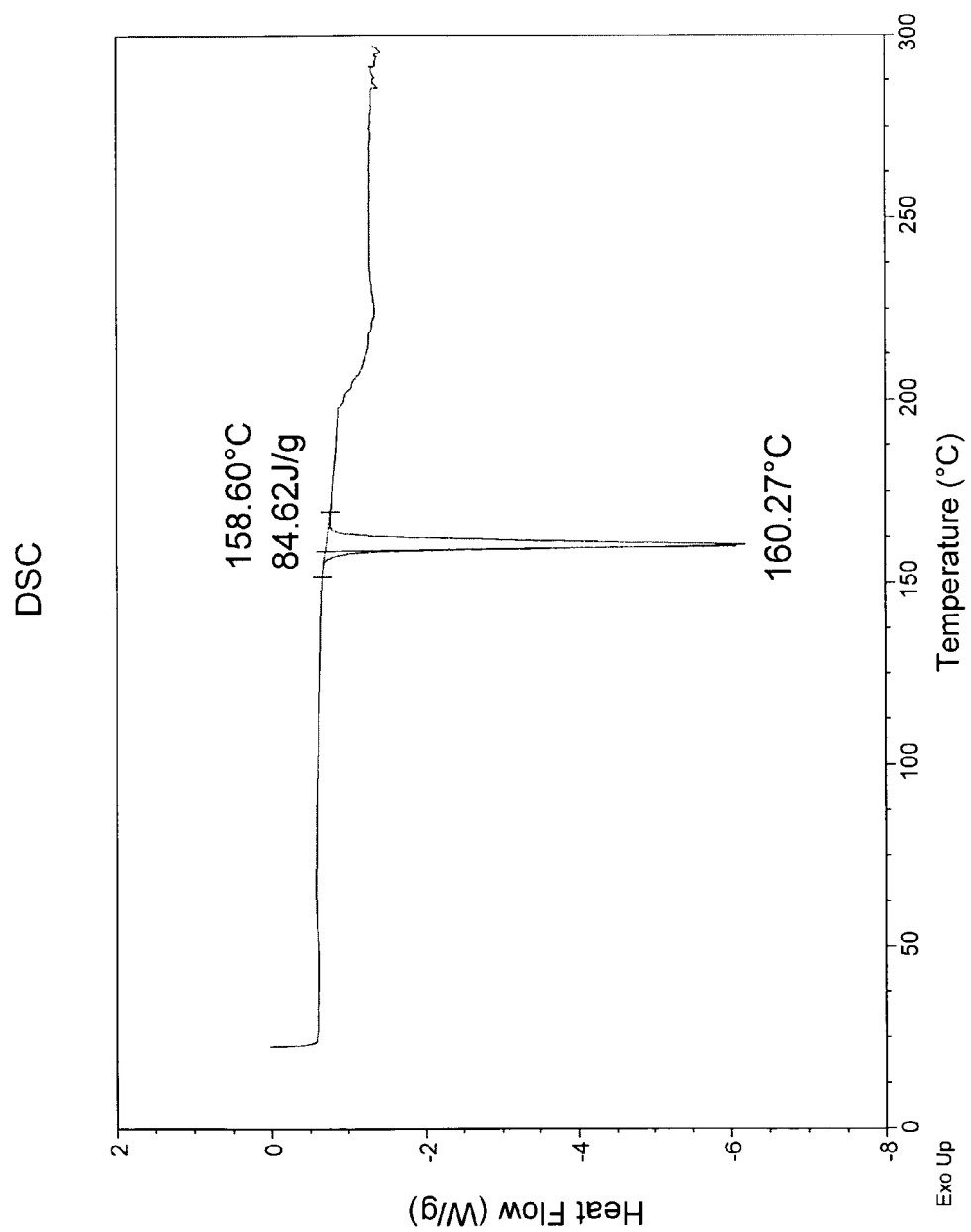


FIG. 13

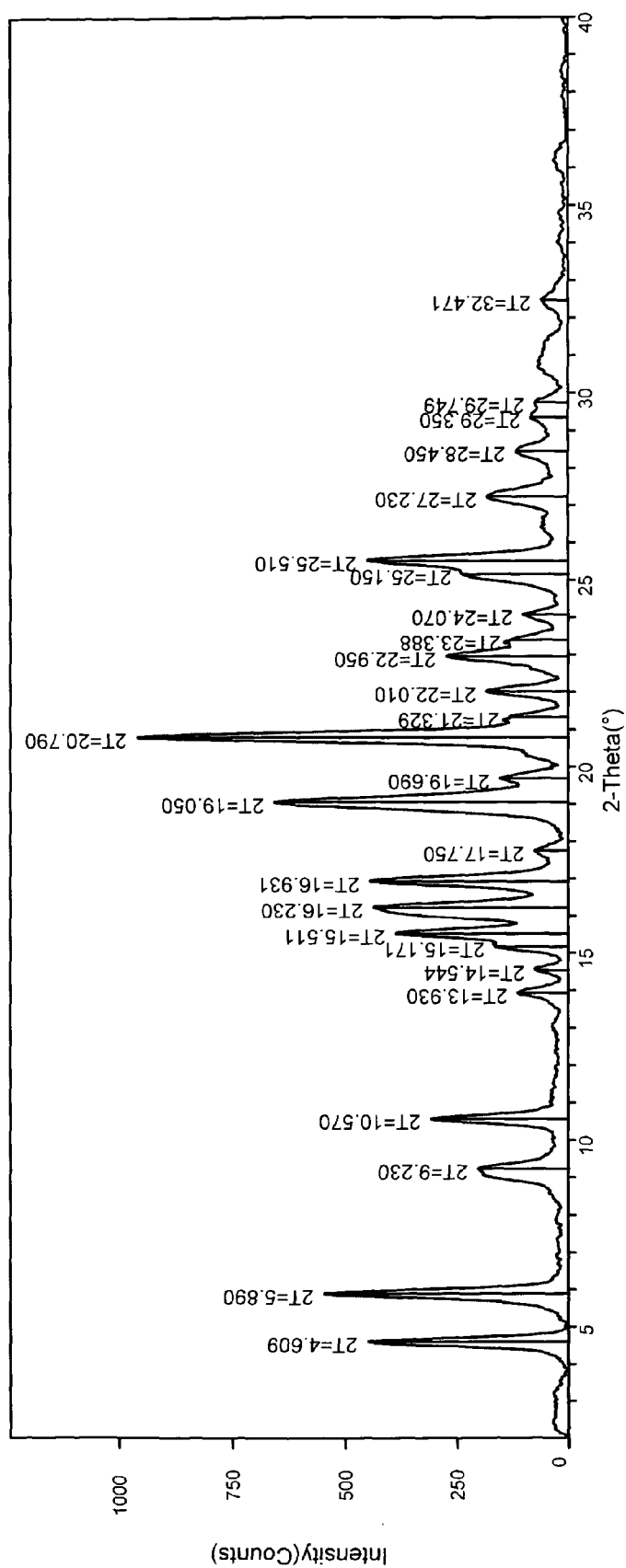


FIG. 14

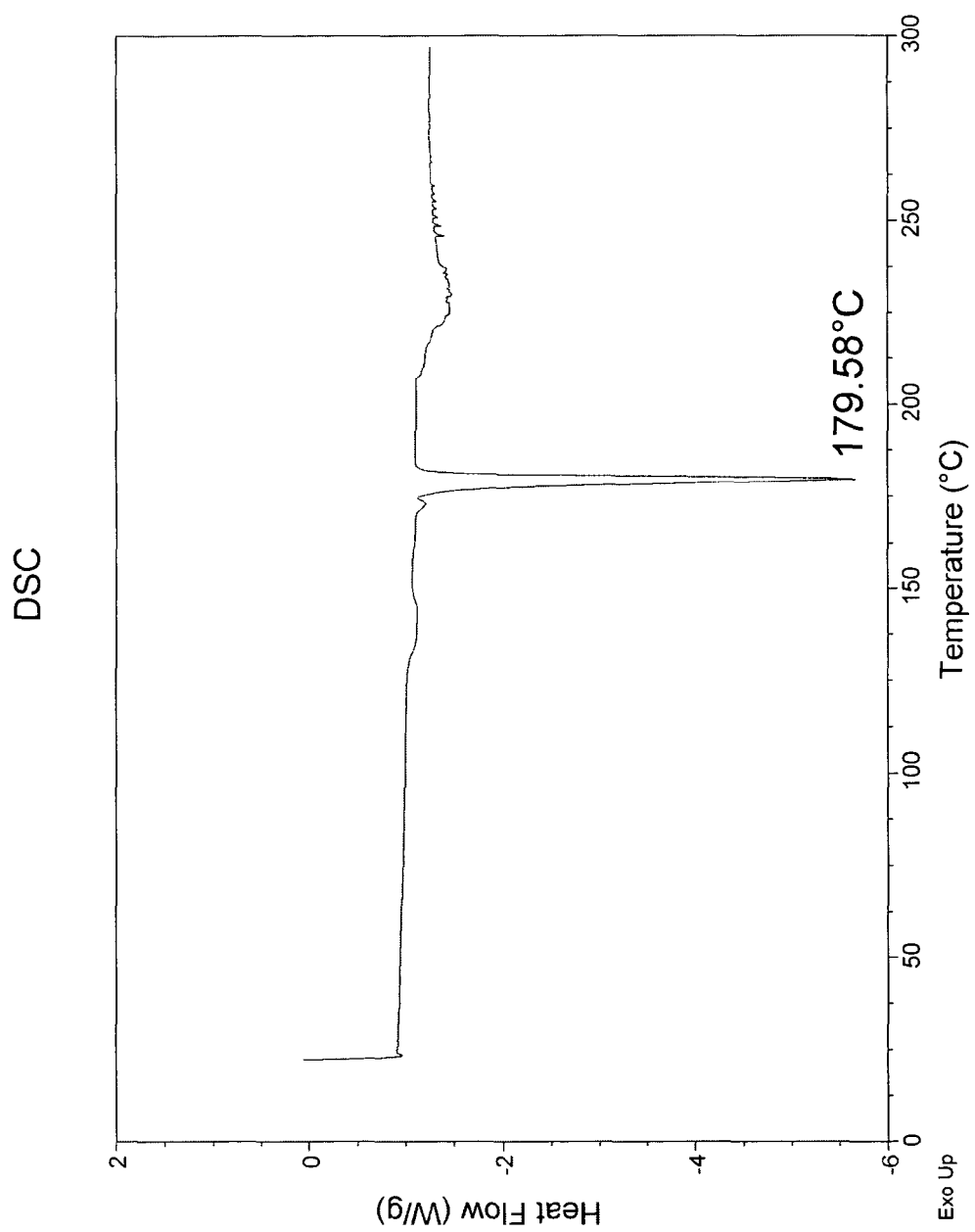


FIG. 15

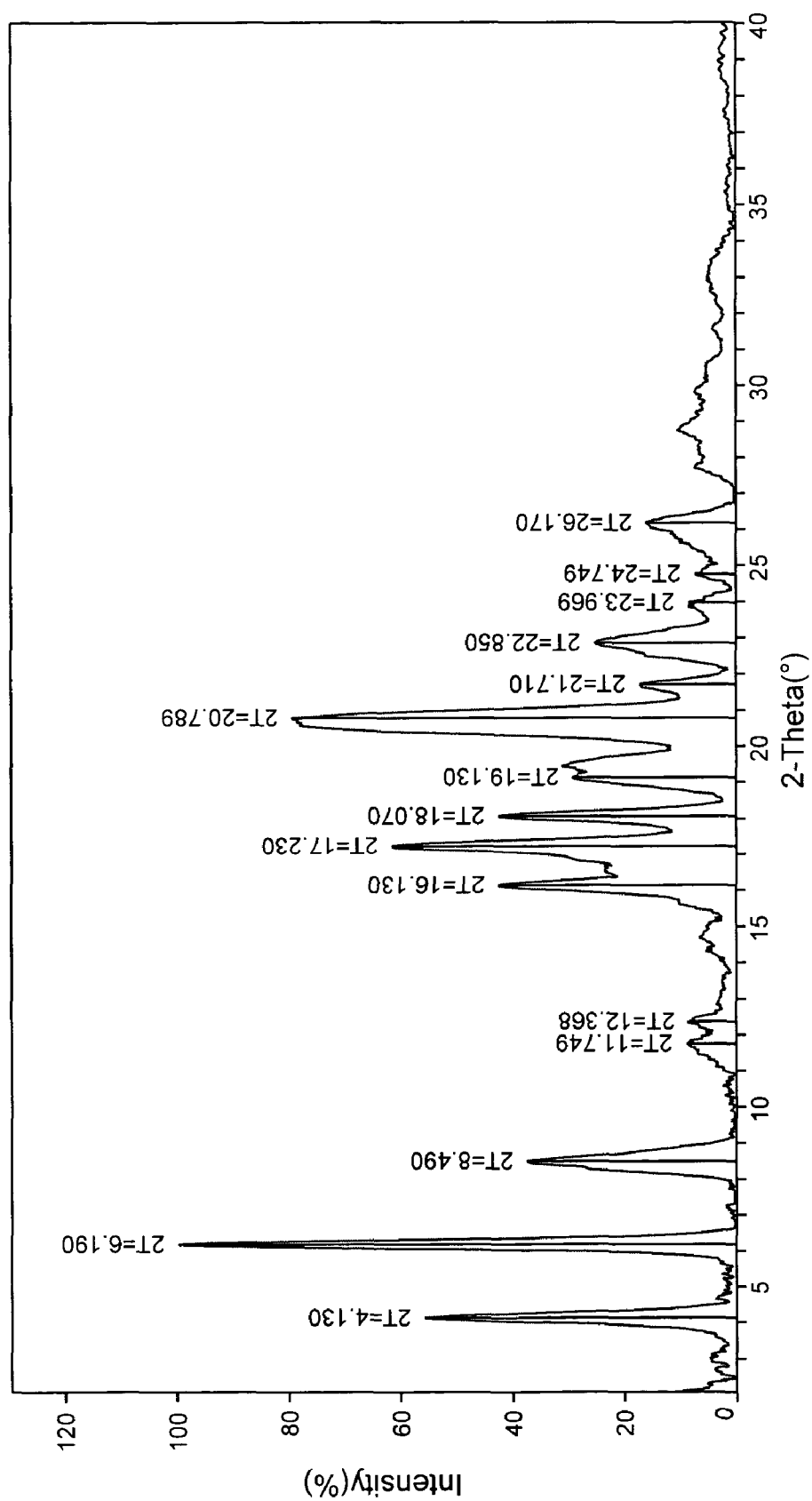


FIG. 16

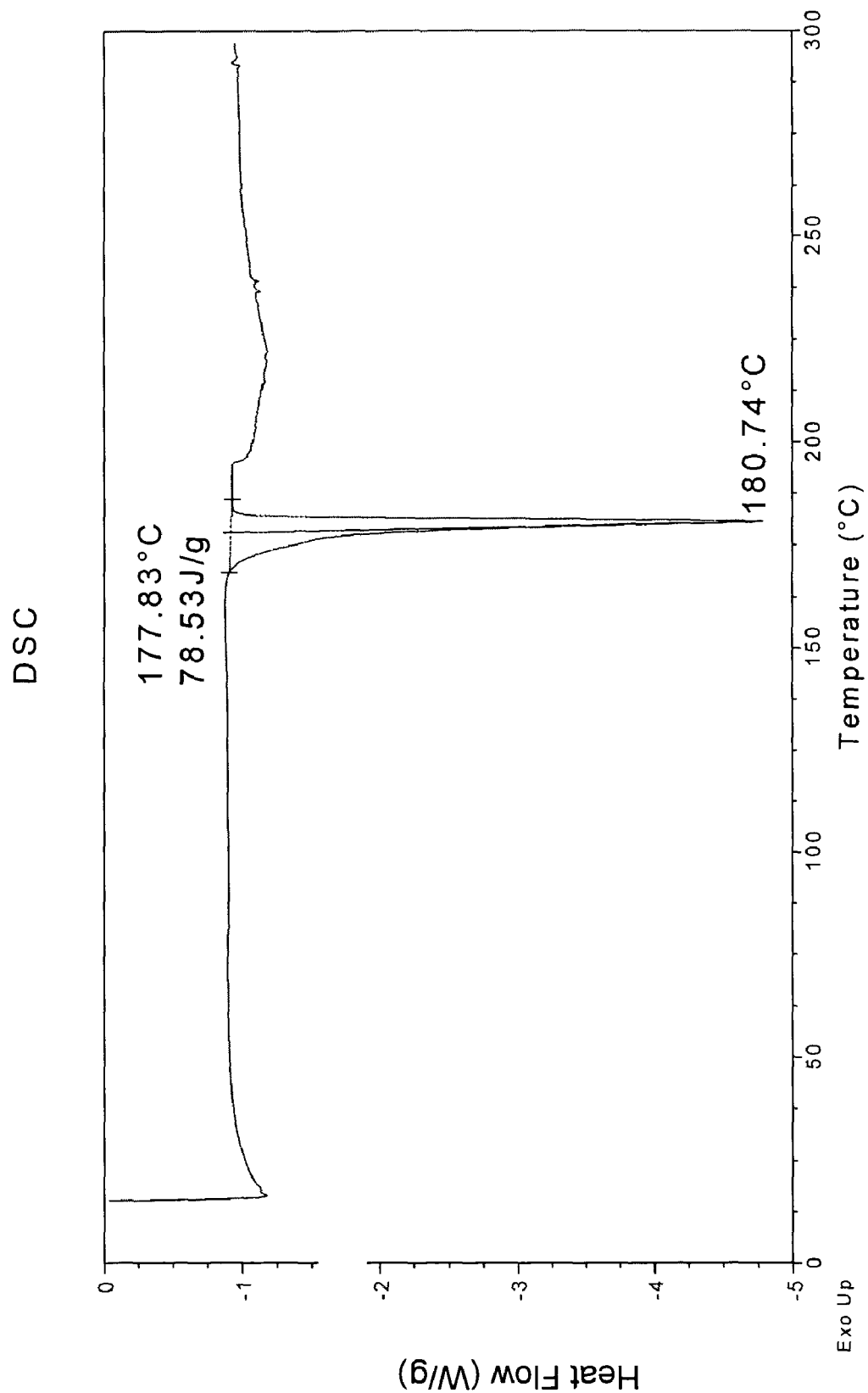


FIG. 17

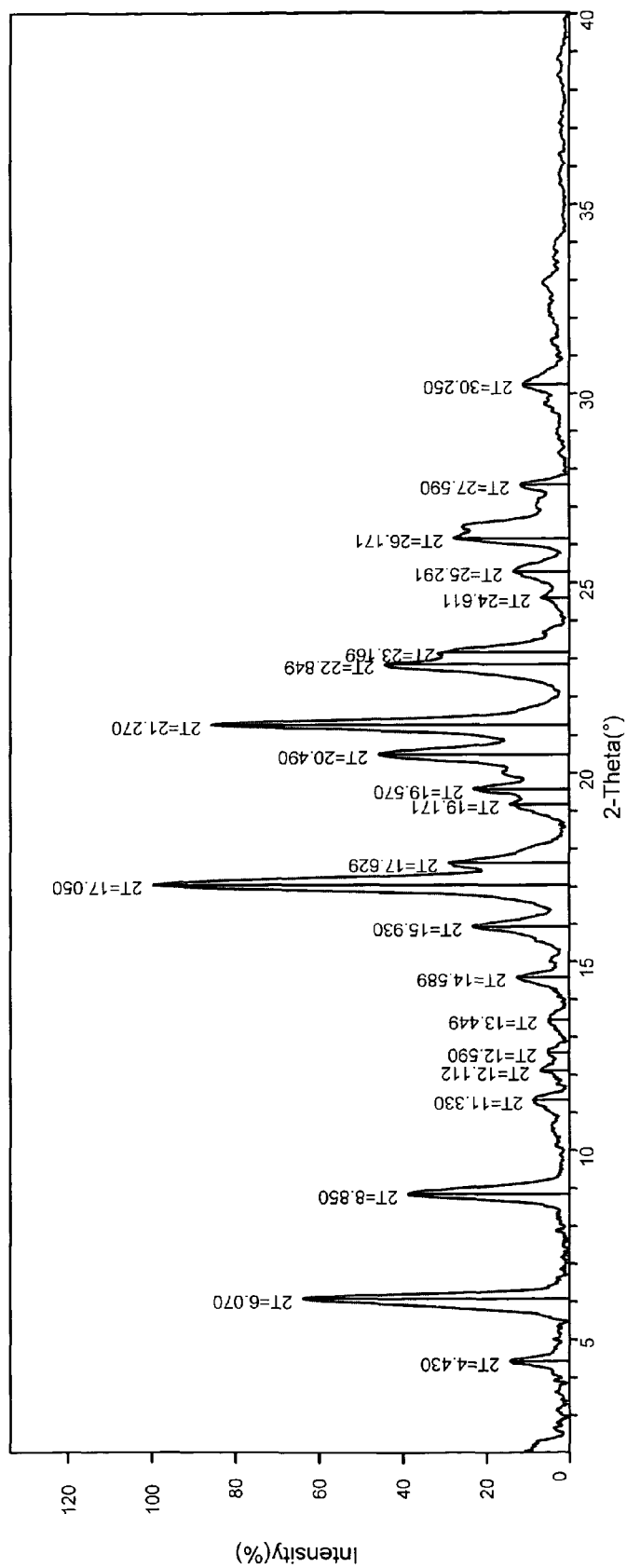


FIG. 18

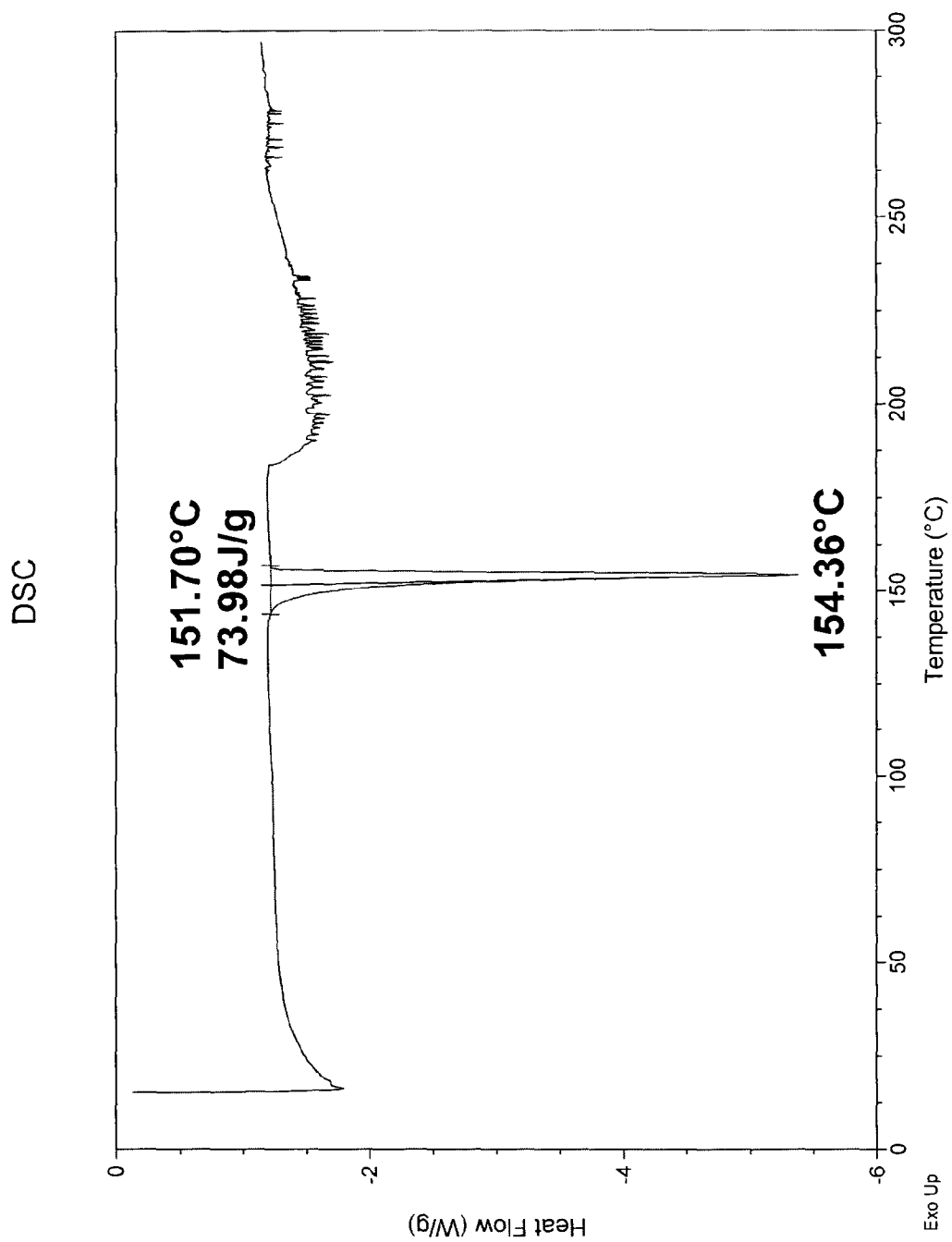


FIG. 19

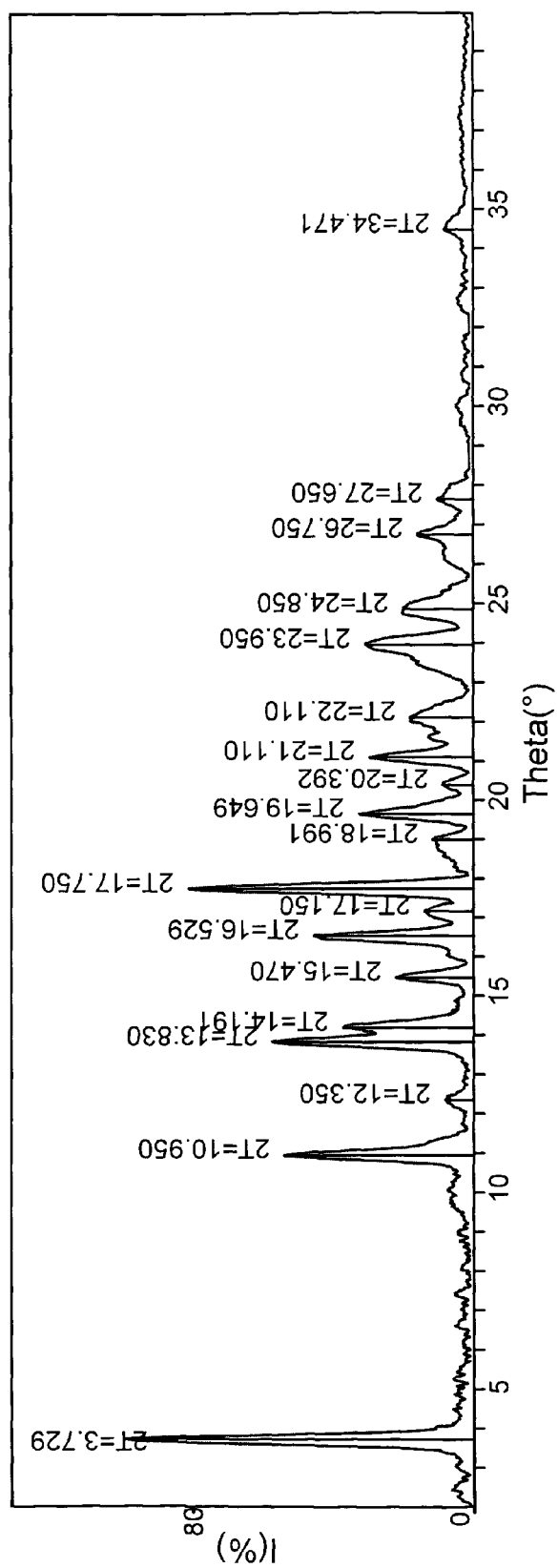


FIG. 20

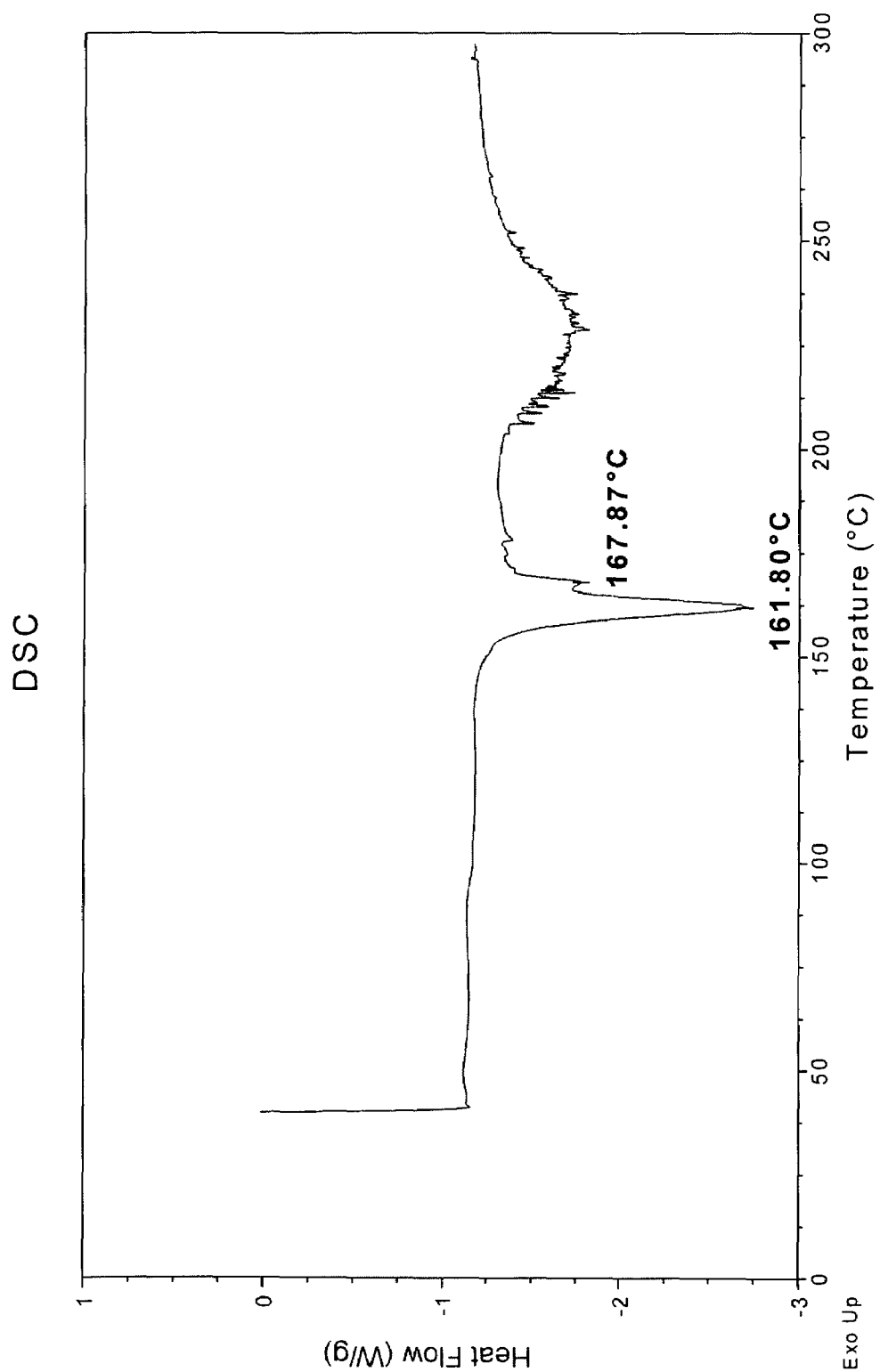


FIG. 21

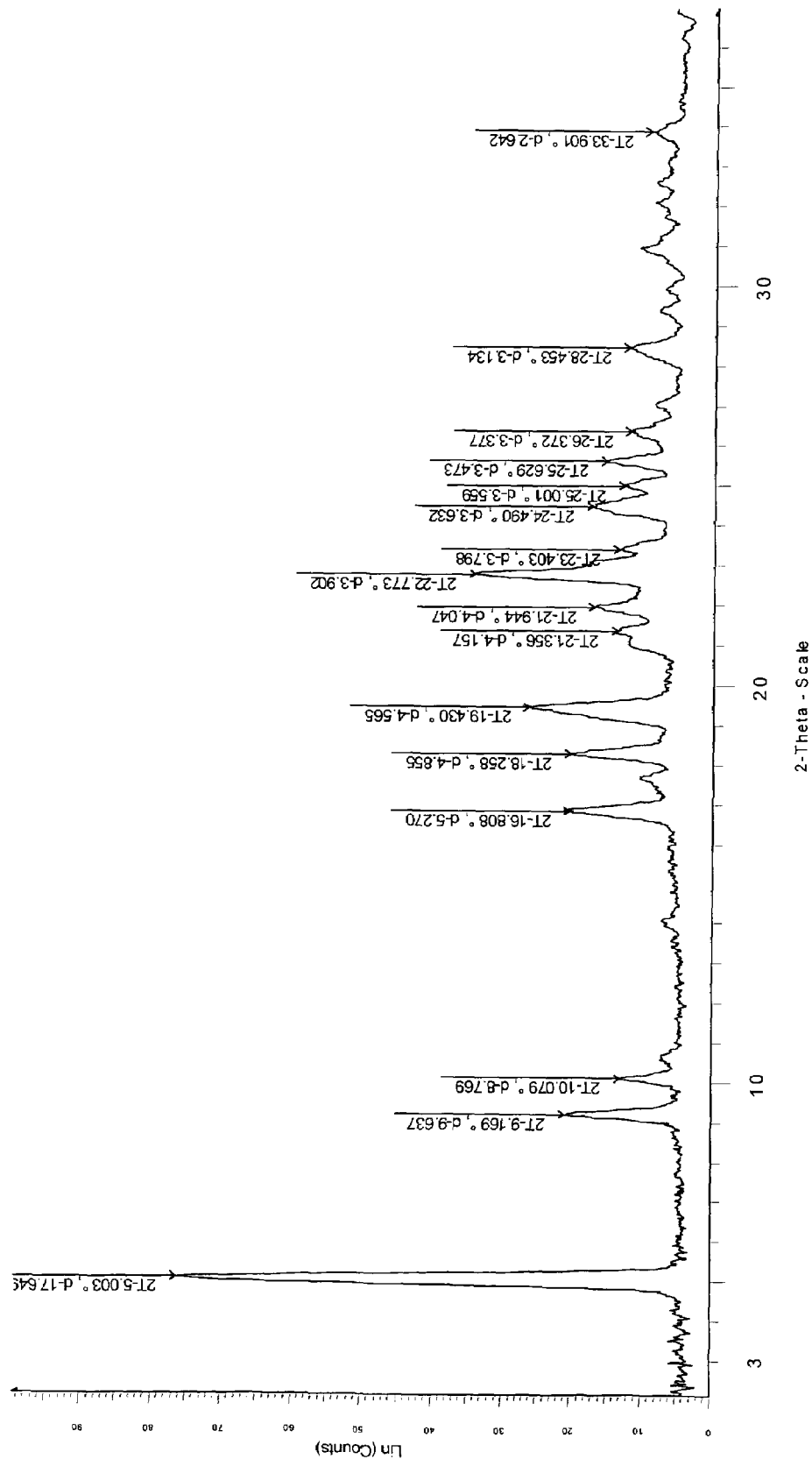


FIG. 22

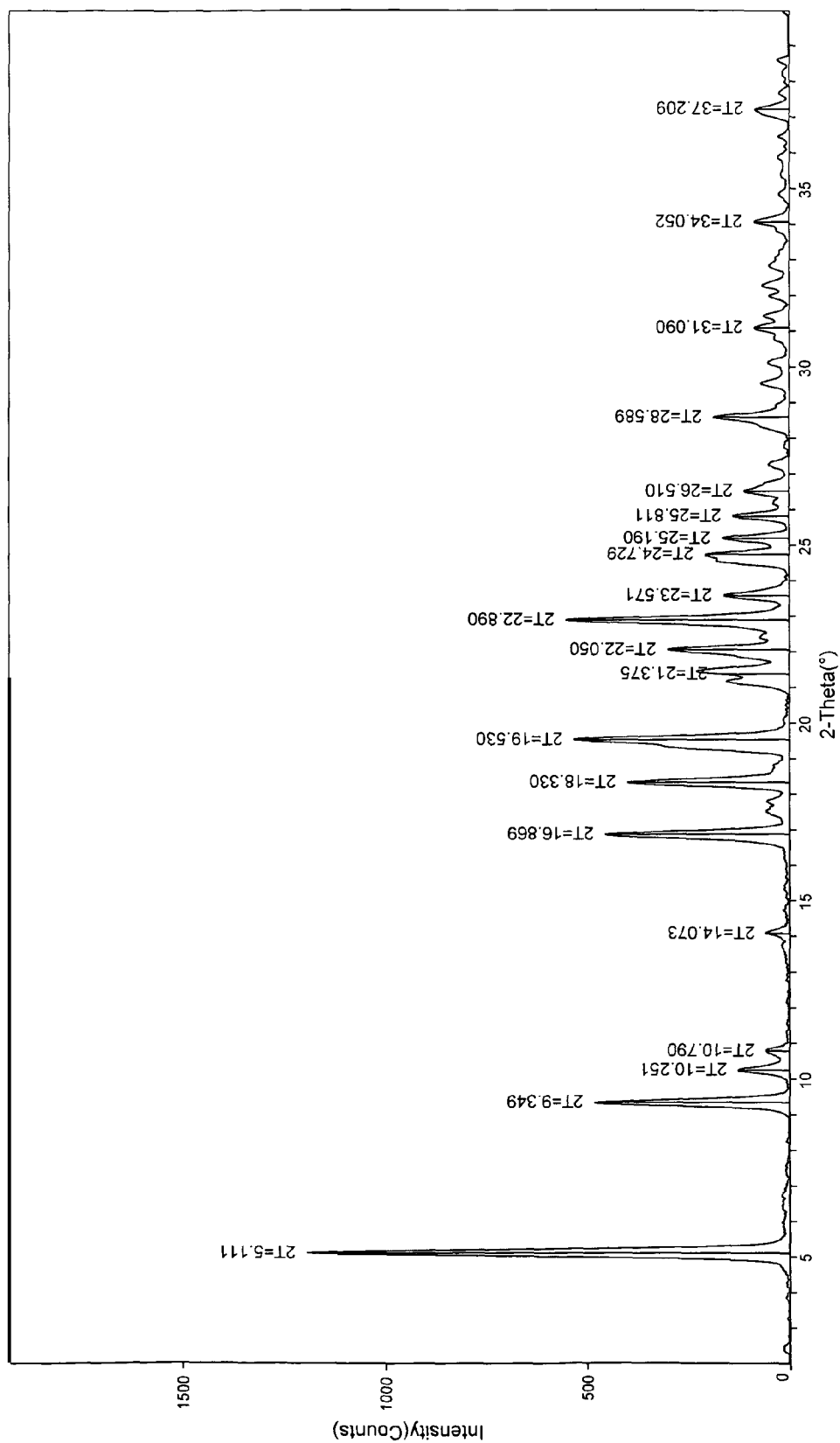


FIG. 23

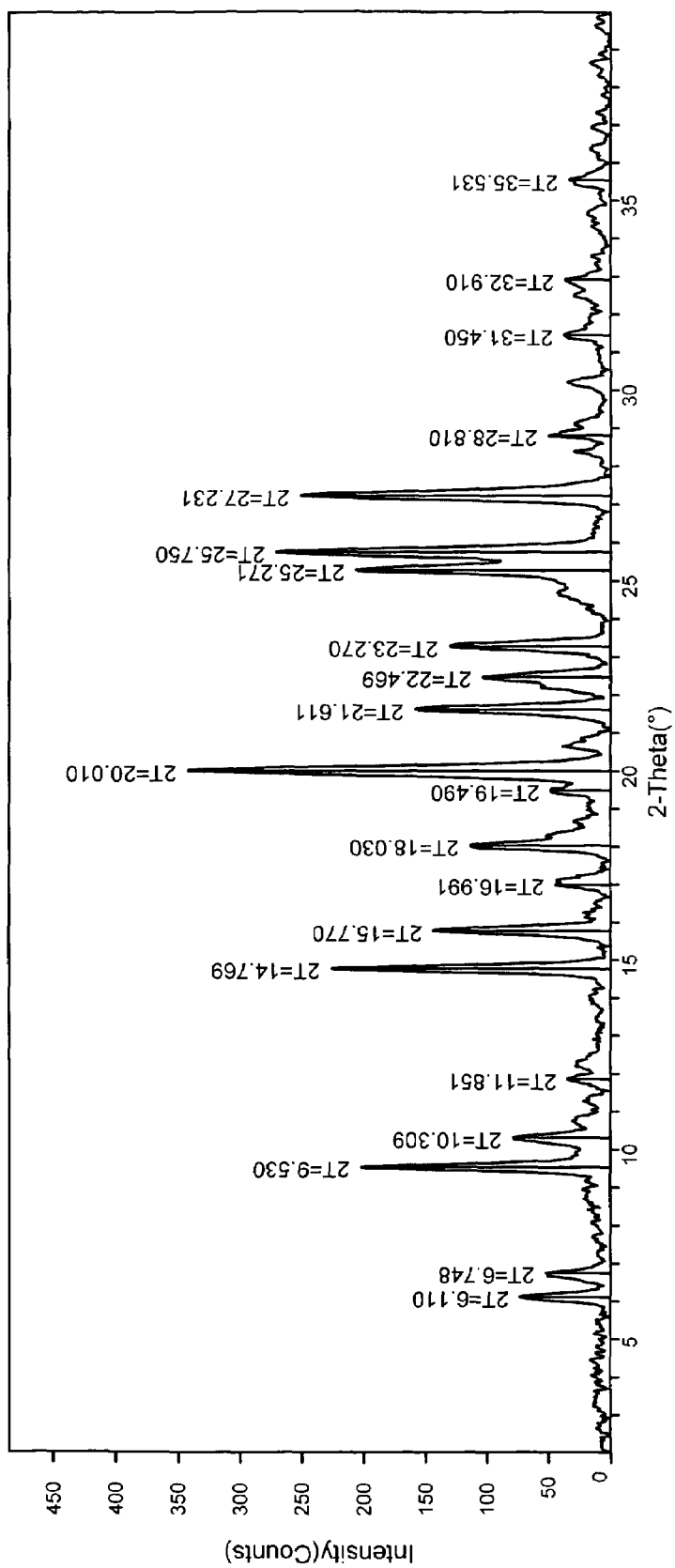


FIG. 24

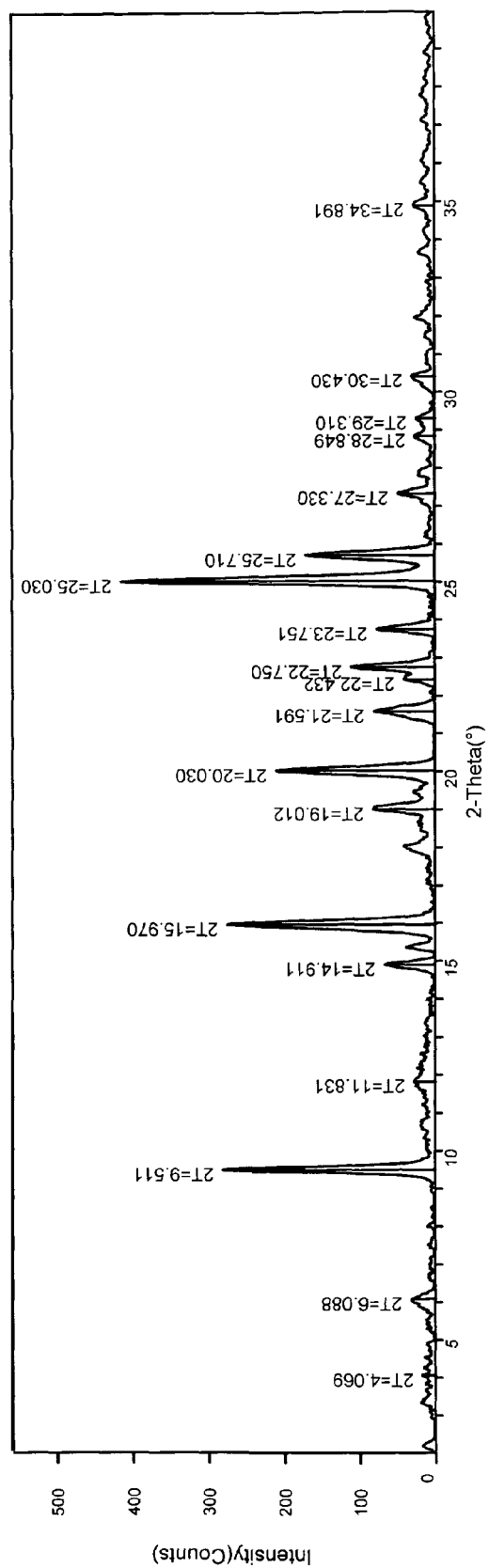


FIG. 25

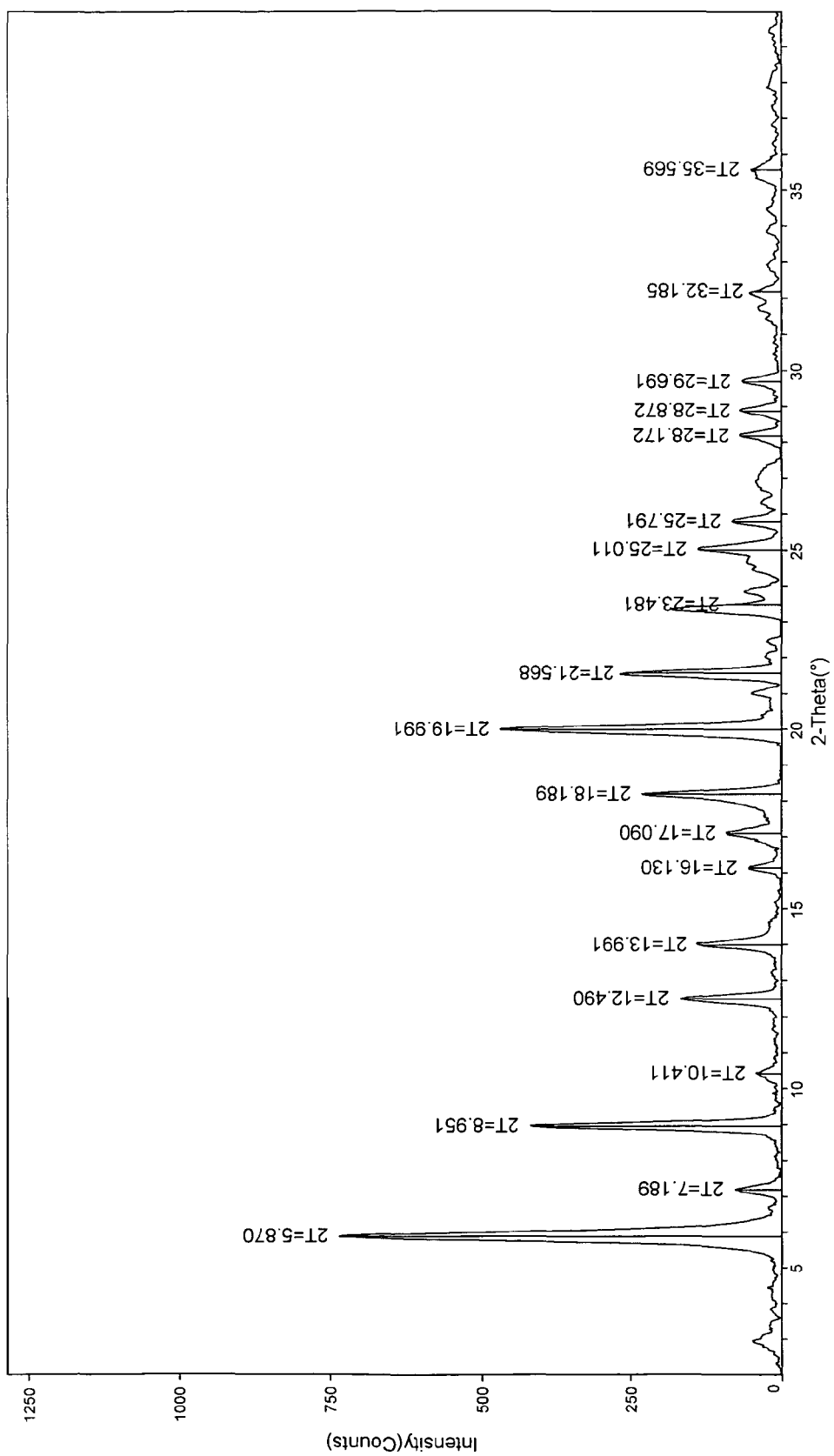


FIG. 26

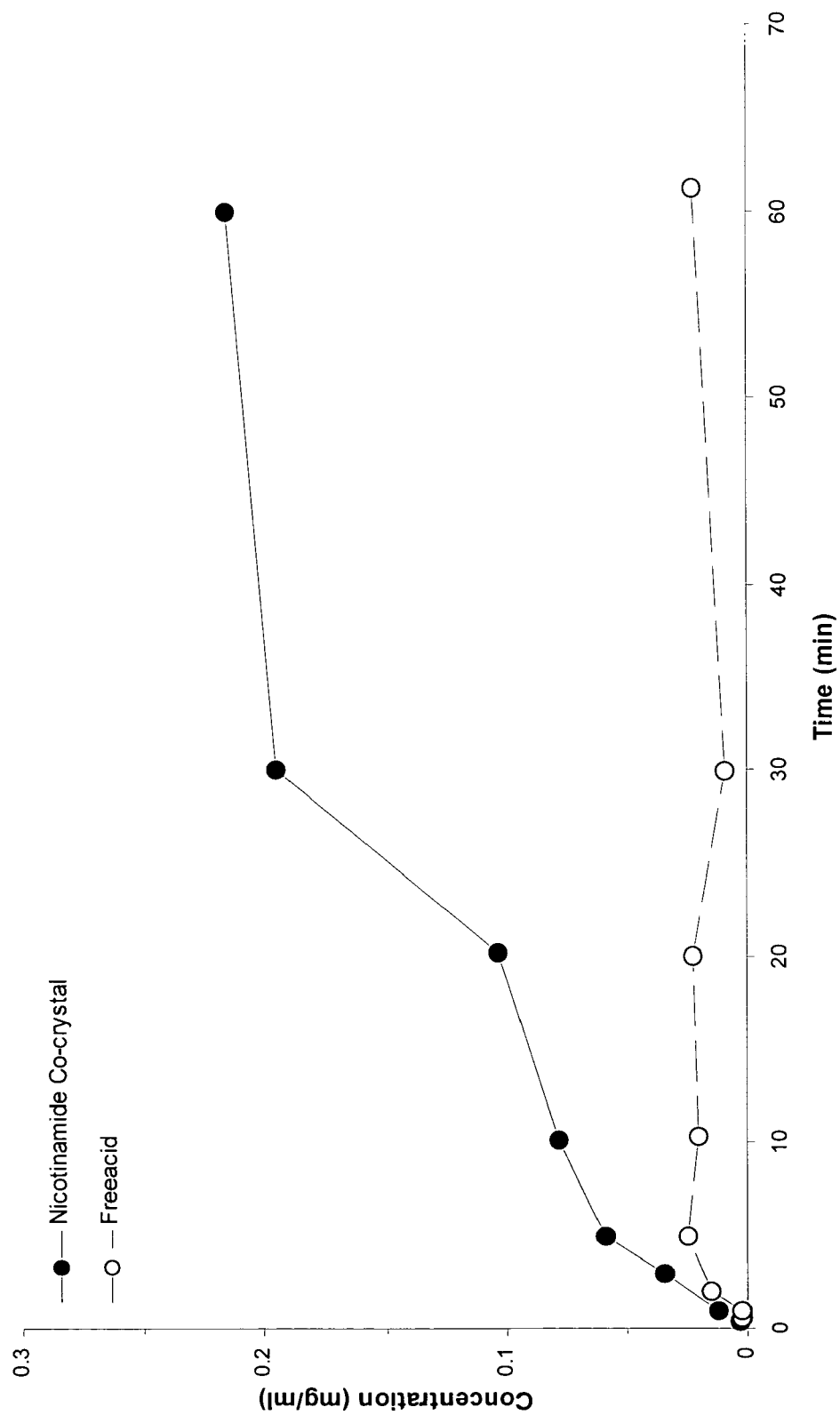


FIG. 27

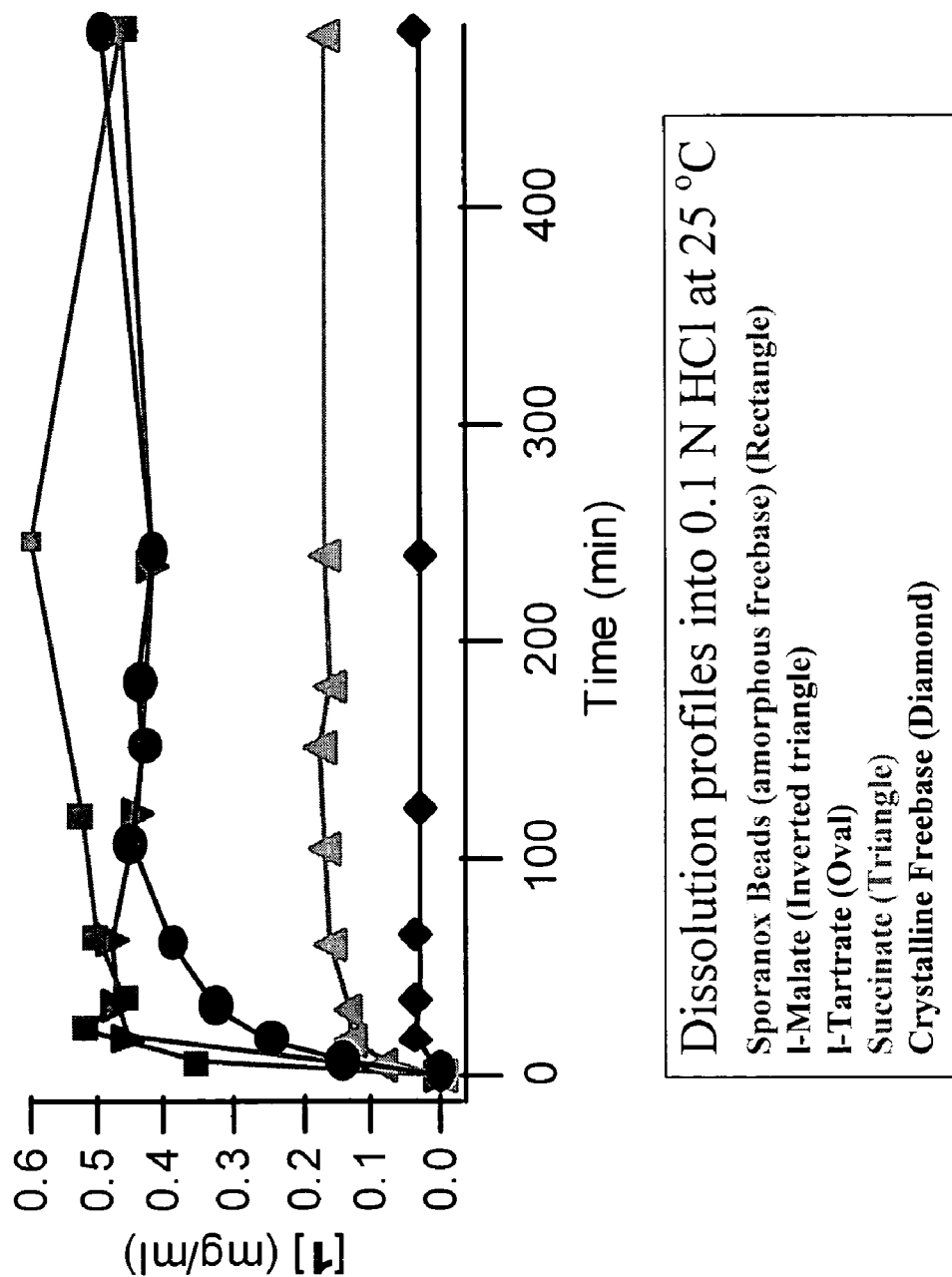


FIG. 28

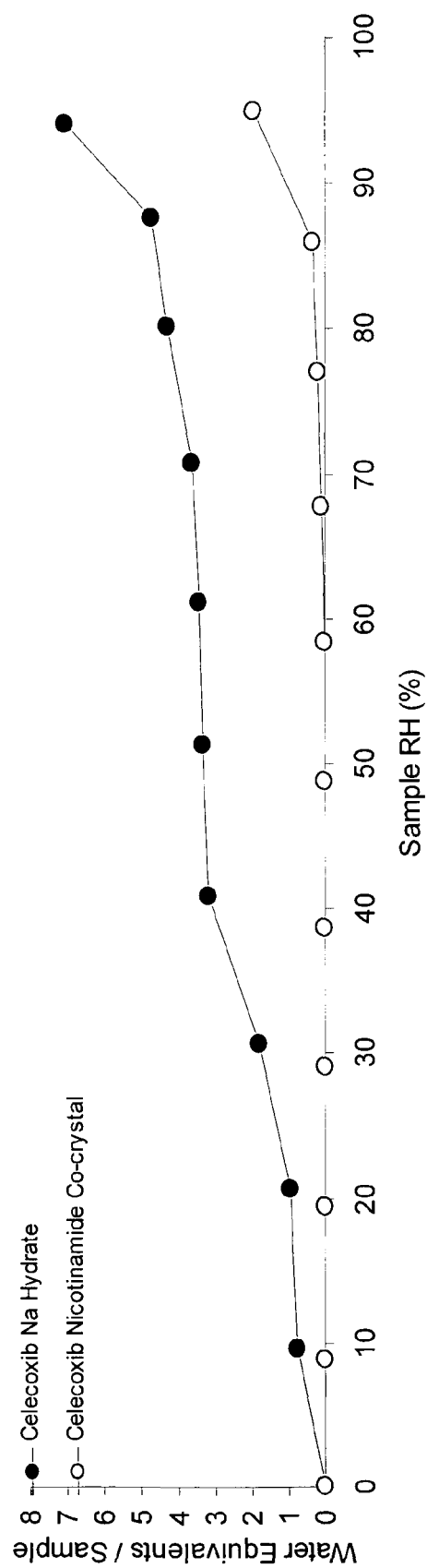


FIG. 29

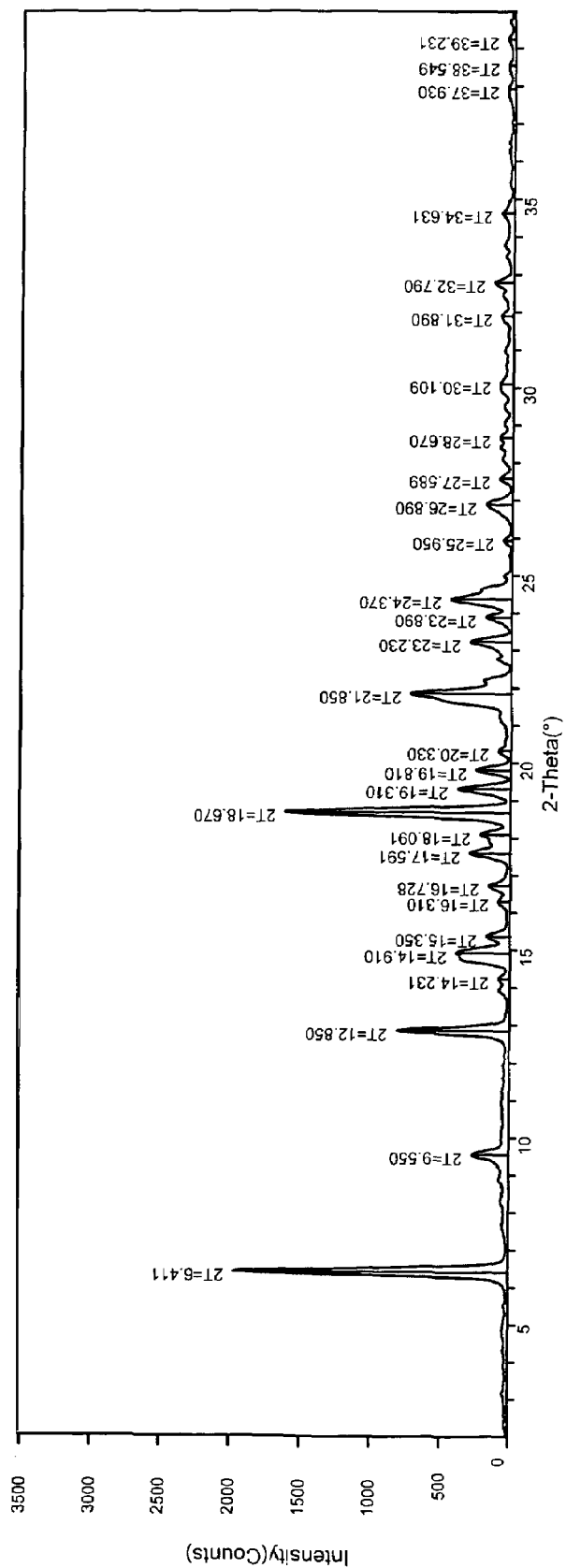


FIG. 30

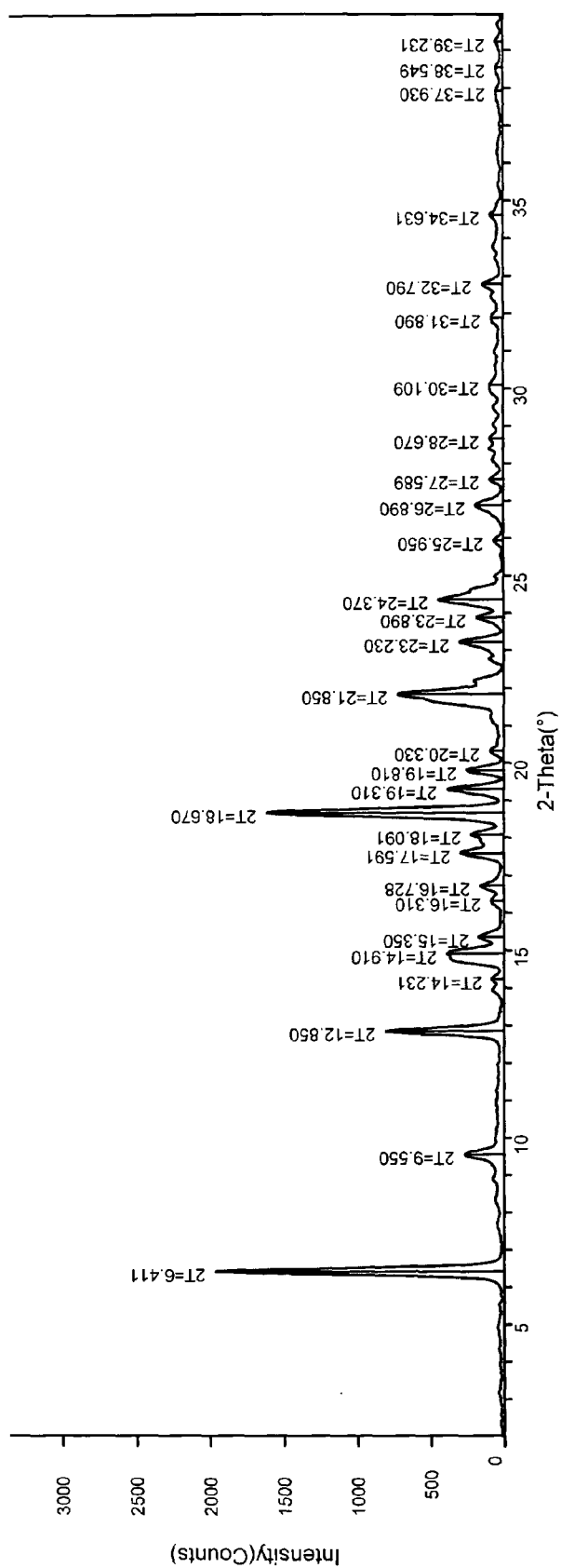
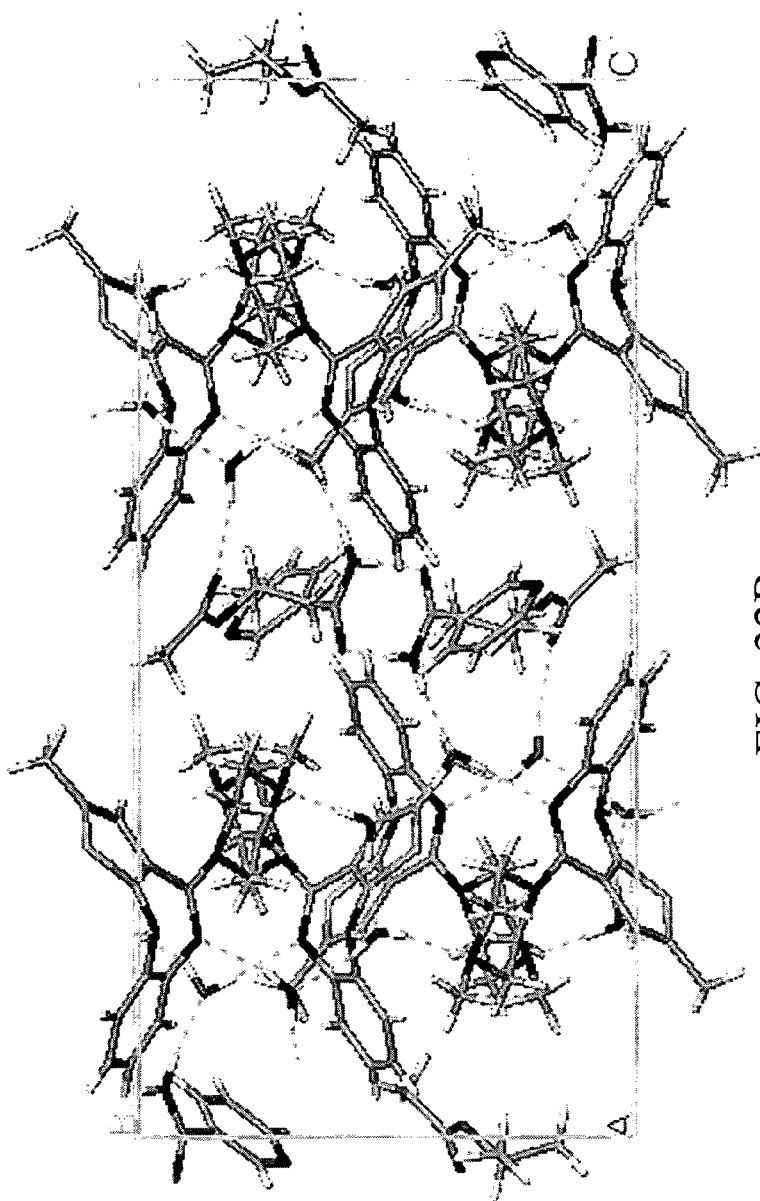
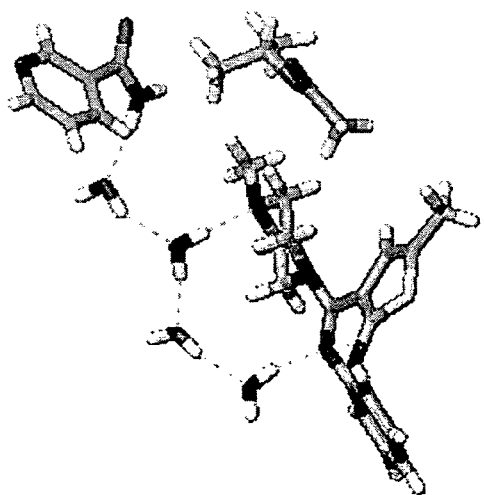


FIG. 31



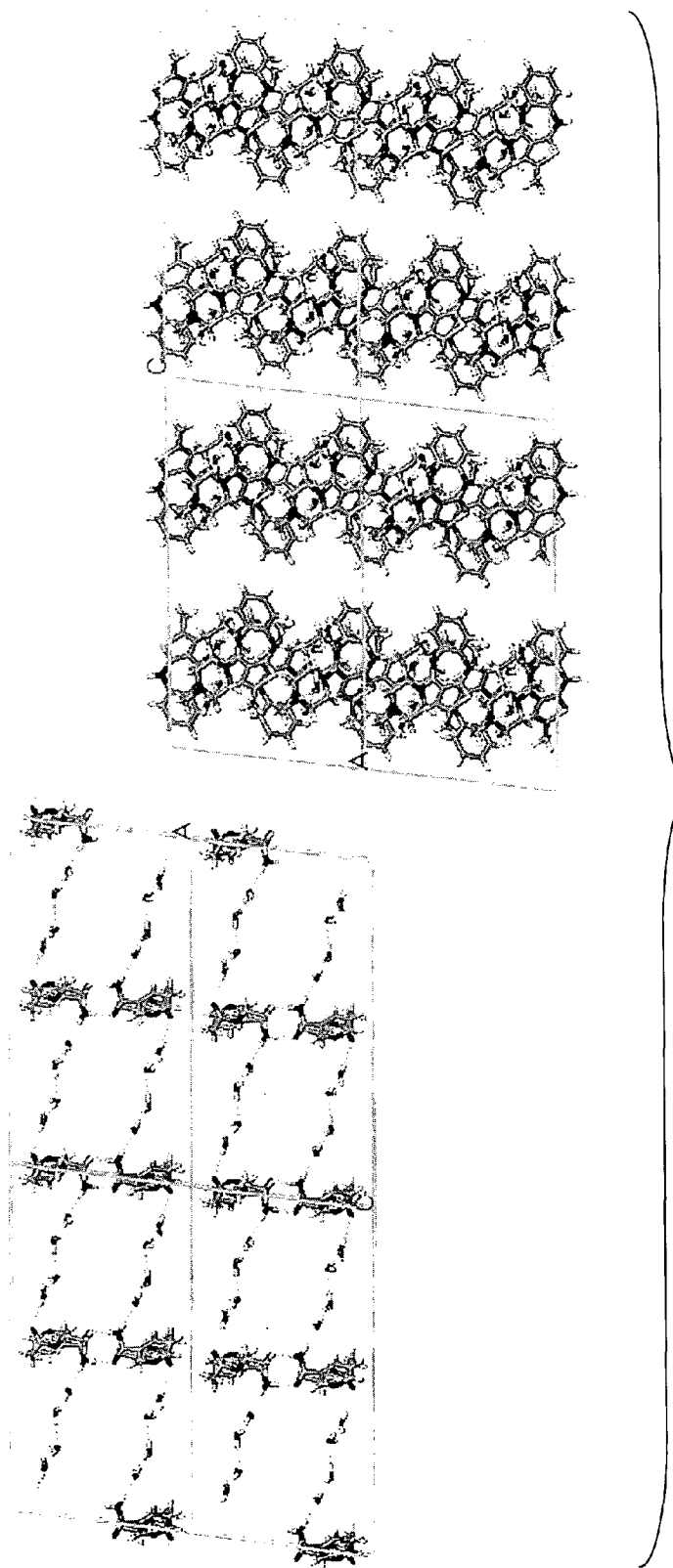


FIG. 32C

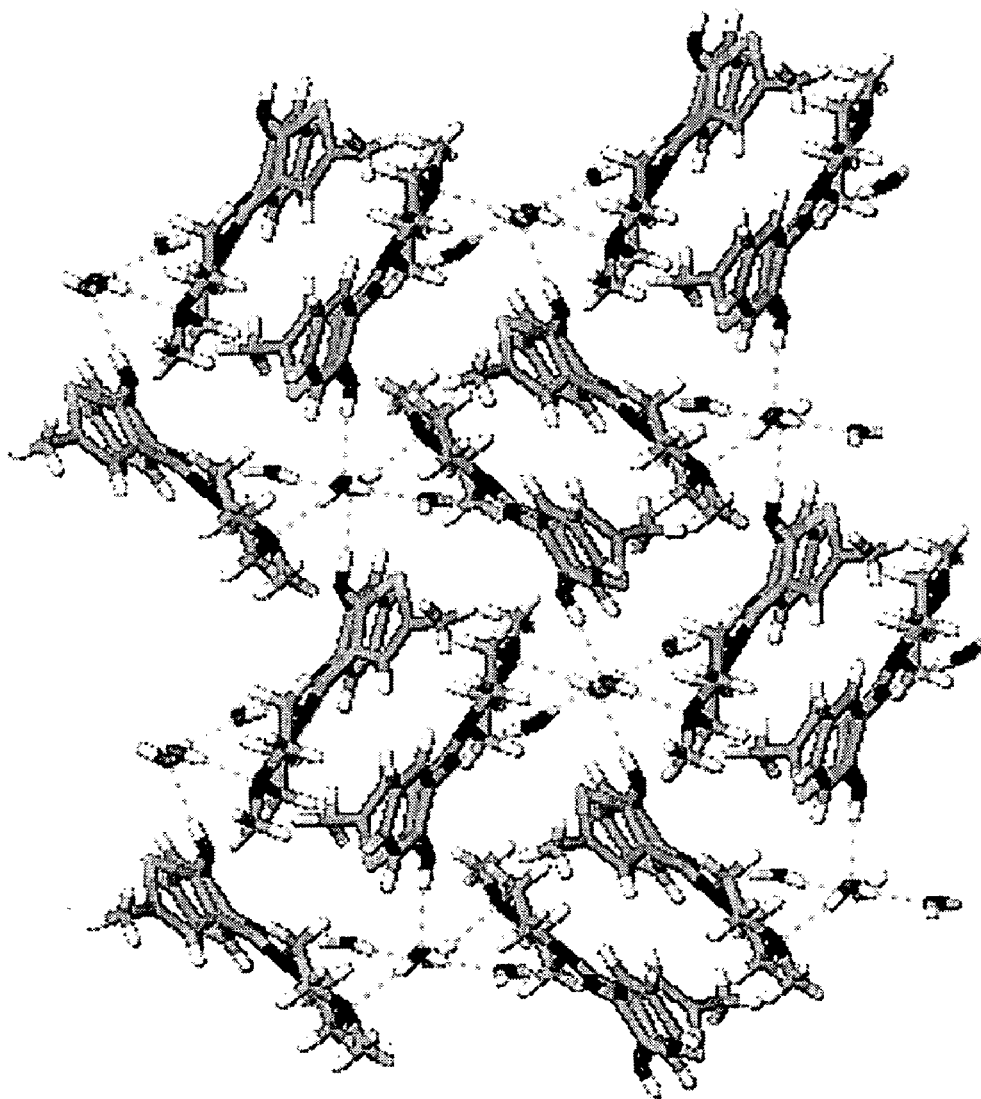


FIG. 32D

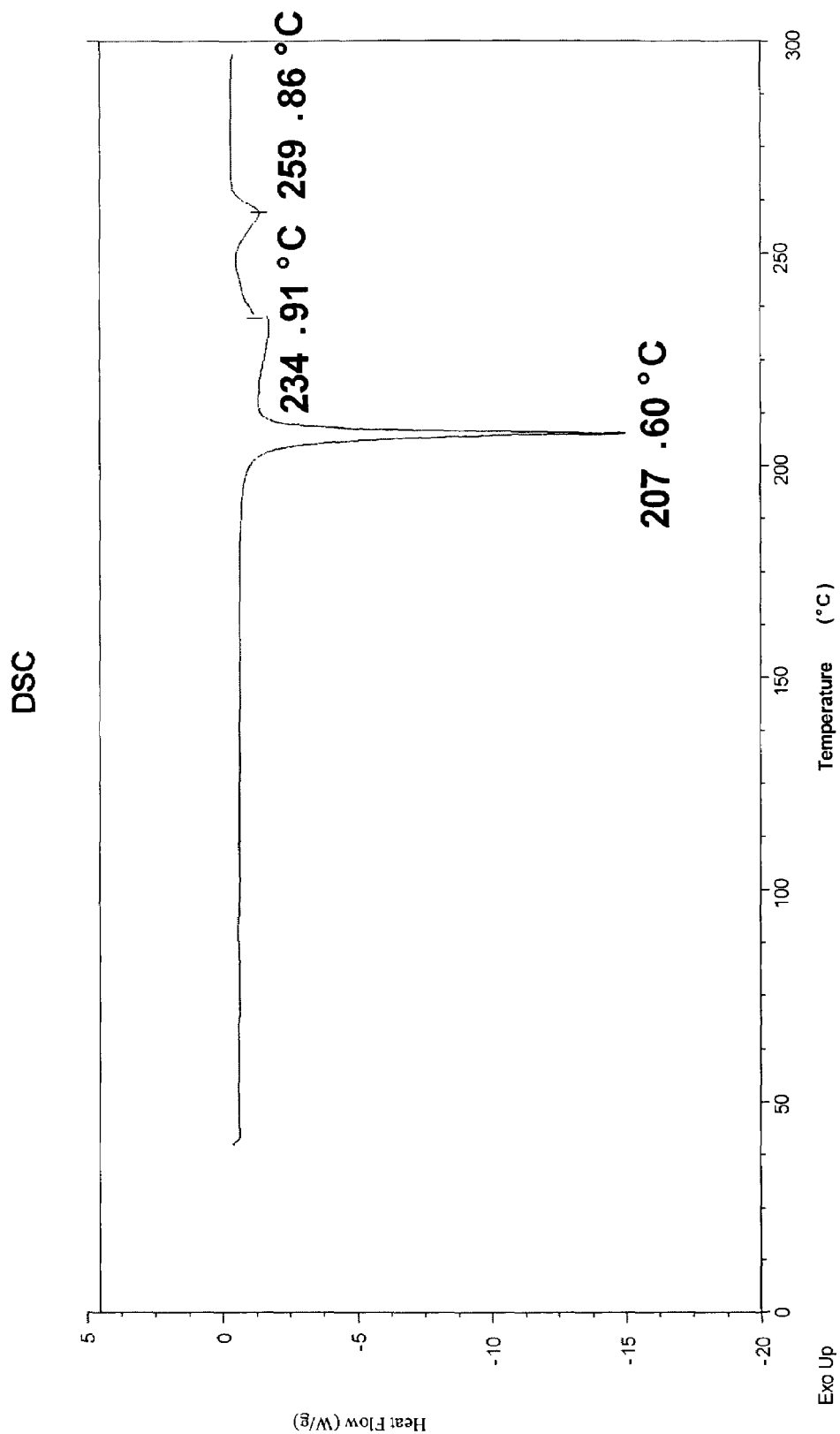


FIG. 33

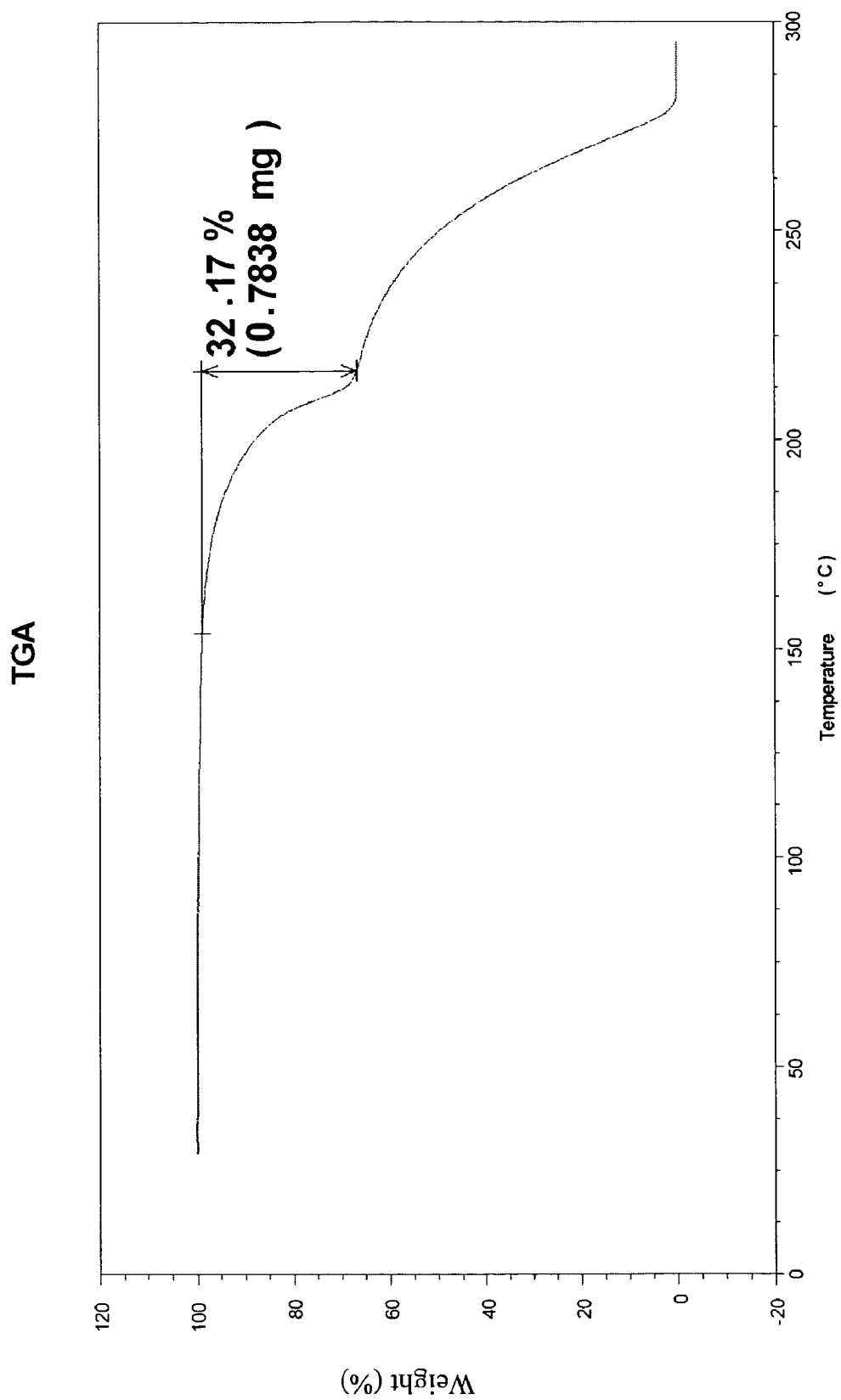


FIG. 34

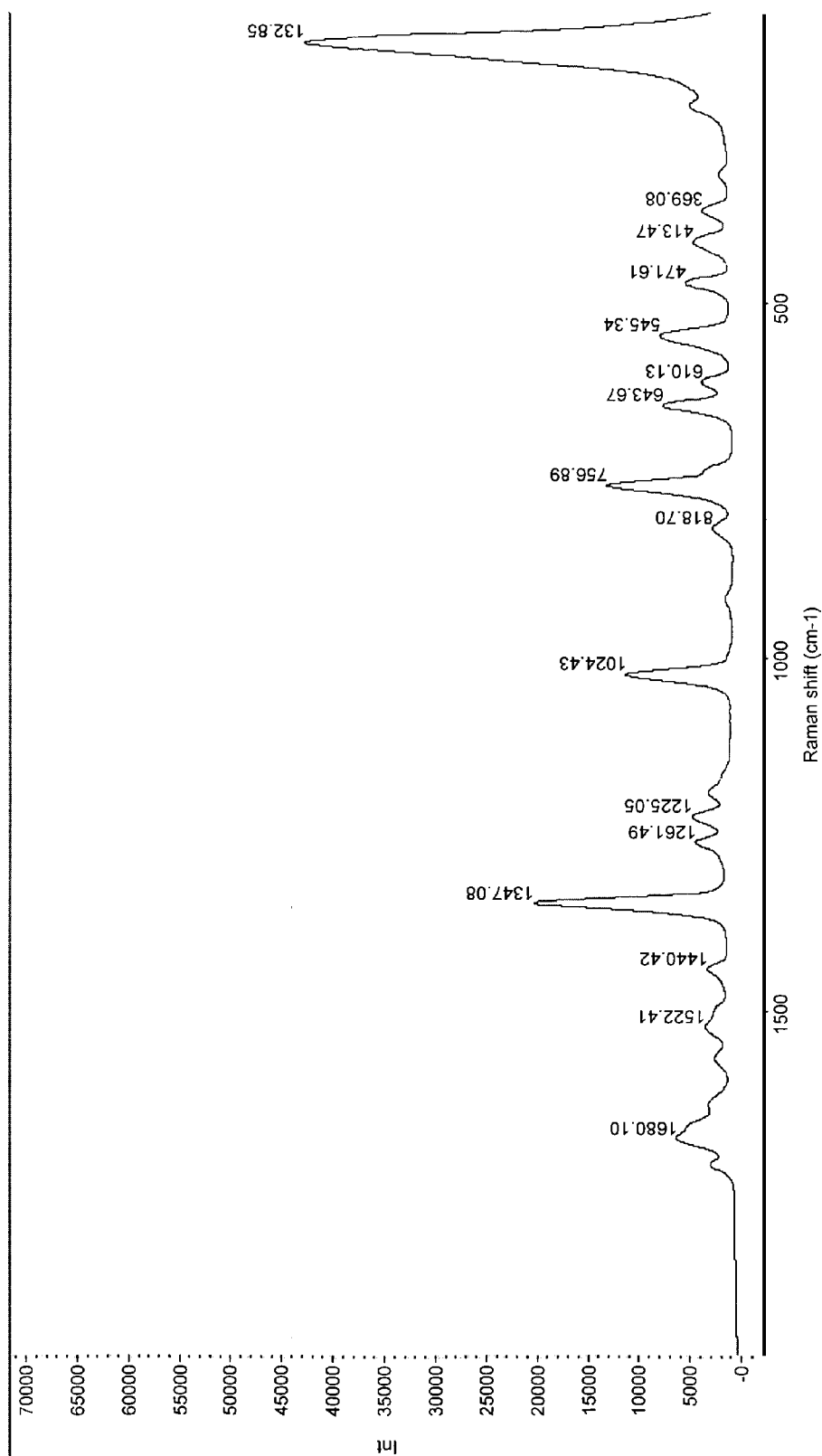


FIG. 35

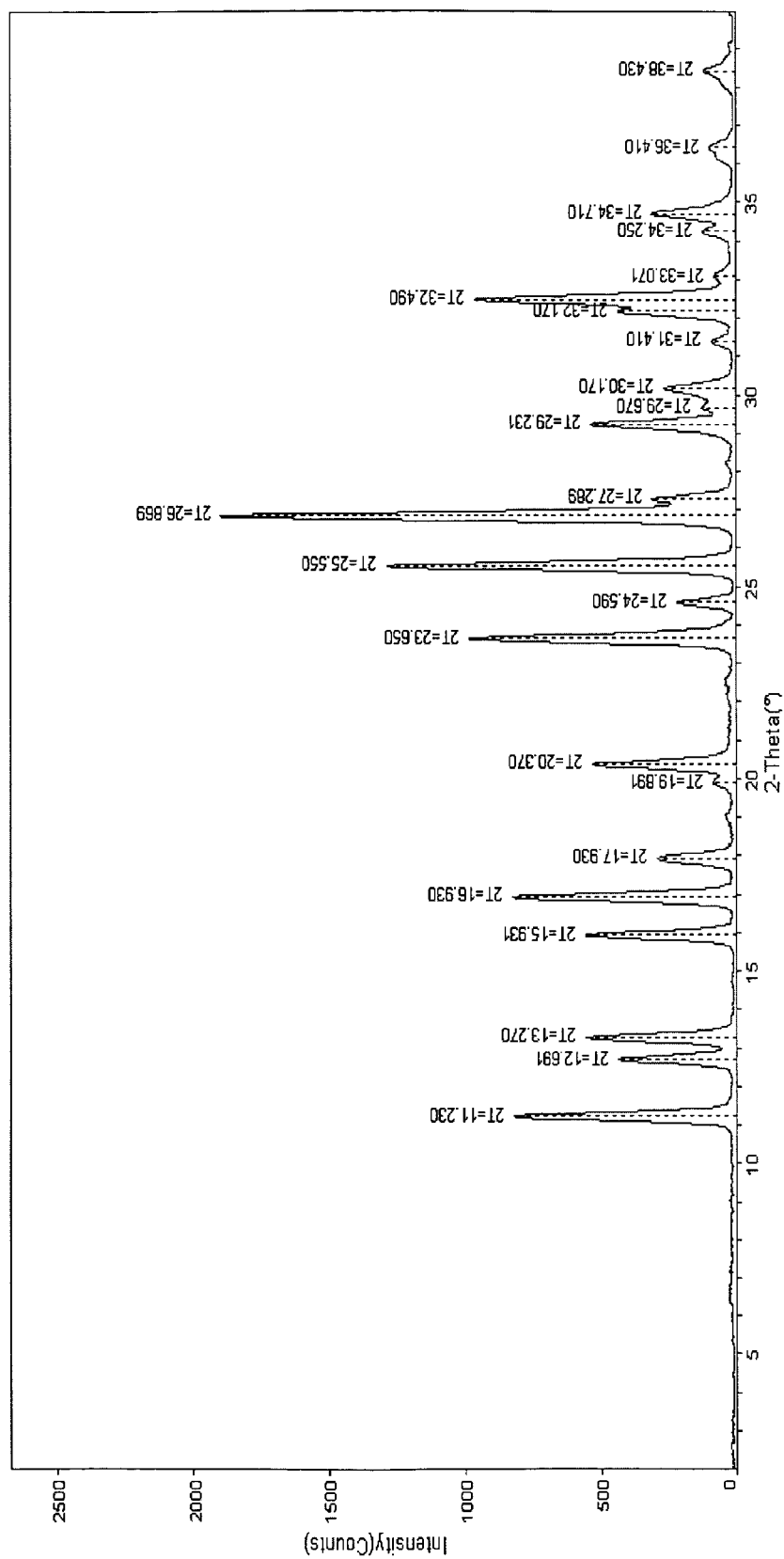


FIG. 36

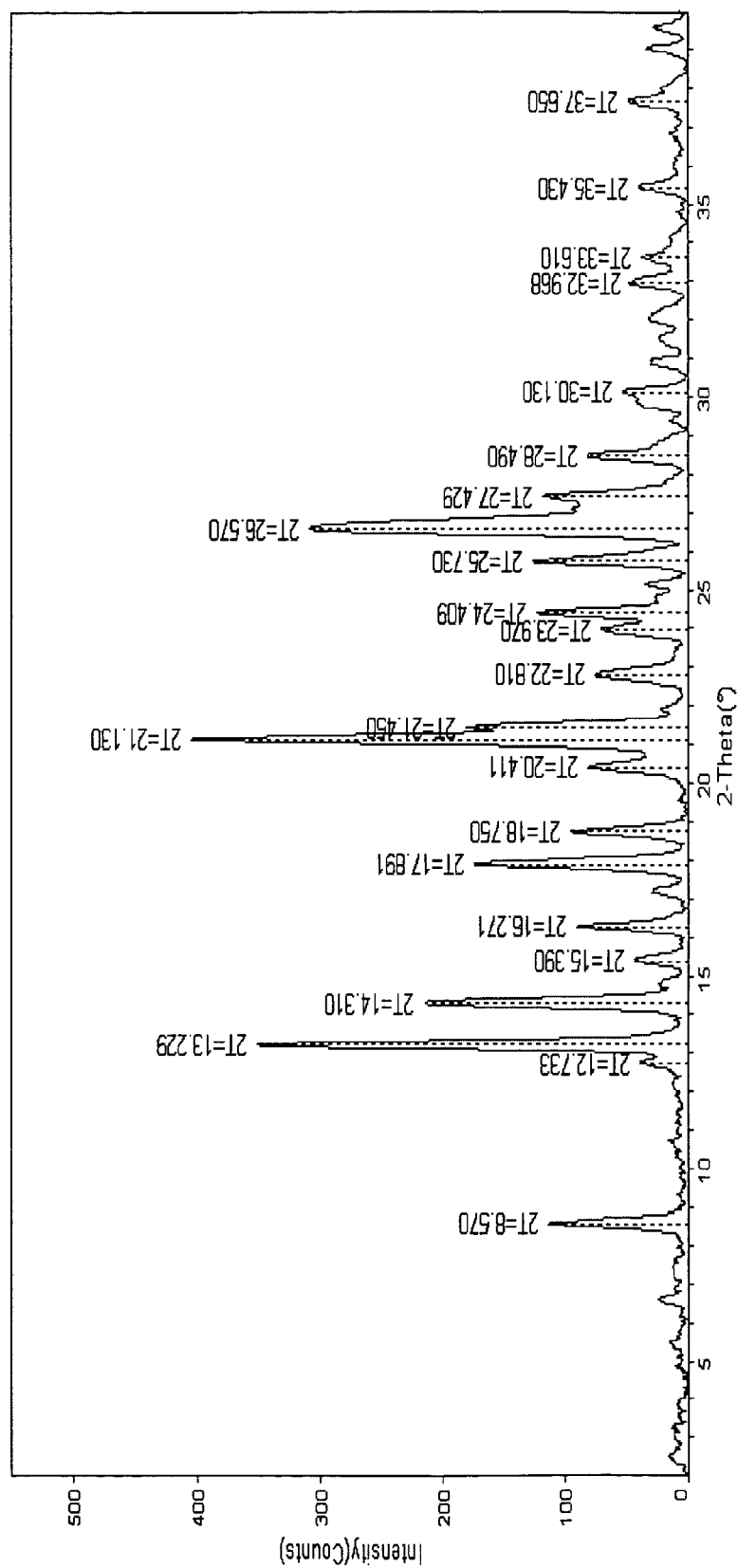


FIG. 37

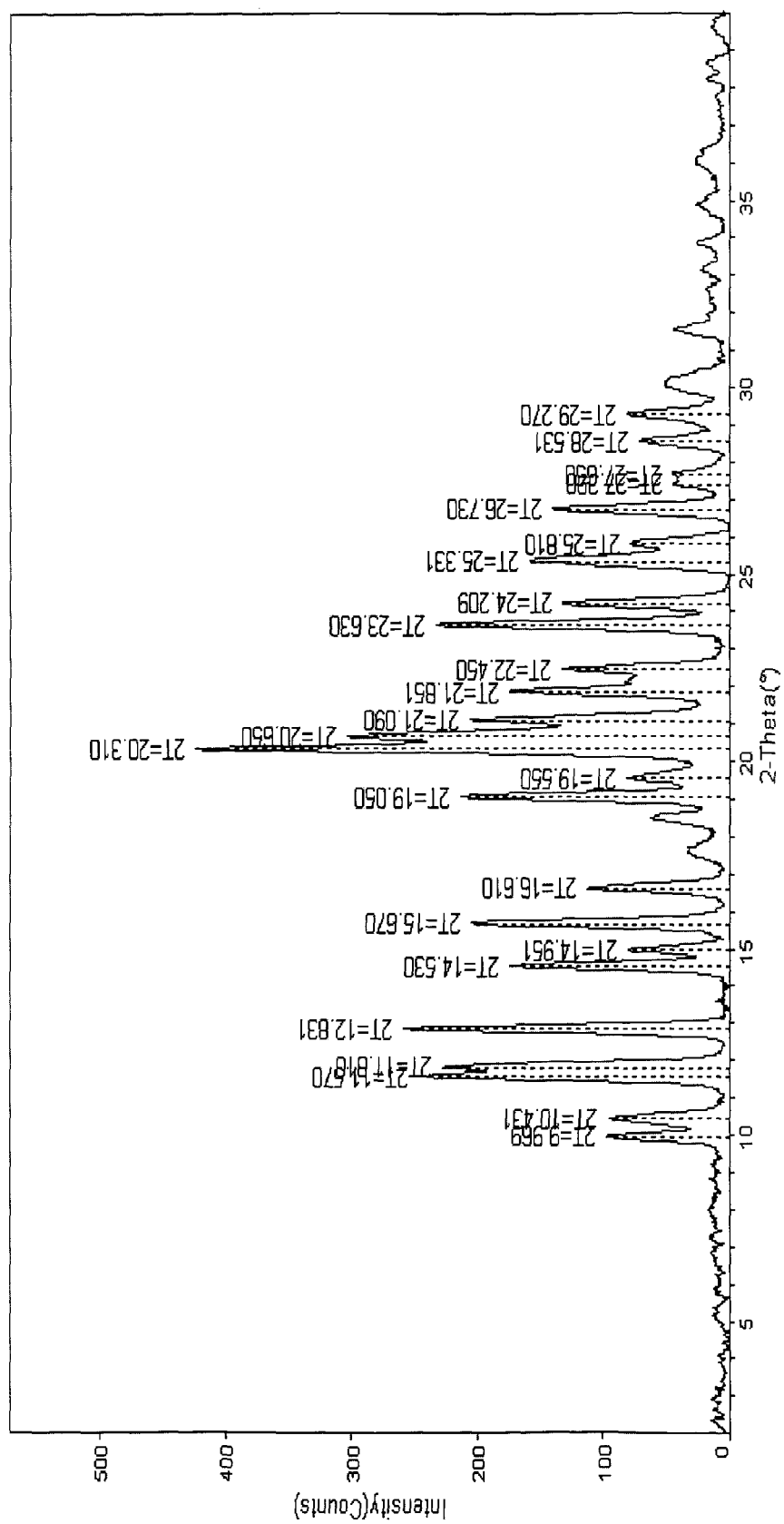


FIG. 38

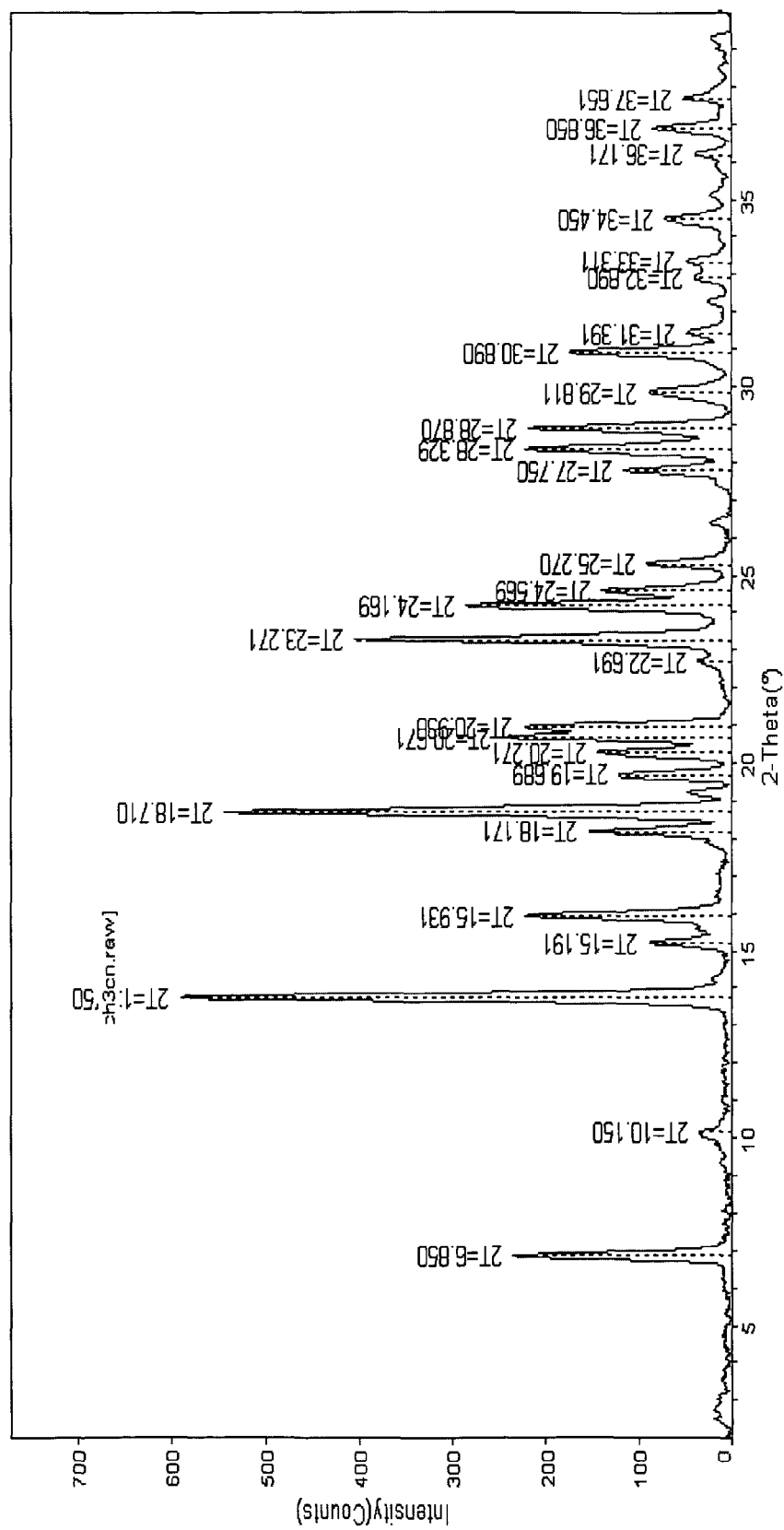


FIG. 39

DSC

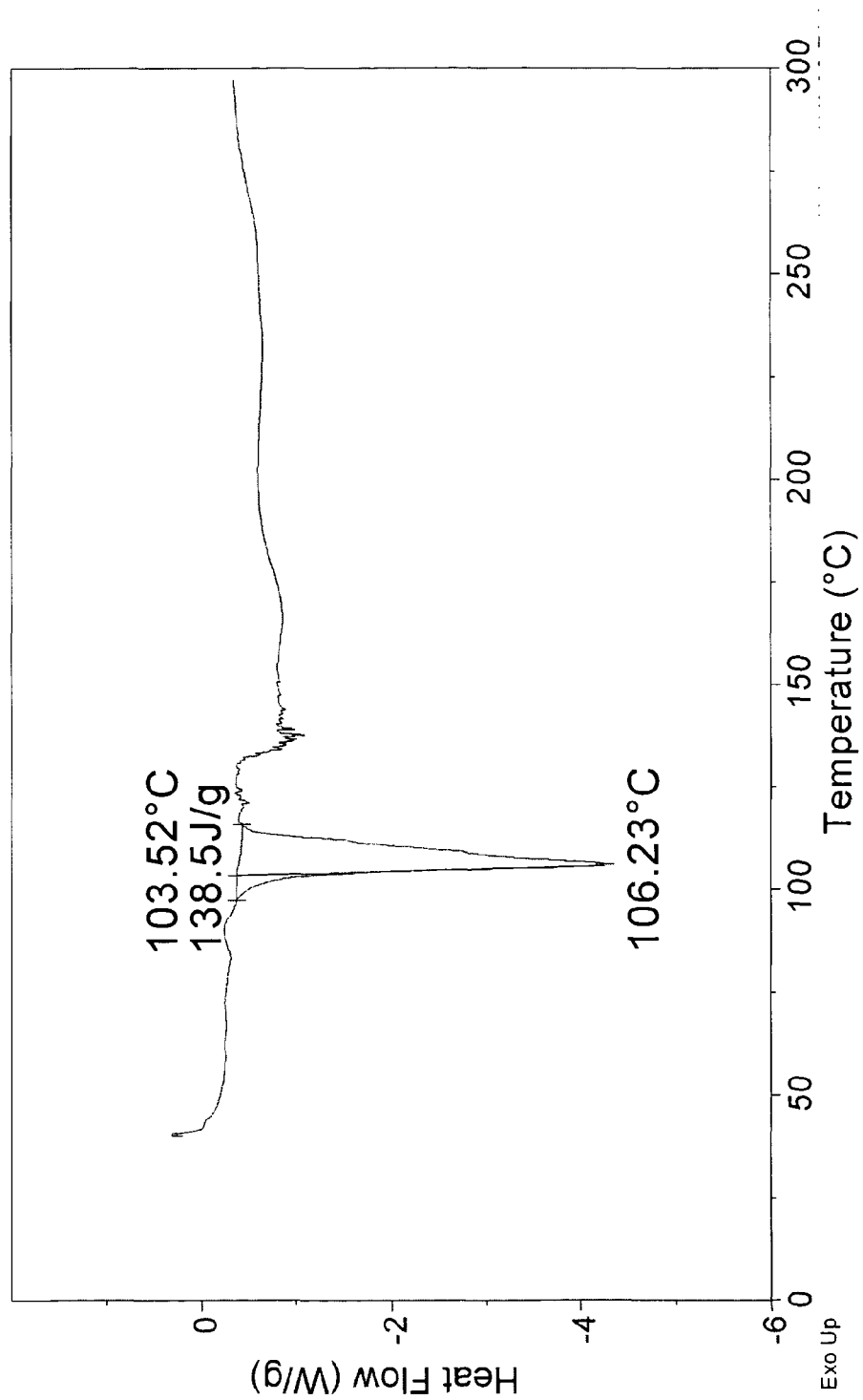


FIG. 40

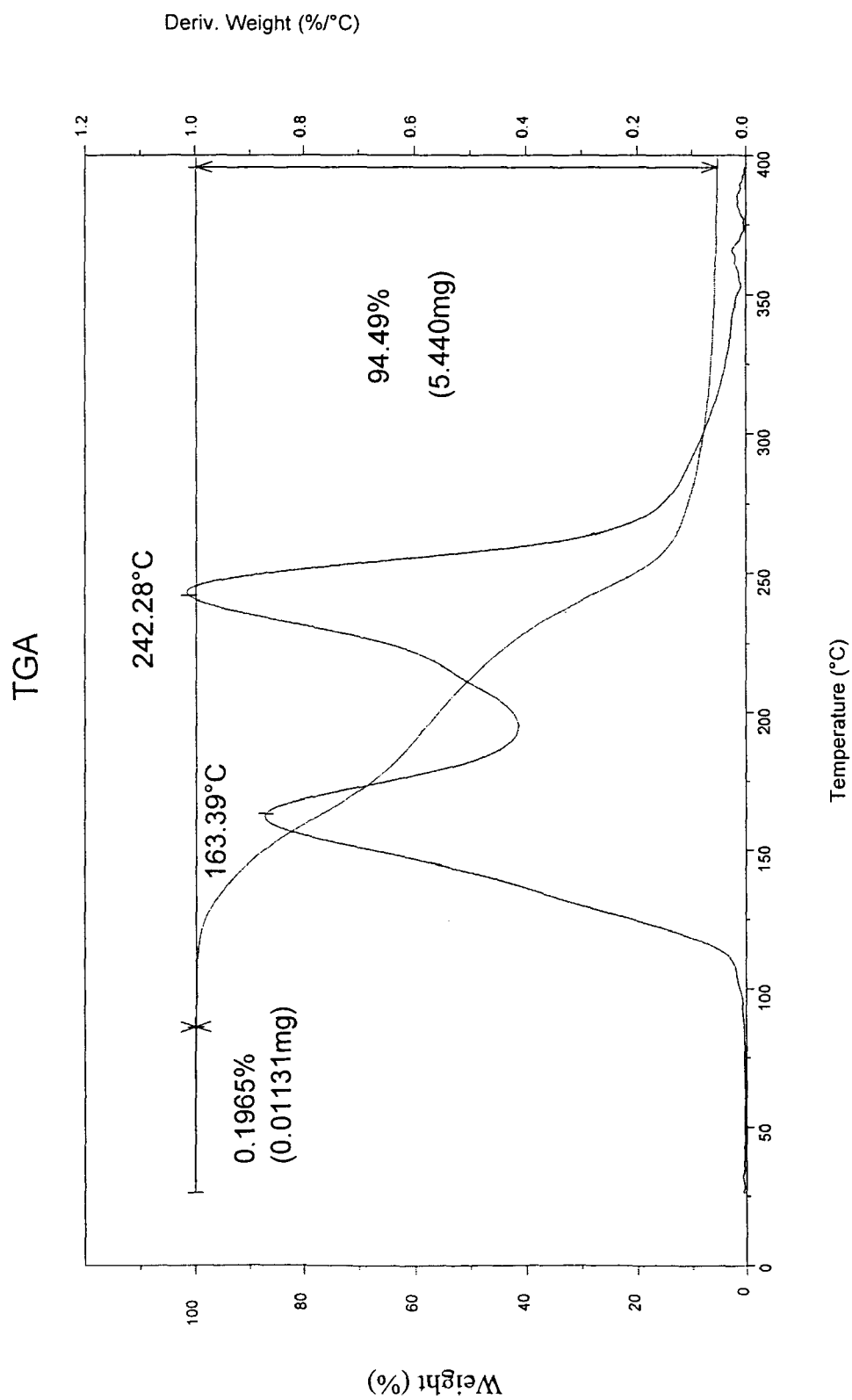


FIG. 41

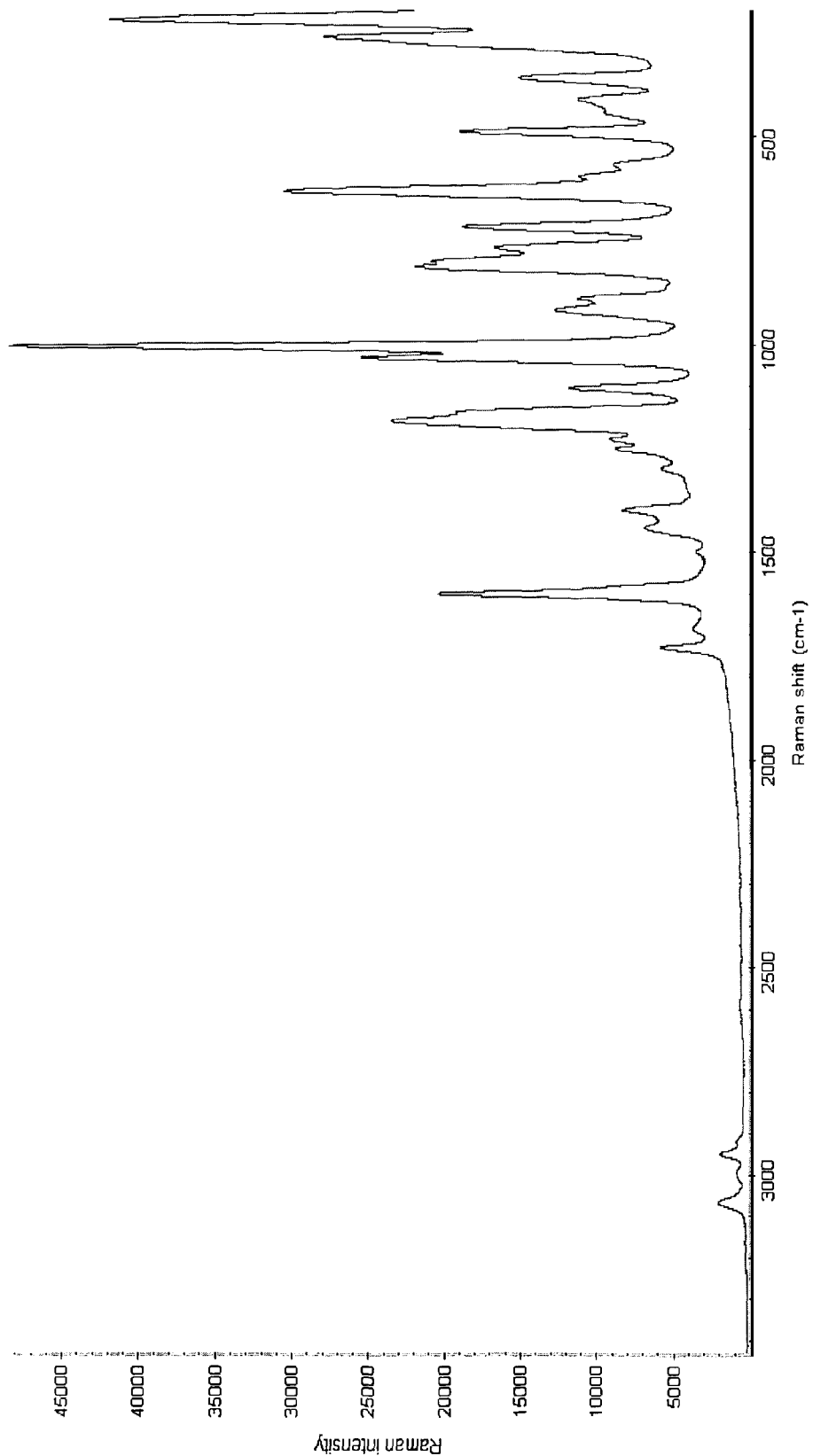


FIG. 42A

FIND PEAKS:
Spectrum: MD-157-72C_Mod afinitik Malonic_

Region:	3432	200
Absolute threshold:		588.428
Sensitivity:	88	

Peak list:

Position:	1004	Intensity:	48530.113
Position:	222	Intensity:	41931.178
Position:	833	Intensity:	30431.455
Position:	285	Intensity:	27932.348
Position:	1032	Intensity:	25424.108
Position:	1183	Intensity:	23455.441
Position:	814	Intensity:	21888.128
Position:	1801	Intensity:	20374.211
Position:	480	Intensity:	18917.489
Position:	718	Intensity:	18779.322
Position:	787	Intensity:	18691.541
Position:	381	Intensity:	15080.872
Position:	917	Intensity:	12851.283
Position:	1104	Intensity:	11708.740
Position:	889	Intensity:	11172.833
Position:	412	Intensity:	11137.415
Position:	1225	Intensity:	9027.109
Position:	1251	Intensity:	8844.833
Position:	1388	Intensity:	8252.702
Position:	1442	Intensity:	8738.884
Position:	1731	Intensity:	5730.559
Position:	1288	Intensity:	5700.058
Position:	3085	Intensity:	1935.514
Position:	2849	Intensity:	1812.835

FIG. 42B

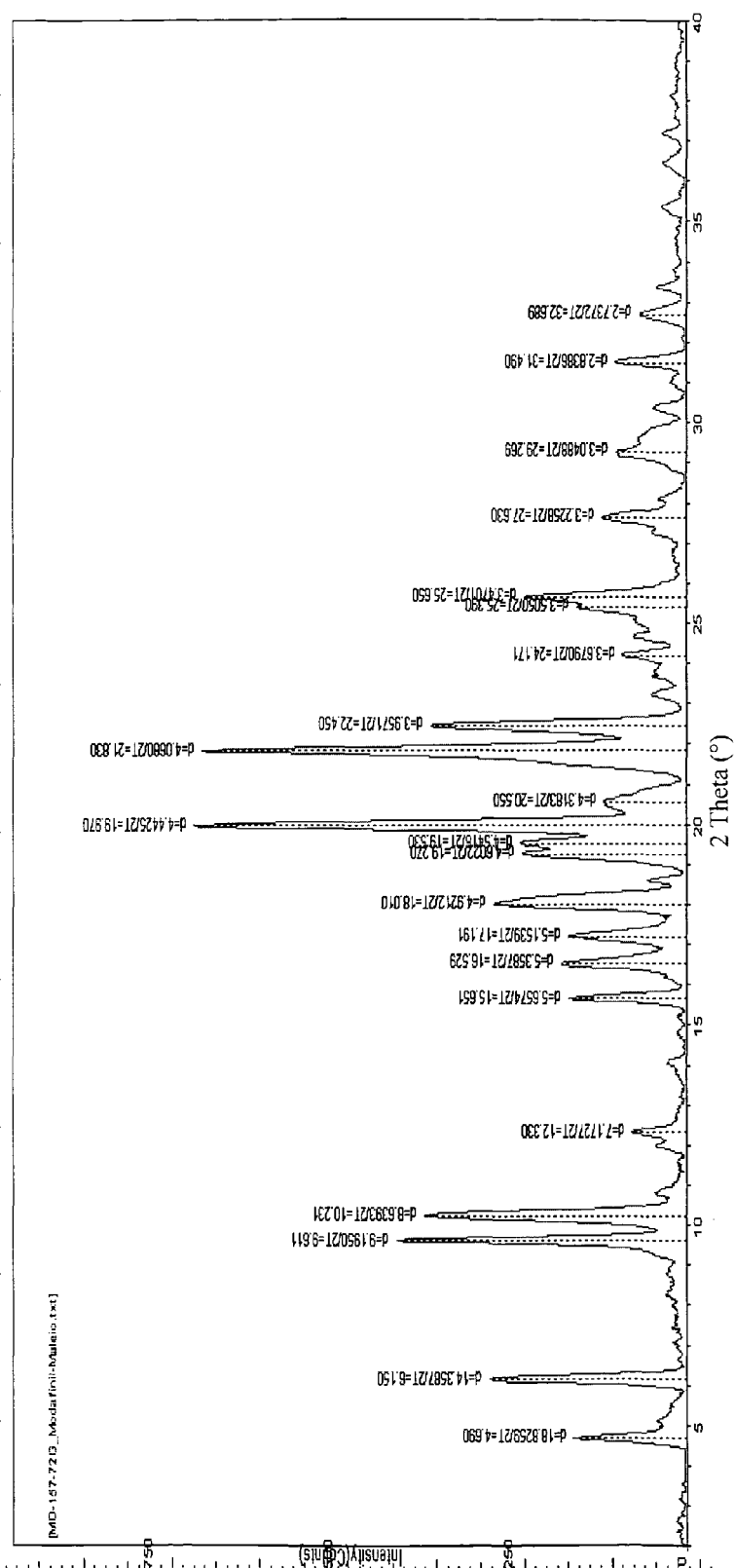


FIG. 43

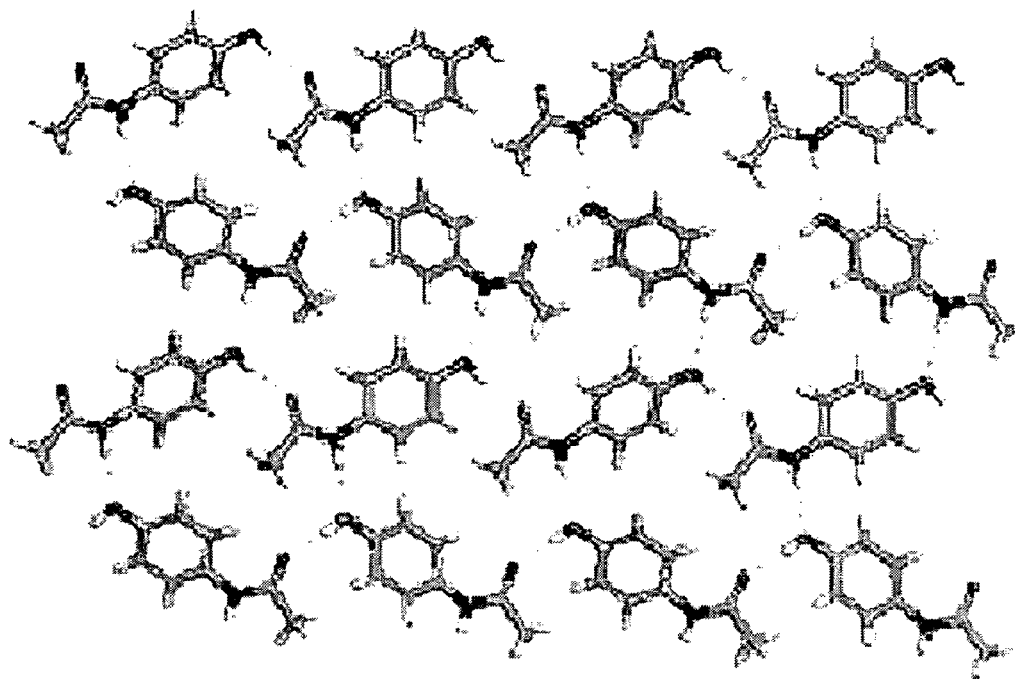


Figure 44A

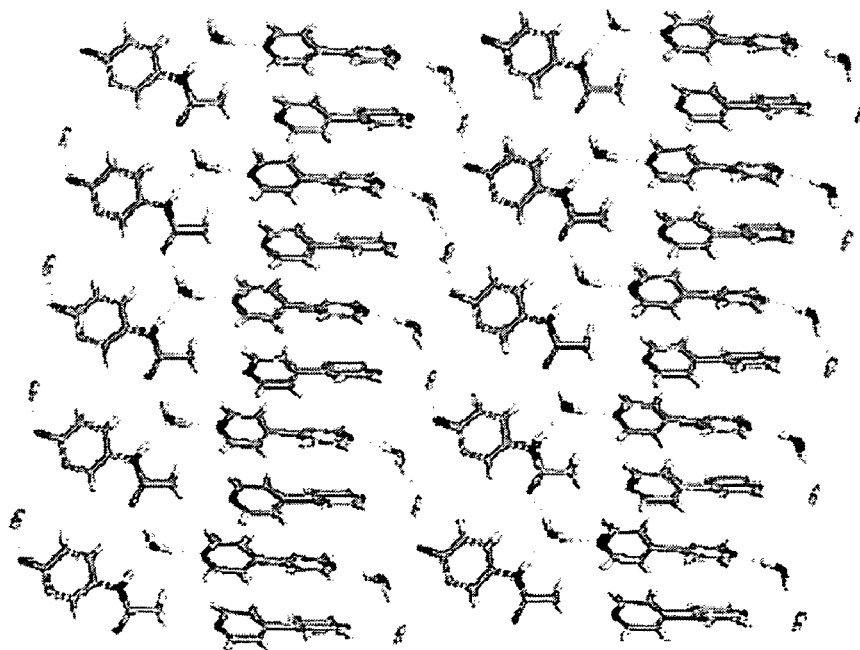


Figure 44B

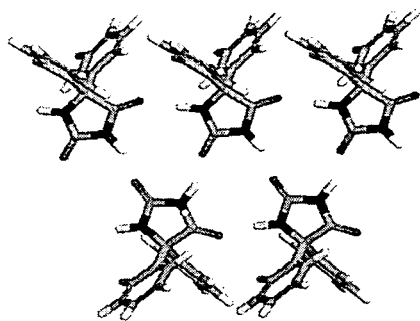


Figure 45A

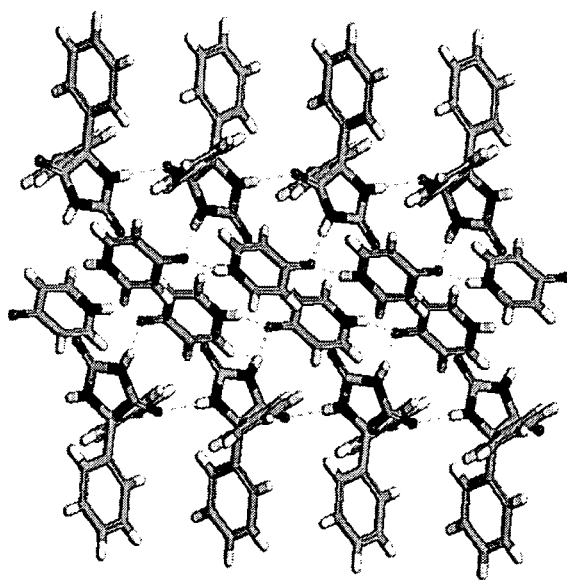


Figure 45B

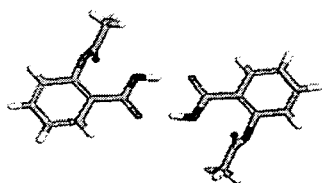


Figure 46A

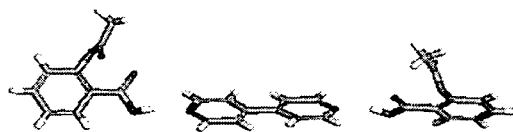


Figure 46C

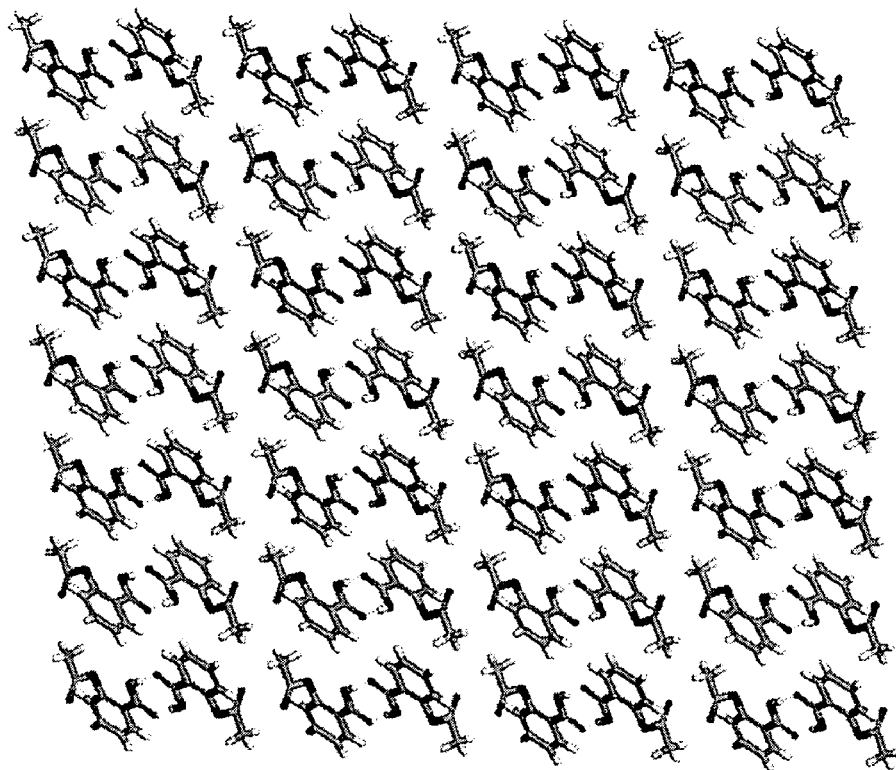


Figure 46B

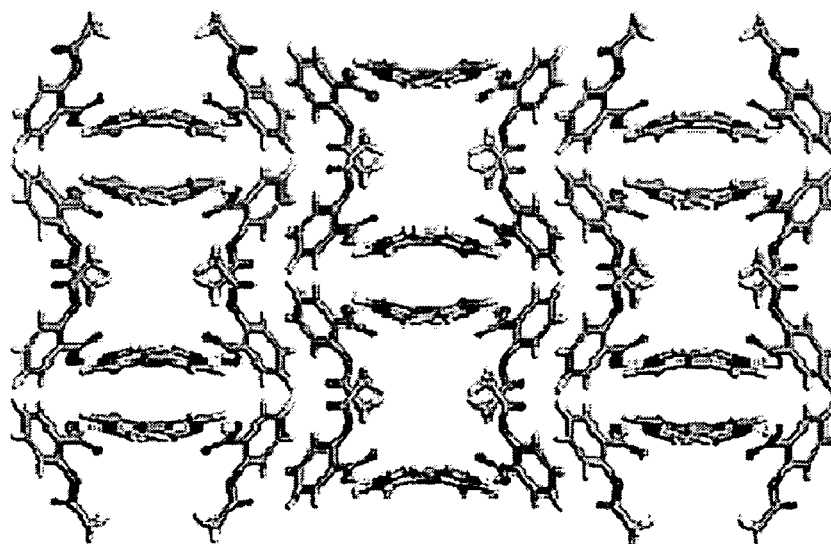


Figure 46D

Figure 47A

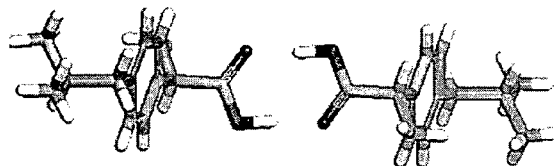


Figure 47C

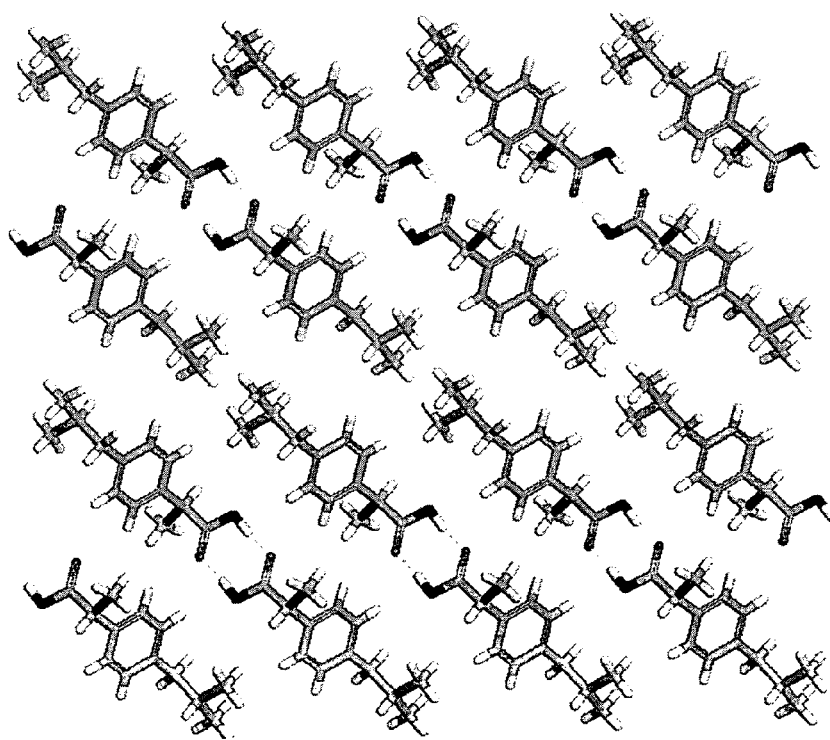
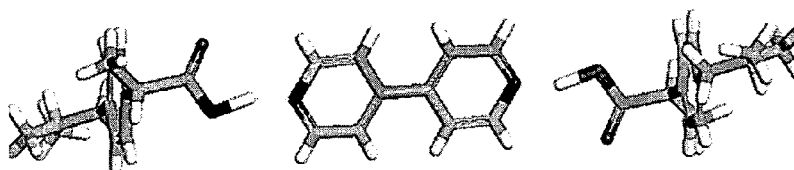


Figure 47B

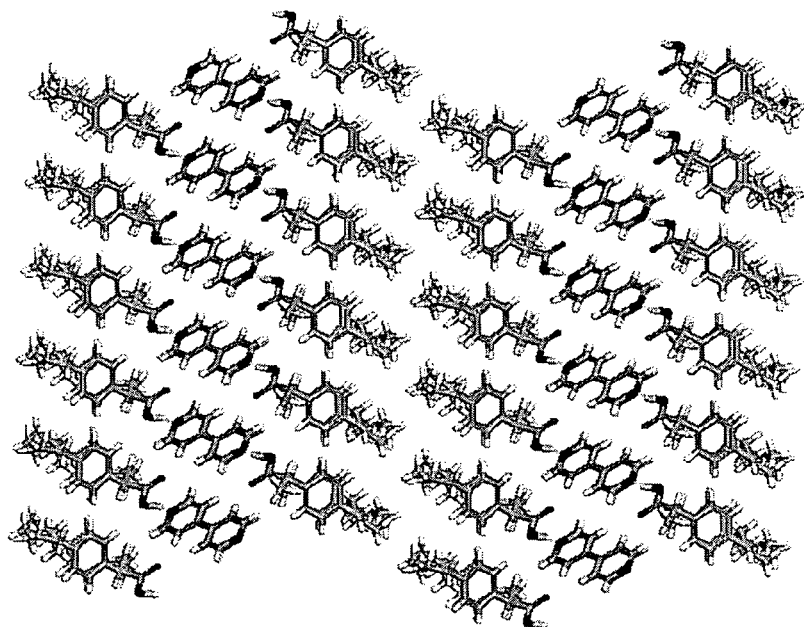


Figure 47D

Figure 48A

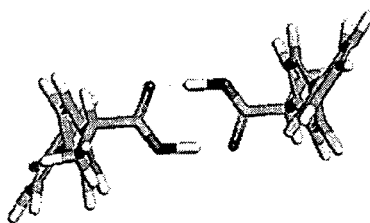
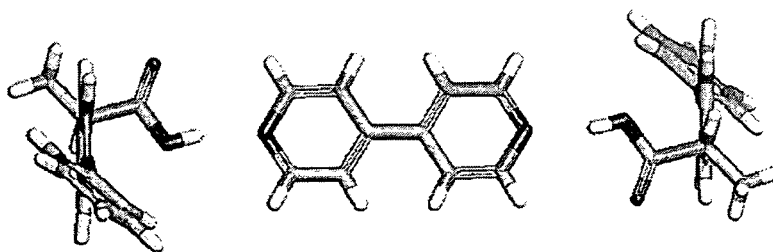


Figure 48C



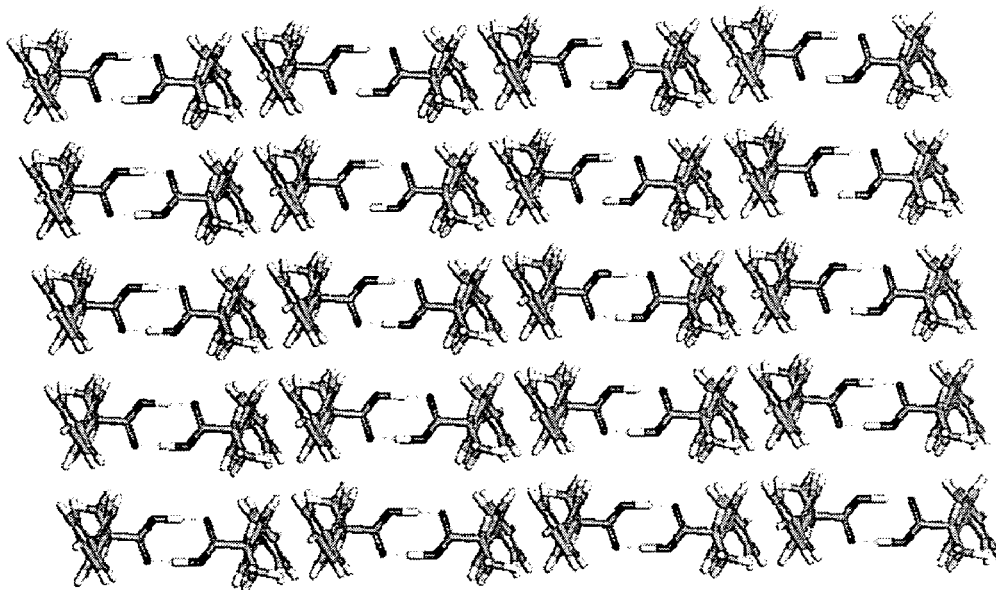


Figure 48B

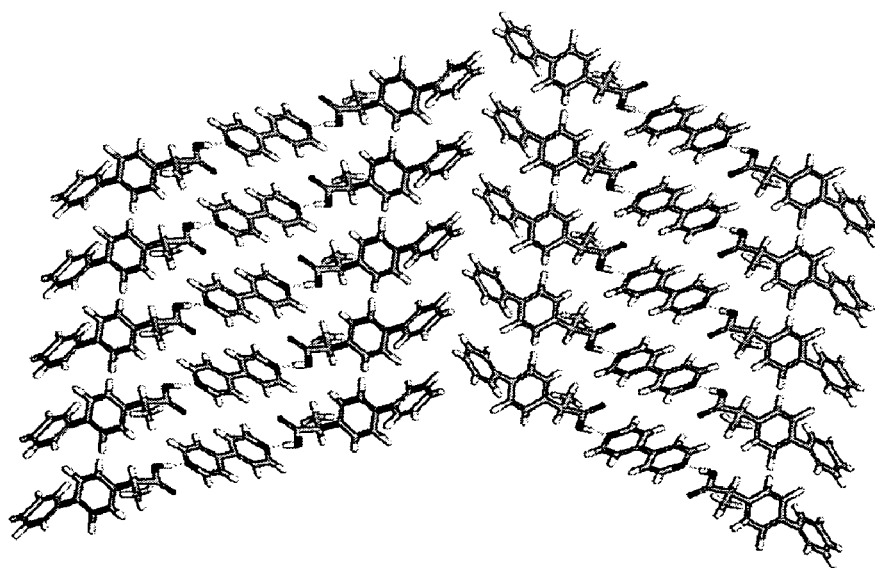


Figure 48D

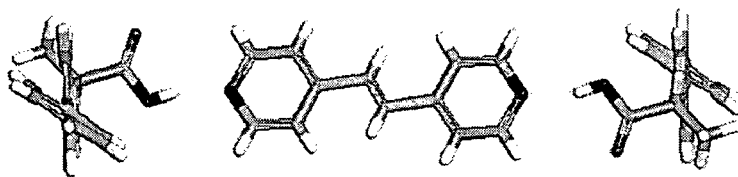


Figure 49A

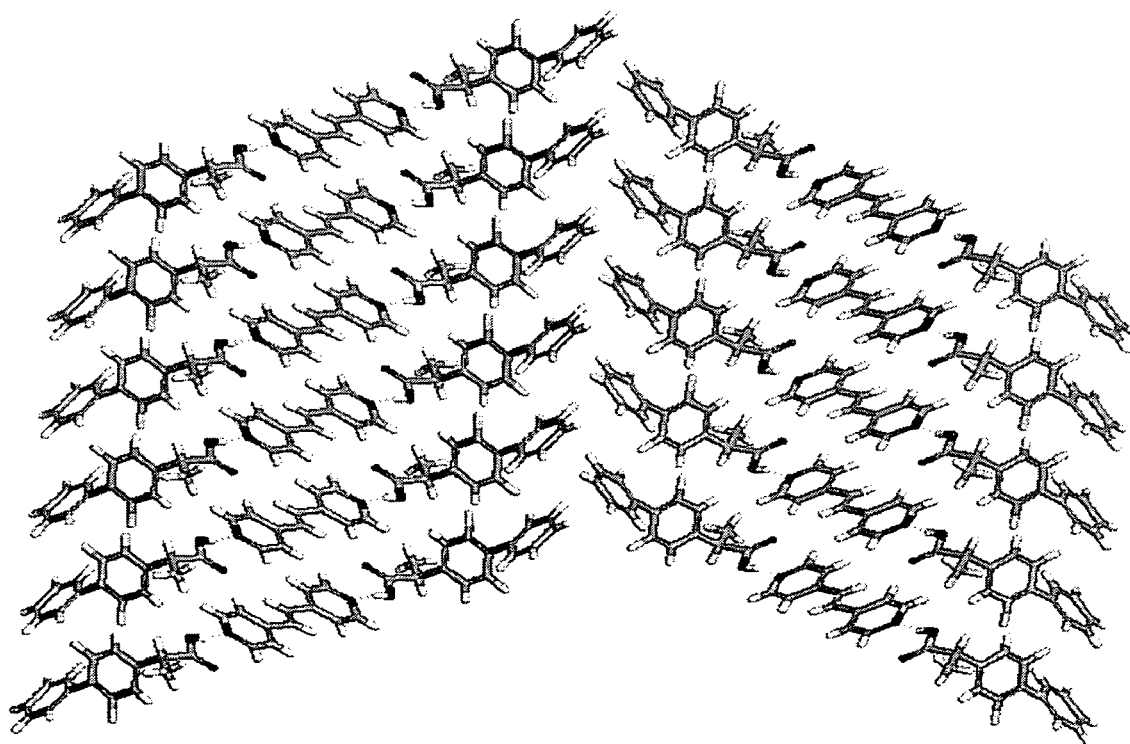


Figure 49B

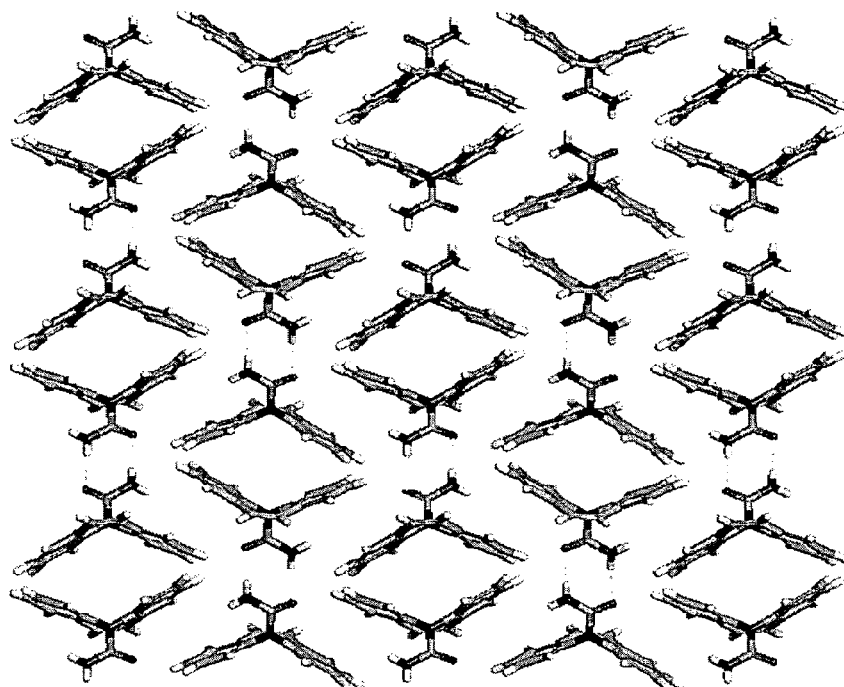


Figure 50A

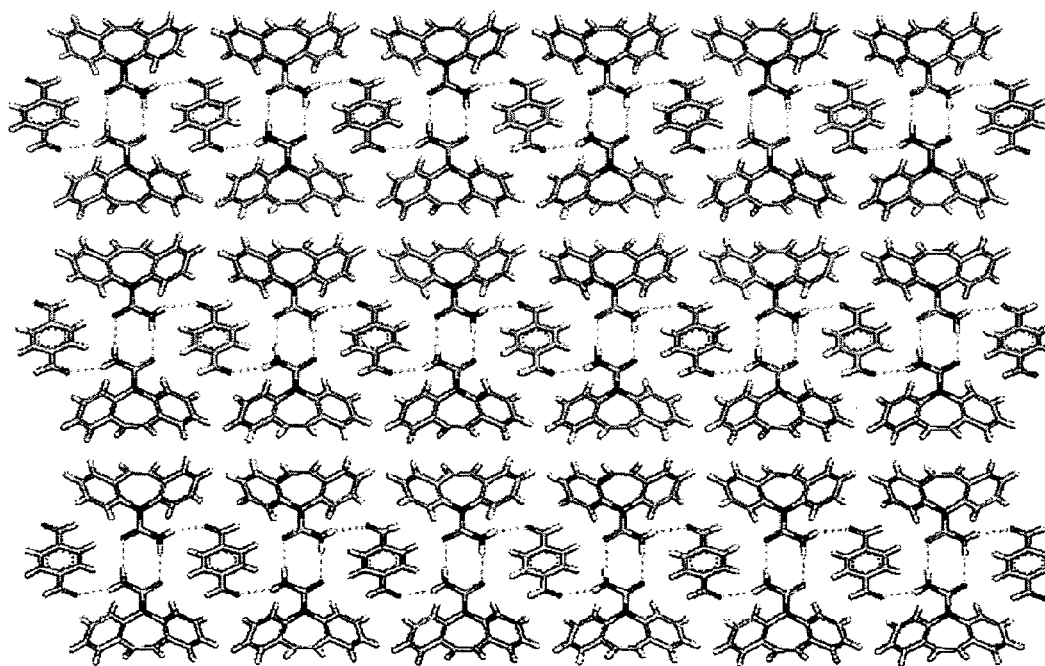


Figure 50B

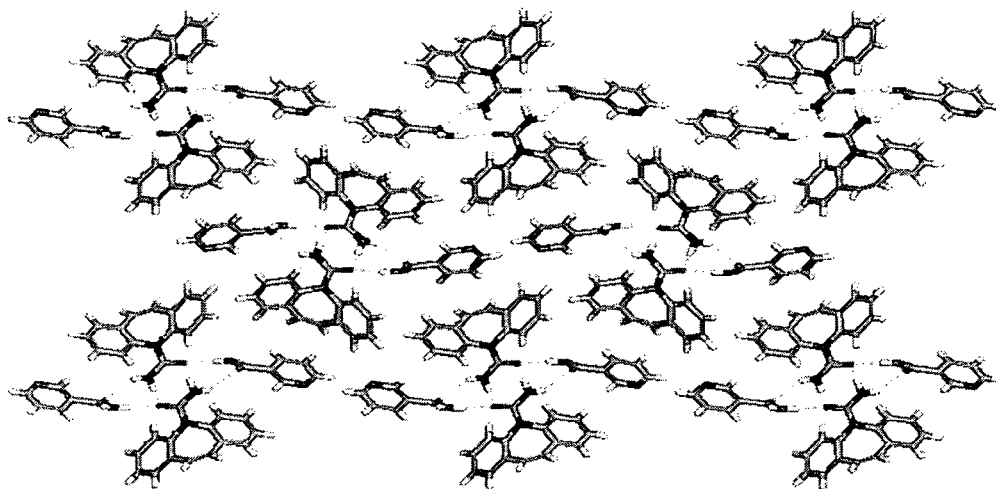


Figure 51

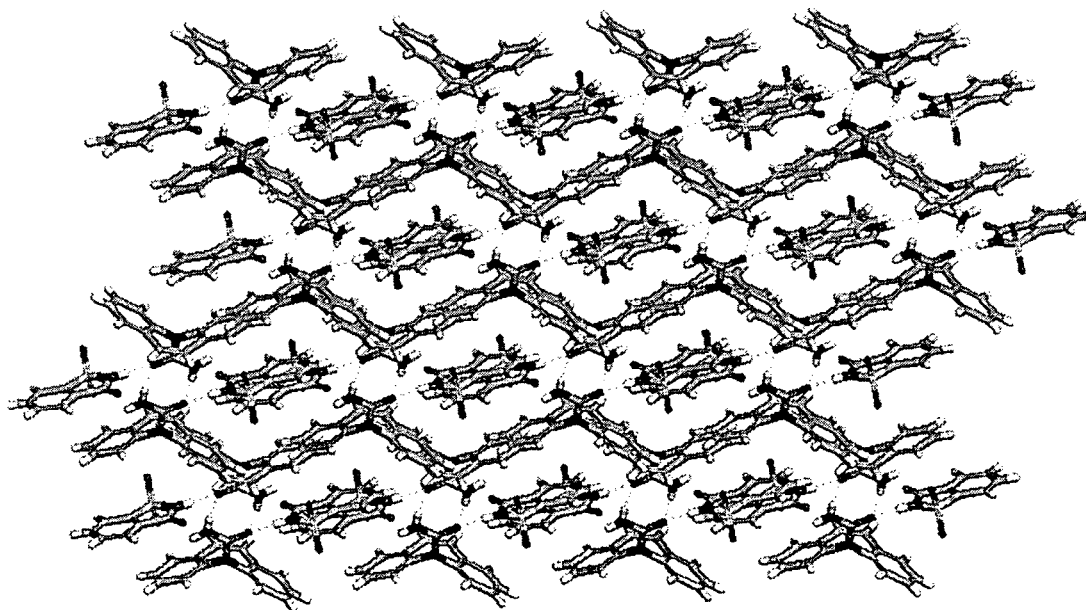


Figure 52

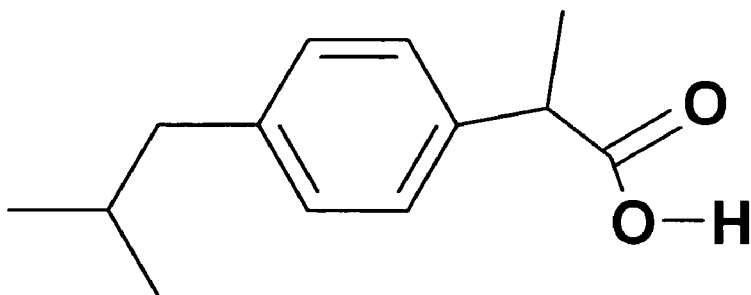


Figure 53A

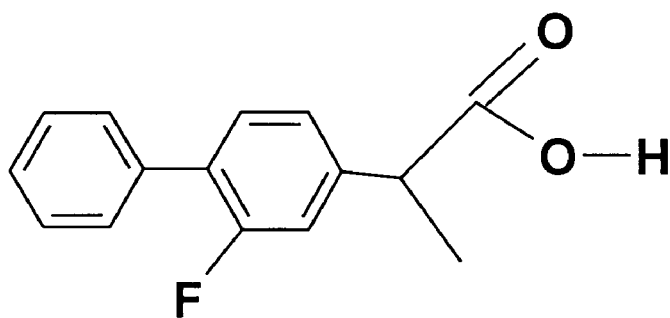


Figure 53B

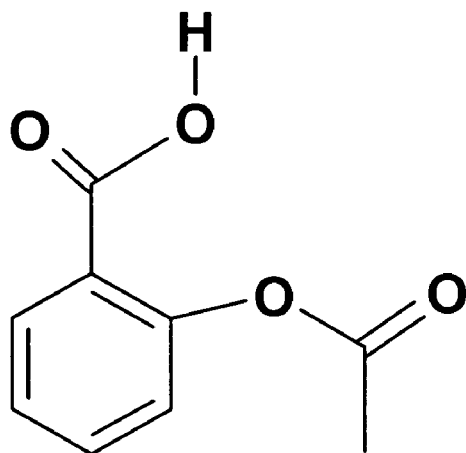


Figure 53C

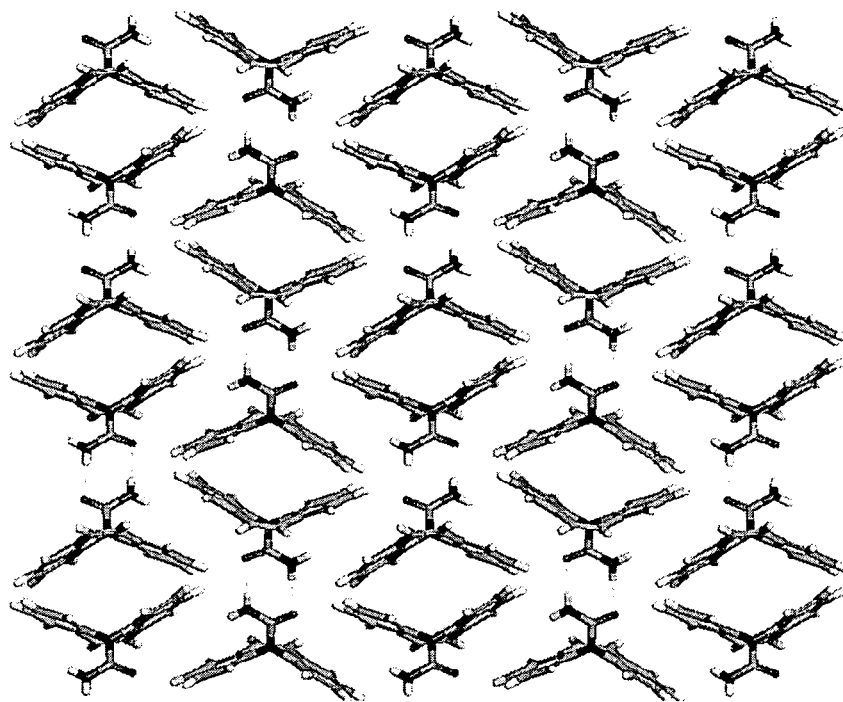


Figure 54A

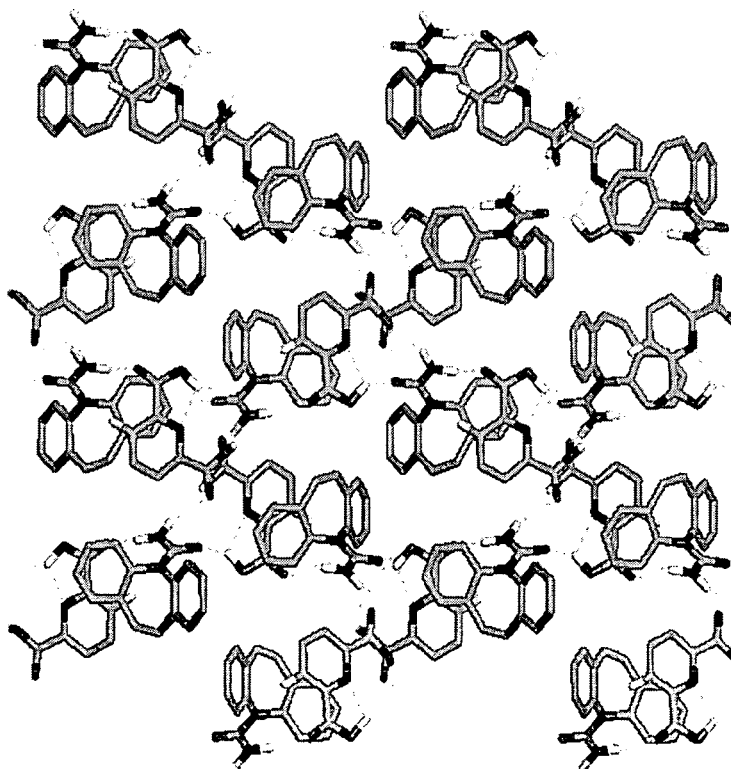


Figure 54B

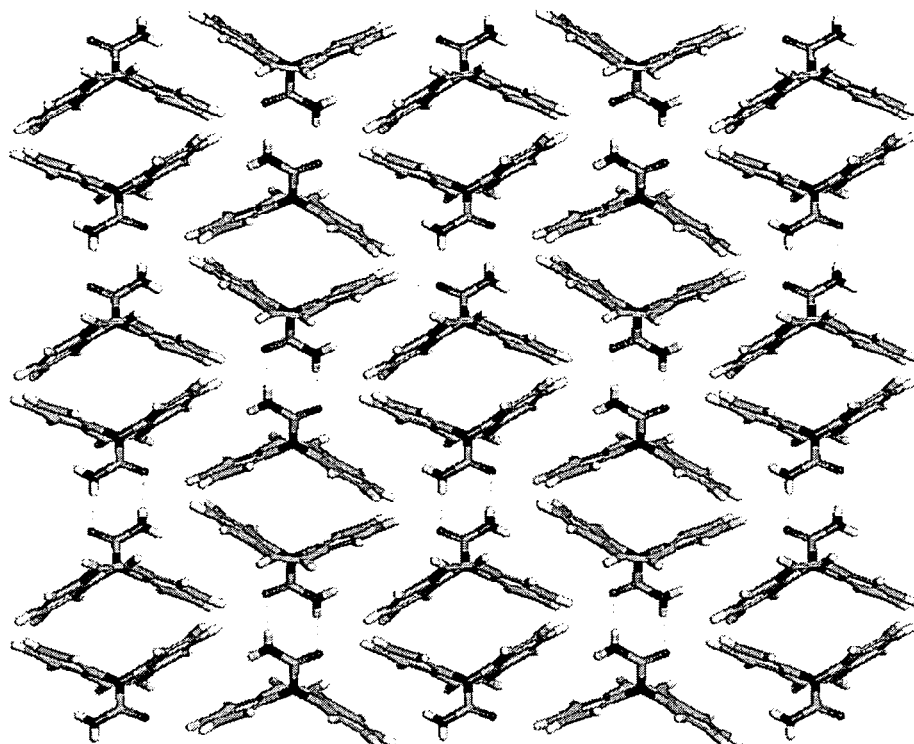


Figure 55A

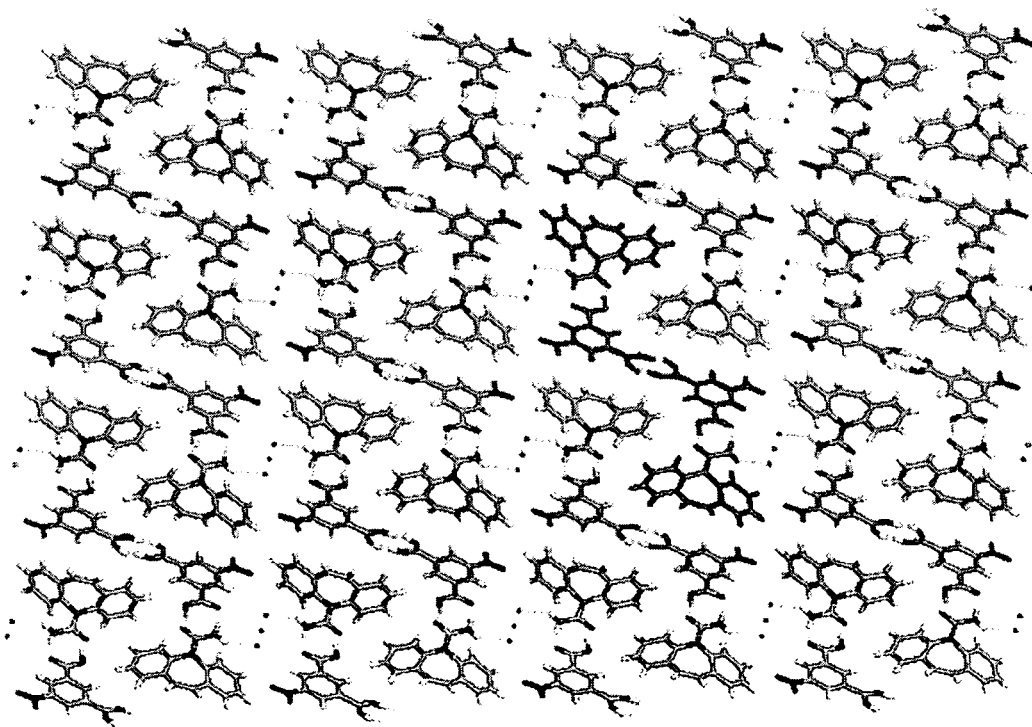


Figure 55B

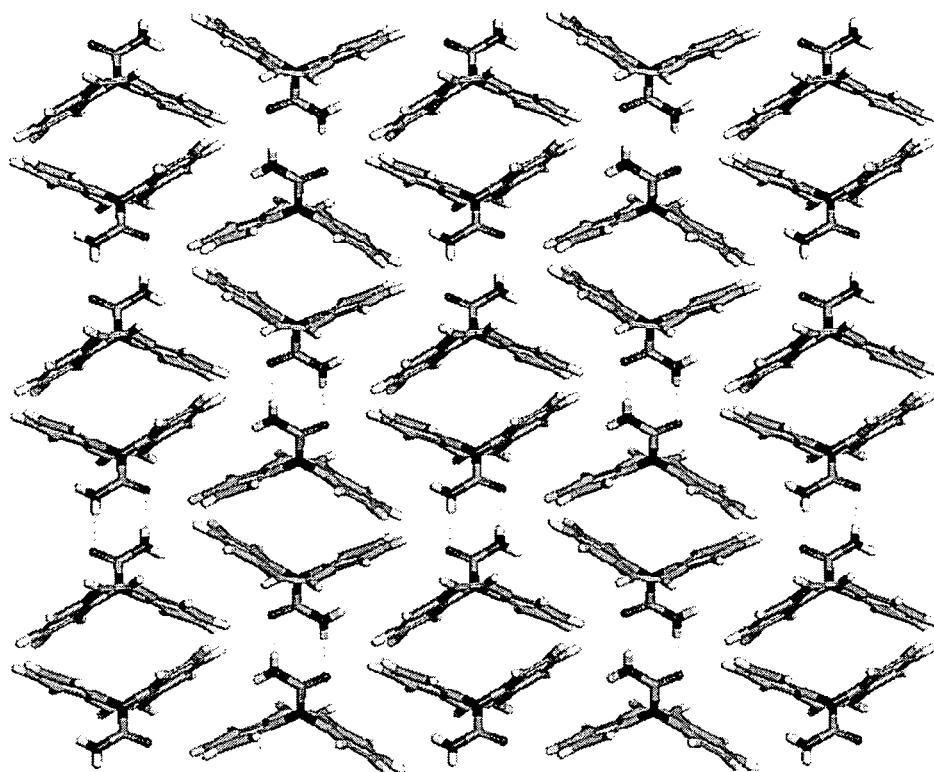


Figure 56A

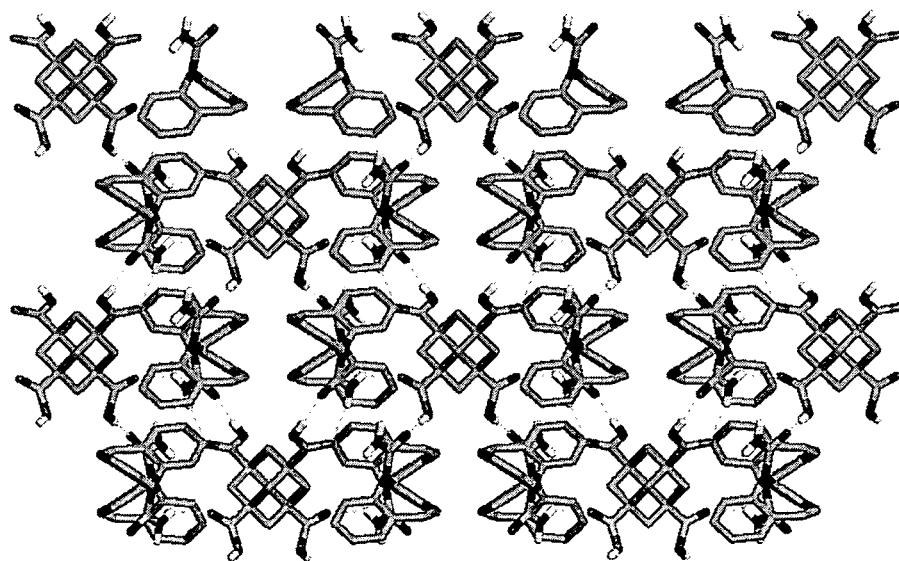


Figure 56B

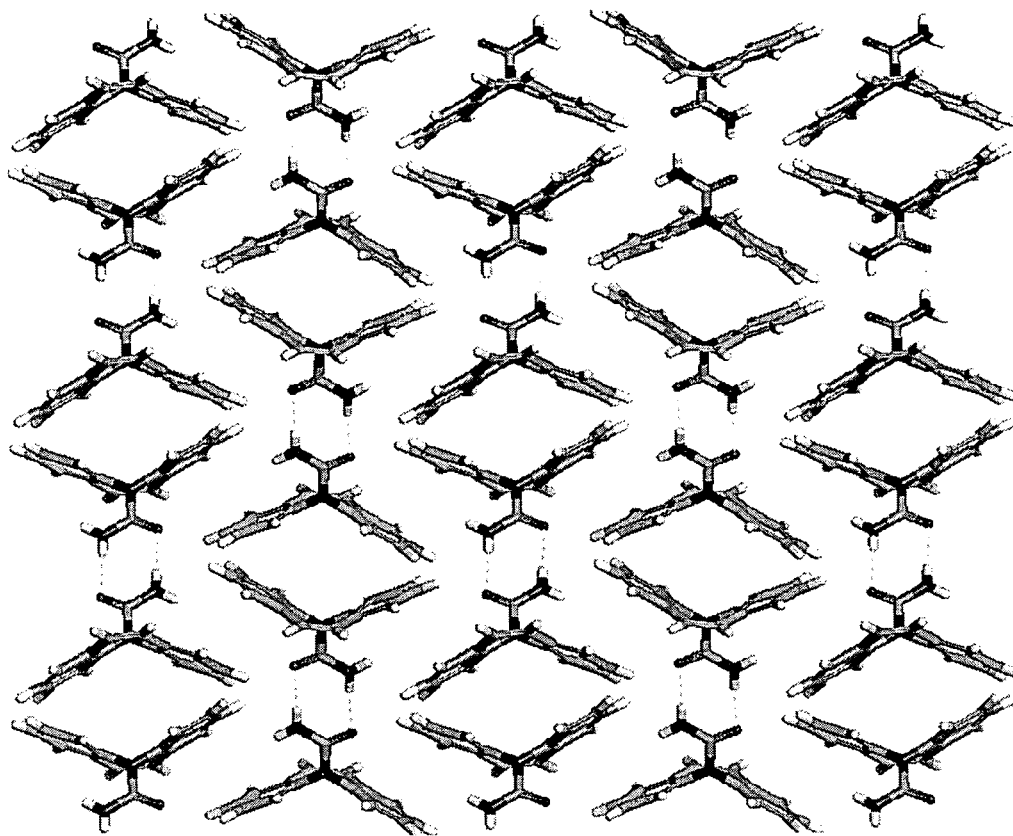


Figure 57A

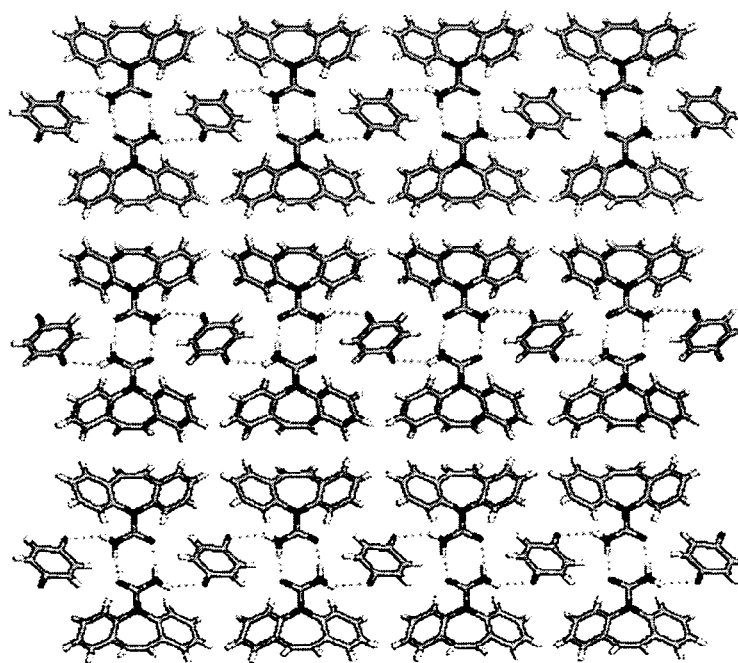


Figure 57B

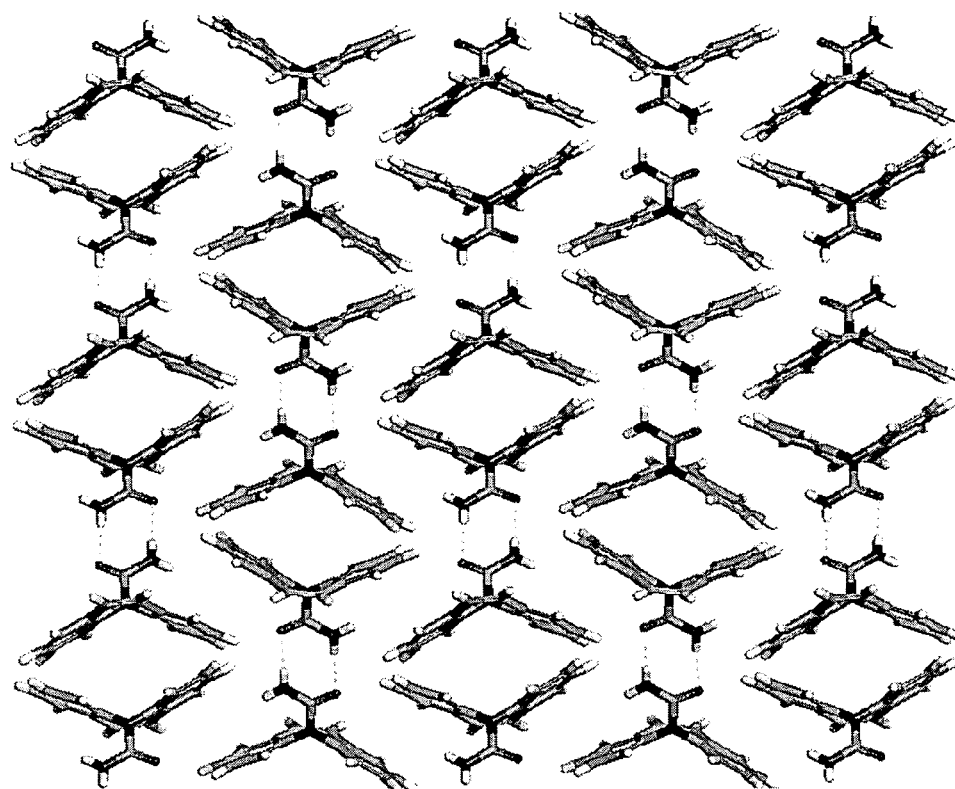


Figure 58A

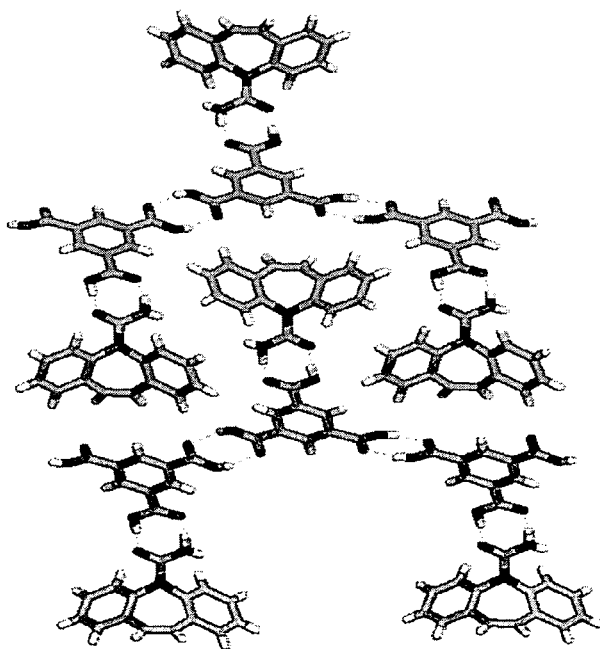


Figure 58B

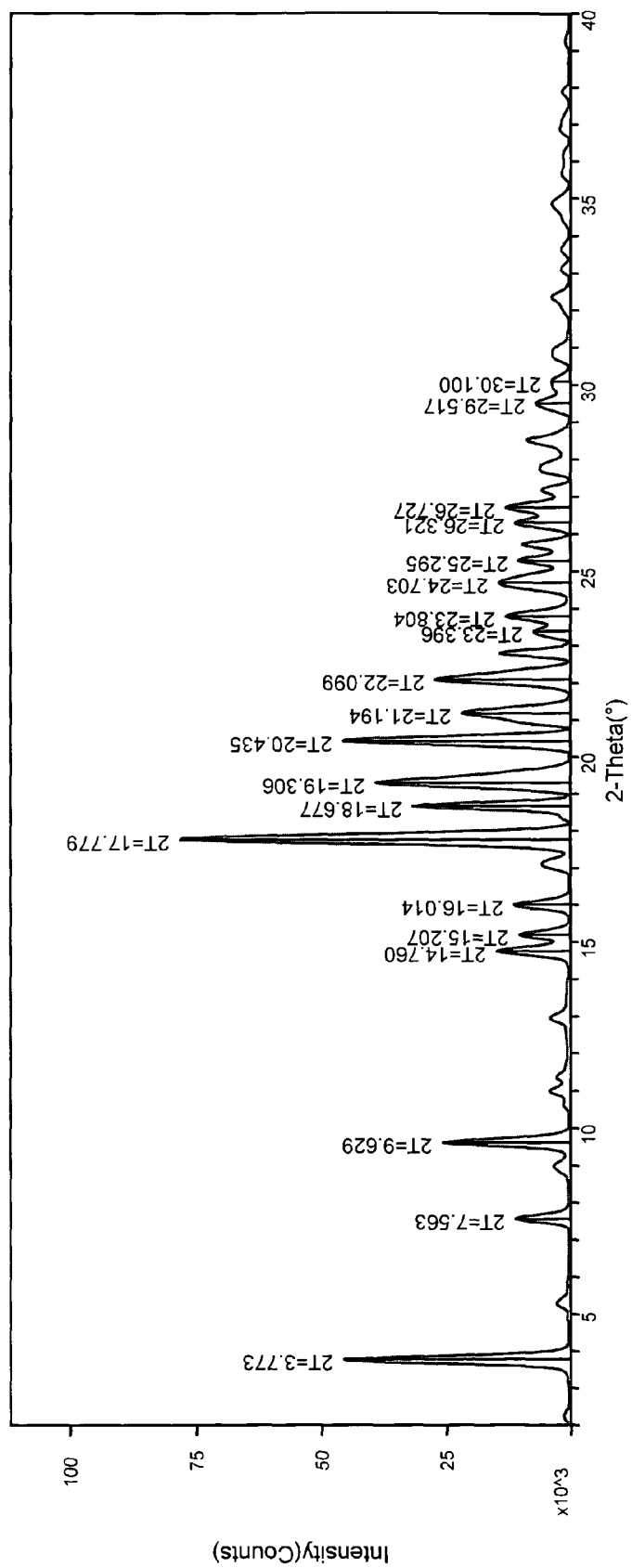


FIG. 59

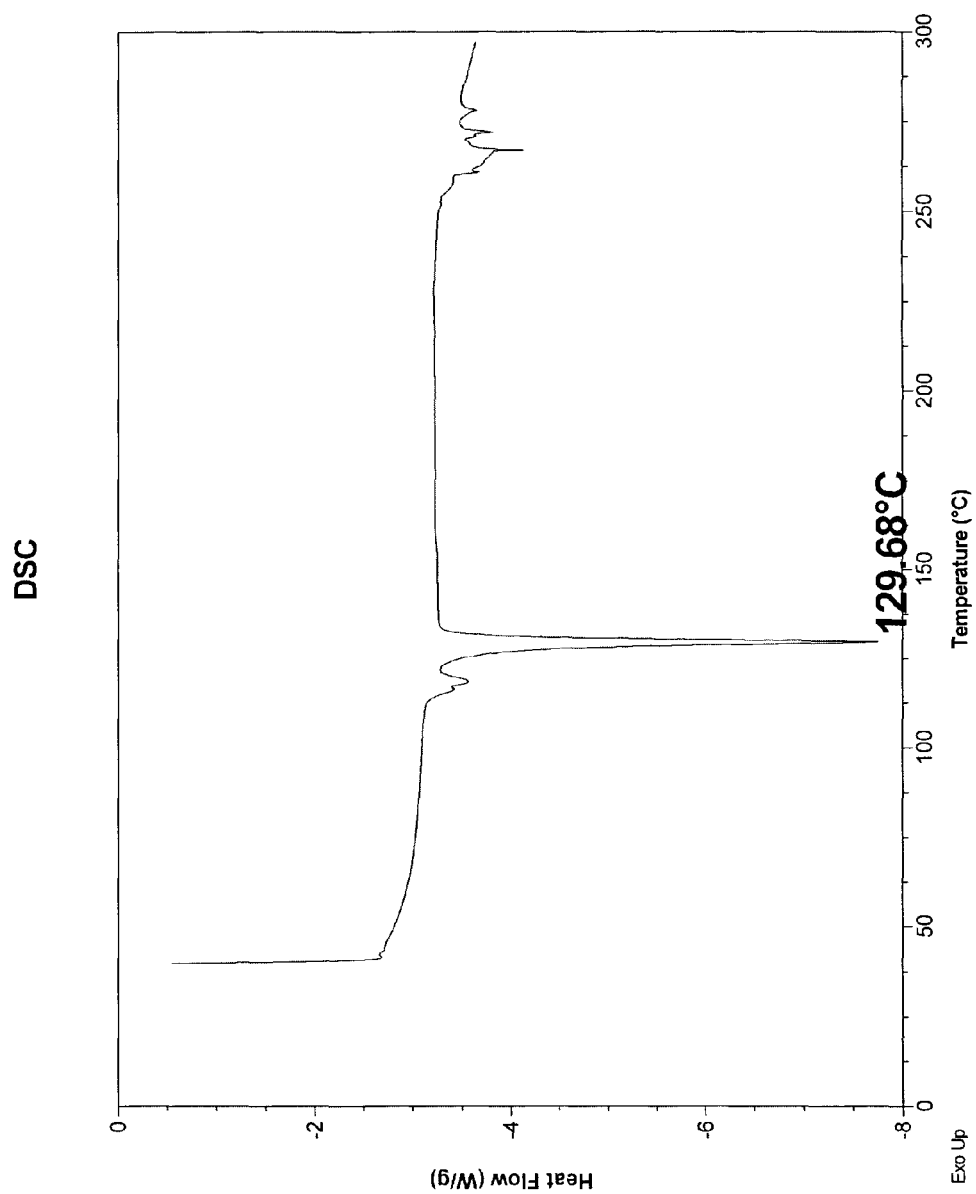


FIG. 60

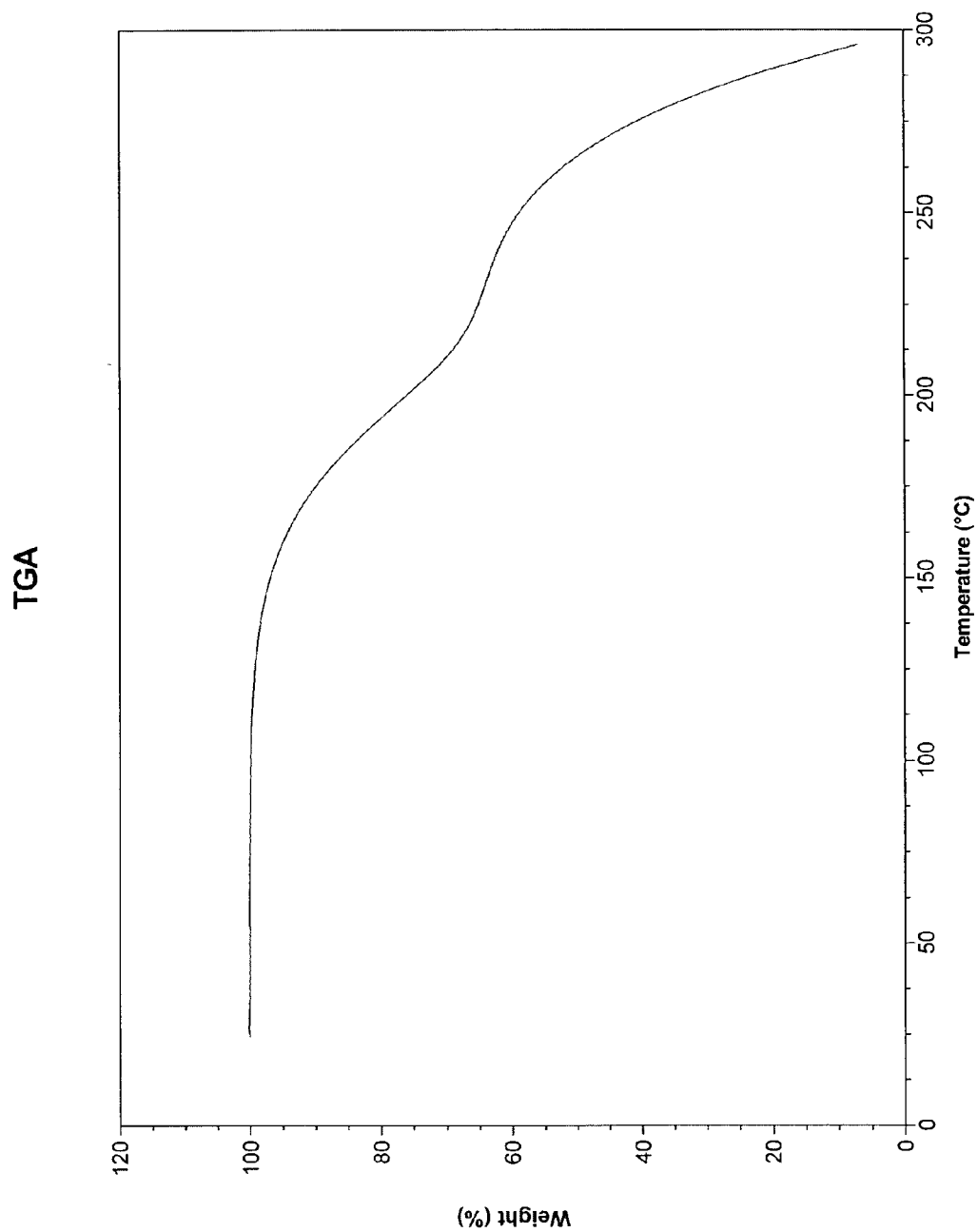


FIG. 61

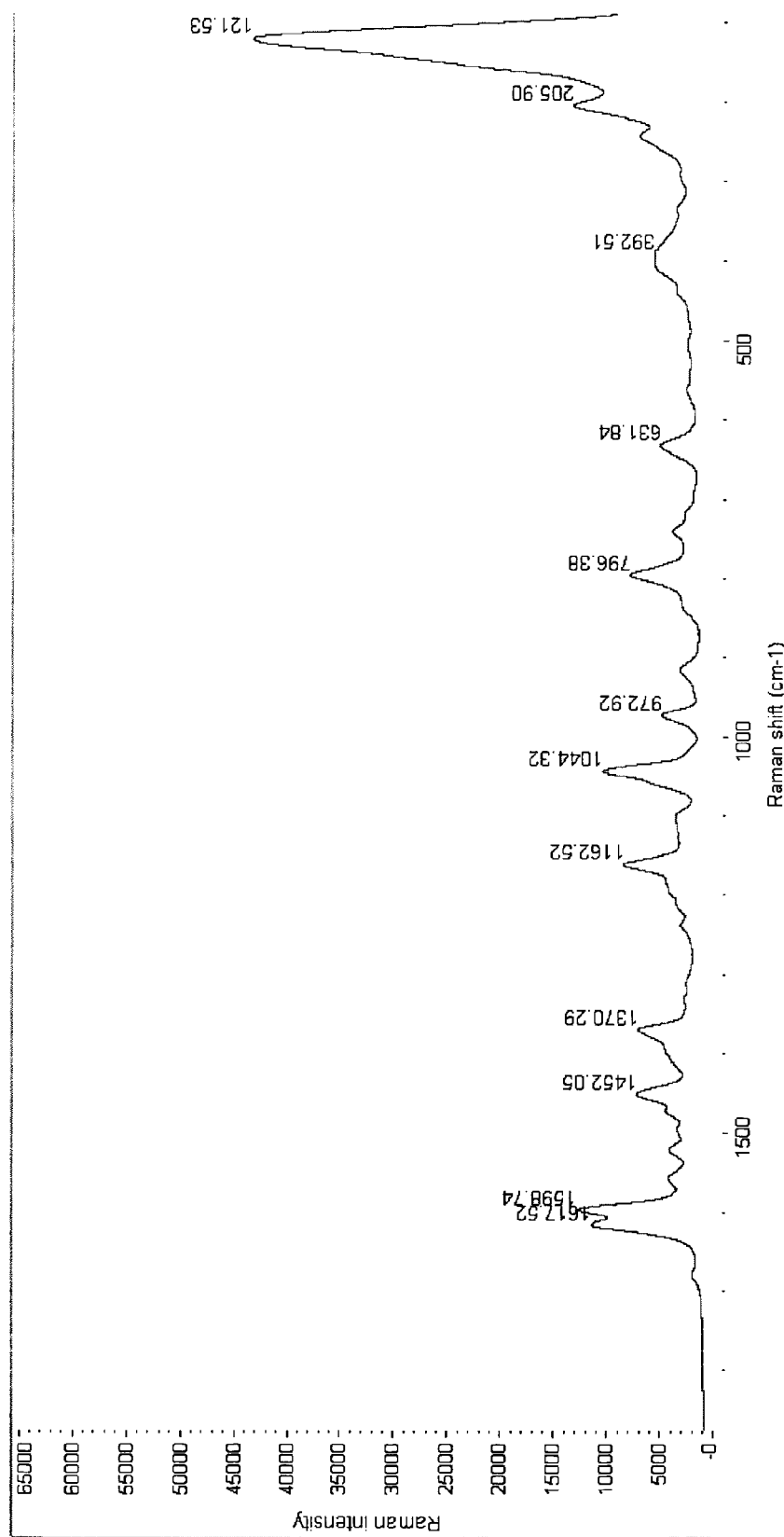
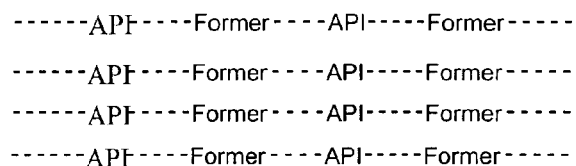
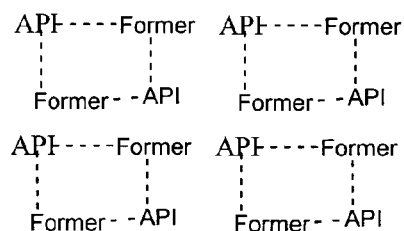


FIG. 62

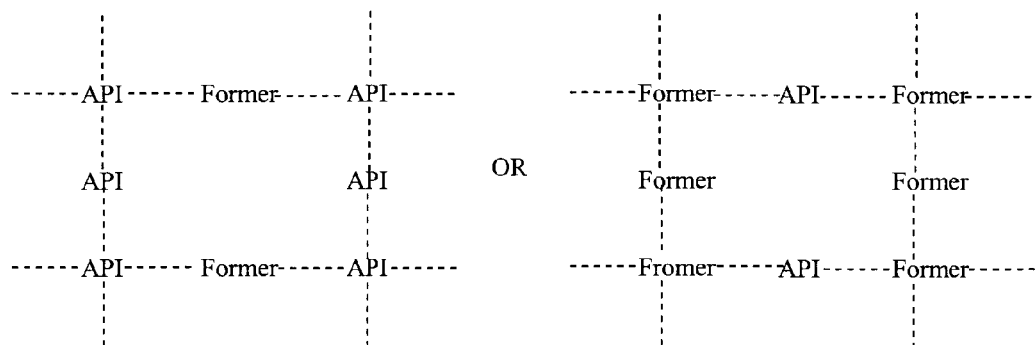
1. One-dimensional (linear) hydrogen-bonded chains:



2. Isolated rings:



3. Extended Networks:



4. Isolated triads:

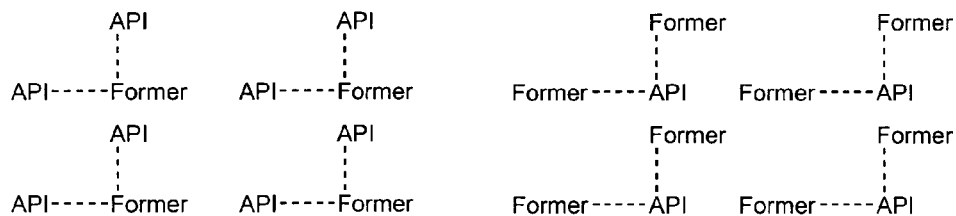


FIG. 63

PHARMACEUTICAL CO-CRYSTAL COMPOSITIONS

INCORPORATION BY REFERENCE

This application claims the benefit of U.S. Provisional Patent Application No. 60/451,213 filed on Feb. 28, 2003; U.S. Provisional Patent Application No. 60/463,962, filed on Apr. 18, 2003; and U.S. Provisional Application No. 60/487,064, filed on Jul. 11, 2003 each of which incorporated herein by reference in its entirety. This application is also a continuation-in-part of PCT/US03/27772, filed on Sep. 4, 2003 which is a continuation-in-part of U.S. patent application Ser. No. 10/378,956, filed Mar. 3, 2003, which claims the benefit of U.S. Provisional Application No. 60/360,768, filed Mar. 1, 2002; said PCT/US03/27772 also claims the benefit of U.S. Provisional Patent Application No. 60/451,213 filed on Feb. 28, 2003; U.S. Provisional Patent Application No. 60/463,962, filed on Apr. 18, 2003; and U.S. Provisional Application No. 60/487,064, filed on Jul. 11, 2003. This application is also a continuation-in-part of U.S. patent application Ser. No. 10/601,092, filed Jun. 20, 2003. Each of these applications is hereby incorporated by reference in their entireties, including all figures, tables and formulae.

FIELD OF THE INVENTION

The present invention relates to co-crystal API-containing compositions, pharmaceutical compositions comprising such APIs, and methods for preparing the same.

BACKGROUND OF THE INVENTION

Active pharmaceutical ingredients (API or APIs (plural)) in pharmaceutical compositions can be prepared in a variety of different forms. Such APIs can be prepared so as to have a variety of different chemical forms including chemical derivatives or salts. Such APIs can also be prepared to have different physical forms. For example, the APIs may be amorphous, may have different crystalline polymorphs, or may exist in different solvation or hydration states. By varying the form of an API, it is possible to vary the physical properties thereof. For example, crystalline polymorphs typically have different solubilities from one another, such that a more thermodynamically stable polymorph is less soluble than a less thermodynamically stable polymorph. Pharmaceutical polymorphs can also differ in properties such as shelf-life, bioavailability, morphology, vapour pressure, density, colour, and compressibility. Accordingly, variation of the crystalline state of an API is one of many ways in which to modulate the physical properties thereof.

It would be advantageous to have new forms of these APIs that have improved properties, in particular, as oral formulations. Specifically, it is desirable to identify improved forms of APIs that exhibit significantly improved properties including increased aqueous solubility and stability. Further, it is desirable to improve the processability, or preparation of pharmaceutical formulations. For example, needle-like crystal forms or habits of APIs can cause aggregation, even in compositions where the API is mixed with other substances, such that a non-uniform mixture is obtained. It is also desirable to increase the dissolution rate of API-containing pharmaceutical compositions in water, increase the bioavailability of orally-administered compositions, and provide a more rapid onset to therapeutic effect. It is also desirable to have a form of the API which, when administered to a subject, reaches a peak plasma level faster, has a longer lasting ther-

apeutic plasma concentration, and higher overall exposure when compared to equivalent amounts of the API in its presently-known form.

SUMMARY OF THE INVENTION

It has now been found that new co-crystalline forms of APIs can be obtained which improve the properties of APIs as compared to such APIs in a non-co-crystalline state (free acid, free base, zwitter ions, salts, etc.).

Accordingly, in a first aspect, the present invention provides a co-crystal pharmaceutical composition comprising an API compound and a co-crystal former, such that the API and co-crystal former are capable of co-crystallizing from a solid or solution phase under crystallization conditions.

Another aspect of the present invention provides a process for the production of a pharmaceutical composition, which process comprises:

(1) providing an API which has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile, diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine;

(2) providing a co-crystal former which has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile, diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine;

(3) grinding, heating or contacting in solution the API with the co-crystal former under crystallization conditions;

(4) isolating co-crystals formed thereby; and

(5) incorporating the co-crystals into a pharmaceutical composition.

A further aspect of the present invention provides a process for the production of a pharmaceutical composition, which comprises:

(1) grinding, heating or contacting in solution an API compound with a co-crystal former, under crystallization conditions, so as to form a solid phase;

(2) isolating co-crystals comprising the API and the co-crystal former; and

(3) incorporating the co-crystals into a pharmaceutical composition.

In a further aspect, the present invention provides a process for the production of a pharmaceutical composition, which comprises:

(1) providing (i) an API or a plurality of different APIs, and (ii) a co-crystal former or a plurality of different co-crystal formers, wherein at least one of the APIs and the co-crystal formers is provided as a plurality thereof;

(2) isolating co-crystals comprising the API and the co-crystal former; and

(3) incorporating the co-crystals into a pharmaceutical composition.

Solubility Modulation

In a further aspect, the present invention provides a process for modulating the solubility of an API, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Dissolution Modulation

In a further aspect, the present invention provides a process for modulating the dissolution of an API, whereby the aqueous dissolution rate or the dissolution rate in simulated gastric fluid or in simulated intestinal fluid, or in a solvent or plurality of solvents is increased or decreased, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

In one embodiment, the dissolution of the API is increased.

Bioavailability Modulation

In a further aspect, the present invention provides a process for modulating the bioavailability of an API, whereby the AUC is increased, the time to T_{max} is reduced, the length of time the concentration of the API is above $\frac{1}{2} T_{max}$ is increased, or C_{max} is increased, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Dose Response Modulation

In a further aspect the present invention provides a process for improving the linearity of a dose response of an API, which process comprises:

- (1) grinding, heating, or contacting in solution an API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Increased Stability

In a still further aspect the present invention provides a process for improving the stability of a pharmaceutical salt, which process comprises:

- (1) grinding, heating or contacting in solution the pharmaceutical salt with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Difficult to Salt or Unsalttable Compounds

In a still further aspect the present invention provides a process for making co-crystals of difficult to salt or unsalttable APIs, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Decreasing Hygroscopicity

In a still further aspect the present invention provides a method for decreasing the hygroscopicity of an API, which method comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Crystallizing Amorphous Compounds

In a still further embodiment aspect the present invention provides a process for crystallizing an amorphous compound, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Decreasing Form Diversity

In a still further embodiment aspect the present invention provides a process for reducing the form diversity of an API, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

Morphology Modulation

In a still further embodiment aspect the present invention provides a process for modifying the morphology of an API, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

In a further aspect, the present invention provides a co-crystal composition comprising a co-crystal, wherein said co-crystal comprises an API compound and a co-crystal former. In further embodiments the co-crystal has an improved property as compared to the free form (including a free acid, free base, zwitter ion, hydrate, solvate, etc.) or a salt (which includes salt hydrates and solvates). In further embodiments, the improved property is selected from the group consisting of: increased solubility, increased dissolution, increased bioavailability, increased dose response, decreased hygroscopicity, a crystalline form of a normally amorphous compound, a crystalline form of a difficult to salt or unsalttable compound, decreased form diversity, more desired morphology, or other property described herein.

BRIEF DESCRIPTION OF THE DRAWINGS

FIG. 1 PXRD pattern for a co-crystal of carbamazepine and saccharin (Form I).

FIG. 2 DSC thermogram for a co-crystal of carbamazepine and saccharin (Form I).

FIG. 3 PXRD pattern for a co-crystal of carbamazepine and nicotinamide (Form I).

FIG. 4 DSC thermogram for a co-crystal of carbamazepine and nicotinamide (Form I).

FIG. 5 PXRD pattern for a co-crystal of carbamazepine and trimesic acid (Form I).

FIG. 6 PXRD pattern for a co-crystal of topiramate and 18-crown-6.

FIG. 7 DSC thermogram for a co-crystal of topiramate and 18-crown-6.

FIG. 8 PXRD pattern for a co-crystal of olanzapine and nicotinamide (Form I).

FIG. 9 DSC thermogram for a co-crystal of olanzapine and nicotinamide (Form I).

FIG. 10 PXRD pattern for a co-crystal of celecoxib and 18-crown-6.

FIG. 11 DSC thermogram for a co-crystal of celecoxib and 18-crown-6.

FIG. 12 PXRD pattern for a co-crystal of itraconazole and succinic acid.

FIG. 13 DSC thermogram for a co-crystal of itraconazole and succinic acid.

FIG. 14 PXRD pattern for a co-crystal of itraconazole and fumaric acid.

FIG. 15 DSC thermogram for a co-crystal of itraconazole and fumaric acid.

FIG. 16 PXRD pattern for a co-crystal of itraconazole and tartaric acid.

FIG. 17 DSC thermogram for a co-crystal of itraconazole and tartaric acid.

FIG. 18 PXRD pattern for a co-crystal of itraconazole and malic acid.

FIG. 19 DSC thermogram for a co-crystal of itraconazole and malic acid.

FIG. 20 PXRD pattern for a co-crystal of itraconazoleHCl and tartaric acid.

FIG. 21 DSC thermogram for a co-crystal of itraconazoleHCl and tartaric acid.

FIG. 22 PXRD pattern for a co-crystal of modafinil and malonic acid.

FIG. 23 PXRD pattern for a co-crystal of modafinil and benzamide.

FIG. 24 PXRD pattern for a co-crystal of modafinil and mandelic acid.

FIG. 25 PXRD pattern for a co-crystal of modafinil and glycolic acid.

FIG. 26 PXRD pattern for a co-crystal of modafinil and fumaric acid.

FIG. 27 Dissolution profile for a co-crystal of celecoxib: nicotinamide vs. celecoxib free acid.

FIG. 28 Dissolution profile for co-crystals of itraconazole: succinic acid, itraconazole: tartaric acid and itraconazole: malic acid vs. itraconazole free base.

FIG. 29 Hygroscopicity profile for a co-crystal of celecoxib: nicotinamide vs. celecoxib sodium.

FIG. 30 PXRD pattern for a co-crystal of olanzapine and nicotinamide (Form II).

FIG. 31 PXRD pattern for a co-crystal of olanzapine and nicotinamide (Form III).

FIG. 32A-D Packing diagrams and crystal structure of olanzapine and nicotinamide (Form III). FIG. 32A depicts the molecular structure of the olanzapine-nicotinamide-H₂O-IP-OAc crystal. In FIG. 32C, the olanzapine molecules occupy the spaces shown and are hydrogen bonded to the water molecules. The arrangement of the olanzapine molecules is similar to that observed from the methanol solvate and the published structures for the hydrates; the water molecules bridge the olanzapine moieties resulting in hydrogen-bonded zigzag sheets (see FIG. 32D).

FIG. 33 DSC thermogram for a co-crystal of 5-fluorouracil and urea.

FIG. 34 TGA thermogram for a co-crystal of 5-fluorouracil and urea.

FIG. 35 Raman spectrum for a co-crystal of 5-fluorouracil and urea.

FIG. 36 PXRD pattern for a co-crystal of 5-fluorouracil and urea.

FIG. 37 PXRD pattern for a co-crystal of hydrochlorothiazide and nicotinic acid.

FIG. 38 PXRD pattern for a co-crystal of hydrochlorothiazide and 18-crown-6.

FIG. 39 PXRD pattern for a co-crystal of hydrochlorothiazide and piperazine.

FIG. 40 DSC thermogram for a co-crystal of modafinil and malonic acid.

FIG. 41 TGA thermogram for a co-crystal of modafinil and malonic acid.

FIG. 42 Raman spectrum for a co-crystal of modafinil and malonic acid.

FIG. 43 PXRD pattern for a co-crystal of modafinil and maleic acid.

FIG. 44A-B An acetaminophen 1-D polymeric chain and a co-crystal of acetaminophen and 4,4'-bipyridine, respectively.

FIG. 45A-B Pure phenyloln and a co-crystal with phenyloln and pyridone, respectively.

FIG. 46A-D Pure aspirin and the corresponding crystal structure are shown in FIGS. 46A and 46B, respectively. FIGS. 46C and 46D show the supramolecular entity containing the synthon and corresponding co-crystal of aspirin and 4,4'-bipyridine, respectively.

FIG. 47A-D Pure ibuprofen and the corresponding crystal structure are shown in FIGS. 7A and 7B, respectively. FIGS. 7C and 7D show the supramolecular entity containing the synthon and corresponding co-crystal of ibuprofen and 4,4'-bipyridine, respectively.

FIG. 48A-D Pure flurbiprofen and the corresponding crystal structure are shown in FIGS. 48A and 48B, respectively. FIGS. 5C and 5D show the supramolecular synthon and corresponding co-crystal of flurbiprofen and 4,4'-bipyridine, respectively.

FIG. 49A-B The supramolecular entity containing the synthon and the corresponding co-crystal structure of flurbiprofen and trans-1,2-bis(4-pyridyl)ethylene, respectively.

FIG. 50A-B The crystal structure of pure carbamazepine and the co-crystal structure of carbamazepine and p-phthalaldehyde, respectively.

FIG. 51 The co-crystal structure of carbamazepine and nicotinamide (Form II).

FIG. 52 The co-crystal structure of carbamazepine and saccharin (Form II).

FIG. 53A-C The chemical structures of ibuprofen, flurbiprofen, and aspirin, respectively.

FIG. 54A-B The crystal structure of carbamazepine and the co-crystal structure of carbamazepine and 2,6-pyridinedicarboxylic acid, respectively.

FIG. 55A-B The crystal structure of carbamazepine and the co-crystal structure of carbamazepine and 5-nitroisophthalic acid, respectively.

FIG. 56A-B The crystal structure of carbamazepine and the co-crystal structure of carbamazepine and 1,3,5,7-adamantanetetracarboxylic acid, respectively.

FIG. 57A-B The crystal structure of carbamazepine and the co-crystal structure of carbamazepine and benzoquinone, respectively.

FIG. 58A-B The crystal structure of carbamazepine and the co-crystal structure of carbamazepine and trimesic acid (Form II), respectively.

FIG. 59 PXRD diffractogram for a co-crystal of celecoxib and nicotinamide.

FIG. 60 DSC thermogram for a co-crystal of celecoxib and nicotinamide.

FIG. 61 TGA thermogram for a co-crystal of celecoxib and nicotinamide.

FIG. 62 Raman spectrum for a co-crystal of celecoxib and nicotinamide.

FIG. 63 Hydrogen-bonding motifs observed in co-crystals.

DETAILED DESCRIPTION OF THE INVENTION

The term "co-crystal" as used herein means a crystalline material comprised of two or more unique solids at room temperature, each containing distinctive physical characteristics, such as structure, melting point and heats of fusion, with the exception that, if specifically stated, the API may be a liquid at room temperature. The co-crystals of the present invention comprise a co-crystal former H-bonded to an API. The co-crystal former may be H-bonded directly to the API or may be H-bonded to an additional molecule which is bound to the API. The additional molecule may be H-bonded to the API or bound ionically or covalently to the API. The additional molecule could also be a different API. Solvates of API compounds that do not further comprise a co-crystal former are not co-crystals according to the present invention. The co-crystals may however, include one or more solvate molecules in the crystalline lattice. That is, solvates of co-crystals, or a co-crystal further comprising a solvent or compound that is a liquid at room temperature, is included in the present invention, but crystalline material comprised of only one solid and one or more liquids (at room temperature) are not included in the present invention, with the previously noted exception of specifically stated liquid APIs. The co-crystals may also be a co-crystal between a co-crystal former and a salt of an API, but the API and the co-crystal former of the present invention are constructed or bonded together through hydrogen bonds. Other modes of molecular recognition may also be present including, pi-stacking, guest-host complexation and van der Waals interactions. Of the interactions listed above, hydrogen-bonding is the dominant interaction in the formation of the co-crystal, (and a required interaction according to the present invention) whereby a non-covalent bond is formed between a hydrogen bond donor of one of the moieties and a hydrogen bond acceptor of the other. Hydrogen bonding can result in several different intermolecular configurations. For example, hydrogen bonds can result in the formation of dimers, linear chains, or cyclic structures. These configurations can further include extended (two-dimensional) hydrogen bond networks and isolated triads (FIG. 63). An alternative embodiment provides for a co-crystal wherein the co-crystal former is a second API. In another embodiment, the co-crystal former is not an API. In another embodiment the co-crystal comprises two co-crystal formers. For purposes of the present invention, the chemical and physical properties of an API in the form of a co-crystal may be compared to a reference compound that is the same API in a different form. The reference compound may be specified as a free form, or more specifically, a free acid, free base, or zwitter ion; a salt, or more specifically for example, an inorganic base addition salt such as sodium, potassium, lithium, calcium, magnesium, ammonium, aluminum salts or organic base addition salts, or an inorganic acid addition salts such as HBr, HCl, sulfuric, nitric, or phosphoric acid addition salts or an organic acid addition salt such as acetic, propionic, pyruvic, malonic, succinic, malic, maleic, fumaric, tartaric, citric, benzoic, methanesulfonic, ethanesulfonic, stearic or lactic acid addition salt; an anhydrate or hydrate of a free form or salt, or more specifically, for example, a hemihydrate, monohydrate, dihydrate, trihydrate, quadrahydrate, pentahydrate; or a solvate of a free form or salt. For example, the reference compound for an API in salt form co-crystallized with a co-crystal former can be the API salt form. Similarly, the reference

compound for a free acid API co-crystallized with a co-crystal former can be the free acid API. The reference compound may also be specified as crystalline or amorphous.

According to the present invention, the co-crystals can include an acid addition salt or base addition salt of an API. Acid addition salts include, but are not limited to, inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, and phosphoric acid, and organic acids such as acetic acid, propionic acid, hexanoic acid, heptanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, malonic acid, succinic acid, malic acid, maleic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, o-(4-hydroxybenzoyl)benzoic acid, cinnamic acid, maleic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, p-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, p-toluenesulfonic acid, camphorsulfonic acid, 4-methylbicyclo[2.2.2]oct-2-ene-1-carboxylic acid, glucoheptonic acid, 4,4'-methylenebis(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutaric acid, hydroxynaphthoic acid, salicylic acid, stearic acid, and muconic acid. Base addition salts include, but are not limited to, inorganic bases such as sodium, potassium, lithium, ammonium, calcium and magnesium salts, and organic bases such as primary, secondary and tertiary amines (e.g. isopropylamine, trimethyl amine, diethyl amine, tri(iso-propyl) amine, tri(n-propyl) amine, ethanolamine, 2-dimethylamino-ethanol, tromethamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, N-alkylglucamines, theobromine, purines, piperazine, piperidine, morpholine, and N-ethylpiperidine).

The ratio of API to co-crystal former may be stoichiometric or non-stoichiometric according to the present invention. For example, 1:1, 1:1.5 and 1:2 ratios of API:co-crystal former are acceptable.

It has surprisingly been found that when an API and a selected co-crystal former are allowed to form co-crystals, the resulting co-crystals give rise to improved properties of the API, as compared to the API in a free form (including free acids, free bases, and zwitter ions, hydrates, solvates, etc.), or an acid or base salt thereof particularly with respect to: solubility, dissolution, bioavailability, stability, C_{max}, T_{max}, processability, longer lasting therapeutic plasma concentration, hygroscopicity, crystallization of amorphous compounds, decrease in form diversity (including polymorphism and crystal habit), change in morphology or crystal habit, etc. For example, a co-crystal form of an API is particularly advantageous where the original API is insoluble or sparingly soluble in water. Additionally, the co-crystal properties conferred upon the API are also useful because the bioavailability of the API can be improved and the plasma concentration and/or serum concentration of the API can be improved. This is particularly advantageous for orally-administrable formulations. Moreover, the dose response of the API can be improved, for example by increasing the maximum attainable response and/or increasing the potency of the API by increasing the biological activity per dosing equivalent.

Accordingly, in a first aspect, the present invention provides a pharmaceutical composition comprising a co-crystal of an API and a co-crystal former, such that the API and co-crystal former are capable of co-crystallizing from a solution phase under crystallization conditions or from the solid-state, for example, through grinding or heating. In another aspect, the API has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester,

thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile, diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine and a co-crystal former which has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile, diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine, or a functional group in a Table herein, such that the API and co-crystal former are capable of co-crystallizing from a solution phase under crystallization conditions.

The co-crystals of the present invention are formed where the API and co-crystal former are bonded together through hydrogen bonds. Other non-covalent interactions, including pi-stacking and van der Waals interactions, may also be present.

In one embodiment, the co-crystal former is selected from the co-crystal formers of Table I and Table II. In other embodiments, the co-crystal former of Table I is specified as a Class 1, Class 2, or Class 3 co-crystal former (see column labeled "class" Table I). In another embodiment, the difference in pK_a value of the co-crystal former and the API is less than 2. In other embodiments, the difference in pK_a values of the co-crystal former and API is less than 3, less than 4, less than 5, between 2 and 3, between 3 and -4, or between 4 and 5. Table I lists multiple pK_a values for co-crystal formers having multiple functionalities. It is readily apparent to one skilled in the art the particular functional group corresponding to a particular pK_a value.

In another embodiment the particular functional group of a co-crystal former interacting with the API is specified (see for example Table I, columns labeled "Functionality" and "Molecular Structure" and the column of Table II labeled "Co-Crystal Former Functional Group"). In a further embodiment the functional group of the API interacting with the co-crystal former functional group is specified (see, for example, Tables II and III).

In another embodiment, the co-crystal comprises more than one co-crystal former. For example, two, three, four, five, or more co-crystal formers can be incorporated in a co-crystal with an API. Co-crystals which comprise two or more co-crystal formers and an API are bound together via hydrogen bonds. In one embodiment, incorporated co-crystal formers are hydrogen bonded to the API molecules. In another embodiment, co-crystal formers are hydrogen bonded to either the API molecules or the incorporated co-crystal formers.

In a further embodiment, several co-crystal formers can be contained in a single compartment, or kit, for ease in screening an API for potential co-crystal species. The co-crystal kit can comprise 5, 10, 15, 20, 25, 30, 40, 50, 60, 70, 80, 90, 100, or more of the co-crystal formers in Tables I and II. The co-crystal formers are in solid form and in an array of individual reaction vials such that individual co-crystal formers can be tested with one or more APIs by one or more crystallization methods or multiple co-crystal formers can be easily tested against one or more compounds by one or more crystallization methods. The crystallization methods include, but are not limited to, melt recrystallization, grinding, milling,

standing, co-crystal formation from solution by evaporation, thermally driven crystallization from solution, co-crystal formation from solution by addition of anti-solvent, co-crystal formation from solution by vapor-diffusion, co-crystal formation from solution by down-out, co-crystal formation from solution by any combination of the above mentioned techniques, co-crystal formation by co-sublimation, co-crystal formation by sublimation using a Knudsen cell apparatus, co-crystal formation by standing the desired components of the co-crystal in the presence of solvent vapor, co-crystal formation by slurry conversion of the desired components of the co-crystal in a solvent or mixtures of solvents, or co-crystal formation by any combination of the above techniques in the presence of additives, nucleates, crystallization enhancers, precipitants, chemical stabilizers, or anti-oxidants. The co-crystallization kits can be used alone or as part of larger crystallization experiments. For example, kits can be constructed as single co-crystal former single well kits, single co-crystal former multi-well kits, multi-co-crystal former single well kits, or multi-co-crystal former multi-well kits.

In a further embodiment, the API is selected from an API of Table IV or elsewhere herein. For pharmaceuticals listed in Table IV, co-crystals can comprise such APIs in free form (i.e. free acid, free base, zwitter ion), salts, solvates, hydrates, or the like. For APIs in Table IV listed as salts, solvates, hydrates, and the like, the API can either be of the form listed in Table IV or its corresponding free form, or of another form that is not listed. Table IV includes the CAS number, chemical name, or a PCT or patent reference (each incorporated herein in their entireties). In further embodiments, the functional group of the particular API interacting with the co-crystal former is specified. A specific functional group of a co-crystal former, a specific co-crystal former, or a specified functional group or a specific co-crystal former interacting with the particular API may also be specified. It is noted that for Table II, the co-crystal former, and optionally the specific functionality, and each of the listed corresponding interacting groups are included as individual species of the present invention. Thus, each specific combination of a co-crystal former and one of the interacting groups in the same row may be specified as a species of the present invention. The same is true for other combinations as discussed in the Tables and elsewhere herein.

In each process according to the invention, there is a need to contact the API with the co-crystal former. This may involve grinding the two solids together or melting one or both components and allowing them to recrystallize. This may also involve either solubilizing the API and adding the co-crystal former, or solubilizing the co-crystal former and adding the API. Crystallization conditions are applied to the API and co-crystal former. This may entail altering a property of the solution, such as pH or temperature and may require concentration of the solute, usually by removal of the solvent, typically by drying the solution. Solvent removal results in the concentration of both API and co-crystal former increasing over time so as to facilitate crystallization. Once the solid phase comprising any crystals is formed, this may be tested as described herein.

The co-crystals obtained as a result of such process steps may be readily incorporated into a pharmaceutical composition by conventional means. Pharmaceutical compositions in general are discussed in further detail below and may further comprise a pharmaceutically-acceptable diluent, excipient or carrier.

In a further aspect, the present invention provides a process for the production of a pharmaceutical composition, which process comprises:

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(1) providing an API which has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine or of Table II or III;

(2) providing a co-crystal former which has at least one functional group selected from ether, thioether, alcohol, thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, sulfate ester, carboxylic acid, phosphonic acid, phosphinic acid, sulfonic acid, amide, primary amine, secondary amine, ammonia, tertiary amine, sp² amine, thiocyanate, cyanamide, oxime, nitrile diazo, organohalide, nitro, s-heterocyclic ring, thiophene, n-heterocyclic ring, pyrrole, o-heterocyclic ring, furan, epoxide, peroxide, hydroxamic acid, imidazole, and pyridine or of Table I, II, or III;

(3) grinding, heating or contacting in solution the API with the co-crystal former under crystallization conditions;

(4) isolating co-crystals formed thereby; and

(5) incorporating the co-crystals into a pharmaceutical composition.

In a still further aspect the present invention provides a process for the production of a pharmaceutical composition, which comprises:

(1) grinding, heating or contacting in solution an API with a co-crystal former, under crystallization conditions, so as to form a solid phase;

(2) isolating co-crystals comprising the API and the co-crystal former; and

(3) incorporating the co-crystals into a pharmaceutical composition.

Assaying the solid phase for the presence of co-crystals of the API and the co-crystal former may be carried out by conventional methods known in the art. For example, it is convenient and routine to use powder X-ray diffraction techniques to assess the presence of co-crystals. This may be affected by comparing the spectra of the API, the crystal former and putative co-crystals in order to establish whether or not true co-crystals had been formed. Other techniques, used in an analogous fashion, include differential scanning calorimetry (DSC), thermogravimetric analysis (TGA) and Raman spectroscopy. Single crystal X-ray diffraction is especially useful in identifying co-crystal structures.

In a further aspect, the present invention therefore provides a process of screening for co-crystal compounds, which comprises:

(1) providing (i) an API compound, and (ii) a co-crystal former; and

(2) screening for co-crystals of APIs with co-crystal formers by subjecting each combination of API and co-crystal former to a step comprising:

(a) grinding, heating or contacting in solution the API with the co-crystal former under crystallization conditions so as to form a solid phase; and

(b) isolating co-crystals comprising the API and the co-crystal former.

An alternative embodiment is drawn to a process of screening for co-crystal compounds, which comprises:

(1) providing (i) an API or a plurality of different APIs, and (ii) a co-crystal former or a plurality of different co-crystal formers, wherein at least one of the API and the co-crystal former is provided as a plurality thereof; and

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(2) screening for co-crystals of APIs with co-crystal formers by subjecting each combination of API and co-crystal former to a step comprising

(a) grinding, heating or contacting in solution the API with the co-crystal former under crystallization conditions so as to form a solid phase; and

(b) isolating co-crystals comprising the API and the co-crystal former.

Solubility Modulation

In a further aspect, the present invention provides a process for modulating the solubility of an API, which process comprises:

(1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and

(2) isolating co-crystals comprising the API and the co-crystal former.

In one embodiment, the solubility of the API is modulated such that the aqueous solubility is increased. Solubility of APIs may be measured by any conventional means such as chromatography (e.g., HPLC) or spectroscopic determination of the amount of API in a saturated solution of the API, such as UV-spectroscopy, IR-spectroscopy, Raman spectroscopy, quantitative mass spectroscopy, or gas chromatography.

In another aspect of the invention, the API may have low aqueous solubility. Typically, low aqueous solubility in the present application refers to a compound having a solubility in water which is less than or equal to 10 mg/mL, when measured at 37 degrees C., and preferably less than or equal to 5 mg/mL or 1 mg/mL. Low aqueous solubility can further be specifically defined as less than or equal to 900, 800, 700, 600, 500, 400, 300, 200 150 100, 90, 80, 70, 60, 50, 40, 30, 20 micrograms/mL, or further 10, 5 or 1 micrograms/mL, or further 900, 800, 700, 600, 500, 400, 300, 200 150, 100 90, 80, 70, 60, 50, 40, 30, 20, or 10 ng/mL, or less than 10 ng/mL when measured at 37 degrees C. Aqueous solubility can also be specified as less than 500, 400, 300, 200, 150, 100, 75, 50 or 25 mg/mL. As embodiments of the present invention, solubility can be increased 2, 3, 4, 5, 7, 10, 15, 20, 25, 50, 75, 100, 200, 300, 500, 750, 1000, 5000, or 10,000 times by making a co-crystal of the reference form (e.g., crystalline or amorphous free acid, free base or zwitter ion, hydrate or solvate), or a salt thereof. Further aqueous solubility can be measured in simulated gastric fluid (SGF) or simulated intestinal fluid (SIF) rather than water. SGF (non-diluted) of the present invention is made by combining 1 g/L Triton X-100 and 2 g/L NaCl in water and adjusting the pH with 20 mM HCl to obtain a solution with a final pH=1.7 (SIF is 0.68% monobasic potassium phosphate, 1% pancreatin, and sodium hydroxide where the pH of the final solution is 7.5). The pH of the solvent used may also be specified as 1, 1.1, 1.2, 1.3, 1.4, 1.5, 1.6, 1.7, 1.8, 1.9, 2, 2.1, 2.2, 2.3, 2.4, 2.5, 2.6, 2.7, 2.8, 2.9, 3, 3.5, 4, 4.5, 5, 5.5, 6, 6.5, 7, 7.5, 8, 8.5, 9, 9.5, 10, 10.5, 11, 11.5, or 12, or any pH in between successive values.

Examples of embodiments includes: co-crystal compositions with an aqueous solubility, at 37 degrees C. and a pH of 7.0, that is increased at least 5 fold over the reference form, co-crystal compositions with a solubility in SGF that is increased at least 5 fold over the reference form, co-crystal compositions with a solubility in SIF that is increased at least 5 fold over the reference form.

Dissolution Modulation

In another aspect of the present invention, the dissolution profile of the API is modulated whereby the aqueous dissolution rate or the dissolution rate in simulated gastric fluid or in simulated intestinal fluid, or in a solvent or plurality of solvents is increased. Dissolution rate is the rate at which API

solids dissolve in a dissolution medium. For APIs whose absorption rates are faster than the dissolution rates (e.g., steroids), the rate-limiting step in the absorption process is often the dissolution rate. Because of a limited residence time at the absorption site, APIs that are not dissolved before they are removed from intestinal absorption site are considered useless. Therefore, the rate of dissolution has a major impact on the performance of APIs that are poorly soluble. Because of this factor, the dissolution rate of APIs in solid dosage forms is an important, routine, quality control parameter used in the API manufacturing process.

$$\text{Dissolution rate} = K S(C_s - C)$$

where K is dissolution rate constant, S is the surface area, C_s is the apparent solubility, and C is the concentration of API in the dissolution medium.

For rapid API absorption, $C_s - C$ is approximately equal to C_s .

The dissolution rate of APIs may be measured by conventional means known in the art.

The increase in the dissolution rate of a co-crystal, as compared to the reference form (e.g., free form or salt), may be specified, such as by 10, 20, 30, 40, 50, 60, 70, 80, 90, or 100%, or by 2, 3, 4, 5, 6, 7, 8, 9, 10, 15, 20, 25, 30, 40, 50, 75, 100, 125, 150, 175, 200, 250, 300, 350, 400, 500, 1000, 10,000, or 100,000 fold greater than the reference form (e.g., free form or salt form) in the same solution. Conditions under which the dissolution rate is measured is the same as discussed above. The increase in dissolution may be further specified by the time the composition remains supersaturated before reaching equilibrium solubility.

Examples of above embodiments include: co-crystal compositions with a dissolution rate in aqueous solution, at 37 degrees C. and a pH of 7.0, that is increased at least 5 fold over the reference form, co-crystal compositions with a dissolution rate in SGF that is increased at least 5 fold over the reference form, co-crystal compositions with a dissolution rate in SIF that is increased at least 5 fold over the reference form.

Bioavailability Modulation

The methods of the present invention are used to make a pharmaceutical API formulation with greater solubility, dissolution, and bioavailability. Bioavailability can be improved via an increase in AUC, reduced time to T_{max} (the time to reach peak blood serum levels), or increased C_{max} . The present invention can result in higher plasma concentrations of API when compared to the neutral form or salt alone (reference form).

AUC is the area under the plot of plasma concentration of API (not logarithm of the concentration) against time after API administration. The area is conveniently determined by the "trapezoidal rule": The data points are connected by straight line segments, perpendiculars are erected from the abscissa to each data point, and the sum of the areas of the triangles and trapezoids so constructed is computed. When the last measured concentration (C_n , at time t_n) is not zero, the AUC from t_n to infinite time is estimated by C_n/k_{el} .

The AUC is of particular use in estimating bioavailability of APIs, and in estimating total clearance of APIs (Cl_T). Following single intravenous doses, $AUC = D/Cl_T$, for single compartment systems obeying first-order elimination kinetics, where D is the dose; alternatively, $AUC = C_0/k_{el}$, where k_{el} is the API elimination rate constant. With routes other than the intravenous, for such systems, $AUC = F \cdot D/Cl_T$, where F is the absolute bioavailability of the API.

Thus, in a further aspect, the present invention provides a process for modulating the bioavailability of an API when administered in its normal and effective dose range as a co-

crystal, whereby the AUC is increased, the time to T_{max} is reduced, or C_{max} is increased, as compared to a reference form, which process comprises:

(1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and

(2) isolating co-crystals comprising the API and the co-crystal former.

Examples of the above embodiments include: co-crystal compositions with a time to T_{max} that is reduced by at least 10% as compared to the reference form, co-crystal compositions with a time to T_{max} that is reduced by at least 20% over the reference form, co-crystal compositions with a time to T_{max} that is reduced by at least 40% over the reference form, co-crystal compositions with a time to T_{max} that is reduced by at least 50% over the reference form, co-crystal compositions with a T_{max} that is reduced by at least 60% over the reference form, co-crystal compositions with a T_{max} that is reduced by at least 70% over the reference form, co-crystal compositions with a T_{max} that is reduced by at least 80% over the reference form, co-crystal compositions with a T_{max} that is reduced by at least 90% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 20% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 30% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 40% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 50% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 60% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 70% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 80% over the reference form, co-crystal compositions with a C_{max} that is increased by at least 90% over the reference form, co-crystal compositions with an AUC that is increased by at least 10% over the reference form, co-crystal compositions with an AUC that is increased by at least 20% over the reference form, co-crystal compositions with an AUC that is increased by at least 30% over the reference form, co-crystal compositions with an AUC that is increased by at least 40% over the reference form, co-crystal compositions with an AUC that is increased by at least 50% over the reference form, co-crystal compositions with an AUC that is increased by at least 60% over the reference form, co-crystal compositions with an AUC that is increased by at least 70% over the reference form, co-crystal compositions with an AUC that is increased by at least 80% over the reference form or co-crystal compositions with an AUC that is increased by at least 2 fold, 3 fold, 4 fold, 5 fold, 6 fold, 7 fold, 8 fold, 9 fold, or 10 fold. Other examples include wherein the reference form is crystalline, wherein the reference form is amorphous, wherein the reference form is an anhydrous crystalline sodium salt, or wherein the reference form is an anhydrous crystalline HCl salt.

Dose Response Modulation

In a further aspect the present invention provides a process for improving the dose response of an API, which process comprises:

(1) contacting in solution an API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and

(2) isolating co-crystals comprising the API and the co-crystal former.

Dose response is the quantitative relationship between the magnitude of response and the dose inducing the response and may be measured by conventional means known in the art. The curve relating effect (as the dependent variable) to

dose (as the independent variable) for an API-cell system is the "dose-response curve". Typically, the dose-response curve is the measured response to an API plotted against the dose of the API (mg/kg) given. The dose response curve can also be a curve of AUC against the dose of the API given.

In an embodiment of the present invention, a co-crystal of the present invention has an increased dose response curve or a more linear dose response curve than the corresponding reference compound.

Increased Stability

In a still further aspect the present invention provides a process for improving the stability of an API (as compared to a reference form such as its free form or a salt thereof), which process comprises:

(1) grinding, heating or contacting in solution the pharmaceutical salt with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and

(2) isolating co-crystals comprising the API and the co-crystal former.

In a preferred embodiment, the compositions of the present invention, including the API or active pharmaceutical ingredient (API) and formulations comprising the API, are suitably stable for pharmaceutical use. Preferably, the API or formulations thereof of the present invention are stable such that when stored at 30 degrees C. for 2 years, less than 0.2% of any one degradant is formed. The term degradant refers herein to product(s) of a single type of chemical reaction. For example, if a hydrolysis event occurs that cleaves a molecule into two products, for the purpose of the present invention, it would be considered a single degradant. More preferably, when stored at 40 degrees C. for 2 years, less than 0.2% of any one degradant is formed. Alternatively, when stored at 30 degrees C. for 3 months, less than 0.2% or 0.15%, or 0.1% of any one degradant is formed, or when stored at 40 degrees C. for 3 months, less than 0.2% or 0.15%, or 0.1% of any one degradant is formed. Further alternatively, when stored at 60 degrees C. for 4 weeks, less than 0.2% or 0.15%, or 0.1% of any one degradant is formed. The relative humidity (RH) may be specified as ambient (RH), 75% (RH), or as any single integer between 1 to 99%.

Difficult to Salt or Unsaltable Compounds

In a still further aspect the present invention provides a process for making co-crystals of unsaltable or difficult to salt APIs which process comprises:

(1) grinding, heating or contacting in solution an API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
(2) isolating co-crystals comprising the API and the co-crystal former.

Difficult to salt compounds include bases with a $pK_a < 3$ or acids with a $pK_a > 10$. Zwitter ions are also difficult to salt or unsaltable compounds according to the present invention.

Decreasing Hygroscopicity

In a still further aspect, the present invention provides a method for decreasing the hygroscopicity of an API, which method comprises:

(1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
(2) isolating co-crystals comprising the API and the co-crystal former.

An aspect of the present invention provides a pharmaceutical composition comprising a co-crystal of an API that is less hygroscopic than amorphous or crystalline, free form or salt (including metal salts such as sodium, potassium, lithium, calcium, magnesium) or another reference compound.

Hygroscopicity can be assessed by dynamic vapor sorption analysis, in which 5-50 mg of the compound is suspended from a Cahn microbalance. The compound being analyzed should be placed in a non-hygroscopic pan and its weight should be measured relative to an empty pan composed of identical material and having nearly identical size, shape, and weight. Ideally, platinum pans should be used. The pans should be suspended in a chamber through which a gas, such as air or nitrogen, having a controlled and known percent relative humidity (% RH) is flowed until equilibrium criteria are met. Typical equilibrium criteria include weight changes of less than 0.01% over 3 minutes at constant humidity and temperature. The relative humidity should be measured for samples dried under dry nitrogen to constant weight ($< 0.01\%$ change in 3 minutes) at 40 degrees C. unless doing so would de-solvate or otherwise convert the material to an amorphous compound. In one aspect, the hygroscopicity of a dried compound can be assessed by increasing the RH from 5 to 95% in increments of 5% RH and then decreasing the RH from 95 to 5% in 5% increments to generate a moisture sorption isotherm. The sample weight should be allowed to equilibrate between each change in % RH. If the compound deliquesces or becomes amorphous above 75% RH, but below 95% RH, the experiment should be repeated with a fresh sample and the relative humidity range for the cycling should be narrowed to 5-75% RH or 10-75% RH, instead of 5-95% RH. If the sample cannot be dried prior to testing due to lack of form stability, then the sample should be studied using two complete humidity cycles of either 10-75% RH or 5-95% RH, and the results of the second cycle should be used if there is significant weight loss at the end of the first cycle.

Hygroscopicity can be defined using various parameters. For purposes of the present invention, a non-hygroscopic molecule should not gain or lose more than 1.0%, or more preferably, 0.5% weight at 25 degrees C. when cycled between 10 and 75% RH (relative humidity at 25 degrees C.). The non-hygroscopic molecule more preferably should not gain or lose more than 1.0%, or more preferably, 0.5% weight when cycled between 5 and 95% RH at 25 degrees C., or more than 0.25% of its weight between 10 and 75% RH. Most preferably, a non-hygroscopic molecule will not gain or lose more than 0.25% of its weight when cycled between 5 and 95% RH.

Alternatively, for purposes of the present invention, hygroscopicity can be defined using the parameters of Callaghan et al., "Equilibrium moisture content of pharmaceutical excipients", in *Api Dev. Ind. Pharm.*, Vol. 8, pp. 335-369 (1982). Callaghan et al. classified the degree of hygroscopicity into four classes.

Class 1: Non-hygroscopic Essentially no moisture increases occur at relative humidities below 90%.

Class 2: Slightly hygroscopic Essentially no moisture increases occur at relative humidities below 80%.

Class 3: Moderately hygroscopic Moisture content does not increase more than 5% after storage for 1 week at relative humidities below 60%.

Class 4: Very hygroscopic Moisture content increase may occur at relative humidities as low as 40 to 50%.

Alternatively, for purposes of the present invention, hygroscopicity can be defined using the parameters of the European Pharmacopoeia Technical Guide (1999, p. 86) which has defined hygroscopicity, based on the static method, after storage at 25 degrees C. for 24 hours at 80% RH:

Slightly hygroscopic: Increase in mass is less than 2 percent m/m and equal to or greater than 0.2 percent m/m.

Hygroscopic: Increase in mass is less than 15 percent m/m and equal to or greater than 0.2 percent m/m.

Very Hygroscopic: Increase in mass is equal to or greater than 15 percent m/m.

Deliquescent: Sufficient water is absorbed to form a liquid.

Co-crystals of the present invention can be set forth as being in Class 1, Class 2, or Class 3, or as being Slightly hygroscopic, Hygroscopic, or Very Hygroscopic. Co-crystals of the present invention can also be set forth based on their ability to reduce hygroscopicity. Thus, preferred co-crystals of the present invention are less hygroscopic than a reference compound. The reference compound can be specified as the API in free form (free acid, free base, hydrate, solvate, etc.) or salt (e.g., especially metal salts such as sodium, potassium, lithium, calcium, or magnesium). Further included in the present invention are co-crystals that do not gain or lose more than 1.0% weight at 25 degrees C. when cycled between 10 and 75% RH, wherein the reference compound gains or loses more than 1.0% weight under the same conditions. Further included in the present invention are co-crystals that do not gain or lose more than 0.5% weight at 25 degrees C. when cycled between 10 and 75% RH, wherein the reference compound gains or loses more than 0.5% or more than 1.0% weight under the same conditions. Further included in the present invention are co-crystals that do not gain or lose more than 1.0% weight at 25 degrees C. when cycled between 5 and 95% RH, wherein the reference compound gains or loses more than 1.0% weight under the same conditions. Further included in the present invention are co-crystals that do not gain or lose more than 0.5% weight at 25 degrees C. when cycled between 5 and 95% RH, wherein the reference compound gains or loses more than 0.5% or more than 1.0% weight under the same conditions. Further included in the present invention are co-crystals that do not gain or lose more than 0.25% weight at 25 degrees C. when cycled between 5 and 95% RH, wherein the reference compound gains or loses more than 0.5% or more than 1.0% weight under the same conditions.

Further included in the present invention are co-crystals that have a hygroscopicity (according to Callaghan et al.) that is at least one class lower than the reference compound or at least two classes lower than the reference compound. Included are a Class 1 co-crystal of a Class 2 reference compound, a Class 2 co-crystal of a Class 3 reference compound, a Class 3 co-crystal of a Class 4 reference compound, a Class 1 co-crystal of a Class 3 reference compound, a Class 1 co-crystal of a Class 4 reference compound, or a Class 2 co-crystal of a Class 4 reference compound.

Further included in the present invention are co-crystals that have a hygroscopicity (according to the European Pharmacopoeia Technical Guide) that is at least one class lower than the reference compound or at least two classes lower than the reference compound. Non-limiting examples include; a slightly hygroscopic co-crystal of a hygroscopic reference compound, a hygroscopic co-crystal of a very hygroscopic reference compound, a very hygroscopic co-crystal of a deliquescent reference compound, a slightly hygroscopic co-crystal of a very hygroscopic reference compound, a slightly hygroscopic co-crystal of a deliquescent reference compound, and a hygroscopic co-crystal of a deliquescent reference compound.

Crystallizing Amorphous Compounds

In a further aspect, the present invention provides a process for crystallizing an amorphous compound, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and

- (2) isolating co-crystals comprising the API and the co-crystal former.

An amorphous compound includes compounds that do not crystallize using routine methods in the art.

Decreasing Form Diversity

In a still further embodiment aspect the present invention provides a process for reducing the form diversity of an API, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

For purposes of the present invention, the number of forms of a co-crystal is compared to the number of forms of a reference compound (e.g. the free form or a salt of the API) that can be made using routine methods in the art.

Morphology Modulation

In a still further aspect the present invention provides a process for modifying the morphology of an API, which process comprises:

- (1) grinding, heating or contacting in solution the API with a co-crystal former under crystallization conditions, so as to form a co-crystal of the API and the co-crystal former; and
- (2) isolating co-crystals comprising the API and the co-crystal former.

In an embodiment the co-crystal comprises or consists of a co-crystal former and a pharmaceutical wherein the interaction between the two, e.g., H-bonding, occurs between a functional group of Table III of an API with a corresponding interacting group of Table III. In a further embodiment, the co-crystal comprises a co-crystal former of Table I or II and an API with a corresponding interacting group of Table III. In a further embodiment the co-crystal comprises an API from Table IV and a co-crystal former with a functional group of Table III. In a further embodiment, the co-crystal is from Table I or II. In an aspect of the invention, only co-crystals having an H-bond acceptor on the first molecule and an H-bond donor on the second molecule, where the first and second molecules are either co-crystal former and API respectively or API and co-crystal former respectively, are included in the present invention. Table IV includes the CAS number, chemical name or a PCT or patent reference (each incorporated herein in their entireties). Thus, whether a particular API contains an H-bond donor, acceptor or both is readily apparent.

In another embodiment, the co-crystal former and API each have only one H-bond donor/acceptor. In another aspect, the molecular weight of the API is less than 2000, 1500, 1000, 750, 500, 350, 200, or 150 Daltons. In another embodiment, the molecular weight of the API is between 100-200, 200-300, 300-400, 400-500, 500-600, 600-700, 700-800, 800-900, 900-1000, 1000-1200, 1200-1400, 1400-1600, 1600-1800, or 1800-2000. APIs with the above molecular weights may also be specifically excluded from the present invention.

In another embodiment, peptides, proteins, nucleic acids or other biological APIs are excluded from the present invention. In another embodiment, all non-pharmaceutically acceptable co-crystal formers are excluded from the present invention. In another embodiment, organometallic APIs are excluded from the present invention. In another embodiment, a co-crystal former comprising any one or more of the functional groups of Table III may be specifically excluded from the present invention. In another embodiment, any one or more of the co-crystal formers of Table I or II may be specifically excluded from the present invention. Any APIs currently known in the art may also be specifically excluded from the

present invention. For example, carbanazepine, itraconazole, nabumetone, fluoxetine, acetaminophen and theophylline can each be specifically excluded from the present invention. In another embodiment, the API is not a salt, is not a non-metal salt, or is not a metal salt, e.g., sodium, potassium, lithium, calcium or magnesium. In another embodiment, the API is a salt, is a non-metal salt, or is a metal salt, e.g., sodium, potassium, lithium, calcium, magnesium. In one embodiment, the API does not contain a halogen. In one embodiment, the API does contain a halogen.

In another embodiment, any one or more of the APIs of Table IV may be specifically excluded from the present invention. Any APIs currently known in the art may also be specifically excluded from the present invention. For example, nabumetone:2,3-naphthalenediol, fluoxetine HCl:benzoic acid, fluoxetine HCl:succinic acid, acetaminophen:piperazine, acetaminophen:theophylline, theophylline:salicylic acid, theophylline:p-hydroxybenzoic acid, theophylline:sorbic acid, theophylline:1-hydroxy-2-naphthoic acid, theophylline:glycolic acid, theophylline:2,5-dihydroxybenzoic acid, theophylline:chloroacetic acid, bis(diphenylhydantoin):9-ethyladenine acetylacetone solvate, bis(diphenylhydantoin):9-ethyladenine 2,4-pentanedione solvate, 5,5-diphenylbarbituric acid:9-ethyladenine, bis(diphenylhydantoin):9-ethyladenine, 4-aminobenzoic acid:4-aminobenzonitrile, sulfadimidine:salicylic acid, 8-hydroxyquinolinium 4-nitrobenzoate:4-nitrobenzoic acid, sulfaproxyl:caffeine, retro-inverso-isopropyl (2R,3S)-4-cyclohexyl-2-hydroxy-3-(N-((2R)-2-morpholinocarbonylmethyl-3-(1-naphthyl)propionyl)-L-histidylamino)butyrate: cinnamic acid monohydrate, benzoic acid:isonicotinamide, 3-(2-N',N'-(dimethylhydrazino)-4-thiazolylmethylthio)-N"-sulfamoylpropionamide:maleic acid, diglycine hydrochloride ($C_2H_5NO_2 \cdot C_2H_6NO_2 \cdot Cl^-$), octadecanoic acid:3-pyridinecarboxamide, cis-N-(3-methyl-1-(2-(1,2,3,4-tetrahydro naphthyl)-piperidin-4-yl)-N-phenylpropanamide hydrochloride:oxalic acid, trans-N-(3-methyl-1-(2-(1,2,3,4-tetrahydro naphthyl)-piperidin-4-yl)-N-phenylpropanamide oxalate:oxalic acid dihydrate, bis(1-(3-((4-(2-isopropoxyphenyl)-1-piperazinyl)methyl)benzoyl)piperidine) succinate:succinic acid, bis(p-cyanophenyl)imidazolylmethane:succinic acid, cis-1-((4-(1-imidazolylmethyl)cyclohexyl)methyl)imidazole:succinic acid, (+)-2-(5,6-dimethoxy-1,2,3,4-tetrahydro-1-naphthyl)imidazoline:(+)-dibenzoyl-D-tartaric acid, raclopride:tartaric acid, 2,6-diamino-9-ethylpurine:5,5-diethylbarbituric acid, 5,5-diethylbarbituric acid:bis(2-aminopyridine), 5,5-diethylbarbituric acid:acetamide, 5,5-diethylbarbituric acid:KI₃, 5,5-diethylbarbituric acid:urea, bis(barbital):hexamethylphosphoramide, 5,5-diethylbarbituric acid:imidazole, barbital:1-methylimidazole, 5,5-diethylbarbituric acid:N-methyl-2-pyridone, 2,4-diamino-5-(3,4,5-trimethoxybenzyl)-pyrimidine:5,5-diethylbarbituric acid, bis(barbital):caffeine, bis(barbital):1-methylimidazole, bis(beta-cyclodextrin):bis(barbital) hydrate, tetrakis(beta-cyclodextrin):tetrakis(barbital), 9-ethyladenine:5,5-diethylbarbituric acid, barbital:N'-(p-cyanophenyl)-N-(p-iodophenyl)melamine, barbital:2-amino-4-(m-bromophenylamino)-6-chloro-1,3,5-triazine, 5,5-diethylbarbituric acid:N,N'-diphenylmelamine, 5,5-diethylbarbituric acid:N,N'-bis(p-chlorophenyl)melamine, N,N'-bis(p-bromophenyl)melamine:5,5-diethylbarbituric acid, 5,5-diethylbarbituric acid:N,N'-bis(p-iodophenyl)melamine, 5,5-diethylbarbituric acid:N,N'-bis(p-tolyl)melamine, 5,5-diethylbarbituric acid:N,N'-bis(m-tolyl)melamine, 5,5-diethylbarbituric acid:N,N'-bis(m-chlorophenyl)melamine, N,N'-Bis(m-methylphenyl)melamine:barbital, N,N'-bis(m-chlorophenyl)melamine:

barbital tetrahydrofuran solvate, 5,5-diethylbarbituric acid:N,N'-bis(t-butyl)melamine, 5,5-diethylbarbituric acid:N,N'-di(t-butyl)melamine, 6,6'-diquinolyl ether:5,5-diethylbarbituric acid, 5-t-butyl-2,4,6-triaminopyrimidine:5,5-diethylbarbituric acid, N,N'-bis(4-carboxymethylphenyl)melamine:barbital ethanol solvate, N,N'-bis(4-t-butylphenyl)melamine:barbital, tris(5,17-N,N'-bis(4-amino-6-(butylamino)-1,3,5-triazin-2-yl)diamino-11,23-dinitro-25,26,27,28-tetrapropoxycalix(4)arene):hexakis (diethylbarbituric acid) toluene solvate, N,N'-bis(m-fluorophenyl)melamine:barbital, N,N'-bis(m-bromophenyl)melamine:barbital acetone solvate, N,N'-bis(m-iodophenyl)melamine:barbital acetonitrile solvate, N,N'-bis(m-trifluoromethylphenyl)melamine:barbital acetonitrile solvate, aminopyrine:barbital, N,N'-bis(4-fluorophenyl)melamine:barbital, N,N'-bis(4-trifluoromethylphenyl)melamine:barbital, 2,4-diamino-5-(3,4,5-trimethoxybenzyl)pyrimidine:barbital, hydroxybutyrate:hydroxyvalerate, 2-aminopyrimidine:succinic acid, 1,3-bis(((6-methylpyrid-2-yl)amino)carbonyl)benzene:glutaric acid, 5-t-butyl-2,4,6-triaminopyrimidine:diethylbarbituric acid, bis(dithiobiuret-S,S')nickel(II):diuracil, platinum 3,3'-dihydroxymethyl-2,2'-bipyridine dichloride:AgF₃·CSO₃, 4,4'-bipyridyl:isophthalic acid, 4,4'-bipyridyl:1,4-naphthalenedicarboxylic acid, 4,4'-bipyridyl:1,3,5-cyclohexane-tricarboxylic acid, 4,4'-bipyridyl:tricarballic acid, urotropin:azelaic acid, insulin:C8-HI (octanoyl-N^e-LysB29-human insulin), isonicotinamide:cinnamic acid, isonicotinamide:3-hydroxybenzoic acid, isonicotinamide:3-N,N-dimethylaminobenzoic acid, isonicotinamide:3,5-bis(trifluoromethyl)-benzoic acid, isonicotinamide:d,1-mandelic acid, isonicotinamide:chloroacetic acid, isonicotinamide:fumaric acid monoethyl ester, isonicotinamide:12-bromododecanoic acid, isonicotinamide:fumaric acid, isonicotinamide:succinic acid, isonicotinamide:4-ketopimelic acid, isonicotinamide:thiodiglycolic acid, 1,3,5-cyclohexane-tricarboxylic acid:hexamethyltetramine, 1,3,5-cyclohexane-tricarboxylic acid:4,7-phenanthroline, 4,7-phenanthroline:oxalic acid, 4,7-phenanthroline:terephthalic acid, 4,7-phenanthroline:1,3,5-cyclohexane-tricarboxylic acid, 4,7-phenanthroline:1,4-naphthalenedicarboxylic acid, pyrazine:methanoic acid, pyrazine:ethanoic acid, pyrazine:propanoic acid, pyrazine:butanoic acid, pyrazine:pentanoic acid, pyrazine:hexanoic acid, pyrazine:heptanoic acid, pyrazine:octanoic acid, pyrazine:nonanoic acid, pyrazine:decanoic acid, diammine-(deoxy-quanyl-quanyl-N⁷,N⁷)-platinum:tris(glycine) hydrate, 2-aminopyrimidine:p-phenylenediacetic acid, bis(2-aminopyrimidin-1-ium)fumarate:fumaric acid, 2-aminopyrimidine:indole-3-acetic acid, 2-aminopyrimidine:N-methylpyrrole-2-carboxylic acid, 2-aminopyrimidine:thiophen-2-carboxylic acid, 2-aminopyrimidine:(+)-camphoric acid, 2,4,6-Trinitrobenzoic acid:2-aminopyrimidine, 2-aminopyrimidine:4-aminobenzoic acid, 2-aminopyrimidine:bis(phenoxyacetic acid), 2-aminopyrimidine:(2,4-dichlorophenoxy)acetic acid, 2-aminopyrimidine:(3,4-dichlorophenoxy)acetic acid, 2-aminopyrimidine:indole-2-carboxylic acid, 2-aminopyrimidine:terephthalic acid, 2-aminopyrimidine:bis(2-nitrobenzoic acid), 2-aminopyrimidine:bis(2-aminobenzoic acid), 2-aminopyrimidine:3-aminobenzoic acid, 2-hexeneoic acid:isonicotinamide, 4-nitrobenzoic acid:isonicotinamide, 3,5-dinitrobenzoic acid:isonicotinamide:4-methylbenzoic acid, 2-amino-5-nitropyrimidine:2-amino-3-nitropyridine, 3,5-dinitrobenzoic acid:4-chlorobenzamide, 3-dimethylaminobenzoic acid:4-chlorobenzamide, fumaric acid:4-chlorobenzamide, oxine:4-nitrobenzoic acid, oxine:3,5-dinitrobenzoic acid, oxine:3,5-dinitrosalicylic acid, 3-[2-

(N',N'-dimethylhydrazino)-4-thiazolylmethylthio]-N²-sulfamoylpropionamide:maleic acid, 5-fluorouracil:9-ethylhypoxanthine, 5-fluorouracil:cytosine dihydrate, 5-fluorouracil:theophylline monohydrate, stearic acid:nicotinamide, cis-1-[[4-(1-imidazolylmethyl)cyclohexyl]methyl]imidazole:succinic acid, CGS18320B:succinic acid, sulfaproxyl:caffeine, 4-aminobenzoic acid:4-aminobenzonitrile, 3,5-dinitrobenzoic acid:isonicotinamide:3-methylbenzoic acid, 3,5-dinitrobenzoic acid:isonicotinamide:4-(dimethylamino)benzoic acid, 3,5-dinitrobenzoic acid:isonicotinamide:4-hydroxy-3-methoxycinnamic acid, isonicotinamide:oxalic acid, isonicotinamide:malonic acid, isonicotinamide:succinic acid, isonicotinamide:glutaric acid, isonicotinamide:adipic acid, benzoic acid:isonicotinamide, mazapertine:succinate, betaine:dichloronitrophenol, betainepyrizidine:dichloronitrophenol, betainepyrizidine:pen-
 5 tachlorophenol, 4-{2-[1-(2-hydroxyethyl)-4-pyridylidene]ethylidene}-cyclo-hexa-2,5-dien-1-one:methyl 2,4-dihydroxybenzoate, 4-{2-[1-(2-hydroxyethyl)-4-pyridylidene]ethylidene}-cyclo-hexa-2,5-dien-1-one:2,4-
 10 dihydroxypropiophenone, 4-{2-[1-(2-hydroxyethyl)-4-pyridylidene]-ethylidene}-cyclo-hexa-2,5-dien-1-one:2,4-dihydroxyacetophenone, squaric acid:4,4'-dipyridylacetylene, squaric acid: 1,2-bis(4-pyridyl)ethylene, chloranilic acid: 1,4-bis[(4-pyridyl)ethynyl]benzene, 4,4'-bi-
 15 pyridine:phthalic acid, 4,4'-dipyridylacetylene:phthalic acid, bis(pentamethylcyclopentadienyl)iron:bromanilic acid, bis(pentamethylcyclopentadienyl)iron:chloranilic acid, bis(pentamethylcyclopentadienyl)iron:cyananilic acid, pyrazinotetrafulvalene:chloranilic acid, phenol: 30
 pentafluorophenol, co-crystals of itraconazole, and co-crystals of topiramate are specifically excluded from the present invention.

Excipients employed in pharmaceutical compositions of the present invention can be solids, semi-solids, liquids or combinations thereof. Preferably, excipients are solids. Compositions of the invention containing excipients can be prepared by any known technique of pharmacy that comprises admixing an excipient with an API or therapeutic agent. A pharmaceutical composition of the invention contains a desired amount of API per dose unit and, if intended for oral administration, can be in the form, for example, of a tablet, a caplet, a pill, a hard or soft capsule, a lozenge, a cachet, a dispensable powder, granules, a suspension, an elixir, a dispersion, a liquid, or any other form reasonably adapted for such administration. If intended for parenteral administration, it can be in the form, for example, of a suspension or transdermal patch. If intended for rectal administration, it can be in the form, for example, of a suppository. Presently preferred are oral dosage forms that are discrete dose units each containing a predetermined amount of the API, such as tablets or capsules.

In another embodiment, APIs with an inappropriate pH for transdermal patches can be co-crystallized with an appropriate co-crystal former, thereby adjusting its pH to an appropriate level for use as a transdermal patch. In another embodiment, an APIs pH level can be optimized for use in a transdermal patch via co-crystallization with an appropriate co-crystal former.

Non-limiting examples follow of excipients that can be used to prepare pharmaceutical compositions of the invention.

Pharmaceutical compositions of the invention optionally comprise one or more pharmaceutically acceptable carriers or diluents as excipients. Suitable carriers or diluents illustratively include, but are not limited to, either individually or in combination, lactose, including anhydrous lactose and lac-

tose monohydrate; starches, including directly compressible starch and hydrolyzed starches (e.g., CelutabTM and EmdexTM); mannitol; sorbitol; xylitol; dextrose (e.g., CereleaseTM 2000) and dextrose monohydrate; dibasic calcium phosphate dihydrate; sucrose-based diluents; confectioner's sugar; monobasic calcium sulfate monohydrate; calcium sulfate dihydrate; granular calcium lactate trihydrate; dextrates; inositol; hydrolyzed cereal solids; amylose; celluloses including microcrystalline cellulose, food grade sources of alpha- and amorphous cellulose (e.g., RexcelJ), powdered cellulose, hydroxypropylcellulose (HPC) and hydroxypropylmethylcellulose (HPMC); calcium carbonate; glycine; bentonite; block co-polymers; polyvinylpyrrolidone; and the like. Such carriers or diluents, if present, constitute in total about 5% to about 99%, preferably about 10% to about 85%, and more preferably about 20% to about 80%, of the total weight of the composition. The carrier, carriers, diluent, or diluents selected preferably exhibit suitable flow properties and, where tablets are desired, compressibility.

Lactose, mannitol, dibasic sodium phosphate, and microcrystalline cellulose (particularly Avicel PH microcrystalline cellulose such as Avicel PH 101), either individually or in combination, are preferred diluents. These diluents are chemically compatible with many co-crystals described herein. The use of extragranular microcrystalline cellulose (that is, microcrystalline cellulose added to a granulated composition) can be used to improve hardness (for tablets) and/or disintegration time. Lactose, especially lactose monohydrate, is particularly preferred. Lactose typically provides compositions having suitable release rates of co-crystals, stability, pre-compression flowability, and/or drying properties at a relatively low diluent cost. It provides a high density substrate that aids densification during granulation (where wet granulation is employed) and therefore improves blend flow properties and tablet properties.

Pharmaceutical compositions of the invention optionally comprise one or more pharmaceutically acceptable disintegrants as excipients, particularly for tablet formulations. Suitable disintegrants include, but are not limited to, either individually or in combination, starches, including sodium starch glycolate (e.g., ExplotabTM of PenWest) and pregelatinized corn starches (e.g., NationalTM 1551 of National Starch and Chemical Company, NationalTM 1550, and ColorconTM 1500), clays (e.g., VeegumTM HV of R.T. Vanderbilt), celluloses such as purified cellulose, microcrystalline cellulose, methylcellulose, carboxymethylcellulose and sodium carboxymethylcellulose, croscarmellose sodium (e.g., Ac-Di-SolTM of FMC), alginates, crospovidone, and gums such as agar, guar, locust bean, karaya, pectin and tragacanth gums.

Disintegrants may be added at any suitable step during the preparation of the composition, particularly prior to granulation or during a lubrication step prior to compression. Such disintegrants, if present, constitute in total about 0.2% to about 30%, preferably about 0.2% to about 10%, and more preferably about 0.2% to about 5%, of the total weight of the composition.

Croscarmellose sodium is a preferred disintegrant for tablet or capsule disintegration, and, if present, preferably constitutes about 0.2% to about 10%, more preferably about 0.2% to about 7%, and still more preferably about 0.2% to about 5%, of the total weight of the composition. Croscarmellose sodium confers superior intragranular disintegration capabilities to granulated pharmaceutical compositions of the present invention.

Pharmaceutical compositions of the invention optionally comprise one or more pharmaceutically acceptable binding agents or adhesives as excipients, particularly for tablet for-

mulations. Such binding agents and adhesives preferably impart sufficient cohesion to the powder being tableted to allow for normal processing operations such as sizing, lubrication, compression and packaging, but still allow the tablet to disintegrate and the composition to be absorbed upon ingestion. Such binding agents may also prevent or inhibit crystallization or recrystallization of a co-crystal of the present invention once the salt has been dissolved in a solution. Suitable binding agents and adhesives include, but are not limited to, either individually or in combination, acacia; tragacanth; sucrose; gelatin; glucose; starches such as, but not limited to, pregelatinized starches (e.g., NationalTM 1511 and NationalTM 1500); celluloses such as, but not limited to, methylcellulose and carmellose sodium (e.g., TyloseTM); alginic acid and salts of alginic acid; magnesium aluminum silicate; PEG; guar gum; polysaccharide acids; bentonites; povidone, for example povidone K-15, K-30 and K-29/32; polymethacrylates; HPMC; hydroxypropylcellulose (e.g., KlucelTM of Aqualon); and ethylcellulose (e.g., EthocelTM of the Dow Chemical Company). Such binding agents and/or adhesives, if present, constitute in total about 0.5% to about 25%, preferably about 0.75% to about 15%, and more preferably about 1% to about 10%, of the total weight of the pharmaceutical composition.

Many of the binding agents are polymers comprising amide, ester, ether, alcohol or ketone groups and, as such, are preferably included in pharmaceutical compositions of the present invention. Polyvinylpyrrolidones such as povidone K-30 are especially preferred. Polymeric binding agents can have varying molecular weight, degrees of crosslinking, and grades of polymer. Polymeric binding agents can also be copolymers, such as block co-polymers that contain mixtures of ethylene oxide and propylene oxide units. Variation in these units' ratios in a given polymer affects properties and performance. Examples of block co-polymers with varying compositions of block units are Poloxamer 188 and Poloxamer 237 (BASF Corporation).

Pharmaceutical compositions of the invention optionally comprise one or more pharmaceutically acceptable wetting agents as excipients. Such wetting agents are preferably selected to maintain the co-crystal in close association with water, a condition that is believed to improve bioavailability of the composition. Such wetting agents can also be useful in solubilizing or increasing the solubility of co-crystals.

Non-limiting examples of surfactants that can be used as wetting agents in pharmaceutical compositions of the invention include quaternary ammonium compounds, for example benzalkonium chloride, benzethonium chloride and cetylpyridinium chloride, dioctyl sodium sulfosuccinate, polyoxyethylene alkylphenyl ethers, for example nonoxynol 9, nonoxynol 10, and degrees Ctoxynol 9, poloxamers (polyoxyethylene and polyoxypropylene block copolymers), polyoxyethylene fatty acid glycerides and oils, for example polyoxyethylene (8) caprylic/capric mono- and diglycerides (e.g., LabrasolTM of Gattefosse), polyoxyethylene (35) castor oil and polyoxyethylene (40) hydrogenated castor oil; polyoxyethylene alkyl ethers, for example polyoxyethylene (20) cetostearyl ether, polyoxyethylene fatty acid esters, for example polyoxyethylene (40) stearate, polyoxyethylene sorbitan esters, for example polysorbate 20 and polysorbate 80 (e.g., TweenTM 80 of ICI), propylene glycol fatty acid esters, for example propylene glycol laurate (e.g., LauroglycolTM of Gattefosse), sodium lauryl sulfate, fatty acids and salts thereof, for example oleic acid, sodium oleate and triethanolamine oleate, glyceryl fatty acid esters, for example glyceryl monostearate, sorbitan esters, for example sorbitan monolaurate, sorbitan monooleate, sorbitan monopalmitate and sorbi-

tan monostearate, tyloxapol, and mixtures thereof. Such wetting agents, if present, constitute in total about 0.25% to about 15%, preferably about 0.4% to about 10%, and more preferably about 0.5% to about 5%, of the total weight of the pharmaceutical composition.

Wetting agents that are anionic surfactants are preferred. Sodium lauryl sulfate is a particularly preferred wetting agent. Sodium lauryl sulfate, if present, constitutes about 0.25% to about 7%, more preferably about 0.4% to about 4%, and still more preferably about 0.5% to about 2%, of the total weight of the pharmaceutical composition.

Pharmaceutical compositions of the invention optionally comprise one or more pharmaceutically acceptable lubricants (including anti-adherents and/or glidants) as excipients. Suitable lubricants include, but are not limited to, either individually or in combination, glyceryl behenate (e.g., CompritolTM 888 of Gattefosse); stearic acid and salts thereof, including magnesium, calcium and sodium stearates; hydrogenated vegetable oils (e.g., SterotexTM of Abitec); colloidal silica; talc; waxes; boric acid; sodium benzoate; sodium acetate; sodium fumarate; sodium chloride; DL-leucine; PEG (e.g., CarbowaxTM 4000 and CarbowaxTM 6000 of the Dow Chemical Company); sodium oleate; sodium lauryl sulfate; and magnesium lauryl sulfate. Such lubricants, if present, constitute in total about 0.1% to about 10%, preferably about 0.2% to about 8%, and more preferably about 0.25% to about 5%, of the total weight of the pharmaceutical composition.

Magnesium stearate is a preferred lubricant used, for example, to reduce friction between the equipment and granulated mixture during compression of tablet formulations.

Suitable anti-adherents include, but are not limited to, talc, cornstarch, DL-leucine, sodium lauryl sulfate and metallic stearates. Talc is a preferred anti-adherent or glidant used, for example, to reduce formulation sticking to equipment surfaces and also to reduce static in the blend. Talc, if present, constitutes about 0.1% to about 10%, more preferably about 0.25% to about 5%, and still more preferably about 0.5% to about 2%, of the total weight of the pharmaceutical composition.

Glidants can be used to promote powder flow of a solid formulation. Suitable glidants include, but are not limited to, colloidal silicon dioxide, starch, talc, tribasic calcium phosphate, powdered cellulose and magnesium trisilicate. Colloidal silicon dioxide is particularly preferred.

Other excipients such as colorants, flavors and sweeteners are known in the pharmaceutical art and can be used in pharmaceutical compositions of the present invention. Tablets can be coated, for example with an enteric coating, or uncoated. Compositions of the invention can further comprise, for example, buffering agents.

Optionally, one or more effervescent agents can be used as disintegrants and/or to enhance organoleptic properties of pharmaceutical compositions of the invention. When present in pharmaceutical compositions of the invention to promote dosage form disintegration, one or more effervescent agents are preferably present in a total amount of about 30% to about 75%, and preferably about 45% to about 70%, for example about 60%, by weight of the pharmaceutical composition.

According to a particularly preferred embodiment of the invention, an effervescent agent, present in a solid dosage form in an amount less than that effective to promote disintegration of the dosage form, provides improved dispersion of the API in an aqueous medium. Without being bound by theory, it is believed that the effervescent agent is effective to accelerate dispersion of the API from the dosage form in the gastrointestinal tract, thereby further enhancing absorption

and rapid onset of therapeutic effect. When present in a pharmaceutical composition of the invention to promote intragastric dispersion but not to enhance disintegration, an effervescent agent is preferably present in an amount of about 1% to about 20%, more preferably about 2.5% to about 15%, and still more preferably about 5% to about 10%, by weight of the pharmaceutical composition.

An "effervescent agent" herein is an agent comprising one or more compounds which, acting together or individually, evolve a gas on contact with water. The gas evolved is generally oxygen or, most commonly, carbon dioxide. Preferred effervescent agents comprise an acid and a base that react in the presence of water to generate carbon dioxide gas. Preferably, the base comprises an alkali metal or alkaline earth metal carbonate or bicarbonate and the acid comprises an aliphatic carboxylic acid.

Non-limiting examples of suitable bases as components of effervescent agents useful in the invention include carbonate salts (e.g., calcium carbonate), bicarbonate salts (e.g., sodium bicarbonate), sesquicarbonate salts, and mixtures thereof. Calcium carbonate is a preferred base.

Non-limiting examples of suitable acids as components of effervescent agents and/or solid organic acids useful in the invention include citric acid, tartaric acid (as D-, L-, or D/L-tartaric acid), malic acid (as D-, L-, or DL-malic acid), maleic acid, fumaric acid, adipic acid, succinic acid, acid anhydrides of such acids, acid salts of such acids, and mixtures thereof. Citric acid is a preferred acid.

In a preferred embodiment of the invention, where the effervescent agent comprises an acid and a base, the weight ratio of the acid to the base is about 1:100 to about 100:1, more preferably about 1:50 to about 50:1, and still more preferably about 1:10 to about 10:1. In a further preferred embodiment of the invention, where the effervescent agent comprises an acid and a base, the ratio of the acid to the base is approximately stoichiometric.

Excipients which solubilize APIs typically have both hydrophilic and hydrophobic regions, or are preferably amphiphilic or have amphiphilic regions. One type of amphiphilic or partially-amphiphilic excipient comprises an amphiphilic polymer or is an amphiphilic polymer. A specific amphiphilic polymer is a polyalkylene glycol, which is commonly comprised of ethylene glycol and/or propylene glycol subunits. Such polyalkylene glycols can be esterified at their termini by a carboxylic acid, ester, acid anhydride or other suitable moiety. Examples of such excipients include poloxamers (symmetric block copolymers of ethylene glycol and propylene glycol; e.g., poloxamer 237), polyalkylene glycolated esters of tocopherol (including esters formed from a di- or multi-functional carboxylic acid; e.g., d-alpha-tocopherol polyethylene glycol-1000 succinate), and macrogolglycerides (formed by alcoholysis of an oil and esterification of a polyalkylene glycol to produce a mixture of mono-, di- and tri-glycerides and mono- and di-esters; e.g., stearyl macrogol-32 glycerides). Such pharmaceutical compositions are advantageously administered orally.

Pharmaceutical compositions of the present invention can comprise about 10% to about 50%, about 25% to about 50%, about 30% to about 45%, or about 30% to about 35% by weight of a co-crystal; about 10% to about 50%, about 25% to about 50%, about 30% to about 45%, or about 30% to about 35% by weight of an excipient which inhibits crystallization in aqueous solution, in simulated gastric fluid, or in simulated intestinal fluid; and about 5% to about 50%, about 10% to about 40%, about 15% to about 35%, or about 30% to about 35% by weight of a binding agent. In one example, the weight

ratio of the co-crystal to the excipient which inhibits crystallization to binding agent is about 1 to 1 to 1.

Solid dosage forms of the invention can be prepared by any suitable process, not limited to processes described herein.

An illustrative process comprises (a) a step of blending an API of the invention with one or more excipients to form a blend, and (b) a step of tableting or encapsulating the blend to form tablets or capsules, respectively.

In a preferred process, solid dosage forms are prepared by a process comprising (a) a step of blending a co-crystal of the invention with one or more excipients to form a blend, (b) a step of granulating the blend to form a granulate, and (c) a step of tableting or encapsulating the blend to form tablets or capsules respectively. Step (b) can be accomplished by any dry or wet granulation technique known in the art, but is preferably a dry granulation step. A salt of the present invention is advantageously granulated to form particles of about 1 micrometer to about 100 micrometer, about 5 micrometer to about 50 micrometer, or about 10 micrometer to about 25 micrometer. One or more diluents, one or more disintegrants and one or more binding agents are preferably added, for example in the blending step, a wetting agent can optionally be added, for example in the granulating step, and one or more disintegrants are preferably added after granulating but before tableting or encapsulating. A lubricant is preferably added before tableting. Blending and granulating can be performed independently under low or high shear. A process is preferably selected that forms a granulate that is uniform in API content, that readily disintegrates, that flows with sufficient ease so that weight variation can be reliably controlled during capsule filling or tableting, and that is dense enough in bulk so that a batch can be processed in the selected equipment and individual doses fit into the specified capsules or tablet dies.

In an alternative embodiment, solid dosage forms are prepared by a process that includes a spray drying step, wherein an API is suspended with one or more excipients in one or more sprayable liquids, preferably a non-protic (e.g., non-aqueous or non-alcoholic) sprayable liquid, and then is rapidly spray dried over a current of warm air.

A granulate or spray dried powder resulting from any of the above illustrative processes can be compressed or molded to prepare tablets or encapsulated to prepare capsules. Conventional tableting and encapsulation techniques known in the art can be employed. Where coated tablets are desired, conventional coating techniques are suitable.

Excipients for tablet compositions of the invention are preferably selected to provide a disintegration time of less than about 30 minutes, preferably about 25 minutes or less, more preferably about 20 minutes or less, and still more preferably about 15 minutes or less, in a standard disintegration assay.

Pharmaceutically acceptable co-crystals can be administered by controlled- or delayed-release means. Controlled-release pharmaceutical products have a common goal of improving drug therapy over that achieved by their non-controlled release counterparts. Ideally, the use of an optimally designed controlled-release preparation in medical treatment is characterized by a minimum of drug substance being employed to cure or control the condition in a minimum amount of time. Advantages of controlled-release formulations include: 1) extended activity of the drug; 2) reduced dosage frequency; 3) increased patient compliance; 4) usage of less total drug; 5) reduction in local or systemic side effects; 6) minimization of drug accumulation; 7) reduction in blood level fluctuations; 8) improvement in efficacy of treatment; 9) reduction of potentiation or loss of drug activity;

and 10) improvement in speed of control of diseases or conditions. Kim, Chong-ju, Controlled Release Dosage Form Design, 2 (Technomic Publishing, Lancaster, Pa.: 2000).

Conventional dosage forms generally provide rapid or immediate drug release from the formulation. Depending on the pharmacology and pharmacokinetics of the drug, use of conventional dosage forms can lead to wide fluctuations in the concentrations of the drug in a patient's blood and other tissues. These fluctuations can impact a number of parameters, such as dose frequency, onset of action, duration of efficacy, maintenance of therapeutic blood levels, toxicity, side effects, and the like. Advantageously, controlled-release formulations can be used to control a drug's onset of action, duration of action, plasma levels within the therapeutic window, and peak blood levels. In particular, controlled- or extended-release dosage forms or formulations can be used to ensure that the maximum effectiveness of a drug is achieved while minimizing potential adverse effects and safety concerns, which can occur both from under dosing a drug (i.e., going below the minimum therapeutic levels) as well as exceeding the toxicity level for the drug.

Most controlled-release formulations are designed to initially release an amount of drug (active ingredient) that promptly produces the desired therapeutic effect, and gradually and continually release other amounts of drug to maintain this level of therapeutic or prophylactic effect over an extended period of time. In order to maintain this constant level of drug in the body, the drug must be released from the dosage form at a rate that will replace the amount of drug being metabolized and excreted from the body. Controlled-release of an active ingredient can be stimulated by various conditions including, but not limited to, pH, ionic strength, osmotic pressure, temperature, enzymes, water, and other physiological conditions or compounds.

A variety of known controlled- or extended-release dosage forms, formulations, and devices can be adapted for use with the co-crystals and compositions of the invention. Examples include, but are not limited to, those described in U.S. Pat. Nos. 3,845,770; 3,916,899; 3,536,809; 3,598,123; 4,008,719; 5,674,533; 5,059,595; 5,591,767; 5,120,548; 5,073,543; 5,639,476; 5,354,556; 5,733,566; and 6,365,185 B1; each of which is incorporated herein by reference. These dosage forms can be used to provide slow or controlled-release of one or more active ingredients using, for example, hydroxypropylmethyl cellulose, other polymer matrices, gels, permeable membranes, osmotic systems (such as OROS®) (Alza Corporation, Mountain View, Calif. USA)), multilayer coatings, microparticles, liposomes, or microspheres or a combination thereof to provide the desired release profile in varying proportions. Additionally, ion exchange materials can be used to prepare immobilized, adsorbed co-crystals and thus effect controlled delivery of the drug. Examples of specific anion exchangers include, but are not limited to, Duolite® A568 and Duolite® AP143 (Rohm & Haas, Spring House, Pa. USA).

One embodiment of the invention encompasses a unit dosage form which comprises a pharmaceutically acceptable co-crystal, or a solvate, hydrate, dehydrate, anhydrous, or amorphous form thereof, and one or more pharmaceutically acceptable excipients or diluents, wherein the pharmaceutical composition or dosage form is formulated for controlled-release. Specific dosage forms utilize an osmotic drug delivery system.

A particular and well-known osmotic drug delivery system is referred to as OROS® (Alza Corporation, Mountain View, Calif. USA). This technology can readily be adapted for the delivery of compounds and compositions of the invention.

Various aspects of the technology are disclosed in U.S. Pat. Nos. 6,375,978 B1; 6,368,626 B1; 6,342,249 B1; 6,333,050 B2; 6,287,295 B1; 6,283,953 B1; 6,270,787 B1; 6,245,357 B1; and 6,132,420; each of which is incorporated herein by reference. Specific adaptations of OROS® that can be used to administer compounds and compositions of the invention include, but are not limited to, the OROS® Push-Pull™, Delayed Push-Pull™, Multi-Layer Push-Pull™, and Push-Stick™ Systems, all of which are well known. See, e.g., <http://www.alza.com>. Additional OROS® systems that can be used for the controlled oral delivery of compounds and compositions of the invention include OROS®-CT and L-OROS®. Id.; see also, Delivery Times, vol. II, issue II (Alza Corporation).

Conventional OROS® oral dosage forms are made by compressing a drug powder (e.g. co-crystal) into a hard tablet, coating the tablet with cellulose derivatives to form a semi-permeable membrane, and then drilling an orifice in the coating (e.g., with a laser). Kim, Chong-ju, Controlled Release Dosage Form Design, 231-238 (Technomic Publishing, Lancaster, Pa.: 2000). The advantage of such dosage forms is that the delivery rate of the drug is not influenced by physiological or experimental conditions. Even a drug with a pH-dependent solubility can be delivered at a constant rate regardless of the pH of the delivery medium. But because these advantages are provided by a build-up of osmotic pressure within the dosage form after administration, conventional OROS® drug delivery systems cannot be used to effectively deliver drugs with low water solubility. Id. at 234. Because co-crystals of this invention can be far more soluble in water than the API itself, they are well suited for osmotic-based delivery to patients. This invention does, however, encompass the incorporation of conventional crystalline API (e.g. pure API without co-crystal former), and non-salt isomers and isomeric mixtures thereof, into OROS® dosage forms.

A specific dosage form of the invention comprises: a wall defining a cavity, the wall having an exit orifice formed or formable therein and at least a portion of the wall being semipermeable; an expandable layer located within the cavity remote from the exit orifice and in fluid communication with the semipermeable portion of the wall; a dry or substantially dry state drug layer located within the cavity adjacent to the exit orifice and in direct or indirect contacting relationship with the expandable layer; and a flow-promoting layer interposed between the inner surface of the wall and at least the external surface of the drug layer located within the cavity, wherein the drug layer comprises a co-crystal, or a solvate, hydrate, dehydrate, anhydrous, or amorphous form thereof. See U.S. Pat. No. 6,368,626, the entirety of which is incorporated herein by reference.

Another specific dosage form of the invention comprises: a wall defining a cavity, the wall having an exit orifice formed or formable therein and at least a portion of the wall being semipermeable; an expandable layer located within the cavity remote from the exit orifice and in fluid communication with the semipermeable portion of the wall; a drug layer located within the cavity adjacent the exit orifice and in direct or indirect contacting relationship with the expandable layer; the drug layer comprising a liquid, active agent formulation absorbed in porous particles, the porous particles being adapted to resist compaction forces sufficient to form a compacted drug layer without significant exudation of the liquid, active agent formulation, the dosage form optionally having a placebo layer between the exit orifice and the drug layer, wherein the active agent formulation comprises a co-crystal, or a solvate, hydrate, dehydrate, anhydrous, or amorphous

form thereof. See U.S. Pat. No. 6,342,249, the entirety of which is incorporated herein by reference.

The invention will now be described in further detail, by way of example, with reference to the accompanying drawings.

EXEMPLIFICATION

General Methods for the Preparation of Co-Crystals

a) High Throughput Crystallization Using the CrystalMax Platform

CrystalMax™ comprises a sequence of automated, integrated high throughput robotic stations capable of rapid generation, identification and characterization of polymorphs, salts, and co-crystals of APIs and API candidates. Worksheet generation and combinatorial mixture design is carried out using proprietary design software InForm™. Typically, an API or an API candidate is dispensed from an organic solvent into tubes and dried under a stream of nitrogen. Salts and/or co-crystal formers may also be dispensed and dried in the same fashion. Water and organic solvents may be combinatorially dispensed into the tubes using a multi-channel dispenser. Each tube in a 96-tube array is then sealed within 15 seconds of combinatorial dispensing to avoid solvent evaporation. The mixtures are then rendered supersaturated by heating to 70 degrees C. for 2 hours followed by a 1 degree C./minute cooling ramp to 5 degrees C. Optical checks are then conducted to detect crystals and/or solid material. Once a solid has been identified in a tube, it is isolated through aspiration and drying. Raman spectra are then obtained on the solids and cluster classification of the spectral patterns is performed using proprietary software (QForm™).

b) Crystallization from Solution

Co-crystals may be obtained by dissolving the separate components in a solvent and adding one to the other. The co-crystal may then precipitate or crystallize as the solvent mixture is evaporated slowly. The co-crystal may also be obtained by dissolving the two components in the same solvent or a mixture of solvents.

c) Crystallization from the Melt

A co-crystal may be obtained by melting the two components together and allowing recrystallization to occur. In some cases, an anti-solvent may be added to facilitate crystallization.

d) Thermal Microscopy

A co-crystal may be obtained by melting the higher melting component on a glass slide and allowing it to recrystallize. The second component is then melted and is also allowed to recrystallize. The co-crystal may form as a separated phase/band in between the eutectic bands of the two original components.

e) Mixing and/or Grinding

A co-crystal may be obtained by mixing or grinding two components together in the solid state.

Analytical Methods

Procedure for DSC Analysis

DSC analysis of the samples was performed using a Q1000 Differential Scanning Calorimeter (TA Instruments, New Castle, Del., U.S.A.), which uses Advantage for QW-Series, version 1.0.0.78, Thermal Advantage Release 2.0 (©2001 TA Instruments-Water LLC). In addition, the analysis software used was Universal Analysis 2000 for Windows 95/95/2000/NT, version 3.1 E; Build 3.1.0.40 (©2001 TA Instruments-Water LLC).

For the DSC analysis, the purge gas used was dry nitrogen, the reference material was an empty aluminum pan that was crimped, and the sample purge was 50 mL/minute.

DSC analysis of the sample was performed by placing ≤ 2 mg of sample in an aluminum pan with a crimped pan closure. The starting temperature was typically 20 degrees C. with a heating rate of 10 degrees C./minute, and the ending temperature was 300 degrees C. Unless otherwise indicated, all reported transitions are as stated ± 10 degrees C.

Procedure for TGA Analysis

TGA analysis of samples was performed using a Q500 Thermogravimetric Analyzer (TA Instruments, New Castle, Del., U.S.A.), which uses Advantage for QW-Series, version 1.0.0.78, Thermal Advantage Release 2.0 (82001 TA Instruments-Water LLC). In addition, the analysis software used was Universal Analysis 2000 for Windows 95/95/2000/NT, version 3.1E; Build 3.1.0.40 (©2001 TA Instruments-Water LLC).

For all of the TGA experiments, the purge gas used was dry nitrogen, the balance purge was 40 mL/minute N₂, and the sample purge was 60 mL/minute N₂.

TGA of the sample was performed by placing ≤ 2 mg of sample in a platinum pan. The starting temperature was typically 20 degrees C. with a heating rate of 10 degrees C./minute, and the ending temperature was 300 degrees C.

Procedure for PXRD Analysis

A powder X-ray diffraction pattern for the samples was obtained using a D/Max Rapid, Contact (Rigaku/MS, The Woodlands, Tex., U.S.A.), which uses as its control software RINT Rapid Control software, Rigaku Rapid/XRD, version 1.0.0 (©1999 Rigaku Co.). In addition, the analysis software used were RINT Rapid display software, version 1.18 (Rigaku/MS), and JADE XRD Pattern Processing, versions 5.0 and 6.0 (©1995-2002, Materials Data, Inc.).

For the PXRD analysis, the acquisition parameters were as follows: source was Cu with a K line at 1.5406 Å; x-y stage was manual; collimator size was 0.3 or 0.8 mm; capillary tube (Charles Supper Company, Natick, Mass., U.S.A.) was 0.3 mm ID; reflection mode was used; the power to the X-ray tube was 46 kV; the current to the X-ray tube was 40 mA; the omega-axis was oscillating in a range of 0-5 degrees at a speed of 1 degree/minute; the phi-axis was spinning at an angle of 360 degrees at a speed of 2 degrees/second; 0.3 or 0.8 mm collimator; the collection time was 60 minutes; the temperature was room temperature; and the heater was not used. The sample was presented to the X-ray source in a boron rich glass capillary.

In addition, the analysis parameters were as follows: the integration 2-theta range was 2-40 or 60 degrees; the integration chi range was 0-360 degrees; the number of chi segments was 1; the step size used was 0.02; the integration utility was cylint; normalization was used; dark counts were 8; omega offset was 180; and chi and phi offsets were 0.

The relative intensity of peaks in a diffractogram is not necessarily a limitation of the PXRD pattern because peak intensity can vary from sample to sample, e.g., due to crystalline impurities. Further, the angles of each peak can vary by about ± 0.1 degrees, preferably ± 0.05 . The entire pattern or most of the pattern peaks may also shift by about ± 0.1 degree due to differences in calibration, settings, and other variations from instrument to instrument and from operator to operator.

Procedure for Raman Acquisition Filtering and Binning Acquisition

The sample was either left in the glass vial in which it was processed or an aliquot of the sample was transferred to a glass slide. The glass vial or slide was positioned in the sample chamber. The measurement was made using an Almega™ Dispersive Raman (Almega™ Dispersive Raman, Thermo-Nicolet, 5225 Verona Road, Madison, Wis. 53711-

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4495) system fitted with a 785 nm laser source. The sample was manually brought into focus using the microscope portion of the apparatus with a 10×power objective (unless otherwise noted), thus directing the laser onto the surface of the sample. The spectrum was acquired using the parameters outlined in Table A. (Exposure times and number of exposures may vary; changes to parameters will be indicated for each acquisition.)

Filtering and Binning

Each spectrum in a set was filtered using a matched filter of feature size 25 to remove background signals, including glass contributions and sample fluorescence. This is particularly important as large background signal or fluorescence limit the ability to accurately pick and assign peak positions in the subsequent steps of the binning process. Filtered spectra were binned using the peak pick and bin algorithm with the parameters given in Table B. The sorted cluster diagrams for each sample set and the corresponding cluster assignments for each spectral file were used to identify groups of samples with similar spectra, which was used to identify samples for secondary analyses.

TABLE A

Raman Spectral acquisition parameters	
Parameter	Setting Used
Exposure time (s)	2.0
Number of exposures	10
Laser source wavelength (nm)	785
Laser power (%)	100
Aperture shape	pin hole
Aperture size (um)	100
Spectral range	104-3428
Grating position	Single
Temperature at acquisition (degrees C.)	24.0

TABLE B

Raman Filtering and Binning Parameters	
Parameter	Setting Used
<u>Filtering Parameters</u>	
Filter type	Matched
Filter size	25
<u>QC Parameters</u>	
Peak Height Threshold	1000
Region for noise test (cm ⁻¹)	0-10000
RMS noise threshold	10000
Automatically eliminate failed spectra	Yes
<u>Region of Interest</u>	
Include (cm ⁻¹)	104-3428
Exclude region I (cm ⁻¹)	
Exclude region II (cm ⁻¹)	
Exclude region III (cm ⁻¹)	
Exclude region IV (cm ⁻¹)	
<u>Peak Pick Parameters</u>	
Peak Pick Sensitivity	Variable
Peak Pick Threshold	100
<u>Peak Comparison Parameters</u>	
Peak Window (cm ⁻¹)	2
<u>Analysis Parameters</u>	
Number of clusters	Variable

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Procedure for Single Crystal X-Ray Diffraction

Single crystal x-ray data were collected on a Bruker SMART-APEX CCD diffractometer (M. J. Zawarotko, Department of Chemistry, University of South Florida). Lattice parameters were determined from least squares analysis. Reflection data was integrated using the program SAINT. The structure was solved by direct methods and refined by full matrix least squares using the program SHELXTL (Sheldrick, G. M. SHELXTL, Release 5.03; Siemens Analytical X-ray Instruments Inc.: Madison, Wis.).

The co-crystals of the present invention can be characterized, e.g., by the TGA or DSC data or by any one, any two, any three, any four, any five, any six, any seven, any eight, any nine, any ten, or any single integer number of PXRD 2-theta angle peaks or Raman shift peaks listed herein or disclosed in a figure, or by single crystal x-ray diffraction data.

Example 1

1:1 carbamazepine:saccharin co-crystals (Form I) were prepared. A 12-block experiment was designed with 12 solvents. 1152 crystallization experiments were carried out using the CMAX platform. The co-crystal was obtained from a mixture of isopropyl acetate and heptane. Detailed characterization of the co-crystal is listed in Table V. (See FIGS. 1 and 2)

Example 2

1:1 carbamazepine:nicotinamide co-crystals (Form I) were prepared. A 12-block experiment was designed with 12 solvents. 1152 crystallization experiments were carried out using the CMAX platform. The co-crystal was obtained from samples containing toluene, acetone, or isopropyl acetate. Detailed characterization of the co-crystal is listed in Table V. (See FIGS. 3 and 4)

Example 3

1:1 carbamazepine:trimesic acid co-crystals (Form I) were prepared. A 9-block experiment was designed with 10 solvents. 864 crystallization experiments with 8 co-crystal formers and 3 concentrations were carried out using the CMAX platform. The co-crystal was obtained from samples containing methanol. Detailed characterization of the co-crystal is listed in Table V. (See FIG. 5)

Example 4

1:1 celecoxib:nicotinamide co-crystals were prepared. Celecoxib (100 mg, 0.26 mmol) and nicotinamide (32.0 mg, 0.26 mmol) were each dissolved in acetone (2 mL). The two solutions were mixed and the resulting mixture was allowed to evaporate slowly overnight. The precipitated solid was collected and characterized. Detailed characterization of the co-crystal is listed in Table V.

Example 5

Co-crystals of topiramate and 18-crown-6 were prepared. An equimolar amount of topiramate and 18-crown-6 were dissolved in ether separately. The solution containing topiramate was then added to the solution containing 18-crown-6. A white solid precipitated after minor agitation and was collected and dried. Detailed characterization of the co-crystal is listed in Table V. (See FIGS. 6 and 7)

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Example 6

Co-crystals of olanzapine and nicotinamide (Form I and II) were prepared. A 9-block experiment was designed with 12 solvents. 864 crystallization experiments with 10 co-crystal formers and 3 concentrations were carried out using the CMAX platform. The co-crystal was obtained from tubes containing isopropyl acetate. PXRD and DSC characterization of the co-crystal (Form I and II) is listed in Table V. (See FIGS. 8, 9, and 30)

Example 7

Co-crystals of celecoxib and 18-crown-6 were prepared. A solution of celecoxib (157.8 mg, 0.4138 mmol) in Et₂O (10.0 mL) was added to 18-crown-6 (118.1 mg, 0.447 mmol). The opaque solid dissolves immediately and a white solid subsequently began to crystallize very rapidly. The solid was collected via filtration and was washed with additional Et₂O (5 mL). Detailed characterization of the co-crystal is listed in Table V. (See FIGS. 10 and 11)

Example 8

Co-crystals of itraconazole and succinic acid were prepared. Approximately 51.1 mg of cis-itraconazole free base, 0.75 mL of THF, and a magnetic stir bar were charged into a screw cap vial, heated to reflux to dissolve, and then the vial was closed with the screw cap and placed on top of a hot plate maintained at a temperature between 60 and 75 degrees C. A solution of 77.7 mg of succinic acid in 1.58 mL of THF was prepared. 0.20 mL of the succinic acid solution was added to the cis-itraconazole solution and the solution remained clear. 0.75 mL of iso-propylacetate was added and the solution was seeded with <1 mg of the L-tartaric acid co-crystal salt from Example 10 below. The heat was turned off and the sample crystallized as it cooled to room temperature. The cooled sample was suction filtered. It was rinsed with 0.2-0.3 mL of THF. The filter cake was broken-up and allowed to air-dry for 1 hour prior to analysis. (See FIGS. 12 and 13)

Example 9

Co-crystals of itraconazole and fumaric acid were prepared. Approximately 500 mg of cis-itraconazole free base was placed in a 50 mL screw top bottle along with 33.33 mL of tetrahydrofuran (THF). 3.0887 mL of fumaric acid stock solution (prepared in Example 1) was then added to the beaker (resulting in a 1.05:1 ratio of salt former to free base). The cap was screwed on to seal the bottle and the bottle was placed in a 70 degrees C. oven (Model # 1400E, VWR Scientific) and heated for approximately 1 hour. Thereafter, the bottle was removed from the oven, the cap from the bottle was removed, and the sample was allowed to evaporate under flowing air under ambient conditions. When all but about 5 mL of the solvent had evaporated, the remaining solvent was removed by decantation and the solid was isolated by filtering over a Whatman filter using suction. This solid was returned back into the 50 mL bottle with the remaining solid and the bottle was placed into the vacuum oven at approximately 25 mm Hg and the solid was allowed to dry for 4 days prior to analysis. (See FIGS. 14 and 15)

Example 10

Co-crystals of itraconazole and tartaric acid were prepared. Approximately 100.4 mg of cis-itraconazole free base, 0.90

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mL of THF, and a magnetic stir bar were charged into a screw cap vial, heated to reflux to dissolve, and then the vial was closed with the screw cap and placed in an oil bath maintained at 70 degrees C. A solution of 138.5 mg of L(+) tartaric acid in 1.15 mL of THF was prepared. 0.21 mL of the L(+) tartaric acid solution was added to the cis-itraconazole solution and the solution remained clear. 0.90 mL of iso-propylacetate was added and the solution was seeded with <1 mg of the salt from a preparation of DL-tartaric acid co-crystal. The sample was allowed to crystallize over about 5 minutes in the 70 degrees C. oil bath before it was removed and allowed to cool to room temperature. The cooled sample was suction filtered. It was rinsed with 0.2-0.3 mL of THF. The filter cake was broken-up and allowed to air-dry for 4 hours prior to analysis. (See FIGS. 16 and 17)

Example 11

Co-crystals of itraconazole and malic acid were prepared. To prepare the L-malic acid co-crystal salt of cis-itraconazole, 100.4 mg of cis-itraconazole free base, 0.50 mL of THF, and a magnetic stir bar were charged into a screw cap vial. A solution of 191.3 mg of L(-) malic acid in 5.0 mL of THF was prepared. 0.50 mL of the L-malic acid solution was added to the vial containing cis-itraconazole and the solution was heated with a heat gun to dissolve. The solution was allowed to cool and was then seeded with <1 mg of the salt from cis-itraconazole-L-tartaric acid co-crystal. The cooled crystals were filtered in a centrifuge filter tube. The filter cake was broken-up and allowed to air-dry prior to analysis. (See FIGS. 18 and 19)

Example 12

Co-crystals of itraconazole HCl and tartaric acid were prepared. Approximately 212.7 mg of L-tartaric acid and 118 microL of 37% HCl were dissolved in 25 mL of hot dioxane. This solution was added to 1.0 g of cis-itraconazole dissolved in 50 mL of hot dioxane with stirring. The mixture was heated until a clear solution formed and was then allowed to cool to room temperature. Upon cooling, 50 mL tert-butyl methyl ether was added and the crystals were harvested by vacuum filtration on a Buchner funnel with #4 Whatman filter paper. The crystals were washed 3 times with 5 mL aliquots of cold tert-butyl methyl ether and left to air dry. Approximately 573 mg of a crystalline form of cis-itraconazole HCl-tartaric acid (1:1:0.5) co-crystal were obtained. (See FIGS. 20 and 21)

Example 13

Co-crystals of modafinil and malonic acid were prepared. Using a 250 mg/mL modafinil-acetic acid solution, malonic acid was dissolved on a hotplate (about 67 degrees C.) at a 1:2 modafinil to malonic acid ratio. The mixture was dried under flowing nitrogen overnight. A powdery white solid was produced. After further drying for 1 day, acetic acid is removed (as determined by TGA) and the crystal structure, as determined by PXRD, remains the same. (See FIG. 22)

Example 14

Co-crystals of modafinil and benzamide were prepared. Modafinil (1 mg, 0.0037 mmol) and benzamide (0.45 mg, 0.0037 mmol) were dissolved in 1,2-dichloroethane (400 microL). The solution was allowed to evaporate to dryness

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and the resulting solid was characterized using PXRD. PXRD data for the co-crystal is listed in Table V. (See FIG. 23)

Example 15

Co-crystals of modafinil and mandelic acid were prepared. Modafinil (1 mg, 0.0037 mmol) and mandelic acid (0.55 mg, 0.0037 mmol) were dissolved in acetone (400 microL). The solution was allowed to evaporate to dryness and the resulting solid was characterized using PXRD. PXRD data for the co-crystal is listed in Table V. (See FIG. 24)

Example 16

Co-crystals of modafinil and glycolic acid were prepared. Modafinil (1 mg, 0.0037 mmol) and glycolic acid (0.30 mg, 0.0037 mmol) were dissolved in acetone (400 microL). The solution was allowed to evaporate to dryness and the resulting solid was characterized using PXRD. PXRD data for the co-crystal is listed in Table V. (See FIG. 25)

Example 17

Co-crystals of modafinil and fumaric acid were prepared. Modafinil (1 mg, 0.0037 mmol) and fumaric acid (0.42 mg, 0.0037 mmol) were dissolved in 1,2-dichloroethane (400 microL). The solution was allowed to evaporate to dryness and the resulting solid was characterized using PXRD. PXRD data for the co-crystal is listed in Table V. (See FIG. 26)

Example 18

Co-crystals of modafinil and maleic acid were prepared. Using a 250 mg/ml modafinil-acetic acid solution, maleic acid was dissolved on a hotplate (about 67 degrees C.) at a 2:1 modafinil to maleic ratio. The mixture was dried under flowing nitrogen overnight. A clear amorphous material remained. Solids began to grow after 2 days stored in a sealed vial at room temperature. (See FIG. 43)

Example 19

Co-crystals of olanzapine and nicotinamide (Form III) were prepared. Olanzapine (40 μ L of 25 mg/mL stock solution in tetrahydrofuran) and nicotinamide (37.6 μ L of 20 mg/mL stock solution in methanol) were added to a glass vial and dried under a flow of nitrogen. To the solid mixture was added isopropyl acetate (100 μ L) and the vial was sealed with an aluminum cap. The suspension was then heated at 70 degrees C. for two hours in order to dissolve all of the solid material. The solution was then cooled to 5 degrees C. and maintained at that temperature for 24 hours. After 24 hours the vial was uncapped and the mixture was concentrated to 50 μ L of total volume. The vial was then resealed with an aluminum cap and was maintained at 5 degrees C. for an additional 24 hours. Large, yellow plates were observed and were collected (Form III). The solid was characterized with single crystal x-ray diffraction and powder x-ray diffraction. PXRD characterization of the co-crystal is listed in Table V. (See FIGS. 31 and 32A-D)

Single crystal x-ray analysis reveals that the olanzapine: nicotinamide (Form III) co-crystal is made up of a ternary system containing olanzapine, nicotinamide, water and isopropyl acetate in the unit cell. The co-crystal crystallizes in the monoclinic space group $P2_1/c$ and contains one olanzapine, one nicotinamide, 4 waters and one isopropyl acetate solvate in the asymmetric unit. The packing diagram is made

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up of a two-dimensional hydrogen-bonded network with the water molecules connecting the olanzapine and nicotinamide moieties. The packing diagram is also comprised of alternating olanzapine and nicotinamide layers connected through hydrogen bonding via the water and isopropyl acetate molecules, as shown in FIG. 32B. The olanzapine layer propagates along the b axis at $c/4$ and $3c/4$. The nicotinamide layer propagates along the b axis at $c/2$. The top of FIG. 32C illustrates the nicotinamide superstructure. The nicotinamide molecules form dimers which hydrogen bond to chains of 4 water molecules. The water chains terminate with isopropyl acetate molecules on each side.

Crystal data: $C_{45}H_{64}N_{10}O_7S_2$, $M=921.18$, monoclinic $P2_1/c$; $a=14.0961(12)$ Å, $b=12.5984(10)$ Å, $c=27.219(2)$ Å, $\alpha=90^\circ$, $\beta=97.396(2)^\circ$, $\gamma=90^\circ$, $T=100(2)$ K, $Z=4$, $D_c=1.276$ Mg/m³, $U=4793.6(7)$ Å³, $\lambda=0.71073$ Å; 24952 reflections measured, 8457 unique ($R_{int}=0.0882$). Final residuals were $R_1=0.0676$, $wR_2=0.1461$ for $I>2\sigma(I)$, and $R_1=0.1187$, $wR_2=0.1687$ for all 8457 data.

Example 20

Co-crystals of 5-fluorouracil and urea were prepared. To 5-fluorouracil (1 g, 7.69 mmol) and urea (0.46 g, 7.69 mmol) was added methanol (100 mL). The solution was heated at 65 degrees C. and sonicated until all the material dissolved. The solution was then cooled to 5 degrees C. and maintained at that temperature overnight. After about 3 days a white precipitate was observed and collected. The solid was characterized by DSC, PXRD, Raman spectroscopy, and TGA. Characterization data are listed in Table V. (See FIGS. 33-36)

Example 21

Co-crystals of hydrochlorothiazide and nicotinic acid were prepared. Hydrochlorothiazide (12.2 mg, 0.041 mmol) and nicotinic acid (5 mg, 0.041 mmol) were dissolved in methanol (1 mL). The solution was then cooled to 5 degrees C. and maintained at that temperature for 12 hours. A white solid precipitated and was collected and characterized using PXRD. (See FIG. 37)

Example 22

Co-crystals of hydrochlorothiazide and 18-crown-6 were prepared. Hydrochlorothiazide (100 mg, 0.33 mmol) was dissolved in diethyl ether (15 mL) and was added to a solution of 18-crown-6 (87.2 mg, 0.33 mmol) in diethyl ether (15 mL). A white precipitate immediately began to form and was collected and characterized as the hydrochlorothiazide: 18-crown-6 co-crystal using PXRD. (See FIG. 38)

Example 23

Co-crystals of hydrochlorothiazide and piperazine were prepared. Hydrochlorothiazide (17.3 mg, 0.058 mmol) and piperazine (5 mg, 0.058 mmol) were dissolved in a 1:1 mixture of ethyl acetate and acetonitrile (1 mL). The solution was then cooled to 5 degrees C. and maintained at that temperature for 12 hours. A white solid precipitated and was collected and characterized using PXRD. (See FIG. 39)

Example 24

Acetaminophen:4,4'-bipyridine:water (1:1:1 stoichiometry) 50 mg (0.3307 mmol) acetaminophen and 52 mg (0.3329 mmol) 4,4'-bipyridine were dissolved in hot water and

allowed to stand. Slow evaporation yielded colorless needles of a 1:1:1 acetaminophen/4,4'-bipyridine/water co-crystal, as shown in FIG. 44A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $C_{36}H_{44}N_2O_4$, $M=339.84$, triclinic, space group $P1$, $a=7.0534(8)$, $b=9.5955(12)$, $c=19.3649(2)$ Å, $\alpha=86.326(2)^\circ$, $\beta=80.291(2)^\circ$, $\gamma=88.880(2)^\circ$, $U=1308.1(3)$ Å³, $T=200(2)$ K, $Z=2$, $\mu(Mo-K\alpha)=0.090$ mm⁻¹, $D_c=1.294$ Mg/m³, $\lambda=0.71073$ Å, $F(000)=537$, $2\theta_{max}=25.02^\circ$; 6289 reflections measured, 4481 unique ($R_{int}=0.0261$). Final residuals for 344 parameters were $R_1=0.0751$, $wR_2=0.2082$ for $I>2\sigma(I)$, and $R_1=0.1119$, $wR_2=0.2377$ for all 4481 data.

Crystal packing: The co-crystals contain bilayered sheets in which water molecules act as a hydrogen bonded bridge between the network bipyridine moieties and the acetaminophen. Bipyridine guests are sustained by π - π stacking interactions between two network bipyridines. The layers stack via π - π interactions between the phenyl groups of the acetaminophen moieties.

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 57.77 degrees C. (endotherm); m.p.=58-60 degrees C. (MEL-TEMP); (acetaminophen m.p.=169 degrees C., 4,4'-bipyridine m.p.=111-114 degrees C.).

Example 25

Phenylol:Pyridone (1:1 stoichiometry)

28 mg (0.1109 mmol) phenylol and 11 mg (0.1156 mmol) 4-hydroxypyridone were dissolved in 2 mL acetone and 1 mL ethanol with heating and stirring. Slow evaporation yielded colorless needles of a 1:1 phenylol/pyridone co-crystal, as shown in FIG. 45A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $C_{20}H_{17}N_3O_3$, $M=347.37$, monoclinic $P2_1/c$; $a=16.6583(19)$, $b=8.8478(10)$, $c=11.9546(14)$ Å, $\beta=96.618(2)^\circ$, $U=1750.2(3)$ Å³, $T=200(2)$ K, $Z=4$, $\mu(Mo-K\alpha)=0.091$ mm⁻¹, $D_c=1.318$ Mg/m³, $\lambda=0.71073$ Å, $F(000)=728$, $2\theta_{max}=56.60^\circ$; 10605 reflections measured, 4154 unique ($R_{int}=0.0313$). Final residuals for 247 parameters were $R_1=0.0560$, $wR_2=0.1356$ for $I>2\sigma(I)$, and $R_1=0.0816$, $wR_2=0.1559$ for all 4154 data.

Crystal packing: The co-crystal is sustained by hydrogen bonding of adjacent phenol molecules between the carbonyl and the amine closest to the tetrahedral carbon, and by hydrogen bonding between pyridone carbonyl functionalities and the amine not involved in phenylol-phenylol interactions. The pyridone carbonyl also hydrogen bonds with adjacent pyridone molecules forming a one-dimensional network.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), characteristic peaks for the co-crystal were identified as: 2° amine found at 3311 cm⁻¹, carbonyl (ketone) found at 1711 cm⁻¹, olephin peak found at 1390 cm⁻¹.

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 233.39 degrees C. (endotherm) and 271.33 degrees C. (endotherm); m.p.=231-233 degrees C. (MEL-TEMP); (phenylol m.p.=295 degrees C., pyridone m.p.=148 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), a 29.09% weight loss starting at 192.80 degrees C., 48.72% weight loss starting at 238.27 degrees C., and 18.38% loss starting at 260.17 degrees C. followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using Cu K α ($\lambda=1.540562$), 30 kV, 15 mA). The powder data were collected over an angular range of 3° to 40°2 θ in continuous scan mode using a step size of 0.02° 2 θ and a scan speed of 2.0°/minute. PXRD: Showed analogous peaks to the

simulated PXRD derived from the single crystal data. In all cases of recrystallization and solid state reaction, experimental (calculated): 5.2 (5.3); 11.1 (11.3); 15.1 (15.2); 16.2 (16.4); 16.7 (17.0); 17.8 (17.9); 19.4 (19.4); 19.8 (19.7); 20.3 (20.1); 21.2 (21.4); 23.3 (23.7); 26.1 (26.4); 26.4 (26.6); 27.3 (27.6); 29.5 (29.9).

Example 26

Aspirin (acetylsalicylic acid):4,4'-bipyridine (2:1 stoichiometry)

50 mg (0.2775 mmol) aspirin and 22 mg (0.1388 mmol) 4,4'-bipyridine were dissolved in 4 mL hexane. 8 mL ether was added to the solution and allowed to stand for one hour, yielding colorless needles of a 2:1 aspirin/4,4'-bipyridine co-crystal, as shown in FIG. 46A-D. Alternatively, aspirin/4,4'-bipyridine (2:1 stoichiometry) can be made by grinding the solid ingredients in a pestle and mortar.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $C_{28}H_{24}N_2O_8$, $M=516.49$, orthorhombic $Pbcn$; $a=28.831(3)$, $b=11.3861(12)$, $c=8.4144(9)$ Å, $U=2762.2(5)$ Å³, $T=173(2)$ K, $Z=4$, $\mu(Mo-K\alpha)=0.092$ mm⁻¹, $D_c=1.242$ Mg/m³, $\lambda=0.71073$ Å, $F(000)=1080$, $2\theta_{max}=25.02^\circ$; 12431 reflections measured, 2433 unique ($R_{int}=0.0419$). Final residuals for 202 parameters were $R_1=0.0419$, $wR_2=0.1358$ for $I>2\sigma(I)$, and $R_1=0.0541$, $wR_2=0.1482$ for all 2433 data.

Crystal packing: The co-crystal contains the carboxylic acid-pyridine heterodimer that crystallizes in the $Pbcn$ space group. The structure is an inclusion compound containing disordered solvent in the channels. In addition to the dominant hydrogen bonding interaction of the heterodimer, π - π stacking of the bipyridine and phenyl groups of the aspirin and hydrophobic interactions contribute to the overall packing interactions.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), characteristic (—COOH) peak at 1679 cm⁻¹ was shifted up and less intense at 1694 cm⁻¹, where as the lactone peak is shifted down slightly from 1750 cm⁻¹ to 1744 cm⁻¹.

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 95.14 degrees C. (endotherm); m.p.=91-96 degrees C. (MEL-TEMP); (aspirin m.p.=1345 degrees C., 4,4'-bipyridine m.p.=111-114 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), weight loss of 9% starting at 22.62 degrees C., 49.06% weight loss starting at 102.97 degrees C. followed by complete decomposition starting at 209.37 degrees C.

Example 27

Ibuprofen:4,4'-Bipyridine (2:1 stoichiometry)

50 mg (0.242 mmol) racemic ibuprofen and 18 mg (0.0960 mmol) 4,4'-bipyridine were dissolved in 5 mL acetone. Slow evaporation of the solvent yielded colorless needles of a 2:1 ibuprofen/4,4'-bipyridine co-crystal, as shown in FIG. 47A-D.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $C_{36}H_{44}N_2O_4$, $M=568.73$, triclinic, space group $P-1$; $a=5.759(3)$, $b=11.683(6)$, $c=24.705(11)$ Å, $\alpha=93.674(11)^\circ$, $\beta=90.880(10)^\circ$, $\gamma=104.045(7)^\circ$, $U=1608.3(13)$ Å³, $\lambda=200(2)$ K, $Z=2$, $\mu(Mo-K\alpha)=0.076$ mm⁻¹, $D_c=1.174$ Mg/m³, $\lambda=0.71073$ Å, $F(000)=612$, $2\theta_{max}=23.29^\circ$; 5208 reflections measured, 3362 unique ($R_{int}=0.0826$). Final residuals for 399 parameters were $R_1=0.0964$, $wR_2=0.2510$ for $I>2\sigma(I)$, and $R_1=0.1775$, $wR_2=0.2987$ for all 3362 data.

Crystal packing: The co-crystal contains ibuprofen/bipyridine heterodimers, sustained by two hydrogen bonded carboxylic acidpyridine supramolecular synthons, arranged in a

herringbone motif that packs in the space group P-1. The heterodimer is an extended version of the homodimer and packs to form a two-dimensional network sustained by π - π stacking of the bipyridine and phenyl groups of the ibuprofen and hydrophobic interactions from the ibuprofen tails.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). Analysis observed stretching of aromatic C—H at 2899 cm^{-1} ; N—H bending and scissoring at 1886 cm^{-1} ; C=O stretching at 1679 cm^{-1} ; C—H out-of-plane bending for both 4,4'-bipyridine and ibuprofen at 808 cm^{-1} and 628 cm^{-1} .

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 64.85 degrees C. (endotherm) and 118.79 degrees C. (endotherm); m.p.=113-120 degrees C. (MEL-TEMP); (ibuprofen m.p.=75-77 degrees C., 4,4'-bipyridine m.p.=111-114 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 13.28% weight loss between room temperature and 100.02 degrees C. immediately followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using Cu K α (λ =1.540562), 30 kV, 15 mA). The powder data were collected over an angular range of 3° to 40° 2 θ in continuous scan mode using a step size of 0.02° 2 θ and a scan speed of 2.0°/minute. PXRD derived from the single crystal data, experimental (calculated): 3.4 (3.6); 6.9 (7.2); 10.4 (10.8); 17.3 (17.5); 19.1 (19.7).

Example 28

Flurbiprofen:4,4'-bipyridine (2:1 stoichiometry)

50 mg (0.2046 mmol) flurbiprofen and 15 mg (0.0960 mmol) 4,4'-bipyridine were dissolved in 3 mL acetone. Slow evaporation of the solvent yielded colorless needles of a 2:1 flurbiprofen/4,4'-bipyridine co-crystal, as shown in FIG. 48A-D.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $\text{C}_{40}\text{H}_{34}\text{F}_2\text{N}_2\text{O}_4$, M=644.69, monoclinic P2 $_1$ /n; a=5.860 (4), b=47.49(3), c=5.928(4) Å, β =107.382 (8)°, U=1574.3 (19) Å³, T=200(2) K, Z=2, $\mu(\text{Mo—K}\alpha)$ =0.096 mm^{-1} , D_c =1.360 Mg/m^3 , λ =0.71073 Å, F(000)=676, $2\theta_{\text{max}}$ =21.69°; 4246 reflections measured, 1634 unique (R_{int} =0.0677). Final residuals for 226 parameters were R_1 =0.0908, wR_2 =0.2065 for $I > 2\sigma(I)$, and R_1 =0.1084, wR_2 =0.2209 for all 1634 data.

Crystal packing: The co-crystal contains flurbiprofen/bipyridine heterodimers, sustained by two hydrogen bonded carboxylic acid/bipyridine supramolecular synthon, arranged in a herringbone motif that packs in the space group P2 $_1$ /n. The heterodimer is an extended version of the homodimer and packs to form a two-dimensional network sustained by π - π stacking and hydrophobic interactions of the bipyridine and phenyl groups of the flurbiprofen.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), aromatic C—H stretching at 3057 cm^{-1} and 2981 cm^{-1} ; N—H bending and scissoring at 1886 cm^{-1} ; C=O stretching at 1690 cm^{-1} ; C=C and C=N ring stretching at 1418 cm^{-1} .

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 162.47 degrees C. (endotherm); m.p.=155-160 degrees C. (MEL-TEMP); (flurbiprofen m.p.=110-111 degrees C., 4,4'-bipyridine m.p.=111-114 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 30.93% weight loss starting at 31.13 degrees C. and a 46.26% weight loss starting at 168.74 degrees C. followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using Cu K α (λ =1.540562), 30 kV, 15 mA), the powder data were collected over an angular range of 3° to 40° 2 θ in continuous scan mode using a step size of 0.02° 2 θ and a scan

speed of 2.0°/minute. PXRD derived from the single crystal data: experimental (calculated): 16.8 (16.8); 17.1 (17.5); 18.1 (18.4); 19.0 (19.0); 20.0 (20.4); 21.3 (21.7); 22.7 (23.0); 25.0 (25.6); 26.0 (26.1); 26.0 (26.6); 26.1 (27.5); 28.2 (28.7); 29.1 (29.7).

Example 29

Flurbiprofen:trans-1,2-bis(4-pyridyl) ethylene (2:1 stoichiometry)

25 mg (0.1023 mmol) flurbiprofen and 10 mg (0.0548 mmol) trans-1,2-bis(4-pyridyl) ethylene were dissolved in 3 mL acetone. Slow evaporation of the solvent yielded colorless needles of a 2:1 flurbiprofen/1,2-bis(4-pyridyl) ethylene co-crystal, as shown in FIG. 49A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $\text{C}_{42}\text{H}_{36}\text{F}_2\text{N}_2\text{O}_4$, M=670.73, monoclinic P2 $_1$ /n; a=5.8697 (9), b=47.357(7), c=6.3587(10) Å, β =109.492(3)°, U=1666.2(4) Å³, T=200(2) K, Z=2, $\mu(\text{Mo—K}\alpha)$ =0.093 mm^{-1} , D_c =1.337 Mg/m^3 , λ =0.71073 Å, F(000)=704, $2\theta_{\text{max}}$ =21.69°, 6977 reflections measured, 2383 unique (R_{int} =0.0383). Final residuals for 238 parameters were R_1 =0.0686, wR_2 =0.1395 for $I > 2\sigma(I)$, and R_1 =0.1403, wR_2 =0.1709 for all 2383 data.

Crystal packing: The co-crystal contains flurbiprofen/1,2-bis(4-pyridyl) ethylene heterodimers, sustained by two hydrogen bonded carboxylic acid-pyridine supramolecular synthons, arranged in a herringbone motif that packs in the space group P2 $_1$ /n. The heterodimer from 1,2-bis(4-pyridyl) ethylene further extends the homodimer relative to example 28 and packs to form a two-dimensional network sustained by π - π stacking and hydrophobic interactions of the bipyridine and phenyl groups of the flurbiprofen.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), aromatic C—H stretching at 2927 cm^{-1} and 2850 cm^{-1} ; N—H bending and scissoring at 1875 cm^{-1} ; C=O stretching at 1707 cm^{-1} ; C=C and C=N ring stretching at 1483 cm^{-1} .

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 100.01 degrees C., 125.59 degrees C. and 163.54 degrees C. (endotherms); m.p.=153-158 degrees C. (MEL-TEMP); (flurbiprofen m.p.=110-111 degrees C., trans-1,2-bis(4-pyridyl) ethylene m.p.=150-153 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 91.79% weight loss starting at 133.18 degrees C. followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using Cu K α (λ =1.540562), 30 kV, 15 mA), the powder data were collected over an angular range of 3° to 40° 2 θ in continuous scan mode using a step size of 0.02° 2 θ and a scan speed of 2.0°/minute. PXRD derived from the single crystal data, experimental (calculated): 3.6 (3.7); 17.3 (17.7); 18.1 (18.6); 18.4 (18.6); 19.1 (19.3); 22.3 (22.5); 23.8 (23.9); 25.9 (26.4); 28.1 (28.5).

Example 30

Carbamazepine:p-Phthalaldehyde (1:1 stoichiometry)

25 mg (0.1058 mmol) carbamazepine and 7 mg (0.0521 mmol) p-phthalaldehyde were dissolved in approximately 3 mL methanol. Slow evaporation of the solvent yielded colorless needles of a 1:1 carbamazepine/p-phthalaldehyde co-crystal, as shown in FIG. 50A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $\text{C}_{38}\text{H}_{30}\text{N}_4\text{O}_4$, M=606.66, monoclinic C2/c; a=29.191 (16), b=4.962(3), c=20.316(11) Å, β =92.105(8)°, U=2941(3) Å³, T=200(2) K, Z=4, $\mu(\text{Mo—K}\alpha)$ =0.090 mm^{-1} , D_c =1.370 Mg/m^3 , λ =0.71073 Å, F(000)=1272, $2\theta_{\text{max}}$ =43.66°, 3831

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reflections measured, 1559 unique ($R_{int}=0.0510$). Final residuals for 268 parameters were $R_1=0.0332$, $wR_2=0.0801$ for $I>2\sigma(I)$, and $R_1=0.0403$, $wR_2=0.0831$ for all 1559 data.

Crystal packing: The co-crystals contain hydrogen bonded carboxamide homodimers that crystallize in the space group $C2/c$. The 1° amines of the homodimer are bifurcated to the carbonyl of the p-phthalaldehyde forming a chain with an adjacent homodimer. The chains pack in a crinkled tape motif sustained by π - π interactions between phenyl rings of the CBZ.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). The 1° amine unsymmetrical and symmetrical stretching was shifted down to 3418 cm^{-1} ; aliphatic aldehyde and 1° amide $C=O$ stretching was shifted up to 1690 cm^{-1} ; $N-H$ in-plane bending at 1669 cm^{-1} ; $C-H$ aldehyde stretching at 2861 cm^{-1} and $H-C=O$ bending at 1391 cm^{-1} .

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 128.46°C . (endotherm), m.p.= $121-124^\circ\text{C}$. (MEL-TEMP), (carbamazepine m.p.= 190.2°C ., p-phthalaldehyde m.p.= 116°C .).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 17.66% weight loss starting at 30.33°C . then a 17.57% weight loss starting at 100.14°C . followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using $\text{Cu K}\alpha$ ($\lambda=1.540562$), 30 kV , 15 mA). The powder data were collected over an angular range of 3° to $40^\circ 2\theta$ in continuous scan mode using a step size of $0.02^\circ 2\theta$ and a scan speed of $2.0^\circ/\text{minute}$. PXRD derived from the single crystal data, experimental (calculated): 8.5 (8.7); 10.6 (10.8); 11.9 (12.1); 14.4 (14.7); 15.1 (15.2); 18.0 (18.1); 18.5 (18.2); 19.8 (18.7); 23.7 (24.0); 24.2 (24.2); 26.4 (26.7); 27.6 (27.9); 27.8 (28.2); 28.7 (29.1); 29.3 (29.6); 29.4 (29.8).

Example 31

Carbamazepine:nicotinamide (Form II) (1:1 stoichiometry)

25 mg (0.1058 mmol) carbamazepine and 12 mg (0.0982 mmol) nicotinamide were dissolved in 4 mL of DMSO, methanol or ethanol. Slow evaporation of the solvent yielded colorless needles of a 1:1 carbamazepine/nicotinamide co-crystal, as shown in FIG. 51.

Using a separate method, 25 mg (0.1058 mmol) carbamazepine and 12 mg (0.0982 mmol) nicotinamide were ground together with mortar and pestle. The solid was determined to be 1:1 carbamazepine/nicotinamide microcrystals (PXRD).

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $\text{C}_{21}\text{H}_{18}\text{N}_4\text{O}_2$, $M=358.39$, monoclinic $P2_1/n$; $a=5.0961$ (8), $b=17.595$ (3), $c=19.647$ (3) \AA , $\beta=90.917$ (3) $^\circ$, $U=1761.5$ (5) \AA^3 , $T=200$ (2) K , $Z=4$, $\mu(\text{Mo}-\text{K}\alpha)=0.090\text{ mm}^{-1}$, $D_c=1.351\text{ Mg/m}^3$, $\lambda=0.71073\text{ \AA}$, $F(000)=752$, $2\theta_{max}=56.600^\circ$, 10919 reflections measured, 4041 unique ($R_{int}=0.0514$). Final residuals for 248 parameters were $R_1=0.0732$, $wR_2=0.1268$ for $I>2\sigma(I)$, and $R_1=0.1161$, $wR_2=0.1430$ for all 4041 data.

Crystal packing: The co-crystals contain hydrogen bonded carboxamide homodimers. The 1° amines are bifurcated to the carbonyl of the nicotinamide on each side of the dimer. The 1° amines of each nicotinamide are hydrogen bonded to the carbonyl of the adjoining dimer. The dimers form chains with π - π interactions from the phenyl groups of the CBZ.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), unsymmetrical and symmetrical stretching shifts down to 3443 cm^{-1} and 3388 cm^{-1} accounting for 1° amines; 1° amide $C=O$ stretching at 1690 cm^{-1} ; $N-H$ in-plane bending at 1614 cm^{-1} ; $C=C$ stretching shifted down to 1579 cm^{-1} ; aromatic H 's from 800 cm^{-1} to 500 cm^{-1} are present.

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Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 74.49°C . (endotherm) and 159.05°C . (endotherm), m.p.= $153-158^\circ\text{C}$. (MEL-TEMP), (carbamazepine m.p.= 190.2°C ., nicotinamide m.p.= $150-160^\circ\text{C}$.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 57.94% weight loss starting at 205.43°C . followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using $\text{Cu K}\alpha$ ($\lambda=1.540562$), 30 kV , 15 mA). The powder data were collected over an angular range of 3° to $40^\circ 2\theta$ in continuous scan mode using a step size of $0.02^\circ 2\theta$ and a scan speed of $2.0^\circ/\text{minute}$. PXRD: Showed analogous peaks to the simulated PXRD derived from the single crystal data. PXRD analysis experimental (calculated): 6.5 (6.7); 8.8 (9.0); 10.1 (10.3); 13.2 (13.5); 15.6 (15.8); 17.7 (17.9); 17.8 (18.1); 18.3 (18.6); 19.8 (20.1); 20.4 (20.7); 21.6 ($22.$); 22.6 (22.8); 22.9 (23.2); 26.4 (26.7); 26.7 (27.0); 28.0 (28.4).

Example 32

Carbamazepine:saccharin (Form II) (1:1 stoichiometry)

25 mg (0.1058 mmol) carbamazepine and 19 mg (0.1037 mmol) saccharin were dissolved in approximately 4 mL ethanol. Slow evaporation of the solvent yielded colorless needles of a 1:1 carbamazepine/saccharin cocrystal, as shown in FIG. 52. Solubility measurements indicate that this multiple-component crystal of carbamazepine has improved solubility over previously known forms of carbamazepine (e.g., increased molar solubility and longer solubility in aqueous solutions).

Crystal data: (Bruker SMART-APEX CCD Diffractometer), $\text{C}_{22}\text{H}_{17}\text{N}_3\text{O}_4\text{S}_1$, $M=419.45$, triclinic $P-1$; $a=7.5140$ (11), $b=10.4538$ (15), $c=12.6826$ (18) \AA , $\alpha=83.642$ (2) $^\circ$, $\beta=85.697$ (2) $^\circ$, $\gamma=75.411$ (2) $^\circ$, $U=957.0$ (2) \AA^3 , $T=200$ (2) K , $Z=2$, $\mu(\text{Mo}-\text{K}\alpha)=0.206\text{ mm}^{-1}$, $D_c=1.456\text{ Mg/m}^3$, $\lambda=0.71073\text{ \AA}$, $F(000)=436$, $2\theta_{max}=56.20^\circ$; 8426 reflections measured, 4372 unique ($R_{int}=0.0305$). Final residuals for 283 parameters were $R_1=0.0458$, $wR_2=0.1142$ for $I>2\sigma(I)$, and $R_1=0.0562$, $wR_2=0.1204$ for all 4372 data.

Crystal packing: The co-crystals contain hydrogen bonded carboxamide homodimers. The 2° amines of the saccharin are hydrogen bonded to the carbonyl of the CBZ on each side forming a tetramer. The crystal has a space group of $P-1$ with π - π interactions between the phenyl groups of the CBZ and the saccharin phenyl groups.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR), unsymmetrical and symmetrical stretching shifts up to 3495 cm^{-1} accounting for 1° amines; $C=O$ aliphatic stretching was shifted up to 1726 cm^{-1} ; $N-H$ in-plane bending at 1649 cm^{-1} ; $C=C$ stretching shifted down to 1561 cm^{-1} ; ($O=S=O$) sulfonyl peak at 1330 cm^{-1} ; $C-N$ aliphatic stretching 1175 cm^{-1} .

Differential Scanning Calorimetry: (TA Instruments 2920 DSC), 75.31°C . (endotherm) and 177.32°C . (endotherm), m.p.= $148-155^\circ\text{C}$. (MEL-TEMP); (carbamazepine m.p.= 190.2°C ., saccharin m.p.= 228.8°C .).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA), 3.342% weight loss starting at 67.03°C . and a 55.09% weight loss starting at 118.71°C . followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using $\text{Cu K}\alpha$ ($\lambda=1.540562$), 30 kV , 15 mA). The powder data were collected over an angular range of 3° to $40^\circ 2\theta$ in continuous scan mode using a step size of $0.02^\circ 2\theta$ and a scan speed of $2.0^\circ/\text{minute}$. PXRD derived from the single crystal data, experimental (calculated): 6.9 (7.0); 12.2 (12.2); 13.6

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(13.8); 14.0 (14.1); 14.1 (14.4); 15.3 (15.6); 15.9 (15.9); 18.1 (18.2); 18.7 (18.8); 20.2 (20.3); 21.3 (21.5); 23.7 (23.9); 26.3 (26.4); 28.3 (28.3).

Example 33

Carbamazepine:2,6-pyridinedicarboxylic acid (2:3 stoichiometry)

36 mg (0.1524 mmol) carbamazepine and 26 mg (0.1556 mmol) 2,6-pyridinedicarboxylic acid were dissolved in approximately 2 mL ethanol. Slow evaporation of the solvent yielded clear needles of a 1:1 carbamazepine/2,6-pyridinedicarboxylic acid co-crystal, as shown in FIG. 54A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer). $C_{22}H_{17}N_3O_5$, $M=403.39$, orthorhombic $P2(1)2(1)2(1)$; $a=7.2122$, $b=14.6491$, $c=17.5864$ Å, $\alpha=90^\circ$, $\beta=90^\circ$, $\gamma=90^\circ$, $V=1858.0(2)$ Å³, $T=100$ K, $Z=4$, $\mu(MO-K\alpha)=0.104$ mm⁻¹, $D_c=1.442$ Mg/m³, $\lambda=0.71073$ Å, $F(000)840$, $2\theta_{max}=28.3$. 16641 reflections measured, 4466 unique ($R_{int}=0.093$). Final residuals for 271 parameters were $R_1=0.0425$ and $wR_2=0.0944$ for $I>2\sigma(I)$.

Crystal packing: Each hydrogen on the CBZ 1° amine is hydrogen bonded to a carbonyl group of a different 2,6-pyridinedicarboxylic acid moiety. The carbonyl of the CBZ carboxamide is hydrogen bonded to two hydroxide groups of one 2,6-pyridinedicarboxylic acid moiety.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). 3439 cm⁻¹, (N—H stretch, 1° amine, CBZ); 1734 cm⁻¹, (C=O); 1649 cm⁻¹, (C=C).

Melting Point: 214-216 degrees C. (MEL-TEMP). (carbamazepine m.p.=191-192 degrees C., 2,6-pyridinedicarboxylic acid m.p.=248-250 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA). 69% weight loss starting at 215 degrees C. and a 17% weight loss starting at 392 degrees C. followed by complete decomposition.

Example 34

Carbamazepine:5-nitroisophthalic acid (1:1 stoichiometry)

40 mg (0.1693 mmol) carbamazepine and 30 mg (0.1421 mmol) 5-nitroisophthalic acid were dissolved in approximately 3 mL methanol or ethanol. Slow evaporation of the solvent yielded yellow needles of a 1:1 carbamazepine/5-nitroisophthalic acid co-crystal, as shown in FIG. 55A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer). $C_{17}H_{14}N_2O_6$, $M=344.36$, monoclinic $C2/c$; $a=34.355$ (8), $b=5.3795$ (13), $c=23.654$ (6) Å, $\alpha=90^\circ$, $\beta=93.952$ (6)°, $\gamma=90^\circ$, $V=4361.2$ (18) Å³, $T=200$ (2) K, $Z=4$, $\mu(MO-K\alpha)=0.110$ mm⁻¹, $D_c=1.439$ Mg/m³, $\lambda=0.71073$ Å, $F(000)1968$, $2\theta_{max}=26.43^\circ$. 11581 reflections measured, 4459 unique ($R_{int}=0.0611$). Final residuals for 311 parameters were $R_1=0.0725$, $wR_2=0.1801$ for $I>2\sigma(I)$, and $R_1=0.1441$, $wR_2=0.1204$ for all 4459 data.

Crystal packing: The co-crystals are sustained by hydrogen bonded carboxylic acid homodimers between the two 5-nitroisophthalic acid moieties and hydrogen bonded carboxamide heterodimers between the carbamazepine and 5-nitroisophthalic acid moiety. There is solvent hydrogen bonded to an additional N—H donor from the carbamazepine moiety.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). 3470 cm⁻¹, (N—H stretch, 1° amine, CBZ); 3178 cm⁻¹, (C—H stretch, alkene); 1688 cm⁻¹, (C=O); 1602 cm⁻¹, (C=C).

Differential Scanning Calorimetry: (TA Instruments 2920 DSC). 190.51 degrees C. (endotherm). m.p.=NA (decom-

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poses at 197-200 degrees C.) (MEL-TEMP). (carbamazepine m.p.=191-192 degrees C., 5-nitroisophthalic acid m.p.=260-261 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA). 32.02% weight loss starting at 202 degrees C., a 12.12% weight loss starting at 224 degrees C. and a 17.94% weight loss starting at 285 degrees C. followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using $CuK\alpha$ ($\lambda=1.540562$), 30 kV, 15 mA). The powder data were collected over an angular range of 3 to 40 2 in continuous scan mode using a step size of 0.02 2 and a scan speed of 2.0/min. PXRD: Showed analogous peaks to the simulated PXRD derived from the single crystal data. PXRD analysis experimental (calculated): 10.138 (10.283), 15.291 (15.607), 17.438 (17.791), 21.166 (21.685), 31.407 (31.738), 32.650 (32.729).

Example 35

Carbamazepine: 1,3,5,7-adamantane tetracarboxylic acid (1:1 stoichiometry)

15 mg (0.1524 mmol) carbamazepine and 20 mg (0.1556 mmol) 1,3,5,7-adamantanetetracarboxylic acid were dissolved in approximately 1 mL methanol or 1 mL ethanol. Slow evaporation of the solvent yields clear plates of a 2:1 carbamazepine/1,3,5,7-adamantanetetracarboxylic acid co-crystal, as shown in FIG. 56A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer). $C_{44}H_{40}N_2O_{10}$, $M=784.80$, monoclinic $C2/c$; $a=18.388$ (4), $b=12.682$ (3), $c=16.429$ (3) Å, $\beta=100.491$ (6)°, $V=3767.1$ (14) Å³, $T=100$ (2) K, $Z=4$, $\mu(MO-K\alpha)=0.099$ mm⁻¹, $D_c=1.384$ Mg/m³, $\lambda=0.71073$ Å, $F(000)1648$, $2\theta_{max}=28.20^\circ$. 16499 reflections measured, 4481 unique ($R_{int}=0.052$). Final residuals for 263 parameters were $R_1=0.0433$ and $wR_2=0.0913$ for $I>2\sigma(I)$.

Crystal packing: The co-crystals form a single 3D network of four tetrahedron, linked by square planes similar to the PtS topology. The crystals are sustained by hydrogen bonding.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). 3431 cm⁻¹, (N—H stretch, 1° amine, CBZ); 3123 cm⁻¹, (C—H stretch, alkene); 1723 cm⁻¹, (C=O); 1649 cm⁻¹, (C=C).

Melting Point: (MEL-TEMP). 258-260 degrees C. (carbamazepine m.p.=191-192 degrees C., adamantanetetracarboxylic acid m.p.=>390 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA). 9% weight loss starting at 189 degrees C., a 52% weight loss starting at 251 degrees C. and a 31% weight loss starting at 374 degrees C. followed by complete decomposition.

Example 36

Carbamazepine:benzoquinone (1:1 stoichiometry)

25 mg (0.1058 mmol) carbamazepine and 11 mg (0.1018 mmol) benzoquinone was dissolved in 2 mL methanol or THF. Slow evaporation of the solvent produced an average yield of yellow crystals of a 1:1 carbamazepine/benzoquinone co-crystal, as shown in FIG. 57A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer). $C_{21}H_{16}N_2O_3$, $M=344.36$, monoclinic $P2(1)/c$; $a=10.3335$ (18), $b=27.611$ (5), $c=4.9960$ (9) Å, $\beta=102.275$ (3)°, $V=1392.9$ (4) Å³, $T=100$ (2) K, $Z=3$, $D_c=1.232$ Mg/m³, $\mu(MO-K\alpha)=0.084$ mm⁻¹, $\lambda=0.71073$ Å, $F(000)540$, $2\theta_{max}=28.24^\circ$. 8392 reflections measured, 3223 unique ($R_{int}=0.1136$). Final residuals for 199 parameters were

$R_1=0.0545$ and $wR_2=0.1358$ for $I>2\sigma(I)$, and $R_1=0.0659$ and $wR_2=0.1427$ for all 3223 data.

Crystal packing: The co-crystals contain hydrogen bonded carboxamide homodimers. Each 1° amine on the CBZ is bifurcated to a carbonyl group of a benzoquinone moiety. The dimers form infinite chains.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). 3420 cm^{-1} , (N—H stretch, 1° amine, CBZ); 2750 cm^{-1} , (aldehyde stretch); 1672 cm^{-1} , (C=O); 1637 cm^{-1} , (C=C, CBZ).

Melting Point: 170 degrees C. (MEL-TEMP). (carbamazepine m.p.=191-192 degrees C., benzoquinone m.p.=115.7 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA). 20.62% weight loss starting at 168 degrees C. and a 78% weight loss starting at 223 degrees C. followed by complete decomposition.

Example 37

Carbamazepine:trimesic Acid (Form II) (1:1 stoichiometry)

36 mg (0.1524 mmol) carbamazepine and 31 mg (0.1475 mmol) trimesic acid were dissolved in a solvent mixture of approximately 2 mL methanol and 2 mL dichloromethane. Slow evaporation of the solvent mixture yielded white starbursts of a 1:1 carbamazepine/trimesic acid co-crystal, as shown in FIG. 58A-B.

Crystal data: (Bruker SMART-APEX CCD Diffractometer). $\text{C}_{24}\text{H}_{18}\text{N}_2\text{O}_7$, $M=446.26$, monoclinic $C2/c$; $a=32.5312(50)$, $b=5.2697(8)$, $c=24.1594(37)$ Å, $\alpha=90^\circ$, $\beta=98.191(3)^\circ$, $\gamma=90^\circ$, $V=4099.39(37)$ Å³, $T=-173$ K, $Z=8$, $\mu(\text{MO}-K\alpha)=0.110\text{ mm}^{-1}$, $D_c=1.439\text{ Mg/m}^3$, $\lambda=0.71073$ Å, $F(000)1968$, $2\theta_{\text{max}}=26.43^\circ$. 11581 reflections measured, 4459 unique ($R_{\text{int}}=0.0611$). Final residuals for 2777 parameters were $R_1=0.1563$, $wR_2=0.1887$ for $I>2\sigma(I)$, and $R_1=0.1441$, $wR_2=0.1204$ for all 3601 data.

Crystal packing: The co-crystals are sustained by hydrogen bonded carboxylic acid homodimers between carbamazepine and trimesic acid moieties and hydrogen bonded carboxylic acid-amine heterodimers between two trimesic acid moieties arranged in a stacked ladder formation.

Infrared Spectroscopy: (Nicolet Avatar 320 FTIR). 3486 cm^{-1} (N—H stretch, 1° amine, CBZ); 1688 cm^{-1} (C=O, 1° amide stretch, CBZ); 1602 cm^{-1} (C=C, CBZ).

Differential Scanning Calorimetry: (TA Instruments 2920 DSC). 273 degrees C. (endotherm). m.p.=NA, decomposes at 278 degrees C. (MEL-TEMP). (carbamazepine m.p.=191-192 degrees C., trimesic acid m.p.=380 degrees C.).

Thermogravimetric Analysis: (TA Instruments 2950 Hi-Resolution TGA). 62.83% weight loss starting at 253 degrees C. and a 30.20% weight loss starting at 278 degrees C. followed by complete decomposition.

Powder x-ray diffraction: (Rigaku Miniflex Diffractometer using $\text{CuK}\alpha$ ($\lambda=1.540562$), 30 kV, 15 mA). The powder data were collected over an angular range of 3 to 40 2 in continuous scan mode using a step size of 0.02 2 and a scan speed of 2.0/min. PXRD analysis experimental: 10.736, 12.087, 16.857, 24.857, 27.857.

TABLE V

Detailed Characterization of Co-Crystals	
All PXRD peaks are in units of degrees 2-theta All Raman shifts are in units of cm^{-1}	
Carbamazepine: Saccharin	
PXRD (Form I): 7.01, 12.07, 14.09, 15.41, 18.47, 20.13, 22.01, 23.57, 24.41, 28.31 (FIG. 1)	
PXRD (Form II): 6.9, 12.2, 13.6, 14.0, 14.1, 15.3, 15.9, 18.1, 18.7, 20.2, 21.3, 23.7, 26.3, 28.3	
DSC (Form I): Broad endotherm at 161.9 degrees C. (FIG. 2)	

TABLE V-continued

Detailed Characterization of Co-Crystals	
5	TGA (Form I): Decomposition above 200 degrees CDSC (Form II): Endothermic transitions at 75.31 and 177.32 degrees C. TGA (Form II): 3.342 percent weight loss starting at 67.03 degrees C., 55.09 percent weight loss starting at 118.71 degrees C., followed by decomposition Method: CMAX
10	Carbamazepine: Nicotinamide PXRD (Form I): 4.97, 6.67, 8.75, 10.25, 13.25, 17.91, 18.49, 19.95, 20.49, 22.73, 24.39, 26.49 (FIG. 3) PXRD (Form II): 6.5, 8.8, 10.1, 13.2, 15.6, 17.7, 17.8, 18.3, 19.8, 20.4, 21.6, 22.6, 22.9, 26.4, 26.7, 28.0 DSC (Form I): Sharp endotherm at 156.9 degrees C. (FIG. 4)
15	TGA (Form I): Decomposition beginning at ~150 degrees CDSC (Form II): Endothermic transitions at 74.49 and 159.05 degrees C. TGA (Form II): 57.94 percent weight loss starting at 205.43 degrees C., followed by decomposition Method: CMAX
20	Carbamazepine: Trimesic acid PXRD (Form I): 10.89, 12.23, 14.83, 16.25, 17.05, 18.13, 18.47, 21.47, 21.95, 24.57, 25.11, 27.99 (FIG. 5) PXRD (Form II): 10.74, 12.09, 16.86, 24.86, 27.86 DSC (Form II): Endothermic transition at 273 degrees C. TGA (Form II): 62.83 percent weight loss starting at 253 degrees C., 30.20 percent weight loss starting at 278 degrees C., followed by decomposition Method: CMAX
25	Celecoxib: Nicotinamide PXRD: 3.77, 7.56, 9.63, 14.76, 15.21, 16.01, 17.78, 18.68, 19.31, 20.44, 21.19, 22.10 DSC: Two endothermic transitions at 117.2 and 118.8 degrees C. and a sharp endotherm at 129.7 degrees C. TGA: Decomposition beginning at ~150 degrees C. Raman: 1617.5, 1598.7, 1452.1, 1370.3, 1162.5, 1044.3, 972.9, 796.4, 631.8, 392.5, 205.9 Method: Slow evaporation of a 1:1 solution from acetone
30	Topiramate: 18-Crown-6 PXRD: 10.79, 11.07, 12.17, 13.83, 16.13, 18.03, 18.51, 18.79, 19.21, 21.43, 22.25, 24.11 (FIG. 6) DSC: Sharp endotherm at 134.7 degrees C., followed by an exotherm at 203 degrees C. (FIG. 7) TGA: Rapid decomposition beginning at ~135 degrees C. and leveling off slightly after 200 degrees C. Raman: 2994.5, 2942.7, 1471.6, 1427.4, 1261.7, 849.4, 804.5, 745.1, 629.2, 280.4, 225.9 Method: Addition of an ether solution containing 1 equivalent of topiramate to an ether solution containing 18-crown-6. Product precipitated following minor agitation of the combined mixture and was collected.
35	Olanzapine: Nicotinamide PXRD (Form I): 4.89, 8.65, 12.51, 14.19, 15.59, 17.15, 19.71, 21.05, 23.95, 24.59, 25.53, 26.71 (FIG. 8) PXRD (Form II): 6.41, 12.85, 18.67, 21.85, 24.37 (FIG. 30) PXRD (Form III): 6.41, 12.85, 14.91, 18.67, 21.85, 24.37 (FIG. 31) DSC (Form I): Slightly broad endotherm at 126.1 degrees C. (FIG. 9) Method: See above
40	Celecoxib: 18-Crown-6 PXRD: 8.73, 11.89, 12.57, 13.13, 15.01, 16.37, 17.03, 17.75, 18.45, 20.75, 22.37, 23.11, 24.33, 24.97, 26.61, 28.15 (FIG. 10) DSC: Sharp endotherm at 189.6 degrees C. (FIG. 11) TGA: Decomposition above 200 degrees C. with a 25% weight loss between ~190-210 degrees C. Method: A solution containing one equivalent of celecoxib in ether was added to a solution containing 18-crown-6. A white solid formed immediately and was collected.
45	Itaconazole: Succinic Acid PXRD: 3.0, 6.0, 8.1, 9.0, 17.1, 24.5 (FIG. 12) DSC: Single endothermic transition at 160.1 degrees C. \pm 1.0 degrees C. (FIG. 13) TGA: Less than 0.1% volatile components by weight Method: See above
50	
55	
60	
65	

TABLE V-continued

Detailed Characterization of Co-Crystals	
5	Itraconazole: Fumaric Acid PXRD: 4.6, 5.9, 9.2, 10.6, 19.1, 20.8 (FIG. 14) DSC: The material had a weak endothermic transition at 141.7 degrees C. and a strong endothermic transition at 179.58 degrees C. (FIG. 15) TGA: The sample loses 0.5% of its weight on the TGA between room temperature and 100 degrees C. Method:
	Itraconazole: Tartaric Acid PXRD: 4.1, 6.2, 8.3, 20.7, 25.6, 26.3 (FIG. 16) DSC: An endothermic transition at 180.74 degrees C. (FIG. 17) TGA: Less than 0.1% volatile components by weight by TGA. Method: See above
	Itraconazole: Malic acid PXRD: 4.4, 5.9, 8.8, 17.7, 20.0, 21.1, 22.6 (FIG. 18) DSC: The sample has a strong endothermic transition at 154.36 degrees C. (FIG. 19) TGA: The sample contained less than 0.1% volatile components by weight Method: See above
	ItraconazoleHCl: Tartaric acid PXRD: 3.7, 11.0, 13.8, 16.5, 17.8 (FIG. 20) DSC: The sample has a peak endothermic transition at 161degrees C. (FIG. 21) TGA: The sample contained less than 0.1% volatile components by weight Method: See above
	Modafinil: Malonic acid PXRD: 5.00, 9.17, 16.81, 18.26, 19.43, 21.36, 21.94, 22.77, 24.49, 25.63, 28.45 (FIG. 22) DSC: Endothermic transition at 106.23 degrees C. (FIG. 40) Raman: 1601, 1183, 1032, 1004, 814, 633, 265, 222 (FIG. 42) Method: See above
10	Modafinil: Benzamide PXRD: 5.11, 9.35, 10.25, 10.79, 14.07, 16.87, 18.33, 19.53, 21.38, 22.05, 22.89, 23.57, 24.73, 25.19, 25.81, 26.51, 28.60 (FIG. 23) Method: Slow evaporation from a 1:1 solution in 1,2-dichloroethane
	Modafinil: Mandelic acid PXRD: 6.11, 6.75, 9.53, 10.31, 14.77, 15.77, 16.99, 18.03, 20.01, 21.61, 22.47, 23.27, 25.27, 25.75, 27.23 (FIG. 24) Method: Slow evaporation from a 1:1 solution in acetone
	Modafinil: Glycolic acid PXRD: 6.09, 9.51, 14.91, 15.97, 19.01, 20.03, 21.59, 22.43, 22.75, 23.75, 25.03, 25.71 (FIG. 25) Method: Slow evaporation from a 1:1 solution in acetone
	Modafinil: Fumaric acid PXRD: 5.87, 7.19, 8.95, 12.49, 13.99, 16.13, 17.09, 18.19, 19.99, 21.57, 23.48, 25.01, 25.79, 28.17, 28.87, 29.69, 32.19 (FIG. 26) Method: Slow evaporation from a 1:1 solution in 1,2-dichloroethane
	Modafinil: Maleic acid PXRD: 4.69, 6.15, 9.61, 10.23, 15.65, 16.53, 17.19, 18.01, 19.27, 19.53, 19.97, 21.83, 22.45, 25.65 (FIG. 43) Method: See above
15	5-fluorouracil: Urea PXRD: 11.23, 12.69, 13.27, 15.93, 16.93, 20.37, 23.65, 25.55, 26.87, 32.49 (FIG. 36) DSC: Sharp endotherm at 207.6 degrees C. (FIG. 33) TGA: 32 percent weight loss between 150 and 220 degrees C. (FIG. 34) Raman: 1347.1, 1024.4, 756.9, 643.7, 545.3 (FIG. 35) Method: See above
	Hydrochlorothiazide: Nicotinic acid PXRD: 8.57, 13.23, 14.31, 16.27, 17.89, 18.75, 21.13, 21.45, 24.41, 25.73, 26.57, 27.43 (FIG. 37) Method: See above
	Hydrochlorothiazide: 18-crown-6 PXRD: 9.97, 10.43, 11.57, 11.81, 12.83, 14.53, 15.67, 16.61, 19.05, 20.31, 20.65, 21.09, 21.85, 22.45, 23.63, 24.21, 25.33, 26.73 (FIG. 38) Method: See above

TABLE V-continued

Detailed Characterization of Co-Crystals	
5	Hydrochlorothiazide: piperazine PXRD: 6.85, 13.75, 15.93, 18.71, 20.67, 20.93, 23.27, 24.17, 28.33, 28.87, 30.89 (FIG. 39) Method: See above
	Acetaminophen: 4,4'-bipyridine:water DSC: Endothermic transition at 57.77 degrees C. Method: See above
	Phenytol: Pyridone PXRD: 5.2, 11.1, 15.1, 16.2, 16.7, 17.8, 19.4, 19.8, 20.3, 21.2, 23.3, 26.1, 26.4, 27.3, 29.5 DSC: Endothermic transitions at 233.39 and 271.33 degrees C. TGA: 29.09 percent weight loss starting at 192.8 degrees C., 48.72 percent weight loss starting at 238.27 degrees C., 18.38 percent weight loss starting at 260.17 degrees C., followed by decomposition Method: See above
	Aspirin: 4,4'-bipyridine DSC: Endothermic transition at 95.14 degrees C. TGA: 9 percent weight loss starting at 22.62 degrees C., 49.06 percent weight loss starting at 102.97 degrees C., decomposition starting at 209.37 degrees C. Method: See above
	Ibuprofen: 4,4'-bipyridine PXRD: 3.4, 6.9, 10.4, 17.3, 19.1 DSC: Endothermic transitions at 64.85 and 118.79 degrees C. TGA: 13.28 percent weight loss between room temperature and 100.02 degrees C. followed by decomposition Method: See above
20	Flurbiprofen: 4,4'-bipyridine PXRD: 16.8, 17.1, 18.1, 19.0, 20.0, 21.3, 22.7, 25.0, 26.0, 26.0, 26.1, 28.2, 29.1 DSC: Endothermic transition at 162.47 degrees C. TGA: 30.93 percent weight loss starting at 31.13 degrees C., 46.26 percent weight loss starting at 168.74 degrees C., followed by decomposition Method: See above
	Flurbiprofen: trans-1,2-bis (4-pyridyl) ethylene PXRD: 3.6, 17.3, 18.1, 18.4, 19.1, 22.3, 23.8, 25.9, 28.1 DSC: Endothermic transitions at 100.01, 125.59, and 163.54 degrees C. TGA: 91.79 percent weight loss starting at 133.18 degrees C. followed by decomposition Method: See above
	Carbamazepine: p-phthalaldehyde PXRD: 8.5, 10.6, 11.9, 14.4, 15.1, 18.0, 18.5, 19.8, 23.7, 24.2, 26.4, 27.6, 27.8, 28.7, 29.3, 29.4 DSC: Endothermic transition at 128.46 degrees C. TGA: 17.66 percent weight loss starting at 30.33 degrees C., 17.57 percent weight loss starting at 100.14 degrees C., followed by decomposition Method: See above
	Carbamazepine: 2,6-pyridinedicarboxylic acid TGA: 69 percent weight loss starting at 215 degrees C., 17 percent weight loss starting at 392 degrees C., followed by decomposition Method: See above
	Carbamazepine: 5-nitroisophthalic acid PXRD: 10.14, 15.29, 17.44, 21.17, 31.41, 32.65 TGA: 32.02 percent weight loss starting at 202 degrees C., 12.12 percent weight loss starting at 224 degrees C., 17.94 percent weight loss starting at 285 degrees C., followed by decomposition Method: See above
25	Carbamazepine: 1,3,5,7-adamantane tetracarboxylic acid TGA: 9 percent weight loss starting at 189 degrees C., 52 percent weight loss starting at 251 degrees C., 31 percent weight loss starting at 374 degrees C., followed by decomposition Method: See above
	Carbamazepine: Benzoquinone TGA: 20.62 percent weight loss starting at 168 degrees C., 78 percent weight loss starting at 223 degrees C., followed by decomposition Method: See above

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Example 38

A co-crystal with a modulated dissolution profile has been prepared. Celecoxib: nicotinamide co-crystals were prepared via methods shown in example 4. (See FIG. 27)

Example 39

A co-crystal with a modulated dissolution profile has been prepared. Itraconazole: succinic acid, itraconazole:tartaric acid and itraconazole:malic acid co-crystals were prepared via methods shown in examples 8, 10 and 11. (See FIG. 28)

Example 40

A co-crystal of an unsaltable or difficult to salt API has been prepared. Celecoxib: nicotinamide co-crystals were prepared via methods shown in example 4.

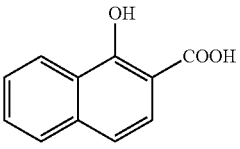
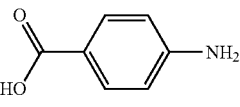
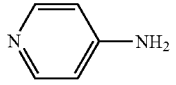
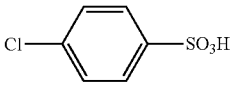
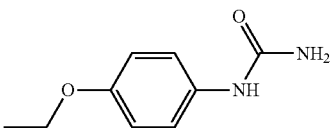
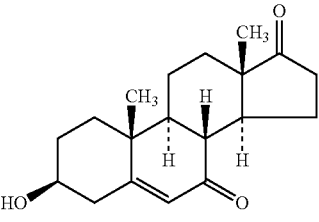
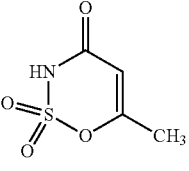
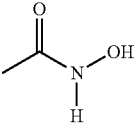
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Example 41

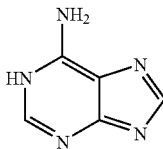
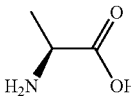
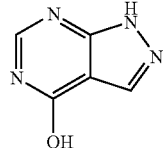
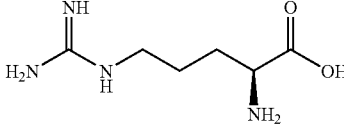
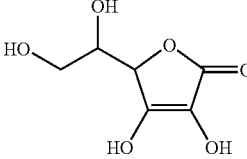
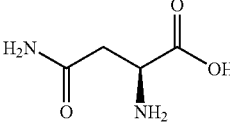
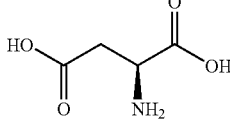
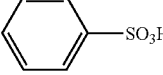
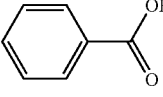
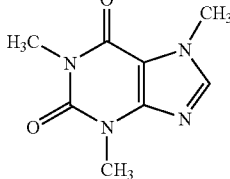
A co-crystal with an improved hygroscopicity profile has been prepared. Celecoxib: nicotinamide co-crystals were prepared via methods shown in example 4. (See FIG. 29)

Example 42

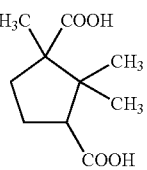
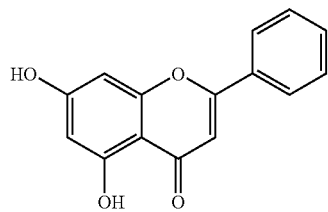
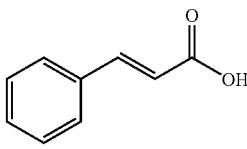
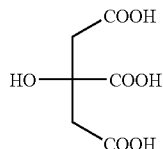
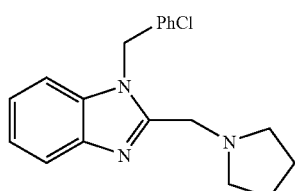
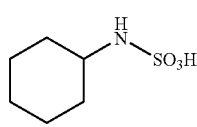
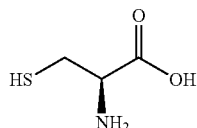
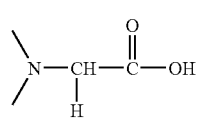
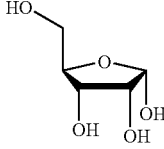
A co-crystal with reduced form diversity as compared to the API has been prepared. Co-crystals of carbamazepine and saccharin have been prepared via method shown in example 1.

Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
1-Hydroxy-2-naphthoic acid	188.18	191-192	2	Carboxylic acid, alcohol	1	2		2.7,13.5
4-aminobenzoic acid	137.14	187-188	2	Amine, carboxylic acid	1	3		4.7,4.8
4-aminopyridine	94.11	158-159	3	Amine, pyridine	1	2		10
4-Chlorobenzene-sulfonic acid	192.63	67	1	SO ₃ H	3	1		0-1
4-ethoxyphenyl urea	180.2	173-174	3	Amide, NH	2	3		~7-9
7-oxo-DHEA	303	190-192	1	Alcohol, Ketone	3	1		
Acesulfame	163.15	123-124	3	SO ₂ , Amide	4	1		~5-7
Acetohydroxamic acid	75.07	89-92	3	Amide, NH, OH	2	2		8.7

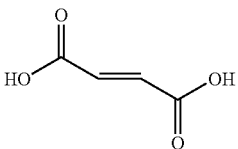
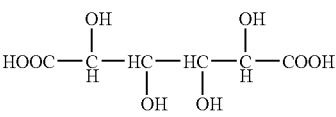
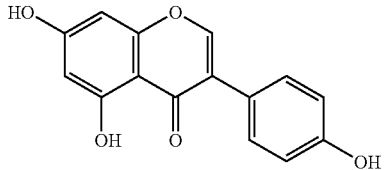
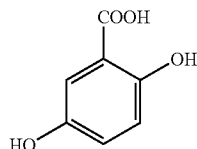
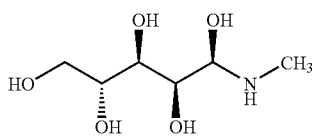
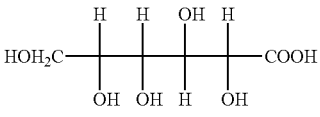
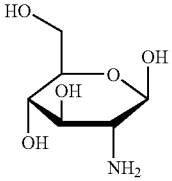
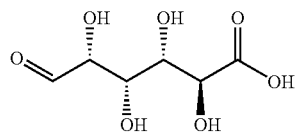
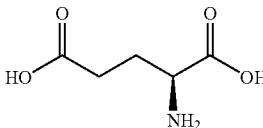
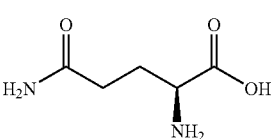
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Adenine	135.13	200 (sub.)	1	Amide, NH	3	3		3.8
Adipic Acid	146.14	152	1	Carboxylic acid	2	2	$\text{HOOC}(\text{CH}_2)_4\text{COOH}$	4.44, 5.44
Alanine	89.09	289-291	1	Amine, carboxylic acid	1	3		2.35, 9.87
Allopurinaol	136.11	>350	3	OH, NH	4	2		10.2
Arginine	174.2	244 (dec.)	1	Amine, COOH	2	7		2.18, 9.09, 13.2
Ascorbic acid	176.12	190-192	1	C=O, OH	6	4		4.17, 11.57
Asparagine	132.12	234-235	1	Amine, amide, COOH	3	5		2.02, 8.5
Aspartic acid	133.1	270-271	1	Amine, COOH	2	4		1.88, 3.65, 9.60
Benzenesulfonic Acid	158.18	43-44	1	SO ₃ H	2	1		0.70, 1.58
Benzoic acid*	122.12	122-123	2	COOH	1	1		4.19
Caffeine	194.19	238	3	C=O	3	0		

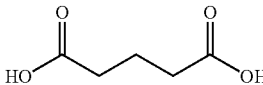
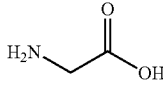
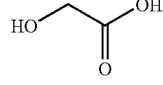
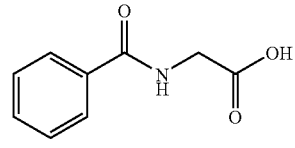
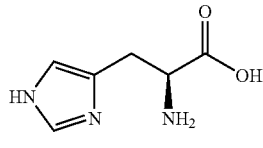
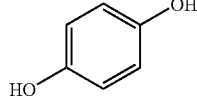
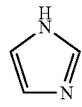
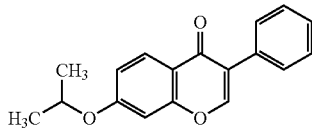
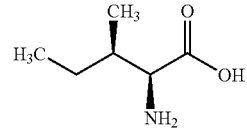
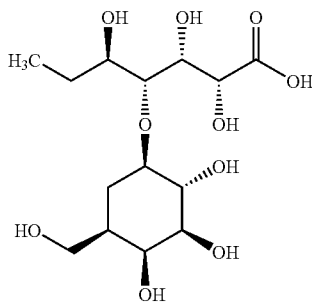
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Camphoric acid	200.23	186-189	2	Carboxylic acid	2	2		4.72, 5.83
Capric acid	172.27	31.4	1	Carboxylic acid	1	1	$\text{CH}_3(\text{CH}_2)_8\text{COOH}$	4.9
Chrysin	254.24	285	1	Phenol, ether, ketone	2	2		
Cinnamic acid	144.2	133	3	Carboxylic acid	1	1		4.4
Citric Acid	192.12	153	1	OH, COOH	4	4		3.13, 4.76, 6.40
Clemizole	325.84	167	1	Pyrrolidine	3	0		
Cyclamic acid	179.24	169-170	3	NH, SO ₃ H	2	2		-2
Cysteine	121.15	—	1	Amine, COOH, SH	2	4		1.71, 8.33, 10.78
Dimethylglycine	103.1	178-192	1	Amine, Carboxylic acid	2	1		2.5
D-Ribose	150.13	87	1	Alcohol, ether	1	4		

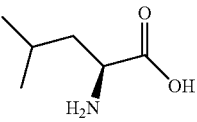
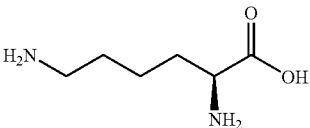

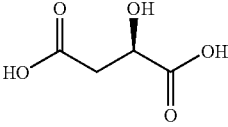
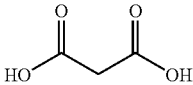
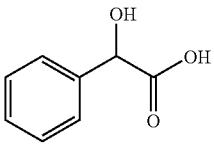
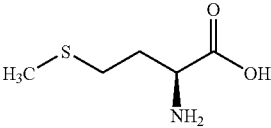
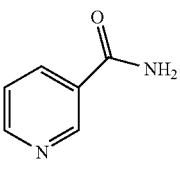
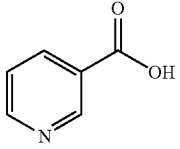
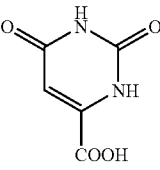
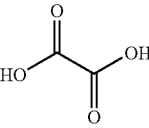
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Fumaric acid	116.07	287	1	COOH	2	2		3.03, 4.38
Galactaric acid	210.14	255 (dec)	1	Carboxylic acid, alcohol	2	6		3.08, 3.63
Genistein	270.24	297-298	1	Alcohol, Phenol, ether, ketone	2	3		
Gentisic acid	154.12	199-200 form I, 205 form II	2	Carboxylic acid, alcohol, phenol	1	3		2.93
Glucamine, N-Methyl	195.22	128-129	1	Alcohol, Amine	5	6		8.03(B)
Gluconic acid	196.15	131	1	OH, COOH	6	6		3.76
Glucosamine	179.17	88	1	OH	5	6		6.91
Glucuronic acid	194.14	165	1	Carboxylic acid, alcohol, aldehyde	2	5		3.18
Glutamic acid	147.13	160	1	Amine, COOH	2	4		2.19, 4.25, 9.67
Glutamine	146.15	185-186	1	Amine, Amide, COOH	2	5		2.17, 9.13

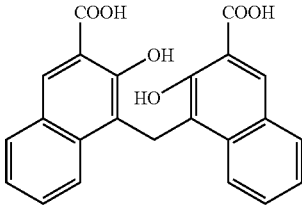
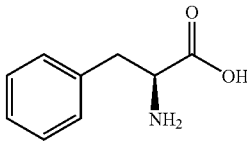
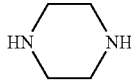
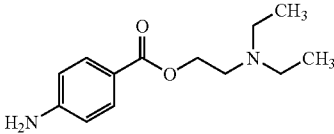
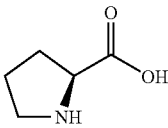
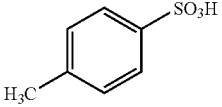
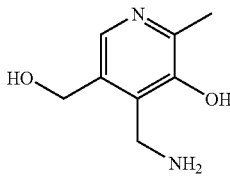
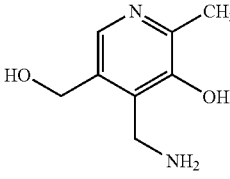
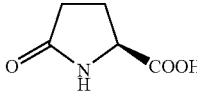
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Glutaric acid	132.11	98-98	1	COOH	2	2		2.7,4.5
Glycine	75.07	182	1	Amine, COOH	2	3		2.34, 9.6
Glycolic acid	76.05	80	1	OH, COOH	2	2		3.82
Hippuric acid	179.17	187-188	1	Amide, NH, COOH	2	2		3.55
Histidine	155.16	287 (dec.)	1	Amine, COOH, Imidazole	2	4		1.78, 5.97, 8.97
Hydroquinone*	110.11	170-171	2	OH, Phenol	2	2		~10
Imidazole	68.08	90-91	1	NH	1	1		6.92
Ipriflavone	280.32	115-117	1	Ketone, ether	3	0		
Isoleucine	131.17	168-170 (sub.)	1	Amine, COOH	1	3		2.32, 9.76
Lactobionic acid	358.3	128-130	2	Alcohol, carboxylic acid, ether	1	9		3.2
Lauric acid	200.32	44-48	1	Carboxylic acid	1	1	$\text{CH}_3(\text{CH}_2)_{10}\text{COOH}$	~4.5

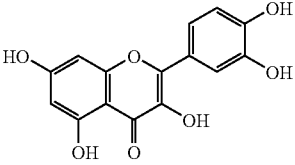
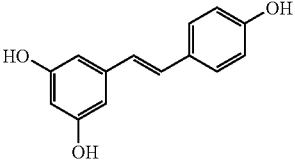
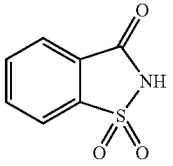
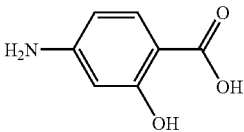
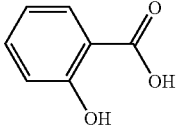
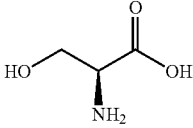
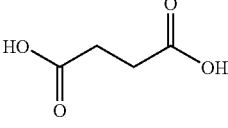
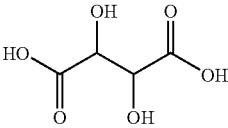
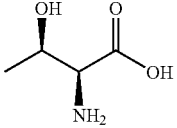
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Leucine	131.17	145-148 (sub.)	1	Carboxylic acid, amine	1	3		2.36, 9.6
Lysine	146.19	225 (dec.)	1	Amine, COOH	1	5		2.2, 8.9, 10.28
Maleic	116.07	138-139	1	COOH	2	2		1.92, 6.23
Malic acid	134.09	131-132	1	OH, COOH	3	3		3.46, 5.1
Malonic	104.06	135	1	COOH	2	2		2.83, 5.70
Mandelic acid	152.15	119	1	OH, COOH	2	2		3.37
Methionine	149.21	280-282 (dec.)	1	Amine, COOH, S-Me	2	3		2-3, 9
Nicotinamide	122.12	128-131	1	Pyridine, amide	2	2		3.3
Nicotinic acid	123.11	236-237	2	Carboxylic acid, pyridine	2	1		2.07(B), 4.85
Orotic acid	156.1	345-346	2	Carboxylic acid, lactam	3	3		5.85, 8.95
Oxalic acid	90.04	189 (dec)	2	Carboxylic acid	2	2		1.27, 4.27

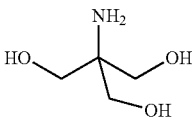
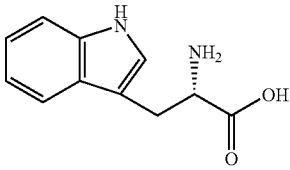
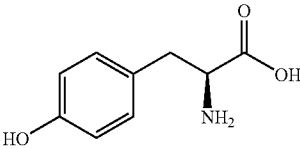
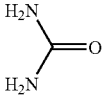
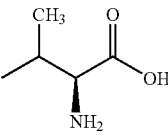
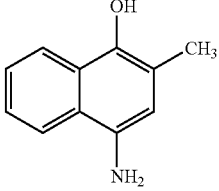
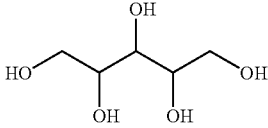
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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Palmitic acid	256.43	63-64	1	Carboxylic acid	1	1	<chem>CH3(CH2)14COOH</chem>	4.9
Pamoic	388.38	280 (dec)	2	Carboxylic acid, phenol	2	4		2.51, 3.1
Phenylalanine	165.19	283 (dec.)	1	Amine, COOH	1	3		~2, ~9
Piperazine	86.14	106	1	NH	0	2		9.82(B)
Procaine	236.31	61	1	Amine, C=O	2	2		8.9(B)
Proline	115.13	220-222 (dec.)	1	COOH, NH	1	2		1.99, 10.6
p-Toluenesulfonic acid	172.2	106-107	2	Sulfonic acid	2	1		-1.34
Pyridoxamine	168	193-194	2	OH, Amine, Pyridine	3	4		~9
Pyridoxine	170	160	2	Alcohol, Pyridine	3	3		~9
Pyroglutamic acid	129.12	162	2	Carboxylic acid, Lactam	2	2		3.32

-continued

Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
Quercetin	302.24	314 dec.	1	Phenol, ether, ketone	2	5		
Resveratrol	228.24	253-255	1	Phenol	0	3		
Saccharin	183.19	228-230	1	Amide, C=O, S=O, N—H	3	1		2
Salicylic acid, 4-amino	153.14	150-151	3	COOH, OH, Aniline	1	4		3.25, 10, 3.5(B)
Salicylic acid	138.12	159	3	COOH, OH	2	2		2.98, 13.82
Sebacic acid	202.25	134.5	1	Carboxylic acid	2	2	$\text{HOOC}(\text{CH}_2)_8\text{COOH}$	4.59, 5.59
Serine	105.09	228 (dec.)	1	Carboxylic acid, amine, OH	2	3		2.21, 9.15
Stearic acid	284.47	70-71	1	Carboxylic acid	1	1	$\text{CH}_3(\text{CH}_2)_{16}\text{COOH}$	4.9
Succinic acid	118.09	185-187	1	Carboxylic acid	2	2		4.21, 5.64
Tartaric acid	150.09	205-206	1	Carboxylic acid	4	4		3.02, 4.36
Threonine	119.12	255-257 (dec.)	1	Amine, COOH, OH	2	4		2.15, 9.12

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Co-Crystal Former	MW (g/mol)	MP (° C.)	Class	Functionality	# acceptors	# donors	Molecular Structure	pKa Values
TRIS	121.13	171-172	2	Amine, OH	3	5		5.91, 8.3
Tryptophan	204.23	289 (dec.)	1	Amine, COOH, Indole	1	4		2.38, 9.39
Tyrosine	181.19	342-344	1	Amine, COOH, OH	2	3		2.2, 9.11, 10.07
Urea	60.06	Dec.	1	C=O, NH2	1	4		~8
Valine	117.15	315	1	Amine, COOH	1	3		~4.5, ~9
Vitamin K5	209.68	280-282 (dec.)	3	Amine, OH	1	3		~9
Xylitol	152.15	93-95 (1)	2	OH	5	5		~9

[illegible]

TABLE II-continued

Cyclamic Acid	Sulfonic Acid	pyridine	ketone	aldehyde	ether	ester	amide	Carboxylic Acid
Cysteine	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Cysteine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Cysteine	Thiol	carboxylic acid	sodium	aldehyde	ketone	-N	cadmium	
Dimethylglycine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Dimethylglycine	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
D-ribose	Ether	aromatic-N	amide	amine	aromatic_s	Sp2 amine	sulfoxide	chlorate
D-ribose	Alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Fumaric Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Galactaric acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Galactaric acid	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Chrysin	Ketone	alcohol	ketone	thiol	amide	amine	amine	phenol
Chrysin	Phenol	amine	amide	sulfoxide	n	pyridine	cyano	aldehyde
Chrysin	Ether	aromatic-N	amide	amine	aromatic_s	Sp2 amine	sulfoxide	chlorate
Gentisic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Gentisic acid	Phenol	amine	amide	sulfoxide	n	pyridine	cyano	aldehyde
Glucamine, N-methyl	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Glucamine, N-methyl	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Gluconic Acid	Alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Gluconic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glucosamine	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Glucuronic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glucuronic acid	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Glucuronic acid	Aldehyde	alcohol	ketone	thiol	amide	amine	amine	phenol
Glutamic Acid	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Glutamic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glutamine	Amide	alcohol	ketone	thiol	amide	amine	amine	phenol
Glutamine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glutaric Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glycine	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Glycine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Glycolic Acid	Alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Glycolic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Hippuric Acid	Amide	alcohol	ketone	thiol	amide	amine	amine	phenol
Hippuric Acid	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Hippuric Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Histidine	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Histidine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Histidine	Imidazole	imidazole	chlorine	acetamide	carboxylate	amine	thione	nitro
Hydroquinone	Alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Hydroquinone	Phenol	amine	amide	sulfoxide	n	pyridine	cyano	aldehyde
Imidazole	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Ipriflavone	Ether	aromatic-N	amide	amine	aromatic_s	Sp2 amine	sulfoxide	chlorate
Ipriflavone	Ketone	alcohol	ketone	thiol	amide	amine	amine	phenol
Isolauric	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol
Isolauric	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Isolauric	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Lactobionic acid	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Lactobionic acid	alcohol	alcohol	ketone	thiol	amide	amine	amine	phenol
Lactobionic acid	Ether	aromatic-N	amide	amine	aromatic_s	Sp2 amine	sulfoxide	chlorate
Lactic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Leucine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	amine	phenol
Leucine	Amine	alcohol	ketone	thiol	amide	amine	amine	phenol

TABLE II-continued

Lysine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Lysine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Maleic	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Maleic Acid	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Malonic	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Malonic	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Mandelic Acid	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Mandelic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Methionine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Methionine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Methionine	Thioether	-N	amide	amine	_s	Sp2 amine	sulfoxide	chlorate
Nicotinamide	Pyridine	*alcohol		*	*amide	nitro	*amine	*Carboxylic Acid
Nicotinamide	Amide	alcohol	ketone	thiol	amide	amine	aniline	phenol
Nicotinic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Nicotinic Acid	Pyridine	*alcohol		*	*amide	nitro	*amine	*Carboxylic Acid
Orotic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Orotic acid	Lactam	alcohol	ketone	thiol	amide	amine	aniline	phenol
Oxalic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Palmitic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pantoic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pantoic acid	alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pantoic acid	Phenol	amine	amide	sulfoxide	n	pyridine	cyano	aldehyde
Phenylalanine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Phenylalanine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Piperazine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Procaine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Procaine	Ketone	alcohol	ketone	thiol	amide	amine	aniline	phenol
Proline	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Proline	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
p-Toluenesulfonic acid	Sulfonic Acid	pyridine	ketone	aldehyde	ether	ester	amide	Carboxylic Acid
Pyridoxamine	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pyridoxamine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pyridoxamine	Pyridine	*alcohol		*	*amide	nitro	*amine	*Carboxylic Acid
Pyridoxine (4-Pyridoxic Acid)	Pyridine	*alcohol	pyridinium		*amide	nitro	*amine	*Carboxylic Acid
Pyridoxine (4-Pyridoxic Acid)	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pyroglutamic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Pyroglutamic acid	Lactam	alcohol	ketone	thiol	amide	amine	aniline	phenol
Quercetin	Ketone	alcohol	amide	thiol	n	amine	aniline	phenol
Quercetin	Phenol	amine	amide	sulfoxide	aromatic_s	pyridine	cyano	aldehyde
Quercetin	Ether	aromatic-N	amide	amine	amide	Sp2 amine	sulfoxide	chlorate
Resveratrol	Ketone	alcohol	amide	thiol	amide	amine	aniline	phenol
Resveratrol	Phenol	amine	amide	sulfoxide	n	pyridine	cyano	aldehyde
Saccharin	Amide	alcohol	ketone	thiol	amide	amine	aniline	phenol
Saccharin	Ketone	alcohol	ketone	thiol	amide	amine	aniline	phenol
Saccharin	Sulfoxide	pyridine	ketone	aldehyde	ether	ester	amide	Carboxylic Acid
Saccharin	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Salicylic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Salicylic Acid	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Salicylic Acid, 4-amino	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Salicylic Acid, 4-amino	alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Salicylic Acid, 4-amino	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Sebacic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Serine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol

TABLE II-continued

Serine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Serine	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Stearic acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Succinic Acid	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tartaric Acid	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Threonine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Threonine	alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tris	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tryptophan	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tryptophan	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tryptophan	Indole	*alcohol	pyridinium	*	*amide	nitro	*amine	*carboxylic acid
Tyrosine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tyrosine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Tyrosine	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Urea	Ketone	alcohol	ketone	thiol	amide	amine	aniline	phenol
Urea	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Urea	Amide	alcohol	ketone	thiol	amide	amine	aniline	phenol
Valine	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Valine	Carboxylic Acid	alcohol	ketone	thiol	amide	amine	aniline	phenol
Vitamin K5	Amine	alcohol	ketone	thiol	amide	amine	aniline	phenol
Vitamin K5	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol
Xylitol	Alcohol	alcohol	ketone	thiol	amide	amine	aniline	phenol

Co-crystal Former

Interacting Group								
1,5-Naphthalene-disulfonic Acid	amine	metals	thioether	nitrate	sulfate	alcohol	metals	aldehyde
1-Hydroxy-2-naphthoic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	aldehyde	aldehyde
1-Hydroxy-2-naphthoic acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	metals	metals
4-Aminobenzoic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
4-Aminobenzoic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
4-aminopyridine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
4-aminopyridine	*sulfonamide	*ketone	ether	triazole	pyridine	oxime	*chlorine	*chlorine
4-Chlorobenzene-Sulfonic Acid	amine	metals	thioether		sulfate	alcohol		
4-ethoxyphenyl Urea	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
4-ethoxyphenyl Urea	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
7-oxo-DHEA	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde	aldehyde
7-oxo-DHEA	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
Acetulfame	amine	metals	thioether		sulfate	alcohol	metals	metals
Acetulfame	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
Acetohydroxamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Acetohydroxamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Acetohydroxamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
Adenine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Adenine	*sulfonamide	*ketone	ether	triazole	pyridine	oxime	*chlorine	*chlorine
Adipic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Alanine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Alanine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Allopurinol	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals	metals
Allopurinol	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals
Arginine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals	metals

TABLE II-continued

Arginine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Ascorbic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Ascorbic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Ascorbic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Asparagine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Asparagine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Asparagine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Aspartic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Aspartic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Benzenesulfonic Acid	amine	metals	thioether	nitrate	sulfate	carboxylic acid	metals
Benzoic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Caffeine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Camphoric acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Capric acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Genistein	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Genistein	chlorine	alcohol		ester	ether	chlorine	fluorine
Genistein	phosphate	sulfate	cyano	ester	amine	nitrate	bromine
Cinnamic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Citric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Citric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Clemizole	*sulfonamide	*ketone	ether	triazole	pyridine	carboxylic acid	*chlorine
Cyclamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Cyclamic Acid	amine	metals	thioether	nitrate	sulfate	carboxylic acid	metals
Cysteine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Cysteine	phosphate	sulfate	sulfone	nitrate	pyridine	Rb	Sb
Cysteine	arsenic	chlorine	alcohol	potassium	Ru	carboxylic acid	metals
Dimethylglycine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Dimethylglycine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
D-ribose	chlorine	sulfate	sulfone	nitrate	amine	nitrate	bromine
D-ribose	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Fumaric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Galactaric acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Galactaric acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
Chrysin	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Chrysin	chlorine	alcohol	cyano	ester	ether	chlorine	fluorine
Gentisic acid	phosphate	sulfate	sulfone	nitrate	amine	nitrate	bromine
Gentisic acid	phosphate	alcohol	sulfone	nitrate	pyridine	carboxylic acid	metals
Glucamine, N-methyl	phosphate	sulfate	sulfone	nitrate	ether	chlorine	fluorine
Glucamine, N-methyl	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
Gluconic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Gluconic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glucosamine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Glucuronic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glucuronic acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
Glucuronic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glutamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glutamic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	metals
Glutamine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glutamine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glutamine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Glutaric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Glycine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals

	Glycine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
	Glycolic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Glycolic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Hippuric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Hippuric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Histidine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Histidine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Histidine	cyanamide	ketone	cyno	Carboxylic Acid	alcohol	thiol	amine
	Hydroquinone	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Hydroquinone	chlorine	alcohol	sulfate	ester	ether	chlorine	fluorine
	Imidazole	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Ipriflavone	phosphate	sulfate	cyno	ester	amine	nitrate	bromine
	Ipriflavone	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Isoleucine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Isoleucine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Lactobionic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Lactobionic acid	chlorine	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
	Lactic acid	phosphate	sulfate	cyno	ester	amine	nitrate	bromine
	Leucine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Leucine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Lysine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Lysine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Maleic	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Maleic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Malonic	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Mandelic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Mandelic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Methionine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Methionine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Methionine	chlorine	sulfate	cyno	ester	amine	nitrate	bromine
	Nicotinamide	*sulfonyl amide	*ketone	ether	triazole	ammonium	oxime	*chlorine
	Nicotinamide	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Nicotinic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Nicotinic Acid	*sulfonyl amide	*ketone	ether	triazole	ammonium	oxime	*chlorine
	Orotic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Orotic acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Oxalic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Palmitic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Pantoic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Pantoic acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
	Pantoic acid	alcohol	sulfate	sulfone	nitrate	pyridine	metals	fluorine
	Phenylalanine	phosphate	sulfate	sulfone	ester	ether	chlorine	metals
	Phenylalanine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Piperazine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Proline	phosphate	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals
	Proline	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
	Toluene sulfonic acid	amine	sulfate	sulfone	nitrate	pyridine	carboxilic acid	metals

TABLE II-continued

Pyridoxamine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Pyridoxamine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Pyridoxamine	*sulfonamide	*ketone	ether	triazole		oxime	*chlorine
Pyridoxamine	*sulfonamide	*ketone	ether	triazole	ammonium	oxime	*chlorine
(4-Pyridoxic Acid)	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Pyridoxine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
(4-Pyridoxic Acid)	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Pyroglutamic acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Pyroglutamic acid	phosphate	sulfate	sulfone	nitrate	ether	chlorine	fluorine
Quercetin	chlorine	alcohol	cyano	ester	amine	nitrate	bromine
Quercetin	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Resveratrol	phosphate	alcohol	sulfate	ester	ether	chlorine	fluorine
Resveratrol	phosphate	alcohol	sulfate	nitrate	pyridine	Carboxylic Acid	metals
Saccharin	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Saccharin	amine	sulfate	thioether	nitrate	sulfate	Carboxylic Acid	metals
Saccharin	phosphate	metals	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Salicylic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Salicylic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Salicylic Acid, 4-amino	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Salicylic Acid, 4-amino	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Salicylic Acid, 4-amino	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Sebacic acid	phosphate	sulfate	sulfone	nitrate	pyridine	metals	aldehyde
Serine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Serine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Serine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Stearic acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Succinic Acid	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Tartaric Acid	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Threonine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Threonine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Threonine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Tris	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Tris	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Tryptophan	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Tryptophan	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Tryptophan	*sulfonamide	*ketone	ether	triazole	ammonium	oxime	*chlorine
Tyrosine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Tyrosine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Tyrosine	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Urea	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Urea	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Urea	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Urea	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals
Valine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Valine	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Vitamin K5	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Vitamin K5	phosphate	sulfate	sulfone	nitrate	pyridine	carboxylic acid	metals
Xylitol	phosphate	sulfate	sulfone	nitrate	pyridine	Carboxylic Acid	metals

Co-crystal Former

Interacting Group

1,5-Naphthalene-disulfonic Acid

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TABLE II-continued

1-Hydroxy-2-naphthoic acid	ester	ether	cyano	furane	bromine	chlorine	s-heterocyclic
1-Hydroxy-2-naphthoic acid	ester	ether	cyano	furane	bromine	chlorine	s-heterocyclic
4-Aminobenzoic Acid	aldehyde	ester	ether		furane	chlorine	chlorine
4-Aminobenzoic Acid	aldehyde	ester	ether		furane	bromine	chlorine
4-aminopyridine		thiol	n-heterocyclic ring	pyrrolidindione	iodine	bromine	thiocyanate
4-Chlorobenzene-Sulfonic Acid							
4-ethoxyphenyl Urea	aldehyde	ester	ether		furane	bromine	chlorine
4-ethoxyphenyl Urea	aldehyde	ester	ether		furane	bromine	chlorine
7-oxo-DHEA	aldehyde	ester	ether		furane	chlorine	s-heterocyclic
7-oxo-DHEA	aldehyde	ester	ether		furane	bromine	chlorine
Acetulfame							
Acetulfame	aldehyde	ester	ether		furane	bromine	chlorine
Acetolhydroxamic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Acetolhydroxamic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Acetolhydroxamic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Adenine	aldehyde	ester	ether		furane	bromine	chlorine
Adenine	aldehyde	thiol	n-heterocyclic ring	pyrrolidindione	iodine	hydrazine	thiocyanate
Adipic acid	aldehyde	ester	ether		furane	bromine	chlorine
Alanine	aldehyde	ester	ether		furane	bromine	chlorine
Alanine	aldehyde	ester	ether		furane	bromine	chlorine
Allopurinol	aldehyde	ester	ether		furane	bromine	chlorine
Allopurinol	aldehyde	ester	ether		furane	bromine	chlorine
Arginine	aldehyde	ester	ether		furane	bromine	chlorine
Arginine	aldehyde	ester	ether		furane	bromine	chlorine
Ascorbic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Ascorbic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Asparagine	aldehyde	ester	ether		furane	bromine	chlorine
Asparagine	aldehyde	ester	ether		furane	bromine	chlorine
Asparagine	aldehyde	ester	ether		furane	bromine	chlorine
Aspartic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Aspartic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Benzenesulfonic Acid							
Benzoic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Caffeine	aldehyde	ester	ether		furane	bromine	chlorine
Camphoric acid	aldehyde	ester	ether		furane	bromine	chlorine
Capric acid	aldehyde	ester	ether		furane	bromine	chlorine
Genistein	aldehyde	ester	ether		furane	bromine	chlorine
Genistein	bromine	iodine	ketone	sulfate	phosphate	phosphonic acid	carboxylic acid
Genistein	aldehyde	ketone	peroxide			heterocyclic-S	iodine
Cinnamic acid	aldehyde	ester	ether		furane	bromine	chlorine
Citric Acid	aldehyde	ester	ether		furane	bromine	chlorine
Citric Acid	aldehyde	ester	ether		furane	bromine	chlorine
Clemizole	aldehyde	thiol	n-heterocyclic ring	pyrrolidindione	iodine	hydrazine	thiocyanate
Cyclamic Acid	aldehyde	ester	ether		furane	bromine	chlorine
Cyclamic Acid							
Cysteine	aldehyde	ester	ether		furane	bromine	chlorine
Cysteine	aldehyde	ester	ether		furane	bromine	chlorine
Cysteine							
Dimethylglycine	aldehyde	ester	ether		furane	bromine	chlorine
Dimethylglycine	aldehyde	ester	ether		furane	bromine	chlorine

TABLE II-continued

D-ribose	aldehyde	ketone	peroxide	epoxide	heterocyclic-S	iodine
D-ribose	aldehyde	ether	ether	cyano	bromine	chlorine
Fumaric Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Galactaric acid	aldehyde	ester	ether	cyano	bromine	chlorine
Galactaric acid	ester	ether	cyano	cyano	bromine	s-heterocyclic
Chrysin	aldehyde	iodine	ketone	cyano	chlorine	chlorine
Chrysin	bromine	ketone	peroxide	sulfonic acid	phosphonic acid	carboxylic acid
Gentisic acid	aldehyde	ketone	ether	epoxide	heterocyclic-S	iodine
Gentisic acid	bromine	iodine	ketone	cyano	bromine	chlorine
Glucamine, N-methyl	ester	ether	cyano	sulfonic acid	phosphonic acid	carboxylic acid
Glucamine, N-methyl	aldehyde	ester	ether	cyano	chlorine	s-heterocyclic
Glucosamine	aldehyde	ester	ether	cyano	bromine	chlorine
Glucosamine	aldehyde	ester	ether	cyano	bromine	chlorine
Glucosamine	aldehyde	ester	ether	cyano	bromine	chlorine
Glucuronic acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glucuronic acid	ester	ether	cyano	cyano	chlorine	s-heterocyclic
Glutamic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glutamic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glutamic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glutamine	aldehyde	ester	ether	cyano	bromine	chlorine
Glutamine	aldehyde	ester	ether	cyano	bromine	chlorine
Glutamic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glycine	aldehyde	ester	ether	cyano	bromine	chlorine
Glycine	aldehyde	ester	ether	cyano	bromine	chlorine
Glycolic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Glycolic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Hippuric Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Hippuric Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Hippuric Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Histidine	aldehyde	ester	ether	cyano	bromine	chlorine
Histidine	aldehyde	ester	ether	cyano	bromine	chlorine
Histidine	aldehyde	ester	ether	cyano	bromine	chlorine
Hydroquinone	phosphonic acid hemihydrate	chlorine	sulfonyl	sulfoxide	sulfonate ester	chlorine
Hydroquinone	aldehyde	ester	ether	cyano	bromine	carboxylic acid
Hydroquinone	bromine	iodine	ketone	sulfonic acid	phosphonic acid	chlorine
Imidazole	aldehyde	ester	ether	cyano	bromine	iodine
Ipriflavone	aldehyde	ketone	peroxide	epoxide	heterocyclic-S	chlorine
Ipriflavone	aldehyde	ester	ether	cyano	bromine	chlorine
Isoleucine	aldehyde	ester	ether	cyano	bromine	chlorine
Isoleucine	aldehyde	ester	ether	cyano	bromine	chlorine
Isoleucine	aldehyde	ester	ether	cyano	bromine	chlorine
Lactobionic acid	ester	ether	cyano	cyano	bromine	chlorine
Lactobionic acid	ester	ether	cyano	cyano	chlorine	s-heterocyclic
Lactobionic acid	aldehyde	ketone	peroxide	epoxide	heterocyclic-S	iodine
Lauric acid	aldehyde	ester	ether	cyano	bromine	chlorine
Leucine	aldehyde	ester	ether	cyano	bromine	chlorine
Leucine	aldehyde	ester	ether	cyano	bromine	chlorine
Lysine	aldehyde	ester	ether	cyano	bromine	chlorine
Lysine	aldehyde	ester	ether	cyano	bromine	chlorine
Maleic	aldehyde	ester	ether	cyano	bromine	chlorine
Maleic	aldehyde	ester	ether	cyano	bromine	chlorine
Malic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Malic Acid	aldehyde	ester	ether	cyano	bromine	chlorine
Malonic	aldehyde	ester	ether	cyano	bromine	chlorine

Mandelic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Mandelic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Methionine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Methionine	aldehyde	keto	peroxide	epoxide	Ag		heterocyclic-S	iodine
Nicotinamide	aldehyde	thiol	n-heterocyclic ring	thionedisulfide	pyrrolidindione	iodine	hydrazone	thiocyanate
Nicotinic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Nicotinic Acid	aldehyde	thiol	n-heterocyclic ring	thionedisulfide	pyrrolidindione	iodine	hydrazone	thiocyanate
Orotic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Orotic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Oxalic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Palmitic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pantoic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pantoic acid	ester	ether	cyano	sulfonic acid	furan	bromine	chlorine	s-heterocyclic
Phenylalanine	aldehyde	iodine	keto		sulfate	phosphate	phosphonic acid	carboxylic acid
Phenylalanine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Phenylalanine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Piperazine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Procaine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Procaine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Proline	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Proline	aldehyde	ester	ether	cyano		furan	bromine	chlorine
p-Toluenesulfonic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pyridoxamine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pyridoxamine	aldehyde	thiol	n-heterocyclic ring	thionedisulfide	iodine		hydrazone	thiocyanate
Pyridoxamine	thiol	thiol	n-heterocyclic ring	thionedisulfide	pyrrolidindione	iodine	hydrazone	thiocyanate
Pyridoxine								
(4-Pyridoxic Acid)	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pyridoxine								
Pyridoxine								
(4-Pyridoxic Acid)	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pyroglutamic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Pyroglutamic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Quercetin	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Quercetin	aldehyde	iodine	keto	sulfonic acid	sulfate	phosphate	phosphonic acid	carboxylic acid
Quercetin	aldehyde	keto	peroxide	epoxide			heterocyclic-S	iodine
Resveratrol	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Resveratrol	aldehyde	iodine	keto	sulfonic acid	sulfate	phosphate	phosphonic acid	carboxylic acid
Saccharin	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Saccharin	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Saccharin	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Saccharin	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Salicylic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Salicylic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Salicylic Acid, 4-amino	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Salicylic Acid, 4-amino	ester	ether	cyano		furan	bromine	chlorine	s-heterocyclic
Salicylic Acid, 4-amino	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Sebacic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Serine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Serine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Serine	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Stearic acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine
Tartronic Acid	aldehyde	ester	ether	cyano		furan	bromine	chlorine

TABLE II-continued

Co-crystal Former	Interacting Group
Tartaric Acid	aldehyde
Threonine	ester
Threonine	ether
Threonine	ether
Tris	ester
Tris	ether
Tris	ether
Tryptophan	ester
Tryptophan	thiol
Tryptophan	ester
Tyrosine	aldehyde
Tyrosine	ether
Tyrosine	ether
Urea	aldehyde
Urea	ether
Valine	aldehyde
Valine	ether
Vitamin K5	ester
Vitamin K5	ether
Vitamin K5	ether
Xylitol	ether

Co-crystal Former

Interacting Group

1,5-Naphthalene-disulfonic Acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
1-Hydroxy-2-naphthoic acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
1-Hydroxy-2-naphthoic acid	pyridine	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
4-Aminobenzoic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
4-Aminobenzoic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
4-aminopyridine	s-heterocyclic	pyridine	hydroxamic acid	cyano	carboxamide	*phosphoric acid	N-oxide
4-aminopyridine	*bromine						
4-Chlorobenzene-Sulfonic Acid							
4-ethoxyphenyl Urea	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
4-ethoxyphenyl Urea	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
7-oxo-DHEA	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
7-oxo-DHEA	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Acetulfame							
Acetulfame	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Acetolhydroxamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Acetolhydroxamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Acetolhydroxamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Adenine	s-heterocyclic	pyridine	hydroxamic acid	cyano	carboxamide	*phosphoric acid	N-oxide
Adipic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Alanine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Alanine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Allopininol	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Allopininol	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Arginine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Arginine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Ascorbic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Ascorbic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Ascorbic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine

TABLE II-continued

Asparagine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Asparagine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Asparagine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Aspartic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Benzenesulfonic Acid							
Benzoic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Caffeine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Camphoric acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Capric acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Genistein	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Genistein	nitro	sulfone	aniline				
Genistein	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	
Cinnamic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Citric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Citric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Clemizole	*bromine		hydroxamic acid	cyano	carboxamide	*phosphoric acid	N-oxide
Cyclamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Cyclamic Acid							
Cysteine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Cysteine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Cysteine							
Dimethylglycine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Dimethylglycine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
D-ribose	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	
D-ribose	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Fumaric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Galactaric acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Galactaric acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
Chrysin	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Chrysin	nitro	sulfone	aniline				
Chrysin	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	
Gentisic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Gentisic acid	nitro	sulfone	aniline				
Glucamine, N-methyl	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
Glucamine, N-methyl	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glucamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Gluconic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glucosamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glucuronic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glucuronic acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
Glucuronic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutamic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glutaric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glycine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glycine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glycolic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Glycolic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Hippuric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine

TABLE II-continued

Hippuric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Hippuric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Histidine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Histidine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Hydroquinone	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Hydroquinone	nitro	sulfone	aniline	n-heterocyclic	ketone	phosphate ester	fluorine
Imidazole	s-heterocyclic	ether	carboxylic acid	n-heterocyclic	sulfone	phosphate ester	fluorine
Ipriflavone	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Ipriflavone	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Isolucine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Isolucine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Lactobionic acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	phosphate ester	fluorine
Lactobionic acid	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	carbamate
Lauric acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Leucine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Leucine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Lysine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Lysine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Maleic	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Maleic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Malonic	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Mandelic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Mandelic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Methionine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Methionine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Methionine	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	fluorine
Nicotinamide	*bromine	pyridine	hydroxamic acid	cyano	carboxamide	*sulfonic acid	N-oxide
Nicotinamide	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Nicotinic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Nicotinic Acid	*bromine	pyridine	hydroxamic acid	cyano	carboxamide	*sulfonic acid	N-oxide
Orotic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Orotic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Oxalic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Palmitic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pantoic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pantoic acid	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	phosphate ester	fluorine
Pantoic acid	nitro	sulfone	aniline	ketone	phosphate ester	fluorine	carbamate
Phenylalanine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Phenylalanine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Piperazine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Procaine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Procaine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Proline	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Proline	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
p-Toluenesulfonic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pyridoxamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pyridoxamine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pyridoxamine	*bromine	pyridine	hydroxamic acid	cyano	carboxamide	*sulfonic acid	N-oxide
Pyridoxine	*bromine	pyridine	hydroxamic acid	cyano	carboxamide	*sulfonic acid	N-oxide
(4-Pyridoxic Acid)							

TABLE II-continued

Pyridoxine (4-Pyridoxic Acid)	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pyroglutamic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Pyroglutamic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Quercetin	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Quercetin	nitro	sulfone	aniline	n-heterocyclic	ketone	phosphate ester	fluorine
Quercetin	ester	ether	carboxylic acid	sulfate	sulfone	alcohol	fluorine
Resveratrol	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Resveratrol	nitro	sulfone	aniline	n-heterocyclic	ketone	phosphate ester	fluorine
Saccharin	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Saccharin	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Saccharin	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Salicylic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Salicylic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Salicylic Acid, 4-amino	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Salicylic Acid, 4-amino	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine	carbamate
Sebacic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Serine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Serine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Serine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Stearic acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Succinic Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tartaric Acid	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Threonine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Threonine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Threonine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tris	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tris	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tryptophan	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tryptophan	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tryptophan	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tyrosine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tyrosine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Tyrosine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Urea	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Urea	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Urea	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Valine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Valine	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Vitamin K5	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Vitamin K5	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine
Xylitol	s-heterocyclic	pyridine	cyano	n-heterocyclic	ketone	phosphate ester	fluorine



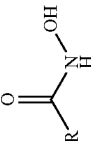

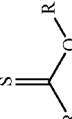
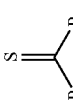
TABLE II-continued

Co-crystal Former	Interacting Group				
1,5-Naphthalene-disulfonic Acid					
1-Hydroxy-2-naphthoic acid	imidazole	BF4			
1-Hydroxy-2-naphthoic acid	imidazole	BF4			
4-Aminobenzoic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
4-Aminobenzoic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
4-aminopyridine	ester	ether	fluorine	thione	thiourea
4-Chlorobenzene-Sulfonic Acid					
4-ethoxyphenyl Urea	carbamate	imidazole	BF4	N-SO2	thiourea
4-ethoxyphenyl Urea	carbamate	imidazole	BF4	N-SO2	thiourea
7-oxo-DHEA	imidazole	BF4			
7-oxo-DHEA	carbamate	imidazole	BF4	N-SO2	thiourea
Acesulfame					
Acesulfame	carbamate	imidazole	BF4	N-SO2	thiourea
Acetohydroxamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Acetohydroxamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Acetohydroxamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Adenine	carbamate	imidazole	BF4	N-SO2	thiourea
Adenine	ester	ether	fluorine	thione	thiourea
Adipic acid	carbamate	imidazole	BF4		
Alanine	carbamate	imidazole	BF4	N-SO2	thiourea
Alanine	carbamate	imidazole	BF4	N-SO2	thiourea
Allopininol	carbamate	imidazole	BF4	N-SO2	thiourea
Allopininol	carbamate	imidazole	BF4	N-SO2	thiourea
Arginine	carbamate	imidazole	BF4	N-SO2	thiourea
Arginine	carbamate	imidazole	BF4	N-SO2	thiourea
Ascorbic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Ascorbic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Asparagine	carbamate	imidazole	BF4	N-SO2	thiourea
Asparagine	carbamate	imidazole	BF4	N-SO2	thiourea
Aspartic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Aspartic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Benzenesulfonic Acid					
Benzoic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Caffeine	carbamate	imidazole	BF4	N-SO2	thiourea
Camphoric acid	carbamate	imidazole	BF4	N-SO2	thiourea
Capric acid	carbamate	imidazole	BF4	N-SO2	thiourea
Genistein	carbamate	imidazole	BF4	N-SO2	thiourea
Genistein					
Genistein	phosphate	cyanamide			
Cinnamic acid	carbamate	imidazole	BF4	N-SO2	thiourea
Citric Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Citric Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Clemizole	ester	ether	fluorine	thione	thiourea
Cyclamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea
Cyclamic Acid					
Cysteine	carbamate	imidazole	BF4	N-SO2	thiourea
Cysteine	carbamate	imidazole	BF4	N-SO2	thiourea

Cysteine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Dimethylglycine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Dimethylglycine	phosphphate	cyanamide					
D-ribose	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Fumaric Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Galactaric acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Galactaric acid	imidazole	BF4					
Chrysin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Chrysin	phosphphate	cyanamide					
Chrysin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Gentisic acid							
Gentisic acid	imidazole	BF4					
Glucamine, N-methyl	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glucamine, N-methyl	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Gluconic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glucosamine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Glucuronic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glucuronic acid	imidazole	BF4					
Glucuronic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Glutamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glutamic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glutamine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glutamine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	peroxide
Glutamine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glutaric Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glycine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glycine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Glycolic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Glycolic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Hippuric Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Hippuric Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	peroxide
Hippuric Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Histidine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Histidine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Histidine							
Hydroquinone	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Hydroquinone							
Imidazole	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Ipriflavone	phosphphate	cyanamide					
Ipriflavone	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Isoleucine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Isoleucine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
lactobionic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Lactobionic acid	imidazole	BF4					
Lactobionic acid	phosphphate	cyanamide					
Lactobionic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Lauric acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Leucine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Leucine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Leucine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Lysine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	

TABLE II-continued

Lysine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Maleic	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Malic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Malic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Malonic	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Mandelic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Mandelic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Methionine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Methionine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Methionine	phosphate						
Nicotinamide	ester	ether	fluorine	thione	thiourea	iodine	peroxide
Nicotinamide	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Nicotinic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Nicotinic Acid	ester	ether	fluorine	thione	thiourea	iodine	peroxide
Orotic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Oxalic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	peroxide
Palmitic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Pantoic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Pantoic acid	imidazole	BF4					
Pantoic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Phenylalanine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Phenylalanine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Piperazine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Procaine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Procaine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Proline	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Proline	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
p-Toluenesulfonic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Pyridoxamine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Pyridoxamine	ester	ether	fluorine	thione	thiourea	iodine	
Pyridoxine	ester	ether	fluorine	thione	thiourea	iodine	
(4-Pyridoxic Acid)	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Pyridoxine	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
(4-Pyridoxic Acid)	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	peroxide
Pyroglutamic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Pyroglutamic acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Quercetin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Quercetin	phosphate	cyanamide					
Resveratrol	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Resveratrol	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	peroxide
Saccharin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Saccharin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Saccharin	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Salicylic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	epoxide
Salicylic Acid	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Salicylic Acid, 4-amino	carbamate	imidazole	BF4	N-SO2	thiourea	iodine	
Salicylic Acid, 4-amino	imidazole	BF4					

Functional Group	Functional Group Structure	Interacting Group
pyridine		*alcohol pyridinium *amide nitro *amine *carboxylic acid
imidazol		imidazole chlorine acetamide carboxylate thione nitro
Hydroxamic acid		hydroxamic acid alcohol phosphinic ester alkane pyridine amide
peroxide	$R-O-O-H$	ester peroxide ether alkane N-heterocycle
epoxide		alkane bromine ester epoxide amide
thioester		aromatic thioester alkane sulfamide hydroxy bromine
thioketone		alkane thioketone ketone SULFAMIDE AMINE thiol

	*ketone	ether	triazole	alkane	ammonium	oxime	*chlorine	alkyne
	ketone	cyano	carboxylic acid	alcohol	alkane	thiol	amine	phosphinic acid
pyridine								
imidazole								hemihydrate
Hydroxamic acid								
peroxide	sulfonamide	phosphine	aniline	aromatic				
epoxide	aromatic	pyrimidinone	aniline	thiazole	peroxy acid	ketone	carboxylic acid	azide
thioester	alkene	aromatic	thioether	ketone	aldehyde	chlorine	carboxylic acid	alkyne
thioketone	iodine	cyano	thioketone	amide		chlorine	nitro	
thiol	sulfoxide	chlorine	bromine	AROMATIC	alkene	sulfone	iodine	AZOOXY
imidazole	thiol	thionedisulfide	pyrrolindione	iodine	hydrazone	thiocyanate	*bromine	aromatic
Hydroxamic acid	chlorine	sulfoxide	amide	fluorine	sulfonate ester			
peroxide	phosphine oxide	aniline						

TABLE III-continued


Functional Group	Functional Group Structure	Interacting Group
epoxide thio ster thio ketone pyridine imidazole Hydroxamic acid peroxide epoxide thio ester thio ketone pyridine imidazole Hydroxamic acid peroxide epoxide thio ester thio ketone	—O—NO_2 $\text{potassium hydroxamic acid}$ $\text{dithiadiazocyclopentadienyl}$ Hydroxamic acid peroxide epoxide thio ester thio ketone	ammonium epoxide cyano fluorine n-oxide carboxamide amine iron *phosphoric acid cyano cobalt N-oxide nitro cyano *sulfonic acid fluoride acetate fluoride acetate thione
nitrate ester	—O—NO_2	aromatic amide alkane chlorine nitrate ester bromine
Thiophosphate ester-O	S —O—P—OH	amine imidazole cyclic amide
Phosphate ester	O —O—P—OH	aromatic alcohol phosphate ester aromatic N-ring pyridine aniline
Ketone	O R—C—R	alcohol ketone thiol amide aniline
Aldehyde	O R—C—H	alcohol ketone thiol amide aniline
Thiol Alcohol	R—SH R—OH	carboxylic acid alcohol sodium ketone aldehyde thiol ketone amide aromatic-N amine cadmium aniline

TABLE III-continued

Functional Group	alcohol	ether	acetate	potassium	lithium	carboxylic acid	amide	alkane	
nitrate ester									
Thiophosphate ester-O									
Phosphate ester	amine		sodium						
Ketone	phenol	phosphate	sulfate	sulfone	nitrate	pyridine	aromatic	carboxylic acid	metals
Aldehyde	phenol	phosphate	sulfate	sulfone	nitrate	pyridine	aromatic	carboxylic acid	metals
Thiol	alkane	arsenic	chlorine	alcohol	potassium	Ru	aromatic	Rb	Sb
Alcohol	phenol	phosphate	sulfate	sulfone	nitrate	pyridine	aromatic	carboxylic acid	metals
nitrate ester									
Thiophosphate ester-O									
Phosphate ester									
Ketone	aldehyde	ester	ether	cyano		furan	bromine	chlorine	s-heterocyclic
Aldehyde	aldehyde	ester	ether	cyano		furan	bromine	chlorine	s-heterocyclic
Thiol									
Alcohol	aldehyde	ester	ether	cyano		furan	bromine	chlorine	s-heterocyclic
nitrate ester									
Thiophosphate ester-O									
Phosphate ester									
Ketone	pyridine	cyano	n-heterocyclic	ketone	phosphate ester		fluorine	carbamate	imidazole
Aldehyde	pyridine	cyano	n-heterocyclic	ketone	phosphate ester		fluorine	carbamate	imidazole
Thiol									
Alcohol	pyridine	cyano	n-heterocyclic	ketone	phosphate ester		fluorine	carbamate	imidazole
nitrate ester									
Thiophosphate ester-O									
Phosphate ester									
Ketone	aromatic	N-SO2	thiourea	iodine	epoxide				
Aldehyde	aromatic	N-SO2	thiourea	iodine	epoxide				
Thiol									
Alcohol	aromatic	N-SO2	thiourea	iodine	epoxide				

Functional Group	Functional Group Structure	Interacting Group	amine	aromatic_s	Sp2 amine	sulfoxide
Thioether	$\begin{array}{c} \text{R} \quad \text{S} \quad \text{R} \\ \diagdown \quad \diagup \end{array}$	aromatic-N	amine	aromatic_s	Sp2 amine	sulfoxide
Ether	$\begin{array}{c} \text{R} \quad \text{O} \quad \text{R} \\ \diagdown \quad \diagup \end{array}$	aromatic-N	amine	aromatic_s	Sp2 amine	sulfoxide
Cyanamide	$\text{N}-\text{C}\equiv\text{N}$	cyano	potassium	aromatic-N	bromine	sodium
Thiocyanate	$-\text{S}-\text{C}\equiv\text{N}$	aromatic-S	ether			

TABLE III-continued

sp2 amine		thioether	ether	metals	MoOCl4	BF4	bromine
Amine primary	R-NH2		ketone	thiol	amide	amine	aniline
Amine secondary	R2-NH	alcohol	ketone	thiol	amide	amine	aniline
Functional Group							
Thioether	chlorate	chlorine	alkyne	cyano	ester	nitro	bromine
Ether	chlorate	chlorine	alkyne	cyano	ester	nitro	bromine
Cyanamide	imidazole	ether	n-heterocyclic	alcohol	cesium		
Thiocyanate	chlorine		Sp2 amine	sulfate	Osmium		
sp2 amine	phenol	phosphate	sulfate	sulfone	nitrate	aromatic	metals
Amin primary	phenol	phosphate	sulfate	sulfone	nitrate	aromatic	metals
Amine secondary	aldehyde	ketone	peroxide	epoxide	Ag	hetero-	ester
Thioether						cyclic-S	
Ether	aldehyde	ketone	peroxide	epoxide	Ag	hetero-	ester
						cyclic-S	
Cyanamide							
Thiocyanate							
sp2 amine	aldehyde	ester	ether	cyano		bromine	s-heterocyclic
Amine primary	aldehyde	ester	ether	cyano		bromine	s-heterocyclic
Amine secondary	ether	carboxylic acid	sulfate	sulfone	alkane	phosphate	
Thioether							
Ether	ether	carboxylic acid	sulfate	sulfone	alkane	phosphate	cyanamide
Cyanamide							
Thiocyanate							
sp2 amine	pyridine	cyano	n-heterocyclic	ketone	phosphate	fluorine	imidazole
Amine primary					ester		BF4
Amine secondary	pyridine	cyano	n-heterocyclic	ketone	phosphate	fluorine	imidazole
Thioether					ester		BF4
Ether							
Cyanamide							
Thiocyanate							
sp2 amine	aromatic	N-SO2	thiourea	iodine			
Amine primary	aromatic	N-SO2	thiourea	iodine			
Amine secondary							

Functional Group Structure Interacting Group

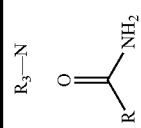
Amin t triary	R3-N	alcohol	ketone	thiol	amide	amine	aniline
Amide		alcohol	ketone	thiol	amide	amine	aniline

TABLE III-continued

Sulfonic acid	$\text{R}-\overset{\text{O}}{\overset{\parallel}{\text{S}}}-\text{O}^-$	pyridine	ketone	aldehyde	ether	ester	amide
Phosphinic acid	$\text{R}-\overset{\text{O}}{\overset{\parallel}{\text{P}}}-\text{O}^-$	alkane	potassium	lithium	n-heterocyclic	oxime	amide
Phosphonic acid	$\text{R}-\overset{\text{O}}{\overset{\parallel}{\text{P}}}-\text{O}^-$ OH	alkane	potassium	lithium	n-heterocyclic	oxime	amide
Carboxylic acid	O $\text{R}-\text{C}=\text{O}$ OH	alcohol	ketone	thiol	amide	amine	aniline

Functional Group							
Amine tertiary	phenol	phosphate	sulfate	sulfone	pyridine	aromatic	carboxylic acid
Amide	phenol	phosphate	sulfate	sulfone	pyridine	aromatic	carboxylic acid
Sulfonic acid	carboxylic acid	amine	metals	thioether	sulfate	alcohol	metals
Phosphinic acid	phenol	aromatic	amine	alcohol	metals	carboxylic acid	aniline
Phosphonic acid	phenol	phosphate	sulfate	sulfone	pyridine	aromatic	metals
Carboxylic acid	aldehyde	ester	ether	cyano	pyridine	aromatic	carboxylic acid
Amine tertiary	aldehyde	ester	ether	cyano	pyridine	aromatic	metals
Amide	aldehyde	ester	ether	cyano	pyridine	aromatic	metals
Sulfonic acid	ether	phosphonic acid	aromatic-N	ketone	imidazole	chlorine	s-heterocyclic
Phosphonic acid	ether	phosphonic acid	aromatic-N	ketone	imidazole	chlorine	s-heterocyclic
Carboxylic acid	aldehyde	ester	ether	cyano	pyridine	carbamate	BF4
Amine tertiary	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Amide	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Sulfonic acid	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Phosphinic acid	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Phosphonic acid	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Carboxylic acid	pyridine	cyano	n-heterocyclic	ketone	pyridine	carbamate	BF4
Amine tertiary	aromatic	N-SO2	thiourea	iodine	pyridine	carbamate	BF4

TABLE III-continued

Amide	aromatic	N-SO2	thiourea	iodine	epoxide	peroxide	
Sulfonic acid							
Phosphonic acid							
Carboxylic acid	aromatic	N-SO2	thiourea	iodine			
Functional Group	Functional Group Structure Interacting Group						
Sulfate ester			pyridine	ketone	aldehyde	ether	amide
Oxime	$C \equiv N - OH$	alcohol		alkane	amine	amide	ester
Nitrile	$-C \equiv N$	metal		ketone	phenol	alcohol	cyano
Diazo	$RH_2C - N \equiv N - CH_2R$	Oxime					
Nitro	NO_2	pyridine		ketone	aldehyde	ether	amide
S-heterocyclic ring		alcohol		thioketone	thioether	s-heterocyclic	aromatic
Thiophene		chlorine		fluorine	amide	ketone	NO
Functional Group							
Sulfate ester	carboxylic acid	amine	metals	thioether	sulfate	alcohol	n-oxide
Oxime	pyridine	n-aromatic	chlorate	chlorine	Sp2-N	diazo	cyano
Nitrile	amine	aniline	bromine	amide	alkane	carboxylic acid	n-heterocyclic aromatic
Diazo							
Nitro	carboxylic acid	amine	metals	thioether	sulfate	alcohol	
S-heterocyclic ring	alkene	amine	chlorine	BF4	sulfate	ester	amide
Thiophene	CO						
Sulfate ester							
Oxime	ketone	aldehyde	carboxylic acid	bromine	aromatic	pyridine	
Nitrile	potassium	aldehyde	thioether	pyridine	n-aromatic	bromine	s-aromatic
Diazo						ether	thiophene
Nitro							

[illegible]

TABLE IV

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
(-)-amlodipine	3,5-Pyridinedicarboxylic acid, 2-((2-aminoethoxy)methyl)-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-, 3-ethyl-5-methyl ester, (S)-[CAS]	103129-82-4	WO 9310779	Antihypertensive, other	Hypertension, general
(-)-halofenate	(-)-Benzenecacetic acid, 4-chloro-Alpha-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester		U.S. 6,262,118	Antidiabetic	Diabetes, Type II
(R)-salbutamol	1,3-Benzenedimethanol, Alpha1-(((1,1-dimethyl)ethyl)amino)methyl)-4-hydroxy-[CAS]			Formulation, modified-release, <=24 hr	Asthma
(R)-salbutamol	1,3-Benzenedimethanol, Alpha1-(((1,1-dimethyl)ethyl)amino)methyl)-4-hydroxy-[CAS]	34391-04-3	U.S. 5,547,994	Antiasthma	Asthma
(R,R)-formoterol	Formamide, N-(2-hydroxy-5-(1-hydroxy-2-((2-(4-methoxyphenyl)-1-methyl)ethyl)amino)ethyl)phenyl)-(R-(R*,R*)-[CAS]	67346-49-0	U.S. 5,795,564	Antiasthma	Asthma
(S)-doxazosin	(S)-1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(1,4-benzodioxan-2-yl)carbonyl)piperazine	70918-18-2	WO 9409785	Prostate disorders	Benign prostatic hyperplasia
(S)-fluoetine	Benzenepropanamide, N-methyl-Gamma-(4-(trifluoromethyl)phenoxy)-(S)			Antimigraine	Migraine
(S)-oxybutynin	Benzenecacetic acid, Alpha-cyclohexyl-Alpha-hydroxy-, 4-(diethylamino)-2-butyryl ester, (S)-[CAS]	119618-22-3		Urological	Incontinence
1,2-Naphthoquinone		524-42-5			
17 α -Hydroxyprogesterone		68-96-2			
17-Methyltestosterone		58-18-4			
195mPt-cisplatin	Platinum-195m, diamminedichloro, (SP-4-2)-		U.S. 6,074,626	Anticancer, alkylating	Cancer, liver
1 α -Hydroxycholecalciferol		41294-56-8			
1-Naphthyl Salicylate		550-97-0			
1-Naphthylamine-4-sulfonic Acid		84-86-6			
1-Theobromineacetic Acid		5614-56-2			
2,4,6-Tribromo-m-cresol		4619-74-3			
2,6-Diamino-2'-butyloxy-3,5'-azopyridine		617-19-6			
21-Acetoxyprogesterone		566-78-9			
2-Amino-4-picoline		695-34-1			
2-Aminothiazole		96-50-4			
2-ethoxybenzoic acid	2-Ethoxybenzoic acid		DE 5134001	Analgesic, NSAID	Pain, general
2-Naphthol		135-19-3			
2-Naphthyl Benzoate		93-44-7			
2-Naphthyl Lactate		93-43-6			
2-Naphthyl Salicylate		613-78-5			

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
2-p-Toluenesulfonamylaminoethanol		80-02-4			
2-Thiouracil		141-90-2			
3,3',3'',5',5''-Tetra-		76-62-0			
oromorphenolphthalein					
3-Amino-4-hydroxybutyric Acid		589-44-6			
3-Bromo-d-camphor		76-29-9			
3-Hydroxycamphor		10373-81-6			
6-O-Laurylpyridoxol		1562-13-6			
Diacetate					
3-Pentadecylcatechol		492-89-7			
6-Quinuclidinol		1619-34-7			
4,4'-Oxydi-2-butanol		821-33-0			
4,4'-Sulfinyldianiline		119-59-5			
4-Amino-3-hydroxybutyric Acid		352-21-6			
4-Amino-3-phenylbutyric Acid		1078-21-3			
4-aminosalicylic acid	Benzoic acid, 4-amino-2-hydroxy-[CAS]	65-49-6		GI inflammatory/ bowel disorders	Inflammatory bowel disease
4-Chloro-m-cresol		59-50-7			
4-Hexylresorcinol		136-77-6			
4-Salicyloylmorpholine		3202-84-4			
5-Nitro-2'-propoxyacetanilide		553-20-8			
5-aminolevulinic acid,	Pentanoic acid, 5-amino-4-oxo- [CAS]	106-60-5		Dermatological Anticancer, antimetabolite	Keratosis Myelodysplastic syndrome
5-azacitidine	1,3,5-Triazin-2(1H)-one, 4-amino-1-β-D-ribofuran-yl- [CAS]	320-67-2			
5-Bromosalicylhydroxamic Acid		5798-94-7		Anticancer, other	Cancer, breast
SF-DF-203	2-(4-Amino-3-methylphenyl)-6-hydroxybenzothiazole			Formulation, parenteral, targeted	Cancer, general
5-FU	2,4(1H,3H)-Pyrimidin-6-one, 5-fluoro [CAS]	51-21-8		Male sexual dysfunction	Premature ejaculation
5-HT3 antagonists			U.S. 6,037,360		
6-Azauridine		54-25-1			
6-Mercaptopurine		50-44-2			
8-Hydroxyquinoline		148-24-3			
9-Aminocamptothecin		91421-43-1			
A-151892	N[2-(2,2,2-Trifluoro-1-hydroxy-1-trifluoromethyl-ethyl)-naphthalen-1-yl] amide			Urological	Overactive bladder

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
α_1 -Antitrypsin A-5021	6H-Purin-6-one, 2-amino-9-((1S,2R)-1,2-bis(hydroxymethyl)cyclopropyl)methyl-1,9-dihydro-[CAS]	9041-92-3 145512-85-2		Antiviral, other	Infection, varicella zoster virus Infection, HIV/AIDS
abacavir	2-Cyclopentene-1-methanol, 4-(2-amino-6-(cyclopropylamino)-9H-purin-9-yl)-, (1S-cis)-[CAS]	136470-78-5 188062-50-2	EP 434450	Antiviral, anti-HIV	
abapiridone	7-[3-{4-(6-Fluoro-1,2-benzisoxazol-3-yl)piperidin-1-yl}propoxy]-3-(hydroxymethyl)chromen-4-one	183849-43-6	WO 9632389	Neuroleptic	Schizophrenia
abarelix	D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-D-asparagyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-[CAS]	183552-38-7	U.S. 5,843,902	Anticancer, hormonal	Cancer, prostate
Abciximab Abecamil abetimus		143653-53-6 111841-85-1 169147-32-4	U.S. 5,552,391	Immunosuppressant	<i>Lupus erythematosus</i> , systemic Cancer, prostate
abiraterone	Androsta-5,16-dien-3-ol, 17-(3-pyridinyl)-, acetate (ester), (3 β)-[CAS]	154229-18-2	GB 2265624	Anticancer, hormonal	
α -Bisabolol ABL C	Amphotericin B [CAS]	515-69-5 1397-89-3 30652-87-0		Formulation, conjugate, carbohydrate	Infection, Candida, general
ABT-751	Benzenesulfonamide, N-[2-[(4-hydroxyphenyl)amino]-3-pyridinyl]-4-methoxy-[CAS]	141430-65-1	EP 472053	Anticancer, other	Cancer, general
AC-5216	N-benzyl-N-ethyl-2-(7,8-dihydro-7-methyl-8-oxo-2-phenyl-9H-purin-9-yl)acetamide				
Acadesine acamprosate	1-Propanesulfonic acid, 3-(acetylamino)-[CAS]	2627-69-2 77337-76-9	GB 2051789	Anxiolytic	Anxiety, general
Acamprostate Acarbose acebrophyline	7H-Purine-7-acetic acid, 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-, compd. with trans-4-[[[(2-amino-3,5-dibromophenyl)methyl]amino]cyclohexanol (1:1)] [CAS]	77337-73-6 56180-94-0 96989-76-3	GB 2051789 DE 3425007	Dependence treatment Antiasthma	Addiction, alcohol Asthma
acebutolol	Butanamide, N-[3-acetyl-4-[2-hydroxy-3-[[[1-methylethyl]amino]propoxy]phenyl], (+/-)-] [CAS]	34381-68-5 37517-30-9	U.S. 3,726,919	Antihypertensive, adrenegic	
Acetaminide Acetabromal		32795-44-1 77-66-7			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
acetocefenac	Benzenecarboxylic acid, 2-[(2,6-dichlorophenyl)amino]-, carboxymethyl ester[CAS]	89796-99-6	EP 119932	Anti-inflammatory	Pain, musculoskeletal
Acetapsone		77-46-3			
Acetiasulfone		80-03-5			
Acetylline		652-37-9			
Acetylglutamide		2490-97-3			
acemetacin	Aluminum, pentakis(N2-acetyl-L-glutaminato)(tetrahydroxytri- [CAS]	12607-92-0	DE 2127176	Antiulcer	Ulcer, GI, general
	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, carboxymethyl ester [CAS]	53164-05-9	U.S. 3,910,952	Anti-inflammatory	
Acenocoumarol		152-72-7			
Acetal		105-57-7			
Acetamidooctegenol		305-13-5			
Acetaminophen		103-90-2			
Acetaminosalol		118-57-0			
Acetanilide		103-84-4			
Acetarsone		97-44-9			
Acetazolamide		59-66-5			
Acetamine		299-89-8			
Acetohexamide		968-81-0			
Acetolhydroxamic Acid		546-88-3			
Acetophenazine		2751-68-0			
Acetophenone		98-86-2			
Acetosulfone		128-12-1			
acetoxolone	Olean-12-en-30-oic acid, 3 β -hydroxy-11-oxo-acetate, aluminium salt [CAS]	29728-34-5 6277-14-1	U.S. 3,764,618	Antiulcer	
Acetizotat		129-63-5			
Acetyl		3590-05-4			
Sulfamethoxypyrazine		14992-62-2			
Acetylcarbitine		66-23-9			
Acetylcholine		60-31-1			
Acetylcholine		616-91-1			
Acetylcysteine		149-90-6			
Acetylleucine					
Monoethanolamine					
Acetylphenetidine		13402-08-9			
acetylsalicylic acid	Benzoic acid, 2-(acetyloxy)-[CAS]	50-78-2 53075-6		Formulation, optimized, microcapsulate	Pain, general
α -Chloralose		13879-93-3			
aciclovir	6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(2-hydroxyethoxy)methyl]-[CAS]	59277-89-3		Formulation, dermal/topical	Infection, herpes simplex virus
Acifran		72420-38-3			
acipinox	Pyrazinecarboxylic acid, 5-methyl-, 4-oxide [CAS]	51037-30-0	GB 1361967	Hypolipaeimic/ Antiatherosclerosis	Hyper-lipidaemia, general
acitazanolast	Acetic acid, oxol[3-(1H-tetrazol-5-yl)phenyl]amino]-[CAS]	114607-46-4	EP 256507	Ophthalmological	Conjunctivitis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
acitretin	2,4,6,8-Nonatetraenoic acid, 9-(4-methoxy-2,3,6-trimethylphenyl)-3,7-dimethyl-, (all-E) [CAS]	55079-83-9	SB 1468401	Antipsoriasis	Psoriasis
acalabacin		57576-44-0 75443-99-1 55077-30-0	U.S. 3,988,315	Anticancer, antibiotic	
Acetaminophen		302-27-2			
Aconitine		1684-42-0			
Acrilanil ®		8048-52-0			
Acriflavine		7527-91-5			
Acrisorelin		87848-99-5	EP 85959	Antipruritic/ inflamm, allergic	Rhinitis, allergic, general
acrivastine	2-Propenoic acid, 3-[6-[1-(4-methylphenyl)-3-(1-pyridinyl)-1-propenyl]-2-pyridinyl]-, (E,E)-[CAS]			Antiallergic, non-asthma	Rhinitis, allergic, seasonal
acrivastine + pseudoephedrine	Benzenemethanol, Alpha-[1-(methylamino)ethyl]-, hydrochloride, [S-(R*,R*)]-, mixture with 2-Propenoic acid, 3-[6-[1-(4-methylphenyl)-3-(1-pyridinyl)-1-propenyl]-2-pyridinyl]-, (E,E)-, 3,3-dimethyl-1-propylamide HCl monocarboxamide actagardine			Peptide antibiotic	Infection, general
actagardine derivative					
Actarit		18699-02-0			
ACTH		900-60-2			
Aceclovir		59277-89-3			
adapalene	2-Naphthalenecarboxylic acid, 6-(4-methoxy-3-tricyclo[3.3.1.1 ^{3,7} dec-1-ylphenyl])- [CAS]	106685-40-9	EP 199636	Antiscene	Acne
ADCON-L		137802-74-5		Formulation, other	Fibrosis, epidural
Adefovir		106941-25-7			
adefovir dipivoxil	Propanoic acid, 2,2-dimethyl-, (((2-(6-amino-9H-purin-9-yl)ethoxy)methyl)phosphorylidene)bis(oxy)methylene)ester- [CAS]	142340-99-6	EP 205826	Antiviral, other	Infection, hepatitis-B virus
Adenoscan	6-Amino-9-β-D-ribofuranosyl-9H-purine [CAS]	58-61-7		Imaging agent	Diagnosis, coronary
Adenosine Triphosphate ADEPT		56-65-5 156079-88-8		Immunocjugate, other	Cancer, colorectal
Adinazolam		37115-32-5			
Adiphenine		64-95-9			
ADL-10-0101		63547-13-7	WO 9732857	Analgesic, other	Pain, general
Adrafinil		99-45-6			
Adrenalone		54-06-8			
Adrenochrome		166591-11-3			
adrogolide	Benzo(f)thieno[2,3-c]quinoline-9,10-diol, 4,5,5a,6,7,11b-hexahydro-2-propyl-, diacetate (ester), hydrochloride (5aR-trans)- [CAS]	171752-56-0	U.S. 5,597,832	Dependence treatment	Addiction, cocaine
AEOL-10150			U.S. 6,103,714	Neuroprotective	Unspecified

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
AET α -Ethylbenzyl Alcohol AF-2259	Benzeneacetic acid, Alpha-methyl-4-(2-methylpropyl)-, 2-methoxyphenyl ester [CAS]	56-10-0 93-54-9 66332-77-2	DE 2726435	Anti-inflammatory	Inflammation, general
Aloqualone AG-041R	1H-Indole-3-acetamide, 1-(2,2-dithoxyethyl)-2,3-dihydro-N-(4-methylphenyl)-3-(((4-methylphenyl)amino)carbonyl)amino-2-oxo-, (3R)-[CAS]	56287-74-2 199800-49-2	WO 9419322	Alimentary/ Metabolic, other	Unspecified
AG-2037	N-(5-[2-(2-amino-4(3H)-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl]-4-methylthieno-2-yl)glutamic acid	59-56-3		Anticancer, antimetabolite	Cancer, general
α -Glucose-1-phosphate AGN-194310	Benzoic acid, 4-((4-(4-ethylphenyl)-2,2-dimethyl-2H-1-benzothioopyran-6-yl)ethyl)-[CAS]	229961-45-9	WO 9709297	Dermatological	Psoriasis
agomelatine	Acetamide, N-(2-(7-methoxy-1-naphthalenyl)ethyl)-[CAS]	138112-76-2	EP 447285	Antidepressant	Sleep disorder, general
Ahistan AHL-157		518-61-6	U.S. 5,411,972	Hypolipemic/ Antiatherosclerosis	Atherosclerosis
AIT-034	9H-Purine-9-propanamide, 1,6-dihydro-6-oxo-N-(3-(2-oxo-1-pyrrolidinyl)propyl)-[CAS]	138117-48-3	U.S. 5,447,939	Cognition enhancer	Dementia, senile, general
AIT-202	N-[2-(5-Hydroxy-1H-indol-3-yl)ethyl]-3-(6-oxo-6,9-dihydro-1H-purin-9-yl)propionamide		WO 9957120	Antidepressant	Unspecified
AJ-9677	Acetic acid, ((3-((2R)-2-((2R)-2-(3-chlorophenyl)-2-hydroxyethyl)amino)propyl)-1H-indol-7-yl)oxy)-[CAS]	244081-42-3		Antidiabetic	Diabetes, Type II
AJG-049			WO 9733885	Gastroprokinetic	Motility dysfunction, GI, general
Ajmaline Alacepril albaconazole	4(3H)-Quinazolinone, 7-chloro-3-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-[CAS]	12/07/4360 74258-86-9 187949-02-6	WO 9705131	Antifungal	Infection, Candida, general
albendazole	Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester [CAS]	54029-12-8 54965-21-8 18559-94-9 830-89-7	GB 1454326	Anthelmintic	Infection, helminth, general
Albuterol Albutoin alclofenac	Benzeneacetic acid, 3-chloro-4-(2-propenyl)oxy)-[CAS]	22131-79-9	GB 1174535	Anti-inflammatory	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
alclometasone	Pregna-1,4-diene-3,20-dione, 7-chloro-11-hydroxy-16-methyl-17,21-bis(1-oxopropoxy)-, (7 α , 11 β , 16 α)-[CAS]	66734-13-2 67452-97-5	U.S. 4,124,707	Antipruritic/ inflamm. allergic	Inflammation, dermal
Alcuronium		23214-96-2			
Aldifoxa		5579-81-7			
Aldol		107-89-1			
Aldosterone		52-39-1			
alendronate	Phosphonic acid, (4-amino-1-hydroxybutylidene)bis- [CAS]	121268-17-5 129318-43-0	GB 2118042	Osteoporosis treatment	Osteoporosis
Alendronic Acid		66376-36-1			
Alexidine		22573-93-9			
alfacalcidol	9,10-Secosteroid-5,7,10(19)-triene-1,3-diol, (1 α ,3 β ,5Z,7E)-[CAS]	41294-56-8			
Alfadolone		23930-37-2			
Alfaxalone		23930-19-0			
Alfentanil		71195-58-9			
alfimeprase		259074-76-5		Fibrinolytic	Peripheral vascular disease
alfuzosin	2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]-tetrahydro- [CAS]	81403-68-1 81403-80-7	GB 2013679	Prostate disorders	Benign prostatic hyperplasia
alfuzosin	2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]-propyl]tetrahydro- [CAS]	81403-68-1 81403-80-7		Formulation, modified-release, other	Benign prostatic hyperplasia
Algestone		595-77-7			
Algestone		24356-94-3			
Acetophenide		9005-38-3			
Algin		143003-46-7			
Alglucerase		26750-81-2			
Alibendol		173334-57-1			
aliskiren	(2S,4S,5S,7S)-5-Amino-N-(2-carbamoyl-2-methylpropyl)-4-hydroxy-2-isopropyl-7-[4-methoxy-3-(3-methoxypropoxy)benzyl]-8-methylnonanamide			Antihypertensive, renin system	Hypertension, general
alitertinoin	9-cis retinoic acid	03/08/5300			
alizapride	1H-Benzotriazole-5-carboxamide, 6-methoxy-N-[[1-(2-propenyl)-2-pyrrolidinyl]methyl]-[CAS]	59338-93-1	GB 1475234	Antipruritic/ inflamm. allergic Antiemetic	Eczema, general Nausea and vomiting, general
Alkannin		517-88-4			
Alkofanone		7527-94-8			
Allantoin		97-59-6			
Allobarbitol		52-43-7			
Allopurinol		315-30-0			
Allyl Isothiocyanate		57-06-7			
Allyl/estrenol		432-60-0			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
almagrate	Magnesium, [carbonato(2-)]heptahydroxy-(aluminum)tri-, dihydrate [CAS]	66827-12-1 72526-11-5	U.S. 4,447,417	Antacid/ Antiflatulent	
alminoprofen	Benzeneacetic acid, Alpha-methyl-4[(2-methyl-2-propenyl)amino]-[CAS]	39718-89-3	U.S. 3,957,850	Analgesic, NSAID	
almitrine	1,3,5-Triazine-2,4-diamine, 6-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-N,N'-di-2-propenyl-, dimethanesulfonate [CAS]	27469-53-0 29608-49-9	GB 1256513	Respiratory	Bronchitis, chronic
almotriptan	Pyrrolidine, 1-((3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)methyl)sulfonyl)-[CAS]	154323-57-6 481-72-1	WO 9402460	Antimigraine	Migraine
Aloe-Emodin Aloin alosetron	2,3,4,5-Tetrahydro-5-methyl-2-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-pyrido[4,3-b]indol-1-one [CAS]	5133-19-7 122852-42-0 122852-69-1 132414-02-9	EP 306323	GI inflammatory/ bowel disorders	Irritable bowel syndrome
alovudine	Thymidine, 3'-deoxy-3'-fluoro-[CAS]	25526-93-6	EP 470355	Antiviral, anti-HIV	Infection, HIV/AIDS
Aloxiprin Alpha-1 protease inhibitor		9014-67-9	U.S. 5,780,014	Formulation, inhalable, topical	Emphysema, alpha-1 antitrypsin deficiency Parkinson's disease
Alpha- dihydroergocryptine Alphaprodine Alpidem Alpropride alprazolam	Ergocryptine, 9,10-dihydro-methanesulfonate (salt)-[CAS]	29261-93-6 77-20-3 82626-01-5 81982-32-3 28981-97-7	U.S. 3,987,052	Anxiolytic	Anxiety, general
Alprenolol alsacide	Alpha-1-17-Carticotropin, 1-β-amine-17-[N-(4-aminobutyl)-L-lysineamide]-[CAS]	13655-52-2 34765-96-3	U.S. 3,749,704	ACTH	Arthritis, rheumatoid
ALT-711	Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, bromide [CAS]	181069-80-7	WO 9622095	Symptomatic antidiabetic	Hypertension, general
Althiazid altincline	Pyridine, 3-ethynyl-5-((2S)-1-methyl-2-pyrrolidinyl)-[CAS]	5588-16-9 179120-92-4	U.S. 5,594,011	Antiparkinsonian	Parkinson's disease
altretamine	1,3,5-Triazine-2,4,6-triamine, N,N,N',N'',N'''-hexamethyl-[CAS]	645-05-6	U.S. 3,424,752	Anticancer, alkylating	Cancer, ovarian
aluminum chloride hexahydrate Aluminon	Aluminum chloride, hexahydrate	7446-70-0 7784-13-6 569-58-4		Dermatological	Hyperhidrosis
Aluminum Acetate Solution		8006-13-1			
Aluminum Chlorate Aluminum Hydroxychloride		15477-33-5 1327-41-9			
Aluminum Potassium Sulfate		10043-67-1			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Aluminum Sulfate alustulf	Aluminum hydroxide sulfate (Al ₇ (OH) ₁₇ (SO ₄) ₂), dodecahydrate [CAS]	10102-71-3 61115-28-4	DE 2510663	Urological	Hyperphosphataemia
Alverine alvimopan	Glycine, N-[(2S)-2-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]methyl]-1-oxo-3-phenylpropyl]-[CAS]	150-59-4 156053-89-3	EP 657428	GI inflammatory/bowel disorders	Ileus
alvocidib	4H-1-Benzopyran-4-one, 2-(2-chlorophenyl)-5,7-dihydroxy-8-(3-hydroxy-1-methyl-4-piperidinyl)-, cis(-)-[CAS]	131740-09-5 146426-40-6		Anticancer, other	Cancer, renal
ALX-0646 AM-24	2,4,6-Triiodophenol	609-23-4	WO 9506638	Antimigraine	Migraine
AM-36	1-Piperazineethanol, 4-[[3,5-bis(1,1-dimethylirlyl)-4-hydroxyphenyl]methyl]-2-Methoxyoestradiol	199467-52-2		GI inflammatory/bowel disorders Neuroprotective	Crohn's disease Unspecified
AM-477 Amantadine amantanium	1-Decanaminium, N,N-dimethyl-N-[2-[(tricyclo[3.3.1.1.3,7]dec-1-ylcarbonyloxy]ethyl]-, bromide [CAS]	768-94-5 58158-77-3	U.S. 4,288,609	Antiasthma	Asthma
Ambazon Ambenonium ambisenitan	(+)-(2S)-2-[(4,6-dimethylpyrimidin-2-yl)-oxyl]-3-methoxy-3,3-diphenylpropanoic acid	539-21-9 115-79-7 177036-94-1		Vasodilator, peripheral	Heart failure
ambroxol	Cyclohexanol, 4-[(2-amino-3,5-dibromophenyl)methyl]amino]-, trans-[CAS]	18683-91-5 23828-92-4	GB 1178034	COPD treatment	Bronchitis, chronic
Ambucaine Ambuphylene Ambusid	Pregna-1,4-diene-3,20-dione, 21-(acetyloxy)-16,17-(cyclopropylidenebis(oxy))-9-fluoro-11-hydroxy-, (11β, 16α)-[CAS]	119-29-9 5634-34-4 3754-19-6 115-51-5 51022-69-6	DE 2437847	Antiparasitiasis	
Ambutonium ambunonide	1,4,8,11-Tetraazacyclotetradecane, 1,11-(1,4-phenylenebis(methylene))bis-, octahydrochloride [CAS]	155148-31-5	U.S. 5,612,478	Haematological	Chemotherapy-induced injury, bone marrow, leucopenia
AMD-3100	1,3-Dioxolane-2-methanol, 4-(2,6-diamino-9H-purin-9-yl)-(2R-cis)-[CAS]	32887-01-7 32886-97-8 145514-04-1	EP 656778	Antiviral, anti-HIV	Infection, HIV/AIDS
Amdinocillin Amdinocillin Pivoxil amdoxovir	Carbamic acid, ((4-((3-(4-(1-(4-hydroxyphenyl)-1-methylethyl)phenoxymethyl)phenyl)-methoxy)phenyl)iminomethyl)-ethyl ester [CAS]	346735-24-8	DE 10000907	COPD treatment	Chronic obstructive pulmonary disease
amrelubant					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Americaine	Benzenemethanaminium, N,N-dimethyl-N-[2-[2-[4-(1,1,3,3-tetramethylbutyl)phenoxy]ethoxy]ethyl]-, chloride, mixt. with ethyl 4-aminobenzoate [CAS]	129128-13-8		Formulation, inhalable, other	Pain, general
Amezinium		30578-37-1			
Amfenac		51579-82-9			
Amideprine		3354-67-4			
Amidinocycin		3572-60-9			
amifostine	Ethanolol, 2-[(3-aminopropyl)amino]-, dihydrogen phosphate (ester) [CAS]	20537-88-6 63717-27-1	EP 131500	Radio/chemoprotective	Chemotherapy-induced injury, renal
amiglumide	Pentanoic acid, 5-(dipentylamino)-4-(2-naphthalenylcarbonylamino)-5-oxo-(R)- [CAS]	119363-62-1	WO 8805774	GI inflammatory/bowel disorders	Pancreatitis
amikacin		37517-28-5 39831-55-5		Formulation, optimized, microcapsulate	Infection, general
Amiloride		2609-46-3			
Aminacrine		90-45-9			
amineptine	Heptanoic acid, 7-[(10,11-dihydro-5H-dibenzol[a,d]cyclohepten-5-yl)amino]- [CAS]	30272-08-3 57574-09-1	U.S. 3,758,528	Antidepressant	
Aminitrozo		140-40-9			
Amino Acid Preparations					
Aminocaproic Acid					
aminogluthimide	2,6-Piperidinedione, 3-(4-aminophenyl)-3-ethyl- [CAS]	125-84-8	U.S. 3,944,671	Anticancer, hormonal	Cancer, breast
Aminoguanidine		79-17-4			
Aminohippurate		642-44-4			
Aminometradine		60-46-8			
Aminopentamide		317-34-0			
aminophylline	1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-, compd. with 1,2-ethanediamine (2:1) [CAS]	58-37-7 58-15-1 3811-56-1 2207-50-3		Formulation, modified-release, other	Asthma
Aminopromazine		1951-25-3			
Aminopyrine		19774-82-4			
Aminoquinuride		490-55-1			
Aminorex		56824-20-5			
amiodarone	Methanone, (2-butyl-3-benzofuranyl)[4-(2-(diethylamino)ethoxy)-3,5-diiodophenyl]- [CAS]	71675-85-9	U.S. 3,248,401	Antiarrhythmic	Arrhythmia, general
Amiphenazole					
Amiprilose					
amisulpride	Benzamide, 4-amino-N-[(1-ethyl-2-pyrrolidinyl)methyl]-5-(ethylsulfonyl)-2-methoxy- [CAS]	50-48-6	U.S. 4,401,822	Neuroleptic	Schizophrenia
Amiripryline					
amitriptyline +	1-Propanamine,3-(10,11-dihydro-5H-			Formulation,	Pain,

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ketamine	dibenzol[a,d]cyclohepten-5-ylidene-N,N-dimethyl + cyclohexanone, 2-(2-chlorophenyl)-2-(methylamino)	4317-14-0 68302-57-8	U.S. 4,299,963	fixed-dose combinations	neuropathic
Anitriptylinoxide amlexanox	5H-[1]Benzopyran[2,3-b]pyridine-3-carboxylic acid, 2-amino-7-(1-methylethyl)-5-oxo-[CAS]	111470-99-6 88150-42-9 88150-47-4	EP 89167	Antiasthma	Asthma
amiodipine	3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester [CAS]	03/07/9000 1863-63-4 530-31-4 528-94-9 42739-38-8 57-43-2 36590-19-9 86-42-0 78613-35-1 78613-38-4	EP 24334	Antianginal	Hypertension, general
Ammoniacum Ammonium Benzoate Ammonium Mandelate Ammonium Salicylate Ammonium Valerate Amobarbital Amocarzine Amodiaquin amorolfine	Morpholine, 4-[3-[4-(1,1-dimethylpropyl)phenyl]-2-methylpropyl]-2,6-dimethyl-, cis-[CAS]	26328-53-0 70958-86-0 85320-68-9	EP 136103	Antifungal	Infection, fungal, general
Amoscanat amosulalol	Benzenesulfonamide, 5-[[1-hydroxy-2-[(2-methoxyphenoxy)ethyl]amino]ethyl]-2-methyl-, (+/-)-[CAS]	5385-64-8 14028-44-5	GB 1192812	Antihypertensive, adrenergic	Hypertension, general
Amotriphene anoxapine	Dibenz[b,f][1,4]oxazepine, 2-chloro-11-(1-piperazinyl)-[CAS]	26787-78-0 61336-70-7	GB 1508977	Antidepressant	Depression, general
amoxicillin	4-Thia-1-azobicyclo[3,2,0]heptane-2-carboxylic acid, 6-[[amino(4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2 α pha,5 α pha,6 β (S*)]] [CAS]	Formulation, modified-release, other		Formulation, modified-release, other	Infection, general
anoxicillin + potassium clavulan AMPA lex	Piperidine, 1-(6-quinoxaliny/carbonyl)-[CAS]	74469-00-4	GB 1508977	Formulation, fixed-dose combinations	Infection, respiratory tract, general
Amphetamine Amphetaminil amphotericin B	Amphotericin B compd. with (3 β)-cholest-5-en-3-yl hydrogen sulfate (1:1) [CAS]	154235-83-3	U.S. 5,650,409	Psychostimulant	Attention deficit disorder
ampicillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2 α pha,5 α pha,6 β (S*)]]	300-62-9 17590-01-1 120895-52-5 1397-89-3 69-53-4 7177-48-2	U.S. 4,822,777	Formulation, optimized, liposomes	Infection, general
Amipiroxicam Ampligen		99464-64-9 38640-92-5		Formulation, fixed-dose combinations	Infection, general

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
amprenavir	Carbamic acid, (3-(((4-aminophenyl)sulfonyl)(2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl)-, tetrahydro-3-furanyl ester, (3S-(3R*(1R*,2S*)))-[CAS] [3,4'-Bipyridin]-6(1H)-one, 5-amino-[CAS]	161814-49-9	U.S. 5,783,701	Antiviral, anti-HIV	Infection, HIV/AIDS
amrinone		60719-84-8 75898-90-7	U.S. 4,004,012	Cardio stimulant	
amrubicin	5,12-Naphthacenedione, 9-acetyl-9-amino-7-((2-deoxy-β-D-erythro-pentopyranosyl)oxy)-7,8,9,10-tetrahydro-6,11-dihydro-, hydrochloride, (7S,cis)-[CAS]	92395-36-3	EP 107486	Anticancer, antibiotic	Cancer, lung, non-small cell
ansacrine	Methanesulfonamide, N-[4-(9-acridinylamino)-3-methoxyphenyl]-[CAS]	51264-14-3		Anticancer, other	Cancer, leukaemia, acute lymphocytic Arthritis, rheumatoid
antolmetin guacil	Glycine, N-[[1-methyl-5-(4-methylbenzoyl)-1H-pyrrrol-2-yl]acetyl]-, 2-methoxyphenyl ester [CAS]	87344-06-7	GB 2115417	Analgesic, NSAID	
Amylocaine AN-152		532-59-2			
anabolic steroids			WO 9719954	Anticancer, antibiotic	Cancer, prostate
Anagestone		2740-52-5	WO 9848812	Cardiovascular	Heart failure
anagrelide	Imidazo[2,1-b]quinazolin-2(3H)-one, 6,7-dichloro-1,5-dihydro-, monohydrochloride [CAS]	58579-51-4 68475-42-3	GB 1418822	Haematological	Thrombocytosis
anastrozole	1,3-benzenediacetone trile, Alpha,Alpha,Alpha-tetramethyl-5-(1H-1,2,4-triazol-1-ylmethyl)-[CAS]	120511-73-1	EP 296749	Anticancer, hormonal	Cancer, breast
Anazole		3861-73-2			
Aucitabine		31698-14-3			
Anerod andolast	N-4'-[5-Tetrazolyl]-phenyl-4-(5-tetrazolyl)-benzamide	9046-56-4 132640-22-3	EP 460083	Antiasthma	Asthma
Androisoxazole		360-66-7			
Androstenediol		521-17-5			
anecortave	21-(Acetyloxy)-17-hydroxypregna-4,9(11)-diene-3,20-dione	7753-60-8		Ophthalmological	Macular degeneration
Anethole		4180-23-8; 104-46-1 (unspecified) 532-11-6			
Anethole Trithione			U.S. 6,417,205	Cardiovascular	Cardio-myopathy, ischaemic
Angiogenix					
Angiotensin					
antidrovirblastine	Vincalukoblastine, 3',4'-didehydro-4'-deoxy-[CAS]	1407-47-2 38390-45-3	U.S. 6,011,041	Anticancer, other	Cancer, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
anidulafungin	Echinocandin B, 1-((4R,5R)-4,5-dihydroxy-N2-(4"-pentoxyl(1,1':4',1"-terphenyl)-4-yl)carbonyl)-L-ornithine)-[CAS]	166663-25-8	U.S. 6,384,013	Antifungal	Infection, Candida, general
Anileridine		144-14-9			
Aniracetam		72432-10-1			
Anisindione		117-37-3			
Anisomycin		22862-76-6			
Anisotropine		80-50-2			
Methylbromide					
anistreplase	Anistreplase [CAS]	81669-57-0	EP 28489	Fibrinolytic	Infarction, myocardial
Antazoline		91-75-8			
Anthiolimine		305-97-5			
Anthrakin		1143-38-0			
Anthracycin		4803-27-4			
Anthraxobin		577-33-3	U.S. 6,436,933	Anti-infective, other	Infection, anthrax
Anthrax inhibitor			U.S. 6,426,067	Anticancer, other	Cancer, general
antiangiogenic dendrimers			WO 9640038	Anabolic	Cachexia
Anticort	L-Ascorbic acid, mixt with 2-(diethylamino)ethyl 4-aminobenzoate monohydrochloride, disodium hydrogen phosphate, potassium benzoate and zinc sulfate (1:1) [CAS]	186646-39-9			
antidepressants			U.S. 5,898,036	Antidepressant	Depression, general
anti-invasins			U.S. 6,303,302	Antifungal	Infection, fungal, general
Antimony Potassium Tartrate		28300-74-5			
Antimony Sodium Thioglycollate		539-54-8			
Antimony Thioglycollamide		6533-78-4			
Antiprogesterin	19-Norpregna-4,9-dien-3-one.(acetylphenyl)-20,20,21,21,21-pentafluoro-17-hydroxy-(11 β , 17 α) [CAS]	211254-73-8	DE 19703061	Anticancer, hormonal	Cancer, breast
Antipyrine		60-80-0			
Antipyrine Salicylate		520-07-0			
antithrombin III	Antithrombin, III [CAS]	9000-94-6		Blood fraction	Antithrombin III deficiency
AR-116081	(R)-N-[5-methyl-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydro-2-naphthyl]-4-morpholinobenzamide	90170-80-2	U.S. 6,107,324	Neuroleptic	Unspecified Anxiety, general
AR-A2				Anxiolytic	
Arachidonic Acid		506-32-1			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
aranadipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-, methyl 2-oxopropyl ester-[CAS]	86780-90-7	GIB 2111978	Antihypertensive, other	Hypertension, general
arbekacin	D-Streptamine, O-3-amino-3-deoxy- α -D-glucopyranosyl-(1-6)-O-[2,6-diamino-2,3,4,6-tetra-deoxy- α -D-erythro-hexopyranosyl-(1-4)]-N1-(4-amino-2-hydroxy-1-oxobutyl)-2-deoxy-, (S)-[CAS]	51025-85-5 75282-65-4	U.S. 4,001,208	Aminoglycoside antibiotic	Infection, general
Arbidol	1H-indole-3-carboxylic acid, 6-bromo-4-((dimethylamino)methyl)-5-hydroxy-1-methyl-2-((phenylthio)methyl)-, ethylester, monohydrochloride [CAS]	131707-23-8	WO 9008135	Immunostimulant, other	Infection, influenza virus
arbutamine	1,2-Benzenediol, 4-[1-hydroxy-2-[[4-(4-hydroxyphenyl)butyl]amino]ethyl]-, (R)-[CAS]	128470-16-6	WO 9220324	Diagnostic	Diagnosis, coronary
Arcitumomab arcteparin	Heparin [CAS]	154361-48-5 9005-49-6		Anticoagulant	Thrombosis, venous
arecoline	1,2,5,6-Tetrahydro-1-methyl-3-pyridine carboxylic acid methyl ester			Formulation, transdermal, patch	Alzheimer's disease
argatroban	2-Piperidinecarboxylic acid, 1-[5-[(aminiminomethyl)amino]-1-oxo-2-[[[1,2,3,4-tetrahydro-3-methyl-8-quinolinyl]sulfonyl]amino]pentyl]-4-methyl-[CAS]	74863-84-6	EP 8746	Anticoagulant	Thrombosis, arterial
Arginine Ariflo® aripiprazole	2(1H)-Quinolone, 7-[4-[4-(2,3-dichlorophenyl)-1-piperazinyl]butoxy]-3,4-dihydro-[CAS]	74-79-3 153259-65-5 129722-12-9	EP 367141	Neuroleptic	Schizophrenia
anxiolytics	N-Piperonyl-2-amino-1,2,3,4-tetrahydrobenzo(b)khieno(2,3-c)pyridine-3-carbamide	151227-08-6	U.S. 5,756,538	Anxiolytic	Anxiety, general
AP-521	1H-indole-4,7-dione, 5-(1-aziridinyl)-3-(hydroxymethyl)-2-(3-hydroxy-1-propenyl)-1-methyl-, (E)-[CAS]	90-26-6 641-36-1 126411-13-0	WO 9321189	Anxiolytic	Anxiety, general
AP-5280	Phosphonic acid, (2-(3,5-bis(1,1-dimethyl)ethyl)-4-hydroxyphenyl)ethylidene)bis-tetrakis(1-methylethyl) ester [CAS]	13539-59-8 90-26-6 641-36-1 126411-13-0	U.S. 5,965,118	Anticancer, alkylating	Cancer, general
Apacillin apaziquone		63469-19-2 114560-48-4	WO 8706227	Anticancer, alkylating	Cancer, breast
Apazone α -Phenylbutyramide Apocodine apomine				Anticancer, other	Cancer, prostate

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
apomorphine	4H-Dibenzol[de,g]quinoline-10,11-diol, 5,6,6a,7-tetrahydro-6-methyl-, hydrochloride	314-19-2 58-00-4		Formulation, transmucosal, nasal	Impotence
apracloridine	1,4-Benzenediamine, 2,6-dichloro-N1-(4,5- dihydro-1H-imidazol-2-yl)-[CAS]	66711-21-5	U.S. 4,517,199	Antiglaucoma	Glaucoma
aprepitant	3H-1,2,4-Triazol-3-one, 5-[[[2R,3S)-2- [(1R)-1-[3,5- bis(trifluoromethyl)phenyl]ethoxy]-3-(4- fluorophenyl)-4-morpholinyl]methyl]-1,2- dihydro-[CAS]	73218-79-8 170729-80-3	U.S. 5,719,147	Antiemetic	Chemotherapy- induced nausea and vomiting
aprimidine	1,3-Propanediamine, N-(2,3-dihydro-1H- inden-2-yl)-N',N'-diethyl-N-phenyl-[CAS]	33237-74-0 37640-71-4 77-02-1	GB 1321424	Antiarrhythmic	
Aprobarital		528-92-7			
Apronalide		9087-70-1			
Aprotinin		137159-92-3			
Apiganel		136470-65-0	U.S. 5,132,327	Anticancer, other	Cancer, general
AQ4N	9,10-Anthracenedione, 1,4-bis-(2- (dimethylloxidoamino)ethyl)amino)-5,8- dihydroxy-[CAS]				
Aquavan			U.S. 6,204,257	Anaesthetic, injectable	Anaesthesia
arofylline	1H-Purine-2,6-dione, 3-(4-chlorophenyl)- 3,7-dihydro-1-propyl-[CAS]	136145-07-8	EP 435811	COPD treatment	Chronic obstructive pulmonary disease
arotinolol	2-Thiophenecarboxamide, 5-[2-[[3-[(1,1- dimethylethyl)amino]-2-hydroxypropyl]thio]- 4-thiazolyl]-, (±)-[CAS]	104766-23-6 68377-92-4	U.S. 3,932,400	Antihypertensive, adrenergic	Hypertension, general
Arsacetin		618-22-4			
arsenic trioxide		1327-53-3			
Asphenamine	Arsenic oxide (As ₂ O ₃) [CAS]	139-93-5		Anticancer, other	Cancer, leukemia, acute
Aesthinol		119-96-0			myelogenous
Arteether		73887-54-6			
Arteflene		123407-36-3 (Z-form)			
Artemether		71963-77-4			
Artemisinin		63968-64-9			
artemotil	3,12-Epoxy-12H-pyrano[4,3-j]-1,2- benzodioxepin, 10-ethoxydecalhydro-3,6,9- trimethyl-, [3R- (3Alpha,5aβ,6β,8aβ,9aAlpha,10Alpha,12β, 12aR*)]-[CAS]	75887-54-6		Antimalarial	Infection, malaria
artemesate	Butanedioic acid mono- [3R,5aS,6R,8aS,9R,10R,12R,12aR]- decalhydro-3,6,9-trimethyl-3,12-epoxy-12H- pyrano[4,3-j]-1,2-benzodioxepin-10- yl]ester	88495-63-0		Formulation, transmu- cosal, systemic	Infection, malaria
arzoxifene	Benzo(b)thiophene-6-ol, 2-(4- methoxyphenyl)-3-(4-(2-(1- piperidinyl)ethoxy)phenoxy)-[CAS]	182133-27-3	WO 9609041	Anticancer, hormonal	Cancer, breast

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
AS-3201	Spiro[pyrrolidine-3,4'-(1H)-pyrrolo(1,2-a)pyrazine]-1',2,3,5'(2H)-tetraone, 2'-(4-bromo-2-fluorophenyl)methyl)-, (3'R)-[CAS]	147254-64-6	EP 520320	Symptomatic antidiabetic	Diabetic complication, general
ASA	Benzoic acid, 2-(acetyloxy)-[CAS]	50-78-2 56449-07-1		Formulation, modified-release, other	Pain, general
α -Santonin		481-06-1			
Ascaridole		512-85-6			
Ascorbic Acid		50-81-7			
asenapine		85650-56-2	WO 9523600	Neuroleptic	Psychosis, general
asimadoline	1H-Dibenzo[2,3,6,7]oxepino[4,5-c]pyrrole, 5-chloro-2,3,3a,12b-tetrahydro-2-methyl-, trans-, (Z)-2-butenedioate (1:1) [CAS]	153205-46-0	DE 4215213	GI inflammatory/bowel disorders	Irritable bowel syndrome
asoprisnil	Benzeneacetamide, N-[2-(3-hydroxy-1-pyrrolidinyl)-1-phenylethyl]-N-methyl-Alpha-phenyl-, [S-(R*, R*)]-[CAS] 1-[β -(4-(Hydroxyiminomethyl)phenyl)-17 β -methoxy-17Alpha-(methoxymethyl)estra-4,9-dien-3-one	199396-76-1	EP 0648778	Menstruation disorders	Endometriosis
Asoxime		34433-31-3			
Aspartic Acid		56-84-8			
Aspidin		584-28-1			
Aspidinol		519-40-4			
Aspirin		50-78-2			
Dipyridamole					
aspopicillin	Glycinamide, N-methyl-D-asparaginyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-(4-hydroxyphenyl)-, [2S-(2Alpha,5Alpha,6 β)]-[CAS]	63358-49-6	GB 1533413	Penicillin, injectable	Infection, respiratory tract, general
AST-120	AST 120 [CAS]	90597-58-3		Urological	Renal failure
Asenizole	4-Aridinecarboxamide, 9-[[2-methoxy-4-[(methylsulfonyl)amino]phenyl]amino]-N,5-dimethyl-[CAS]	68844-77-9			
asulacrine	(N-[2-[4-(5H-Dibenzol[a,d]cyclohepten-5-ylidene)-piperidinylethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate	80841-47-0 80841-48-1	EP 39224	Anticancer, other	Cancer, general
AT-1015				Antithrombotic	Thrombosis, general
atanestane	Androsta-1,4-diene-3,17-dione, 1-methyl-[CAS]	96301-34-7	DE 3338212	Anticancer, hormonal	Cancer, breast
atazanavir	2,5,6,10,13-Pentazatetradecanedioic acid, 3,12-bis(1,1-dimethylethyl)-8-hydroxy-4,11-dioxo-9-(phenylmethyl)-6-((4-(2-pyridinyl)phenyl)methyl)-dimethyl ester, (3S,8S,9S,12S)-, sulfate (1:1) (salt) [CAS]	229975-97-7		Antiviral, anti-HIV	Infection, HIV/AIDS
atenolol	Benzeneacetamide, 4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-[CAS]	29122-68-7 73677-19-7	GB 1285038	Antihypertensive, adrenergic	Hypertension, general
atenolol +	Benzeneacetamide, 4-[2-hydroxy-3-[(1-	73677-19-7	U.S. 3,836,671	Formulation,	Hypertension,

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
chlorthalidone	methyl(ethyl)amino]propoxy]-, mixt. with 2-chloro-5-(2,3-dihydro-1-hydroxy-3-oxo-1H-isoindol-1-yl)benzenesulfonamide [CAS]			fixed-dose combinations	general
atenolol + nifedipine	Benzeneacetamide, 4-[2-hydroxy-3-[(1-methyl(ethyl)amino]propoxy]-, + 4-(2-nitrophenyl)-2,6-dimethyl-3,5-dicarbomethoxy-1,4-dihydropyridine	98-55-5 136816-75-6 104054-27-5	EP 183492	Reproductive/ gonadal, general	Sexual dysfunction, female
α -Terpineol					
Atevirdine					
atipamezole					
atiprinod dimaleate	2-Azaspiv[4.5]decaene-2-propanamine, N,N-diethyl-8,8-dipropyl, dimaleate	130065-61-1	U.S. 5,744,495	Anti-arthritis, immunological imaging agent	Arthritis, rheumatoid Unspecified
ATL-146e					
α -Tocopherol					
atomoxetine	Benzenepropanamine, N-methyl-Gamma-(2-methylphenoxy)-, (R)-[CAS]	59-02-9	U.S. 6,232,297	Neurological	Attention deficit disorder
atorvastatin	1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)- β -delta-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[phenylamino]carbonyl]-[CAS]	82248-59-7 83015-36-3 134523-03-8 134523-00-5	EP 52492 EP 409281	Hypolipemic/ Anti atherosclerosis	Hyper- cholesterolaemia
atosiban	Oxytocin, 1-(3-mercaptopropanoic acid)-2-(O-ethyl-D-tyrosine)-4-L-threonine-8-L-ornithine-[CAS]	90779-69-4	EP 112809	Labour inhibitor	Labour, preterm
atovaquone	1,4-Naphthalenedione, 2-[4-(4-chlorophenyl)kyclohexyl]-3-hydroxy-, trans-[CAS]	95233-18-4	EP 123238	Antifungal	Infection, <i>Pneumocystis</i> <i>jiroveci</i>
atovaquone + proguanil	1,4-Naphthalenedione, 2-[4-(4-chlorophenyl)kyclohexyl]-3-hydroxy-, trans + N-(4-chloro-phenyl)-N-(1-methyl(ethyl)imidodicarbonimidic diamide Isoquinolinium, 2,2'-[1,5-pentanediy]bis[oxy(3-oxo-3,1-propanediy)]bis[1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-2-methyl-[CAS]]			Antimalarial	Infection, malaria
atracurium	3-Pyrrolidene-carboxylic acid, 4-(1,3-benzodioxol-5-yl)-1-[2-(diethylamino)-2-oxoethyl]-2-(4-methoxyphenyl)-, (2R,3R,4S)-[CAS]	64228-81-5	U.S. 4,179,557	Muscle relaxant	Surgery adjunct
atrasentan		173937-91-2	WO 9730045	Anticancer, other	Cancer, prostate
Atrial Natriuretic Peptide		85637-73-6			
Atrolactamide		2019-68-3			
Atropine		51-55-8			
Augmentin		74469-00-4		Formulation, modified- release, other	Infection, respiratory tract, general
auranofin	Gold, (1-thio- β -D-glucopyranose 2,3,4,6-tetraacetato-S)(triethylphosphine)-[CAS]	34031-32-8	U.S. 3,708,579	Anti-arthritis, other	Arthritis, rheumatoid

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Aurothioglucose avasimibe	Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester [CAS]	12192-57-3 166518-60-1	U.S. 5,491,172	Hypolipemic/ Antiatherosclerosis	Atherosclerosis
Avobenzone AWD-12-281	AWD 12-281 [CAS]	70356-09-1 257892-33-4		Antiallergic, non-asthma	Rhinitis, allergic, general
Acetidine Azaecyclonol azanidazole	2-Pyrimidinamine, 4-[2-(1-methyl-5-nitro-1H-imidazol-2-yl)ethenyl]- (E)-[CAS]	320-67-2 115-46-8 62973-76-6	U.S. 3,882,105	Antibacterial, other	Infection, trichomoniasis
azapropazone	1H-Pyrazolo[1,2-a][1,2,4]benzotriazine-1,3(2H)-dione, 5-(dimethylamine)-9-methyl-2-propyl-[CAS]	13539-59-8	FR 1440629	Anti-inflammatory	
Azaserine azasertron	2H-1,4-Benzoxazine-8-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-3,4-dihydro-4-methyl-3-oxo-, monohydrochloride-[CAS]	115-02-6 123040-16-4 123040-94-8 123040-96-0 123040-69-7 3964-81-6 446-86-6	EP 313393	Antiemetic	Nausea and vomiting, general
Azatadine azathioprine	6-[(1-Methyl-4-nitro-1H-imidazol-5-yl)thio]-1H-purine glycine			Formulation, oral, other	Transplant rejection, bone marrow Pain,
AZD-4282	3,4-Difluorophenylcyclopropylamine			Analgesic, other	neuropathic Thrombosis, arterial
azelaic acid azelastine	Nonanedioic acid [CAS] 1(2H)-Phthalazine, 4-[(4-chlorophenyl)methyl]-2-(hexahydro-1-methyl-1H-azepin-4-yl)-, monohydrochloride [CAS]	123-99-9 58581-89-8 79307-93-0	GB 1377231	Antiacne Asthma	Acne Asthma
azelnidipine	3,5-Pyridinedicarboxylic acid, 2-amino-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, 3-[1-(diphenylmethyl)-3-azetidinyl] 5-(1-methylethyl)ester, (+/-)-[CAS]	123524-52-7	EP 266922	Antihypertensive, other	Hypertension, general
Azidamfenicol Azidocillin Azimilide Azitamide azithromycin	9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin-A	13838-08-9 17243-38-8 149908-53-2 1830-32-6 76801-85-9 83905-01-5 92395-24-9 37091-65-9 37091-66-0	U.S. 4,328,334	Macrolide antibiotic	Infection, respiratory tract, lower
azlocillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[[(2-oxo-1-imidazolidinyl)carbonyl]amino]phenyl]acetyl]amino]-, [2S-[2 alpha.,5 alpha.,6 beta.(S*)]]-[CAS]		GB 1392849	Penicillin, injectable	Infection, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Azosemide aztreonam	Propanoic acid, 2-[[[1-(2-amino-4-thiazolyl)-2-[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-oxoethylidene]amino]oxy]-2-methyl-, [2S-[2 α],3 β],-][CAS]	27589-33-9 104184-69-2 78110-38-0	GB 2071650	Beta-lactam antibiotic	Infection, general
azulene	Sodium 5-isopropyl-3,8-dimethyl-1-azulene sulfonate	6223-35-4	EP 88958	Formulation, modified- release, other	Inflammation, general
bacampicillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, 1-[(ethoxycarbonyloxy)ethyl ester, [2S-[2 α],5 α],6 β [(S*)]]]-][CAS]	37661-08-8 50972-17-3	GB 1363506	Penicillin, oral	Infection, general
Bacitracin	β -(Aminomethyl)-4-chlorobenzenepropanoic acid [CAS]	1405-87-4 1134-47-0		Formulation, implant	Spastic paralysis
Baicalein batifloxacin	3-Quinolonecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[3-(methylamino)-1-piperidinyl]-4-oxo-[CAS]	491-67-8 127294-70-6	EP 342675	Quinolone antibacterial	Infection, urinary tract
balsalazide	Benzoic acid, 5-[[4-[(2-carboxyethyl)amino]carbonyl]phenyl]azo]-2-hydroxy-, (E)-[CAS]	80573-04-2	U.S. 4,412,992	GI inflammatory/ bowel disorders	Colitis, ulcerative
bambuterol	Carbanic acid, dimethyl-, 5-[2-[(1,1-dimethyl)ethyl]amino]-1-hydroxyethyl]-1,3-phenylene ester, monohydrochloride [CAS]	81732-46-9 81732-65-5	EP 43807	Asthma	Asthma
Bamethan Bamifylline Bamipine Barbital barnidipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl-1-(phenylmethyl)-3-pyrrolidinyl ester, [S-(R*)]- N-Methyl-3-[2-(2-naphthyl)acetyl]amino]benzamide	3703-79-5 2016-63-9 4945-47-5 57-44-3 104713-75-9 104757-53-1 71863-56-4	U.S. 4,220,649	Antihypertensive, other	Hypertension, general
BAS-118		1339-92-0		Antibacterial, other	Infection, <i>Helicobacter pylori</i>
Basic Aluminum Carbonate Gel Basiliximab Batimastat Batroxobin Bay-41-2272	5-cyclopropyl-2-[1(2-fluoro-benzyl)-1H-pyrazolo[3,4-b]pyridine-3-yl]pyrimidine-4-ylamine 2-[1-(2-Fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(4-morpholinyl)pyrimidine-4,6-diamine	179045-86-4 130370-60-4 9039-61-6		Male sexual dysfunction	Sexual dysfunction, male, general
Bay-41-8543				Cardiovascular	Unspecified

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
BAY-43-9006	N-(4-chloro-3-(trifluoromethyl)phenyl)-N'-(4-(2-(2-(N-methylcarbamoyl)-4-pyridyl)oxy)phenyl)urea			Anticancer, other	Cancer, liver
BAY-57-1293	N-[5(aminosulfonyl)-4-methyl-1,3-thiazol-2-yl]-N-methyl-2-[4-(2-pyridinyl)phenyl]acetamide	198481-33-3	EP 802183	Antiviral, other	Infection, herpes
bazedoxifen	TSE 424 [CAS]	7236-47-7		Osteoporosis treatment	Osteoporosis
β -Benzalbutyramide					
BBR-3464	Platinum(4+), hexaaminedichlorobis(μ -(1,6-hexanediamine-N:N'))tri-stereoisomer, tetranitrate [CAS]	172903-00-3	U.S. 5,744,497	Anticancer, alkylating	Cancer, lung, non-small cell
BBR-3576	(-)-2-R-dihydroxyphosphinoyl-5-(S)-(guanin-9-yl-methyl)tetrahydrofuran	7235-40-7	U.S. 5,519,029 U.S. 6,060,616	Anticancer, antibiotic Anticancer, alkylating	Cancer, prostate Cancer, general
Beclerine		477-60-1		Anticancer antimetabolite	Cancer, general
Beclamide		501-68-8			
beclometasone	Pregna-1,4-diene-3,20-dione, 9-chloro-11 β ,17,21-trihydroxy-16 β -methyl, [CAS]	5534-09-8 4419-39-0	WO 0006132	Formulation, inhalable, solution	Asthma
Belfoxatone		134564-82-2		Antiglaucoma	
befunolol	Ethanone, 1-[7-[2-hydroxy-3-[(1-methyl)ethyl]amino]propoxy]-2-benzofuranyl]-[CAS]	39543-79-8 39552-01-7			
Benegride		64-65-3			
Benactyzine		302-40-9			
benazepril	1H-1-Benzazepine-1-acetic acid, 3-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-2,3,4,5-tetrahydro-2-oxo-, [S-(R*,R*)]-[CAS]	86541-74-4 86541-75-5 86541-78-8	EP 72352	Antihypertensive, renin system	Hypertension, general
bencyclane	1-Propanamine, N,N-dimethyl-3-[[1-(phenylmethyl)cycloheptyloxy]-, (E)-2-butenedioate (1:1) [CAS]	14286-84-1 2179-37-5	WO 9829409	Vasodilator, peripheral	
bendazac	L-Lysine, mono[[[1-(phenylmethyl)H-indazol-3-yl]oxy]acetate][CAS]	81919-14-4 20187-55-7 73-48-3	GB 2081708	Ophthalmological	
Bendroflumethiazide		78718-25-9			
Benexate	Ethanol, 2-[[1-methyl-2-[3-(trifluoromethyl)phenyl]ethyl]amino]-, benzoate (ester) [CAS]	23602-78-0 23642-66-2	GB 1175516	Hypolipemic/ Antiatherosclerosis	
benfluorex		22457-89-2 3447-95-8			
Benfotiamine		105979-17-7			
Benfuridil		91599-74-5	EP 63365	Antihypertensive, other	Hypertension, general
benidipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl 1-(phenylmethyl)-3-piperidinyl ester, monohydrochloride (R*,R*)(+/-)-[CAS]				
Benorylate		5003-48-5			
Benoxaprofen		67434-14-4			
Benoxinate		99-43-4			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Benperidol		2062-84-2			
Benpropitine		2156-27-6			
Benserazide		322-35-0			
benzazepam	2H-[1]Benzothieno[2,3-e]-1,4-diazepin-2-one, 1,3,6,7,8,9-hexahydro-5-phenyl[CAS]	29462-18-8	DE 2005276	Anxiolytic	
Bentriomide		37106-97-1			
Bentoquatam		1340-69-8			
Benzalkonium		8001-54-5			
Benzarone		1477-19-6			
benzbromarone	Methanone, (3,5-dibromo-4-hydroxyphenyl)(2-ethyl-3-benzofuranyl)-[CAS]	3562-84-3	U.S. 3,012,042	Antigout	
Benzethonium		121-54-0			
Benzetimide		14051-33-3			
Benzilium		1050-48-2			
Benziodarone		68-90-6			
benzimidazole		2994-85-0			
benzocaine	N-benzyl-2-nitroimidazole-1-acetamide Benzoic acid, 4-amino-, ethyl ester	94-09-7	GB 1138529	Protozoacide Formulation, fixed-dose combinations	Pain, musculoskeletal
Benzocetamine		17243-39-9			
Benzonate		104-31-4			
Benzoxonium Chloride		19379-90-9			
benzoyl peroxide	Peroxide, dibenzoyl [CAS]	94-36-0		Formulation, other	Acne
Benzoylpas		13898-58-3			
Benzphetamine		156-08-1			
Benzpiperylon		53-89-4			
Benzquinamide		63-12-7			
Benzthiazide		91-33-8			
Benztropine		132-17-2			
benzylamine	1-Propanamine, N,N-dimethyl-3-[[1-(phenyl)methyl]-1H-indazol-3-yl]oxy]-[CAS]	132-69-4		Stomatological, reproductive gonadal, anti-inflammatory	
		642-72-8			
Benzyl Benzoate		120-51-4			
Benzylhydrochlorothiazide		1824-50-6			
Benzylmorphine		14297-87-1			
Bephenium		3818-50-6			
Hydroxynaphthosate					
bepotastine	1-Piperidinebutanoic acid, 4-((4-chlorophenyl)-2-pyridinylmethoxy)-, (S)-, monobenzenesulfonate [CAS]	190786-44-8	WO 9829409	Antiallergic, non-asthma	Allergy, general
	1-Pyrrolidineethanamine, β -[(2-methylpropoxy)methyl]-N-phenyl-N-(phenylmethyl)-[CAS]	190786-43-7			
bepitridil		64706-54-3			
	1H-Cyclopenta[b]benzofuran-5-butanoic acid, 2,3,3a,8b-tetrahydro-2-hydroxy-1-(3-hydroxy-4-methyl-1-octen-6-ynyl)-[CAS]	74764-40-2	EP 146155	Antianginal	Angina, general
beraprost		74764-75-3			
		88475-69-8	U.S. 4,474,802	Prostaglandin	Peripheral vascular disease
		88430-50-6			
Berberine		2086-83-1			
Bergapten		484-20-8			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Bernopropfen		78499-27-1			
Besipirdine		119257-34-0			
betastifine	2-Pyrrolidinediethanamine, N-methyl-, dihydrochloride	5579-84-0 5638-76-6		Formulation, modified- release, <=24 hr	Meniere's disease
betaine	Betaine-[CAS]	107-43-7		Metabolic and enzyme disorders	Homocystinuria
betamethasone	Pregna-1,4-diene-3,20-dione, 9-fluoro- 11,17,21-trihydroxy-16-methyl-, (11 β ,16 β)- [CAS]	378-44-9		Formulation, dermal, topical	Psoriasis
Betanipron		3440-28-6			
Betasine		3734-24-5			
betaxolol	2-Propanol, 1-[4-[2- (cyclopropylmethoxy)ethyl]phenoxy]-3-[(1- methyl)ethyl]amino]-[CAS]	63659-18-7 63659-19-8	U.S. 4,252,984	Antihypertensive, adrenergic	Hypertension, general, glaucoma
Betazole		105-20-4			
Bethanecol		590-63-6			
Bethandine		55-73-2			
Betoxycaine		3818-62-0			
β -Eucaine		500-34-5			
bevantolol	2-Propanol, 1-[(3,4- dimethoxyphenyl)ethyl]amino]-3-(3- methoxyphenoxy)-[CAS]	42864-78-8 59170-23-9	U.S. 3,857,891	Antihypertensive, adrenergic	Hypertension, general
Bevonium		5205-82-3			
bexarotene	Benzoic acid 4-(1-(5,6,7,8-tetrahydro- 3,5,5,8,8-pentamethyl-2- naphthalenyl))-[CAS]	153559-49-0	WO 9321146	Anticancer, other	Cancer, lymphoma, T-cell
benzafibrate	Propanoic acid, 2-[4-[2-(4- chlorobenzoylamino)ethyl]phenoxy]-2- methyl-[CAS]	41859-67-0	GIB 1359264	Hypolipaeimic/ Antithrombotic	
Beziramide		15301-48-1			
BG-9928		166374-48-7			
BIA-2-024		199997-15-4	WO 9745416	Cardio stimulant Antiepileptic	Heart failure Epilepsy, general
BIA-2-093	10,11-dihydro-10-hydroxyimino-5H- dibenz[b,f]azepine-5-carboxamide (S)-(-)-10-acetoxy-10,11-dihydro-5H- dibenz[b,f]azepine-5-carboxamide-[CAS]	236395-14-5		Antiepileptic	Epilepsy, general
BIA-3-202	1-(3,4-dihydroxy-5-nitrophenyl)-2-phenyl- ethanone	274925-86-9	EP 1010688	Antiparkinsonian	Parkinson's disease
Bialarnicol		493-75-4			
biapenem	5H-Pyrazolo[1,2-a][1,2,4]triazol-4-ium, 6- [[2-carboxy-6-(1-hydroxyethyl)-4-methyl-7- oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl]thio]- 6,7-dihydro-, hydroxide, inner salt, [4R- [4 α ,5 β ,6(R*)]]-[CAS]	120410-24-4	EP 289801	Beta- lactam antibiotic	Infection, beta- lactamase resistant
Bibenzonium		15585-70-3			
Bibrocathol		6915-57-7			
bicalutamide	Propanamide, N-[4-cyano-3- (trifluoromethyl)phenyl]-3-[(4- fluorophenyl)sulfonyl]-2-hydroxy-2-methyl-, (+/-)-[CAS]	90357-06-5	EP 100172	Anticancer, hormonal	Cancer, prostate

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
bicifluide	3-Azabicyclo[3.1.0]hexane, 1-(4-methylphenyl) ₂ , (+/-)-[CAS]	66504-75-4 71195-57-8	DE 2740562	Analgesic, other	Pain, general
bicyclic monoterpene diols					
Bidismide		116078-65-0			
Bietamiverine		479-81-2			
Bietanantine		6888-11-5			
Bietaserpine		53-18-9			
bifermelane	1-Butanamine, N-methyl-4-[2-(phenylmethyl)phenoxy]-, hydrochloride [CAS]	62232-46-6 90293-01-9	GB 1512880	Cognition enhancer	Attention deficit disorder
Bifluranol		34633-34-6			
bifonazole	1H-Imidazole, 1-([1,1'-biphenyl]-4-ylphenylmethyl)-[CAS]	60628-96-8 60629-08-5 60629-09-6 155206-00-1	U.S. 4,118,487 U.S. 5,688,819	Antifungal Prostaglandin	Infection, fungal, general Glaucoma
bimatoprost	5-Heptenamide, 7-(3,5-dihydroxy-2-(3-hydroxy-5-phenyl-1-pentenyl)cyclopentyl)-N-ethyl(1R-(1Alpha(Z)2R(1E,3S,3Alpha,5Alpha)) [CAS]	130493-04-8	U.S. 5,147,874	Symptomatic antidiabetic	Neuropathy, diabetic
bimocimol	N-[2-hydroxy-3-(1-piperidinyl)propoxy]-3-pyridinecarboximidoyl chloride, (Z)-2-butanedioate (1:1)	187269-40-5	U.S. 5,444,050	Antiasthma	Asthma
bimosiamose	(1,1'-Biphenyl)-3-acetic acid, 3',3''-(1,6-hexanediyl)bis(6'-Alpha-D-mannopyranosyloxy)-, [CAS]	69047-39-8 144348-08-3			
Binifibrate	Adenosine, 2'-				
binodenoson	((cyclohexylmethylene)hydrazino)-[CAS]			Vasodilator, coronary	Diagnosis, coronary
Biomed-101				Anticancer, other	Cancer, renal
Biotin		58-85-5			
Bipiden		514-65-8			
birdodar	2-Piperidinecarboxylic acid, 1-(oxo(3,4,5-trimethoxyphenyl)acetyl)-4-(3-pyridinyl)-1-(3-(3-pyridinyl)propyl)butyl ester, (S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:2) [CAS]	174254-13-8 159997-94-1		Radio/chemosensitizer	Cancer, breast
biriperone	1-Butanone, 1-(4-fluorophenyl)-4-(3,4,6,7,12,12a-hexahydropyrazino[1',2':1,6]pyrido[3,4-b]indol-2(1H)-yl)-[CAS]	42021-34-1	DE 2333922	Neuroleptic	
Bisacodyl		603-50-9			
Bisantrene		78186-34-2			
Bisbenzamine		2667-89-2			
Bisdequalinium		52951-36-7			
Bismuth Aluminate		12284-76-3			
Bismuth		53897-25-9			
Butylthiolaurate					
Bismuth Ethyl		52951-37-8			
Camphorate					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Bismuth Iodosubgallate Bismuth Sodium Iodide Bismuth Sodium Triglycollimate Bismuth Subcarbonate Bismuth Subgallate Bismuth Subnitrate Bismuth Subsalicylate Bismuth Tribromophenate bisoprolol		138-58-9 53778-50-0 5798-43-6 5892-10-4 22650-86-8 1304-85-4 14882-18-9 5175-83-7 104344-23-2 66722-44-9			
bisoprolol + HCTZ	2-Propanol, 1-[4-[[2-(1-methylethoxyethoxy)methyl]phenoxy]-3-[(1-methyl)ethyl]amino]-[CAS] 2-Propanol, 1-[4-[[2-(1-methylethoxyethoxy)methyl]phenoxy]-3-[(1-methyl)ethyl]amino] mixt. with 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide 2-Propanol, 1-[4-[[2-(1-methylethoxyethoxy)methyl]phenoxy]-3-[(1-methyl)ethyl]amino] mixt. with 6-chloro-3-(dichloromethyl)-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide	GB	1532380	Antihypertensive, adrenergic Formulation, fixed-dose combinations Formulation, fixed-dose combinations	Heart failure Hypertension, general Hypertension, general
bisoprolol + trichloromethiazide					
Bisoxatin Bithionol Bitolterol Bitoscanat BL-3875 bleomycin		14008-48-1 97-18-7 30392-40-6 4044-65-9 11056-06-7 9041-93-4	WO 0218378	Anti-inflammatory Formulation, transdermal, enhanced	Unspecified Cancer, head and neck
blonanserlin	Bleomycin [CAS] Cycloocta[b]pyridine, 2-(4-ethyl-1-piperazinyl)-4-(4-fluorophenyl)-5,6,7,8,9,10-hexahydro-[CAS] cis-(+/-)-2-(Ethylthio)-5,7-dihydroxy-8-(3-hydroxy-1-methyl-4-piperidinyl)-4H-1-benzopyran-4-one 4-[2-(aminomethyl)-1,3-thiazol-4-yl]-2,6-di-tert-butylphenol, dihydrochloride Ethanesulfonic acid, 2,2'-dithiobis-, disodium salt [CAS]	132810-10-7	EP 385237	Neuroleptic	Schizophrenia
BMS-184476 BMS-387032			EP 639577 WO 9742949	Anticancer, other Anticancer, other	Cancer, breast Cancer, general
BN-82451 BNP-7787		16208-51-8		Neuroprotective Radio/chemoprotective	Unspecified Chemotherapy-induced nausea and vomiting
BO-653 Bolandiol	5-Benzofuranol, 4,6-bis(1,1-dimethyl)ethyl)-2,3-dihydro-2,2-dipentyl-[CAS]	157360-23-1 19793-20-5	WO 9408930	Hypolipemic/ Antiatherosclerosis	Atherosclerosis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Bolasterone		1605-89-6			
Boldenone		846-48-0			
bopindolol	2-Propanol, 1-[(1,1-dimethylethyl)amino]-3- [[2-methyl-1H-indol-4-yl]oxy]-, benzoate (ester), (+-)-[CAS]	62658-63-3 82857-38-3	U.S. 4,340,541	Antihypertensive, adrenergic	Hypertension, general
Bornyl Chloride		464-41-5			
Bornyl Salicylate		560-88-3			
bortezomib	Boric acid, [(1R)-3-methyl-1-[[[(2S)-1-oxo-3-phenyl-2- [pyrazinyl(carbonyl)amino]propyl]amino]- butyl]-[CAS]	179324-69-7	U.S. 6,271,199	Anticancer, other	Cancer, myeloma
Bromocriptine		25614-03-3			
Bromo- diphenhydramine		118-23-0			
Bromoform		75-25-2			
Bromopride		4093-35-0			
Bromo- salicychloranilide		3679-64-9			
bromperidol	1-Butanone, 4-[4-(4-bromophenyl)-4- hydroxy-1-piperidinyl]-1-(4-fluorophenyl)- [CAS]	10457-90-6	U.S. 3,438,991	Neuroleptic	Psychosis, general
Brompheniramine		86-22-6			
Propaerostrol		479-68-5			
Propiramine		56741-95-8		Anticancer, other	Cancer, general
brostallicin	4-(2-Bromoacrylamido)-N ^{'''} -(2- guanidinoethyl)-1,1',1'',1'''-tetramethyl- N,4';N',4'';N ^{'''} ,4 ^{'''} -quarter-[pyrrole-2- carboxamide][CAS]				
brotizolam	6H-Thieno[3,2-f][1,2,4]triazolo[4,3- a][1,4]diazepine, 2-bromo-4-(2- chlorophenyl)-9-methyl-[CAS]	57801-81-7	U.S. 4,094,984	Hypnotic/Sedative	
Brovincamine		57475-17-9			
Brozindine		59-14-3			
Broxyquinoline		521-74-4			
Brucine		357-57-3			
β-Sitosterol		83-46-5			
Bucetin		1083-57-4			
Bucillamine		65002-17-7			
Bucindolol		71119-11-4			
bucledesine		362-74-3	JP 51113896	Cardio stimulant	Wound healing
Bucliczine	Adenosine, N-(1-oxobutyl)-, cyclic 3',5'- (hydrogen phosphate) 2'-butanoate [CAS]	82-95-1			
Buclosamide		575-74-6			
Bucolome		841-73-6		Anaesthetic, local	
bucricaine		82636-28-0			
bosentan	9-Acridinamine, N-butyl-1,2,3,4-tetrahydro-, monohydrochloride [CAS] Benzenesulfonamide, 4-(1,1- dimethylethyl)-N-[6-(2-hydroxyethoxy)-5-(2- methoxyphenoxy)]2,2'-bipyrimidin]-4-yl]- [CAS]	147536-97-8	EP 633259	Vasodilator; peripheral	Hypertension, pulmonary

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
BP2,94	Phenol, 2-[[[[(1R)-2-(1H-imidazol-4-yl)-1-methylethyl]imino]phenylmethyl]-[CAS]	139191-80-3	WO 9117146	Respiratory	Rhinitis, general
BP4,897	N-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]naphthalene-2-carboxamide	EP 779284	EP 779284	Dependence treatment	Addition, cocaine
β -Propiolactone		57-57-8			
Bradycor		140661-97-8			
Brain Natriuretic Peptide		114471-18-0			
Brallobarbital		561-86-4			
brasofensine	8-Azabicyclo(3.2.1)octane-2-carboxaldehyde, 3-(3,4-dichlorophenyl)-8-methyl-, O-methyloxime, (1R-(1 α),2 β (E),3 α),5 α)-[CAS]	171655-91-7	WO 9528401	Antiparkinsonian	Parkinson's disease
Brequinar		96187-53-0			
Bretylum		61-75-6			
Brilliant Green bromidine		633-03-4			
brinzolamide	6-Quinoxalinamine, 5-bromo-N-(4,5-dihydro-1H-imidazol-2-yl)-[CAS]	59803-98-4	DE 2538620	Antiglaucoma	Glaucoma
	2H-Thieno(3,2-e)-1,2-thiazine-6-sulfonamide, 4-(ethylamino)-3,4-dihydro-2-(3-methoxypropyl)-, 1,1-dioxide, (R)-[CAS]	138890-62-7	U.S. 5,378,703	Antiglaucoma	Glaucoma
brivudin	Uridine, 5-(2-bromothienyl)-2'-deoxy, (E)-[CAS]	69304-47-8		Antiviral, other	Infection, varicella zoster virus
Brodiprim		56518-41-3			
Bromazepam		1812-30-2			
bromfenac	Benzenecarboxylic acid, 2-amino-3-(4-bromobenzoyl)-[CAS]	91714-93-1		Formulation, mucosal, topical	Inflammation, ocular
Bromhexine		3572-43-8			
Bromindione		1146-98-1			
Bromisovalium		496-67-3			
Bucunolol		58409-59-9			
budesonide	Pregna-1,4-diene-3,20-dione, 16,17-[butylidenebis(oxy)]-11,21-dihydroxy-, (11 β ,16 α)-[CAS]	51333-22-3	GB 1429922	Antiasthma	Asthma
budesonide + formoterol	Pregna-1,4-diene-3,20-dione, 16,17-[butylidenebis(oxy)]-11,21-dihydroxy-, (11 β ,16 α)-[CAS]			Formulation, fixed-dose combinations	Asthma
	(11 β ,16 α)-[CAS]				
	5-[1-hydroxy-2-[2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-[(R*,R*)-(\pm)]				
budipine	Piperidine, 1-(1,1-dimethylethyl)-4,4-diphenyl-[CAS]	57982-78-2	DE 2825322	Antiparkinsonian	Parkinson's disease
Budalazine		63661-61-0			
Bufenotide		36798-79-5			
Bufetolol		22103-14-6			
		53684-49-4			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
buprenorphine	p-butoxyacetolhydroxamic acid	2438-72-4	U.S. 3,479,396	Anti-inflammatory	
buprenorphine	1-Butanone, 4-(1-pyrrolidinyl)-1-(2,4,6-trimethoxyphenyl)-[CAS]	35543-24-9 55837-25-7 692-13-7 54340-62-4 3583-64-0	GB 1325192	Vasodilator, peripheral	
Bupropion	Benzoic acid, 3-(aminosulfonyl)-5-(butylamino)-4-phenoxy-[CAS]	28395-03-1	U.S. 3,806,534	Antihypertensive, diuretic	Hypertension, general
Bupropion	1-Naphthalenecarboxamide, N-butyl-N-[2-(diethylamino)ethyl]-[CAS]	32421-46-8	DE 2009894	Antiarrhythmic	
Bupropion	1H-1,4-Diazepine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)hexahydro-4-(1-oxobutyl)-[CAS]	1923-76-8 52712-76-2 80755-51-7	GB 1398455	Antihypertensive, adrenergic	Hypertension, general
Bupropion	Benzonitrile, 2-[3-[(1,1-dimethyl(ethyl)amino)-2-hydroxypropoxy]-[CAS]	34915-68-9	U.S. 3,940,489	Antihypertensive, adrenergic	
bupivacaine	2-Piperidinecarboxamide, 1-butyl-N-(2,6-dimethylphenyl)-[CAS]	38396-39-3 2180-92-9		Formulation, modified-release, >24 hr	Anaesthesia
Buprenorphine	6,14-Ethenomorphinan-7-methanol, 17-(cyclopropylmethyl)-Alpha-(1,1-dimethyl(ethyl)-4,5-epoxy-18,19-dihydro-3-hydroxy-6-methoxy-Alpha-methyl-, [5Alpha,7Alpha(S)]-[CAS]	14556-46-8 52485-79-7 53152-21-9	U.S. 3,433,791	Analgesic, other	
bupropion	1-Propanone, 1-(3-chlorophenyl)-2-[(1,1-dimethyl(ethyl)amino)-, (+/-)-[CAS]	31677-93-7 34911-55-2 4663-83-6	U.S. 4,425,363	Antidepressant	Depression, general
Bupropion	Luteinizing hormone-releasing factor (pig), 6-[O-(1,1-dimethyl(ethyl)-D-serine)-9-(N-ethyl-L-prolineamide)-10-deglycinamide-[CAS]	57982-77-1 68630-75-1	GB 1523623	Releasing hormones	Cancer, prostate
bupropion	8-Azaspino[4.5]decane-7,9-dione, 8-[4-(2-pyrimidinyl)-1-piperazinyl]butyl-[CAS]	36505-84-7	EP 276536	Anxiolytic	Anxiety, general
bupropion	1,4-Butanediol, dimethanesulfonate [CAS]	55-98-1		Formulation, optimized, microparticles	Cancer, general
bupropion	1,4-Butanediol, dimethanesulfonate [CAS]	55-98-1		Formulation, parenteral, other	Cancer, leukaemia, acute myelogenous
Butabarbital		143-81-7			
Butacaine		149-16-6			
Butacatin		2109-73-1			
Butalamin		22131-35-7			
Butalbital		77-26-9			
Butalbital		1142-70-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
butamben	4-Aminobenzoic acid butyl ester [CAS]	94-25-7		Formulation, modified- release, other	Pain, cancer
butamirate	Benzeneacetic acid, Alpha-ethyl-, 2[2-(diethylamino)ethoxy]ethyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) [CAS]	18109-80-3 18109-81-4		Antitussive	Cough
Butanilicaine		3785-21-5			
Butaperazine		653-03-2			
Butaverine		55837-14-4			
Butazolamide		16790-49-1			
Butedronic Acid		51395-42-7			
butenafine	1-Naphthalenemethanamine, N-((4-(1,1-dimethyl)ethyl)phenyl)methyl)-N-methyl-[CAS]	101827-46-7 101828-21-1	EP 164697	Antifungal	Infection, dermatological
Butethal		77-28-1			
Butethamate		14007-64-8			
Butethamine		2090-89-3			
Buthalital		510-90-7			
Butiazide		2043-38-1			
Butibufen		55837-18-8			
Butidrine		1506-12-3			
butobendine	benzoic acid, 3,4,5-trimethoxy-, 1,2-ethanediybis[(methylimino)(2-ethyl-2,1-ethanediy)] ester, [S-(R* R*)]-[CAS]	55769-64-7 55769-65-8	U.S. 4,012,473	Antiarrhythmic	Arrhythmia, general
butoconazole	1H-Imidazole, 1-[4-(4-chlorophenyl)-2-[(2,6-dichlorophenyl)methyl]butyl]-, (+/-)-[CAS]	64872-76-0 64872-77-1	GB 1567431	Antifungal	Infection, Candida, general
Butocetamide		32838-26-9			
Butofitolol		64552-17-6			
butorphanol	Morphinan-3,14-diol, 17-(cyclobutylmethyl)-, [S-(R* R*)]-2,3-dihydroxybutanedioate (1:1) (salt) [CAS]	42408-82-2 58786-99-5	GB 1412129	Analgesic, other	
Butoxycaine		3772-43-8			
Butriptyline		35941-65-2			
Butroptium		29025-14-7			
Buzeptide		3691-21-2			
BVT-5182			WO 0208178	Anorectic/ Antiobesity	Obesity
BXT-51072	2H-1,2-Benzoselenazene, 3,4-dihydro-4,4-dimethyl-[CAS]	173026-17-0		GI inflammatory/ bowel disorders	Colitis, ulcerative
C-1311	6H-Imidazol[4,5,1-de]acridin-6-one, 5-[[2-(diethylamino)ethyl]amino]-8-hydroxy-, 2HCl, 2H ₂ O			Anticancer, other	Cancer, general
cabergoline	Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8β)-[CAS]	81409-90-7 85329-89-1	GB 2103603	Antiprolactin	Gala- ctorrhoea
Cabergoline					
Cacodylic Acid		81409-90-7			
Cactinomycin		75-60-5 8052-16-2			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
cadexomer iodine	Cadexomer iodine [CAS]	94820-09-4		Anti-infective, other	Ulcer, venostasis
Cadmium Salicylate		19010-79-8			
Cadralazine		64241-34-5			
Cafaminol		30924-31-3			
caffeine	1,2,3,-Propanetricarboxylic acid, 2-hydroxy mixt. with 3,7-dihydro-1,4,7-trimethyl-1H- purine-2,6-dione [CAS]	69-22-7 58-08-2		Respiratory	Apnoea
Calcifediol		19356-17-3			
Calcipotriene		112965-21-6			
calcipotriol	9,10-Secochola-5,7,10(19),22-tetraene- 1,3,24-triol, 24-cyclopropyl-, (1Alpha,3β,5Z,7E,22E)-[CAS]	112965-21-6	WO 8700834	Antipsoriasis	Psoriasis
calcipotriol + beclometasone	9,10-Secochola-5,7,10(19),22-tetraene- 1,3,24-triol, 24-cyclopropyl-, (1Alpha,3β,5Z,7E,22E) + Pregna-1,4- diene-3,20-dione, 9-chloro-11β,17,21- trihydroxy-16β-methyl, 17,21-dipropionate			Formulation, fixed-dose combinations	Psoriasis
calcitriol	9,10-Secochola-5,7,10(19)-triene- 1,3,25-triol, (1Alpha,3β,5Z,7E)-[CAS]	32222-06-3		Antipsoriasis	Psoriasis
Calcium 3-Aurothio-2- propanol-1-sulfonate		5743-29-3			
Calcium		69-46-5			
Acetylsalicylate		33659-28-8			
Bromolactobionate		471-34-1			
Calcium Carbonate		299-28-5			
Calcium Gluconate		27214-00-2			
Calcium					
Glycerophosphate					
calcium	Calcium D-(+)-4-(2,4-dihydroxy-3,3- dimethylbutyramido)butyrate	17097-76-6	EP 117260	Neurological	Attention deficit disorder
hopanthenate	(hemihydrate) [CAS]				
Calcium Iodobehenate		1319-91-1			
Calcium Iodosterate		1301-16-2			
Calcium Lactate		814-80-2			
Calcium Levulinate		591-64-0			
Calcium Mesoxalate		21085-60-9			
Calcium N- Carbamoylaspartate		16649-79-9			
calcium polycarbophil	Polycarbophil, calcium salt-[CAS]	126040-58-2 9003-97-9		GI inflammatory/ bowel disorders	Irritable bowel syndrome
Calcium Propionate		4075-81-4			
Calcium Succinate		140-99-8			
caldaret	5-methyl-2-(1-piperaziny)-benzenesulfonic acid monohydrate	133804-44-1		Cardio stimulant	Heart failure
Calusterone		17021-26-0			
Camazepam		36104-80-0			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
camostat	Benzeneacetic acid, 4-[[4- [(aminiminomethyl)amino]benzoyl]oxy]-, 2-(dimethylamino)-2-oxoethyl ester, monomethanesulfonate [CAS]	59721-28-7 59721-29-8 71079-09-9	U.S. 4,021,472	GI inflammatory/ bowel disorders	Pancreatitis
Camphor Carphotamide camptothecin	4-Ethyl-4-hydroxy-1H-pyrano- [3'4:6,7]indolizino[1,2-b;quinoline- 3,14(4H,12H)-dione	76-22-2 4876-45-3		Formulation, optimized, microemulsion	Cancer, general
Candesartan candesartan cilexetil	1H-Benzimidazole-7-carboxylic acid, 2- ethoxy-1-[[2'-(1H-tetrazol-5-yl)]1,1'- biphenyl]-4-yl]methyl]-, 1- [[[cyclohexyloxy]carbonyl]oxy]ethyl ester, (+/-)-[CAS]	139481-59-7 145040-37-5	EP 520423	Antihypertensive, renin system	Hypertension, general
Candoxatriol canertinib	N-[4-(3-(Chloro-4-fluoro-phenylamino)-7-(3- morpholin-4-yl-propoxy)-quinazolin-6-yl]- acrylamide	123122-55-4 289499-45-2		Anticancer, other	Cancer, lung, non-small cell
Canrenone Cantharidin cantuzumab mertansine	Maytansine, N2-deacetyl-N2-(3-mercapto- 1-oxopropyl)-, conjugated humanized C242 monoclonal antibody	976-71-6 56-25-7 139504-50-0		Immunotoxin	Cancer, colorectal
capecitabine	Cytidine, 5-deoxy-5-fluoro-N- [(pentyloxy)carbonyl]-[CAS]	154361-50-9	EP 602454	Anticancer, antimetabolite	Cancer, breast
Capobenic Acid capravirine	1H-imidazole-2-methanol, 5-(3,5- dichlorophenyl)thio-4-(1-methylethyl)-1-(4- pyridinyl)methyl carbamate (ester) [CAS]	21434-91-3 178979-85-6		Antiviral, anti-HIV	Infection, HIV/AIDS
Capromab capsaicin cream	N-[(4-hydroxy-3-methoxyphenyl)methyl]-8- methyl-, (E)-[CAS]	151763-64-3 404-86-4		Formulation, dermal, topical	Pain, post- herpetic
Captodiamine captopril	L-Proline, 1-(3-mercaptop-2-methyl-1- oxopropyl)-, (S)-[CAS]	486-17-9 62571-86-2	U.S. 4,105,776	Antihypertensive, renin system	Hypertension, general
captopril + HCTZ	L-Proline, 1-(3-mercaptop-2-methyl-1- oxopropyl)-, (S)-, mixt. with 6-chloro-3,4- dihydro-2H-1,2,4-benzothiadiazine-7- sulfonamide 1,1-dioxide [CAS]	110075-07-5	U.S. 4,217,347	Antihypertensive, renin system	
Capuride carabersat	Benzamide, N-(6-acetyl-3,4-dihydro-3- hydroxy-2,2-dimethyl-2H-1-benzopyran-4- yl)-4-fluoro, (3R-trans)-[CAS]	5579-13-5 184653-84-7	WO 9811890	Antiepileptic	Epilepsy, general
Caramiphen carazolol	2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(1- methylethyl)amino]-[CAS]	77-22-5 57775-29-8	DE 2240599	Antihypertensive, adrenergic	
Carbachol		51-83-2			

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Carbamazepine	5H-Dibenz[b,f]azepine-5-carboxamide [CAS]	298-46-4		Formulation, modified-release, other	Epilepsy, general
Carbamide Peroxide		124-43-6			
Carbarnone		121-59-5			
Carbaryl		63-25-2			
Carbazochrome		13051-01-9			
		51460-26-5			
Carbendazim	Methyl-2-benzimidazolecarbamate			Anticancer, other	Cancer, general
Carbenicillin		4697-36-3			
Carbenoxolone		5697-56-3			
Carbetapentane		77-23-6			
Carbicarb	Carbonic acid disodium salt, mixt. with monosodium salt-[CAS]	72227-05-5		Alimentary/ Metabolic, other	Acidosis
Carbidopa		28860-95-9			
Carbidopa + levodopa-1	S-Alpha Hydrazino-3,4-dihydroxy-Alpha methyl benzene propanoic acid monohydrate + 3-hydroxy-L-tyrosine			Formulation, fixed-dose combinations	Parkinson's disease
Carbimazole		22232-54-8			
Carbinoxamine		486-16-8			
Carbocloral		541-79-7			
Carbocysteine		151756-26-2	EP	Cystic fibrosis treatment	Cystic fibrosis
		638-23-3			
		56-23-5		Anticancer, alkylating	Cancer, ovarian
Carbon Tetrachloride		41575-94-4			
Carboplatin	Platinum, diammine[1,1-cyclobutanedicarboxylate(2-)]-, (SP-4-2)-[CAS]				
		35700-23-3			
Carboprost		58551-69-2	U.S.	Prostaglandin	Abortion
Carboprost trometamol	Prosta-5,13-dien-1-oic acid, 9,11,15-trihydroxy-15-methyl-, (5Z,9 alpha,11A)alpha,13E,15S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol(1:1) [CAS]	74849-93-7			
	2,5-Cyclohexadiene-1,4-dione, 2-[2-[(aminocarbonyloxy)-1-methoxyethyl]-3,6-bis(1-aziridinyl)-5-methyl-][CAS]	24279-91-2	DE	Anticancer, antibiotic	
Carboquone					
		77-65-6			
Carbromal		960-05-4			
Carbubarb		339-43-5			
Carbutamide		34866-47-2			
Carbuterol		3567-38-2			
Carfimate		1188-38-1			
Carglumic acid	N-Carbamoyl-L-glutamic acid			Metabolic and enzyme disorders	Hyperammonaemia
Cargutocin		33605-67-3			
Carindacillin caripotide		35531-88-5			
	Benzamide, N-(aminoiminomethyl)-4-(1-methylethyl)-3-(methylsulfonyl)-[CAS]	159138-80-4	EP	Antianginal	Angina, general
Caripotide		159138-81-5			
Carisoprodol		159138-80-4			
		78-44-4			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
carnofur	1-(2H)-Pyrimidinecarboxamide, 5-fluoro-N-hexyl-3,4-dihydro-2,4-dioxo-[CAS]	61422-45-5	U.S. 4,071,519	Anticancer, antimetabolite	Cancer, brain
Carnoxirole carnustine	Urea, N,N'-bis(2-chloroethyl)-N-nitroso-[CAS]	98323-83-2 154-93-8		Formulation, implant	
Carnitine		461-06-3			
Caroverine		23465-76-1			
Caroxazone		18464-39-6			
Carphenazine		2622-30-2			
Caripramine		5942-95-0			
carprofen	9H-Carbazole-2-acetic acid, 6-chloro- α -methyl-, (+/-)-[CAS]	53716-49-7	U.S. 3,896,145	Anti-inflammatory	
Carsalam		2037-95-8			
carteolol	2(1H)-Quinolinone, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-3,4-dihydro-, monohydrochloride [CAS]	51781-06-7 51781-21-6	U.S. 3,910,924	Antihypertensive, adrenergic	Glaucoma
Carticaine		23964-58-1			
Caribicin		50935-04-1			
Carumonam		87638-04-8			
Carvacrol		499-75-2			
carvedilol	2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(2-methoxyphenoxy)ethyl]amino]-[CAS]	72956-09-3	EP 4920	Antihypertensive, adrenergic	Hypertension, general
Carvone		99-49-0			
Cascarin		10118-56-6			
caspofungin	Pneumocandin B0, 1-((4R,5S)-5-(2-aminoethyl)amino)-N2-(10,12-dimethyl-1-oxotetradecyl)-4-hydroxy-L-ornithine)-5-(threo-3-hydroxy-L-ornithine)-, diacetate (salt) [CAS]	162808-62-0 179463-17-3	WO 9421677	Antifungal	Infection, Aspergillus
Catechin		154-23-4			
cathepsin K inhibitors	N-(1-benzothien-2-ylcarbonyl)-N-[2-(2-fluorophenyl)-4-oxo-1,2,3,4-tetrahydropyrimidin-5-yl]-L-leucinamide		WO 9613523	Osteoporosis treatment	Osteoporosis
cathepsin S inhibitors	N-(1-benzothien-2-ylcarbonyl)-N-[2-(2-fluorophenyl)-4-oxo-1,2,3,4-tetrahydropyrimidin-5-yl]-L-leucinamide			Antiasthma	Asthma
CC-401					
CC-1779	Rapamycin 42-(3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate) [CAS]	162635-04-3	U.S. 6,342,595	Immunosuppressant	Arthritis, rheumatoid Cancer, renal
CCR5 antagonists			WO 9732019	Antiviral, anti-HIV	Infection, HIV/AIDS
CDC-394			U.S. 634061	Anticancer, other	Cancer, myeloma
CDC-801			U.S. 5,605,914	GI inflammatory/bowel disorders	Crohn's disease
CEE-03-310	1H-3-Benzazepin-7-ol, 5-(2,3-dihydro-7-benzofuranyl)-2,3,4,5-tetrahydro-3-methyl-8-nitro, (5S)-[CAS]	128022-68-4	EP 347672	Dependence treatment	Addiction, alcohol

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
cefactor	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7- [(aminophenylacetyl)amino]-3-chloro-8-oxo-, [6R-[6Alpha,7(R*)]]-[CAS]	53994-73-3 70356-03-5	GB 1461323	Cephalosporin, oral	Infection, <i>Haemophilus influenzae</i> <i>prophylaxis</i>
cefadroxil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(amino(4-hydroxyphenyl)acetyl)amino]-3-methyl-8-oxo-, [6R-[6Alpha,7(R*)]]-[CAS]	50370-12-2 66592-87-8	GB 1240687	Cephalosporin, oral	Infection, general
cefalexin	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7- [(aminophenylacetyl)amino]-3-methyl-8-oxo-, [CAS]	105879-42-3 15686-71-2	U.S. 4,775,751	Cephalosporin, oral	Infection, respiratory tract, upper
cefalexin pivoxil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7- [(aminophenylacetyl)amino]-3-methyl-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, monohydrate, [6R- [6Alpha,7(R*)]]-[CAS]	27726-31-4		Cephalosporin, oral	Infection, general
cefamandole	7-D-mandelamido-3-[(1-methyl-1H-tetrazol-5-yl)thio]methyl-3-cephem-4-carboxylic acid	34444-01-4	U.S. 3,641,021	Cephalosporin, injectable	Infection, general
cefatrizine	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(amino(4-hydroxyphenyl)acetyl)amino]-8-oxo-3-[(1H-1,2,3-triazol-4-ylthio)methyl]-, [6R-[6Alpha,7(R*)]]-[CAS]	51627-14-6	GB 1460914	Cephalosporin, oral	Infection, general
Cefazedone		56187-47-4			
Cefazolin		25953-19-9			
Cefbuparzone		76610-84-9			
cefcapeen pivoxil	7β-[(Z)-2-(2-amino-4-thiazolyl)-2-pentenyl]amino]-3-carbamoyloxymethyl-3-cephem-4-carboxylic acid, pivaloyloxymethyl ester HCl-[CAS]	105889-45-0 105889-46-1	GB 2173194	Cephalosporin, oral	Infection, respiratory tract, general
Cefcladin		105239-91-6			
cefcladinir	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(2-amino-4-thiazolyl)(hydroxymino)acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6Alpha,7(R*)]]-[CAS]	91832-40-5	EP 105459	Cephalosporin, oral	Infection, dermatological
cefditoren pivoxil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(2-amino-4-thiazolyl)(methoxymino)acetyl]amino]-3-[2-(4-methyl-5-thiazolyl)ethenyl]-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[3(Z),6Alpha,7(R*)]]-[CAS]	104145-95-1 104146-53-4 117467-28-4	JP 61178991	Cephalosporin, oral	Infection, general
cefepime	Pyrrrolidinium, 1-[[7-[(2-amino-4-thiazolyl)(methoxymino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, hydroxide, inner salt, [6R-[6Alpha,7(R*)]]-[CAS]	107648-80-6 123171-59-5 88040-23-7	EP 531981	Cephalosporin, injectable	Infection, respiratory tract, lower

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Cefetamet cefetamet pivoxil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-methyl-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, monohydrochloride, [6R-[6Alpha,7(RZ)]]-[CAS]	65052-63-3 111696-23-2	GB 1581854	Cephalosporin, oral	Infection, general
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)((carboxymethoxy)imino)acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6Alpha,7(RZ)]]-[CAS]	79350-37-1	EP 30630	Cephalosporin, oral	Infection, general
cefmenoxime	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6Alpha,7(RZ)]]-[CAS]	65085-01-0 75738-58-8	GB 1536281	Cephalosporin, injectable	Infection, ocular
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-2-carboxyethyl)thio]acetyl]amino]-7-methoxy-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-cis]-[CAS]	56796-20-4 56796-39-5	GB 1449420	Cephalosporin, injectable	Infection, general
cefminox	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-2-carboxyethyl)thio]acetyl]amino]-7-methoxy-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6Alpha,7(S*)]]-[CAS]	84305-41-9	EP 24879	Cephalosporin, injectable	Infection, urinary tract
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(5-(carboxymethyl)-4-methyl-2-thiazolyl)thio]methyl]-8-oxo-, [6R-[6Alpha,7(RZ)]]-[CAS]	69739-16-8 86329-79-5	U.S. 4,590,267	Cephalosporin, injectable	Infection, respiratory tract, lower
cefonicid	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(hydroxyphenylacetyl)-amino]-8-oxo-3-[[[1-(sulfomethyl)-1H-tetrazol-5-yl]thio]methyl]-, disodium salt, [6R-[6Alpha,7(R*)]]-[CAS]	61270-78-8 61270-58-4	GB 1547473	Cephalosporin, injectable	Infection, general
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6Alpha,7(R*)]]-[CAS]	62893-19-0	GB 1508071	Cephalosporin, injectable	Infection, general
cefoperazone + sulbactam Ceforanide		92739-15-6 60925-61-3	U.S. 4,234,579	Antibiotic, other	Infection, general

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
cefotaxime	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[2-amino-4-thiazolyl](methoxyimino)acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-amino-1H-pyrazol-1-yl]methyl]-8-oxo-, [6R-[6Alpha,7beta]]	122841-12-7 122841-10-5	EP 307804	Cephalosporin, injectable	Infection, general
	(6R,7R)-7-[[[2-amino-4-thiazolyl](methoxyimino)acetyl]amino]-cephalosporanic acid sodium salt	64485-93-4 63527-52-6	GB 1580621	Cephalosporin, injectable	Infection, general
Cefotetan	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[2-amino-4-thiazolyl]acetyl]amino]-3-[[[1-[2-(dimethylamino)ethyl]-1H-tetrazol-5-yl]thio]methyl]-8-oxo-, (6R-trans)-[CAS]	69712-56-7 61622-34-2 66309-69-1	U.S. 4,080,498	Cephalosporin, injectable	Infection, general
cefotiam hexetil	1-(cyclohexyloxy)carbonyloxyethyl 7beta-[2-(2-aminothiazol-4-yl)acetamido]-3-[[[1-(2-dimethylaminoethyl)-1H-tetrazol-5-yl]thio]methyl]ceph-3-en-4-carboxylate 2HCl [CAS]	95789-30-3	EP 128029	Cephalosporin, oral	Infection, respiratory tract, lower
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-(((aminocarbonyloxy)methyl)-7-methoxy-8-oxo-7-(2-thienylacetyl)amino)-, monosodium salt, (6R-cis)-[CAS]	33564-30-5 35607-66-0	GB 1348984	Cephalosporin, oral	Infection, general
cefprozopran	Imidazo[1,2-b]pyridazinium, 1-[[7-[(5-amino-1,2,4-thiadiazol-3-yl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, hydroxide, inner salt, [6R-[6Alpha,7beta]]-[CAS]	113359-04-9	EP 203271	Cephalosporin, injectable	Infection, general
cefpirimazole	Pyridinium, 1-[[2-carboxy-7-[[[(5-carboxy-1H-imidazol-4-yl)carbonyl]amino]phenyl]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-4-(2-sulfoethyl)-, hydroxide, inner salt, [6R-[6Alpha,7beta(R*)]]-[CAS]	84880-03-5 85287-61-2	EP 60028	Cephalosporin, injectable	Infection, respiratory tract, general
cefpiramide	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(4-hydroxy-6-methyl-3-pyridinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[[1-methyl-1H-tetrazol-5-yl]thio]methyl]-8-oxo-, [6R-[6Alpha,7beta(R*)]]-[CAS]	70797-11-4	U.S. 4,156,724	Cephalosporin, injectable	Infection, general
cefpirome	5H-1-Pyridinidium, 1-[[7-[[[2-amino-4-thiazolyl](methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-6,7-dihydro-hydroxide, inner salt, [6R-[6Alpha,7beta]]-[CAS]	84957-29-9 98753-19-6	EP 64740	Cephalosporin, injectable	Infection, respiratory tract, lower

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Cefpodoxime Proxetil cefprozil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[6Alpha,7(R*)]]-[C]AS	87239-81-4 92665-29-7	GB 2173798	Cephalosporin, oral	Infection, dermatological
cefroxadine	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(amino-1,4-cyclohexadien-1-ylacetyl)amino]-3-methoxy-8-oxo-, [6R-[6Alpha,7(R*)]]-[C]AS	51762-05-1	GB 1435111	Cephalosporin, oral	Infection, general
ceftriaxone	Pyridinium, 4-(aminocarbonyl)-1-[[2-carboxy-8-oxo-7-[(phenylsulfoacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, hydroxide, inner salt, [6R-[6Alpha,7(R*)]]-[C]AS	52152-93-9 62587-73-9	GB 2025398	Cephalosporin, injectable	Infection, pseudomonal
cefazidime	Pyridinium, 1-[[7-[(2-amino-4-thiazolyl)](1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, hydroxide, inner salt, [6R-[6Alpha,7(R*)]]-[C]AS	72558-82-8	GB 2025398	Cephalosporin, injectable	Infection, respiratory tract, upper
Cefteram Ceftezole cefibuten	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-(2-amino-4-thiazolyl)-4-carboxy-1-oxo-2-butenyl]amino]-8-oxo-, [6R-[6Alpha,7(R*)]]-[C]AS	82547-58-8 26973-24-0 97519-39-6	EP	Cephalosporin, oral	Infection, respiratory tract, lower
ceftizoxime	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-(2-amino-4-thiazolyl)-(methoxymino)acetyl]amino]-8-oxo-, [6R-[6Alpha,7(R*)]]-[C]AS	68401-81-0 68401-82-1	GB 1600735	Cephalosporin, injectable	Infection, general
ceftizoxime alapivoxil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[2-(2-amino-1-oxopropyl)amino]-4-thiazolyl](methoxymino)acetyl]amino]-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, monohydrochloride, [6R-[6Alpha,7(R*)]]-[C]AS	113812-94-5 135767-36-1	JP 62209112	Cephalosporin, oral	Infection, general
ceftriaxone	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-amino-4-thiazolyl](methoxymino)acetyl]amino]-8-oxo-3-[[[1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl]thio]methyl]-, [6R-[6Alpha,7(R*)]]-[C]AS	73384-59-5 74578-69-1	GB 2022090	Cephalosporin, injectable	Infection, respiratory tract, lower

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
cefuroxime axetil	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[aminoacarbonyloxy]methyl]-7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-, 1-(acetyloxy)ethyl ester, [6R-6Alpha, 7beta]]-[CAS]	15686-71-2 64544-07-6	GIB 1571683	Cephalexosporin, oral	Infection, respiratory tract, upper
	5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[aminoacarbonyloxy]methyl]-7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-, 1-(acetyloxy)ethyl ester, [6R-6Alpha, 7beta]]-[CAS]	55268-75-2 56238-63-2	GIB 1453049	Cephalexosporin, injectable	Infection, general
Cefuzonam					
celecoxib	Benzenesulfonamide, 4-(5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)-[CAS]	82219-78-1 169590-42-5	U.S. 5,760,068	Antiarthritic, other	Arthritis, rheumatoid
celgosivir	Butanoic acid, octahydro-1,7,8-trihydroxy-6-indoliziny] ester, [1S-(1Alpha,6beta,7Alpha,8beta)]-[CAS]	121104-96-9	U.S. 5,017,563	Antiviral, other	Infection, hepatitis virus, general
celiprolol	Urea, N'-(3-acetyl-4-[3-[(1,1-dimethyl)ethyl]amino]-2-hydroxypropoxy]phenyl)-N,N-diethyl-[CAS]	56980-93-9 57470-78-7	GB 1441359	Antihypertensive, adrenergic	Angina, unstable
Cellulose Ethyl Hydroxyethyl Ether CEP-1347					
	9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, 5,16-bis((ethylthio)methyl)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-, methyl ester, (9S,10R,12R)-[CAS]	156177-65-0	WO 9731002	Antiparkinsonian	Parkinson's disease
CEP-701	9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-1-one-, 2,3,9,10,11,12-hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-, (9S,10S,12R)-[CAS]	111358-88-4		Anticancer antimetabolite	Cancer, prostate
Cephacetrile		23239-41-0			
Cephalexine		493-17-0			
Cephalexin		15686-71-2			
Cephaloglycin		3577-1-3			
Cephalexidine		50-59-9			
Cephalexosporin C		61-24-5			
Cephalexothin		153-61-7			
Cephapirin		24356-60-3			
Cephadrine		38821-53-3			
Cervastatin		145599-86-6			
Ceronapril		111223-26-8			
ceftoparin	Heparin [CAS]	9005-49-6		Anticoagulant	Thrombosis, venous
Ceruletide		17650-98-5			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Cerviprost	Prosta-5,13-dien-1-oic acid, 11,15-dihydroxy-9-oxo-, (5Z,11A,13E,15S)-[CAS]	363-24-6		Formulation, dermal, topical	
Cetalkonium		122-18-9			
Cetanolol		34919-98-7			
Cethexonium		1794-74-7			
cethromycin	2H-Oxacyclotetradecino(4,3-dioxazole-2,6,8,14(1H,7H,9H)-tetrone 4-ethyl octahydro-3a,7,9,11,13,15-hexamethyl-11-(3-(3-quinolinyl)-2-propenyl)oxy)-10-(33,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexapyranosyl)oxy>-(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-[CAS]	205110-48-1	EP 929563	Macrolide antibiotic	Infection, respiratory tract, general
Cetiedil		14176-10-4			
Cetirizine		83881-51-0			
cetirizine	Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-, [CAS]	83881-51-0	EP 58146	Antiallergic, non-asthma	Allergy, general
cetirizine + pseudoephedrine	Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, Benzenemethanol, Alpha-[1-(methylamino)ethyl]-, hydrochloride, [S-(R*, R*)]-	83881-52-1 90-82-4		Formulation, optimized, microencapsulate	Allergy, general
Cetotiamine		137-76-8			
Cetoxime		25394-78-9			
cetraxate	Benzenepropanoic acid, 4-[[[4-(aminomethyl)cyclohexyl]carbonyl]oxy]-, trans-[CAS]	27724-96-5 34675-84-8	JP 48075547	Antiulcer	
Cetrimonium		57-09-0			
Cetorelix		120287-85-6			
Cetyl(dimethylethyl)ammonium		124-03-8			
Cetylpyridinium					
cevimeline					
CG-1521	Spiro[1-azabicyclo[2.2.2]octane-3,5'-[1,3]oxathiolane], 2'-methyl-, cis-[CAS]	123-03-5 107220-27-9 107233-08-9	EP 205247	Stomatological	Sjogren's syndrome
Chaulmoogric Acid	7-phenyl-2,4,6-heptatrienylhydroxamic acid	29106-32-9 474-25-9		Anticancer, other	Cancer, general
Chenodiol					
CHF-3381			EP 951465	Analgesic, other	Pain, neuropathic
Chlophedianol		791-35-5			
Chloracizine		800-22-6			
chloral	1,1-Ethanediol, 2,2,2-trichloro-[CAS]	302-17-0 2218-68-0		Formulation, transmucosal, systemic	Insomnia
Chlorambucil		515-82-2			
Chloramine-B		305-03-3			
		127-52-6			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Chloramine-T		127-65-1			
Chloramino-phenamide		121-30-2			
Chloramphenicol		56-75-7			
Chlorazani		500-42-5			
Chlorbenzoxamine		522-18-9			
Chlorbetamide		97-27-8			
Chlorcyclizine		82-93-9			
Chlordantoin		5588-20-5			
Chloriazepoxide		58-25-3			
Chlorguanide		500-92-5			
Chlorhexadol		3563-58-4			
chlorhexidine		55-56-1		Formulation, other	Xerostomia, Periodontitis
	2,4,11,13-Tetraazatetradecanedithiimidamide, N,N"-bis(4-chlorophenyl)-3,12-diimino-[CAS]				
Chlorisondamine		69-27-2			
Chlormadinone		302-22-7			
Chlormerodrin		62-37-3			
Chlormezanone		80-77-3			
Chlormidazole		3689-76-7			
Chlornaphazoline		494-03-1			
Chlorazodin		502-98-7			
Chlorophyll		1406-65-1			
Chloroprednisone		52080-57-6			
Chloroprocaine		3858-89-7			
Chloropyramine		59-32-5			
Chloroquine		54-05-7			
Chlorothen		148-65-2			
Chlorothiazide		58-94-6			
Chlorotrianisene		569-57-3			
Chloroxine		773-76-2			
Chloroxylenol		88-04-0			
Chlorozotocin		54749-90-5			
chlorphenamine		132-22-9		Formulation, modified-release, other	Allergy, general
	2-Pyridinepropanamine, Gamma-(4-chlorophenyl)-N,N-dimethyl-[CAS]				
Chlorphenesin		104-29-0			
		886-74-8			
Chlorpheniramine		132-22-9			
Chlorphenoxamide		3576-64-5			
Chlorphenoxamine		77-38-3			
Chlorphenirmine		461-78-9			
Chlorproethazine		84-01-5			
Chlorprogutamil		537-21-3			
chlorproguanil + dapsone		537-21-3		Antimalarial	Infection, malaria
Chlorpromazine	4,4'-Sulfonyldianiline + 1-(3,4-dichlorophenyl)-5-isopropylbiguanide	80-08-0			
Chlorpropamide		50-53-3			
Chlorprothixene		94-20-2			
		113-59-7			
Chlorquinaldol		72-80-0			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Chlortetracycline		57-62-5			
Chlorthalidone		77-36-1			
Chlorthenoxazine(e)		132-89-8			
Chlorzoxazone		95-25-0			
Cholic Acid		81-25-4			
Choline		67-48-1			
		2016-36-6			
choline theophyllinate	Ethaniminium, 2-hydroxy-N,N,N-trimethyl-, salt with 3,7-dihydro-1,3-dimethyl-1H-purine-2,6-dione (1:1) [CAS]	28319-77-9		Formulation, modified-release, other	
choline-L-al foscerate	Ethaniminium, 2-[[2,3-dihydroxypropoxy]hydroxyphosphinyloxy]-N,N,N-trimethyl-, hydroxide, inner salt, (R)-[CAS]	4499-40-5	JP 55028955	Cognition enhancer	Amnesia
Chromocarb		4940-39-0			
Chromonar		804-10-4			
Chrysoidine		532-82-1			
CHS-828	Guanidine, N-[6-(4-chlorophenoxy)hexyl]-N'-cyano-N'-4-pyridinyl-[CAS]	200484-11-3	U.S. 5,696,140	Anticancer, other	Cancer, general
CI-1031	Glycine, N-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]-N-methyl-[CAS]	183305-24-0	WO 9638421	Antianginal	Angina, unstable
CI-1040	Benzamide, 2-[(2-chloro-4-iodophenyl)amino]-N-(cyclopropylmethoxy)-3,4-difluoro-[CAS]	212631-79-3	WO 9837881	Anticancer, other	Cancer, general
cibenzoline	1H-Imidazole, 2-(2,2-diphenylcyclopropyl)-4,5-dihydro-[CAS]	53267-01-9	GB 1417174	Antiarrhythmic	Arrhythmia, general
ciclesonide	Pregna-1,4-diene-3,20-dione 16,17-((cyclohexylmethyl)enebis(oxy))-11-hydroxy-21-(2-methyl-1-oxopropoxy) (11 β ,16 α) [CAS]	126544-47-6	DE 4129535	Antiasthma	Asthma
cicletanine	Furo[3,4-c]pyridin-7-ol, 3-(4-chlorophenyl)-1,3-dihydro-6-methyl-, (4/-)-[CAS]	82747-56-6	U.S. 4,383,998	Antihypertensive, other	
cicloticate	3-Pyridinecarboxylic acid, 3,3,5-trimethylcyclohexyl ester, trans-[CAS]	89943-82-8	DE 1910481	Vasodilator, peripheral	Cancer, lung, small cell
ciclopirox	2(1H)-Pyridinone, 6-cyclohexyl-1-hydroxy-4-methyl-, [CAS]	53449-58-4	U.S. 3,883,545	Antifungal	Infection, fungal, general
Ciclosidomine		41621-49-2			
ciclosporin A	Cyclosporin A-[CAS]	29342-05-0			
		66564-16-7			
		59865-13-3		Formulation, optimized, microemulsion	Transplant rejection, general
cidofovir	Phosphonic acid, [[2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1-(hydroxymethyl)ethoxy]methyl]-, (S)-[CAS]	113852-37-2	EP 253412	Antiviral, other	Infection, cytomegalovirus
Cifenline		53267-01-9			
cilansetron	4H-Pyrido[3,2,1-k]carbazol-11(8H)-one, 5,6,9,10-tetrahydro-10-[(2-methyl-1H-imidazol-1-yl)methyl]-, (R)-[CAS]	120635-74-7	EP 297651	GI inflammatory/bowel disorders	Irritable bowel syndrome

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Cilastatin cilazapril	6H-Pyridiazino[1,2-a][1,2]diazepine-1-carboxylic acid, 9-[1-(ethoxycarbonyl)-3-phenylpropyl]amino]octahydro-10-oxo-, [1S-[1 α Alpha,9 α Alphar(R*)]]-[CAS]	82009-34-5 88768-40-5 90139-06-3	GB 2128984	Antihypertensive, renin system	Hypertension, general
cilengitide	Cyclo(L-arginylglycyl-L-Alpha-aspartyl-D-phenylalanyl-N-methyl-L-valyl) [CAS]	188968-51-6	EP 770622	Anticancer, other	Cancer, lung, non-small cell
cilnidipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-methoxyethyl 3-phenyl-2-propenyl ester-[CAS]	102106-21-8 132203-70-4	EP 161877	Antihypertensive, other	Hypertension, general
cilomilast	Cis-4-cyano-4-[3-(cyclopentylloxy)-4-methoxyphenyl]cyclohexane-1-carboxylic acid	153259-65-5	U.S. 5,602,157	COPD treatment	Chronic obstructive pulmonary disease
cilostazol	2(1H)-Quinolione, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro-[CAS]	73963-72-1	GB 2033893	Antithrombotic	Peripheral vascular disease
Cimetidine cimetropium	3-Oxa-9-azoniatricyclo[3.3.1.0 ^{2,4}]nonane, 9-(cyclopropylmethyl)-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9-methyl-, [7(S)-(1 α Alphar,2 β ,4 β ,5 α Alphar,7 β)]-[CAS]	51481-61-9 51598-60-8	U.S. 3,853,886	Antispasmodic	Muscle spasm, general
cinacalcet	1-naphthalenemethanamine,Alpha-methyl-N-[3-[3-(trifluoromethyl)phenyl]propyl]-, (AlphaR),	364782-34-3		Hormone	Hyperparathyroidism
Cinchonidine Cinchonine Cinchophen Cinepazet Cinepazide cinepazide	Piperazine, 1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]-, (Z)-2-butenedioate (1:1) [CAS]	485-71-2 118-10-5 132-60-5 23887-41-4 23887-46-9 26328-04-1			
Cinitapride Cinnatadin Cinnamedrine Cinnarizine cinolazepam	1H-1,4-Benzodiazepine-1-propanenitrile, 7-chloro-5-[2-fluorophenyl]-2,3-dihydro-3-hydroxy-2-oxo-[CAS]	66564-14-5 20168-99-4 90-86-8 298-57-7 75696-02-5		Vasodilator, peripheral	Peripheral vascular disease
cinoxacin	[1,3D]oxolo[4,5-g]cinnoline-3-carboxylic acid, 1-ethyl-1,4-dihydro-4-oxo-[CAS]	28657-80-9	DE 2950235	Hypnotic/Sedative	Insomnia
Cinoxate Cinromide Cioteronel cipanufylline	1H-Purine-2,6-dione, 8-amino-1,3-bis(cyclopropylmethyl)-3,7-dihydro-[CAS]	104-28-9 58473-74-8 89672-11-7 132210-43-6	GB 1296753 EP 389282	Quinolone antibacterial Antipruritic/inflam, allergic	Infection, urinary tract Eczema, atopic

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ceiprolisant	1H-Imidazole, 4-[(1R,2R)-2-(5,5-dimethyl-1-hexynyl)cyclopropyl]-[CAS]	213027-19-1	U.S. 6,008,240	Psychostimulant	Attention deficit disorder
	Propanoic acid, 2-[4-(2,2-dichlorocyclopropyl)phenoxy]-2-methyl-[CAS]	52214-84-3	GB 1385828	Hypolipaeimic/ Antiatherosclerosis	Hyperlipidaemia, general
	3-Quinolincarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-[CAS]	85721-33-1	U.S. 4,670,444	Quinolone antibacterial	Infection, general
ceiprofloxacine + fluocinolone, SAL	3-Quinolincarboxylic acid, 1-Cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- + (6Alpha, 11R, 16Alpha)-6,9-Difluoro-11,2,1-dihydroxy-16,17-[(1-methylethylidenebis-(oxy))-pregna-1,4-diene-3,20-dione			Formulation, fixed-dose combinations	Otitis
	Benzanide, 4-amino-5-chloro-N-[(1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinyl]-2-methoxy-, cis-[CAS]	63269-31-8 81098-60-4	EP 76530	Gastroprokinetic	
ceisatracurium	Isosquinolinium, 2,2'-[1,5'-pentanediy]bis[oxy(3-oxo-3,1-propanediy)]bis[1-[(3,4-dimethoxyphenyl)methyl]]-1,2,3,4-tetrahydro-6,7-dimethoxy-2-methyl-, [1R-[1Alpha,2Alpha(1'R*,2'R*)]]-, [CAS]	96946-42-8	U.S. 5,453,510	Muscle relaxant	Surgery adjunct
	Platinum, diamminedichloro-, (SP-4-2)-[CAS]	15663-27-1	U.S. 4,177,263	Anticancer, alkylating	Depression, general
ceitolopram	5-Isobenzofurancarboximide, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-[CAS]	59729-32-7 59729-33-8	GB 1526331	Antidepressant	
	Cytidine 5'-(trihydrogen diposphate), P-[2(trimethylammonio)ethyl]ester, hydroxide, inner salt [CAS]	987-78-0	JP 39006541	Cognition enhancer	Infarction, cerebral
Citrolone Citric Acid Citrulline ceizelofirine	Ethanamine, N,N-dimethyl-2-[(1-methyl-1H-pyrazol-5-yl)phenylmethoxy]-, 2-hydroxy-1,2,3-propanetricarboxylate [CAS]	1195-16-0 77-92-9 372-75-8 142155-44-0		Urological	Incontinence
	4-(3-[4-(2-Methyl-1-imidazol-1-yl)-phenylsulfanyl]-phenyl)-tetrahydro-pyran-4-carboxylic acid amide			COPD treatment	Chronic obstructive pulmonary disease
CKD-13610	1H-Pyrano[3',4':6',7']indolizino[1,2-b]quinoline-3,15(4H,12H)-dione, 4-ethyl-4-hydroxy-11-[2-[(1-methylethyl)amino]ethyl]-, monohydrochloride, (4S)- [CAS]	213819-48-8	WO 9902530	Anticancer, other	Cancer, ovarian
ceiadribine	Adenosine, 2-chloro-2'-deoxy-[CAS]	4291-63-8	EP 173059	Anticancer, antimetabolite	Cancer, leukaemia, hairy cell

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Clanobutin clarithromycin	Erythromycin, 6-O-methyl-[CAS]	30544-61-7 81103-11-9	EP 41355	Macrolide antibiotic	Infection, respiratory tract, lower
Clavulanate, Disodium		58001-44-8			
Clavulanic Acid		55905-53-8			
Cleboipride		15686-51-8			
Clemastine		442-52-4			
Clenizol		37148-27-9			
Clenbuterol		96125-53-0			
Clenitazem		167221-71-8	WO 9512578	Antihypertensive, other	Hypertension, general
clevudipine	3,5-Pyridinedicarboxylic acid, 4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethyl-, methyl (1-oxobutoxy)methyl ester (±) [CAS]				
clevudine	2,4(H ₃ H)-Pyrimidinone, 1-(2-deoxy-2-fluoro-β-L-arabinofuranosyl)-5-methyl- [CAS]	163252-36-6		Antiviral, other	Infection, hepatitis-B virus
Clidanae		28968-07-2			
Clidinium		3485-62-9			
Clinafloxacin		105956-97-6			
Clindamycin clindamycin + tretinoin	L-threo-Alpha-D-galacto-Octopyranoside, methyl 7-chloro-6,7,8-trideoxy-6-[(1-methyl-4-propyl-2-pyrrolidinyl)carbonyl]amino]-1-thio, (2S-trans) + retinoic acid	18323-44-9 24729-96-2		Formulation, fixed-dose combinations	Acne
clindamycin	L-Threo-Alpha-D-galacto-octopyranoside, methyl 7-chloro-6,7,8-trideoxy-6-[(1-methyl-4-propyl-2-pyrrolidinyl)carbonyl]amino]-1-thio, 2-(dihydrogen phosphate), (2S-trans)	18323-44-9 24729-96-2		Formulation, parenteral, other	Infection, gynaecolog- ical
Clinofibrate		30299-08-2			
Clinprost		88931-51-5			
clobazam	1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-phenyl-[CAS]	22316-47-8	GB 1214662	Anxiolytic	
Clobenfurol		3611-72-1			
Clobenosiide		29899-95-4			
Clobenzepam		1159-93-9			
Clobenzorex		13364-32-4			
Clobenztropine		5627-46-3			
clobetasol	Pregna-1,4-diene-3,20-dione, 21-chloro-9-fluoro-11,17-dihydroxy-16-methyl-, (11β,16β)-[CAS]	25122-41-2		Formulation, dermal, topical	Psoriasis
clobetasone	Pregna-1,4-diene-3,11,20-trione, 21-chloro-9-fluoro-16-methyl-17-(1-oxobutoxy)-, (16β)-[CAS]	25122-57-0 54063-32-0	GB 1253831	Antipruritic/ inflamm, allergic	
Clobutinol		14860-49-2			
Clocapramine		47739-98-0			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Cloacinizine		298-55-5			
Cloconazole		77175-51-0			
Clocortolone		4828-27-7			
clodronate	Phosphonic acid, (dichloromethylene)bis- [CAS]	22560-50-5		Osteoporosis treatment, Anticancer, hormonal	Pain, cancer, Hyper- calcaemia of malignancy
Clodronic Acid					
clofarabine	2-chloro-9-(2-deoxy-2-fluoro-β-D- arabinofuransonyl)adenine	10596-23-3		Anticancer, antineoplastic	Cancer, leukaemia, chronic
clofazimine	3-(p-chloroamilo)-10-(p-chlorophenyl)-2,10- dihydro-2-(isopropylimino)-phenazine	2030-63-9		Formulation, optimized, microcapsulate	Infection, tuberculosis
Clofenamide		671-95-4			
Clofbrat		637-07-0			
Clofbric Acid		882-09-7			
Cloflucarban		369-77-7			
Clofoctol		37693-01-9			
Cloforex		14261-75-7			
Clomacran		5310-55-4			
Clomestrone		4091-75-2			
Clometacin		25803-14-9			
Clomethiazole		533-45-9			
Clometocillin		1926-49-4			
Clomiphene		911-45-5			
Clomipramine		303-49-1			
Clomocycline		1181-54-0			
clonazepam		1622-61-3	U.S. 4,316,897	Antiepileptic	Epilepsy, general
clonidine	2H-1,4-Benzodiazepin-2-one, 5-(2- chlorophenyl)-1,3-dihydro-7-nitro-[CAS] 1H-Imidazol-2-amine, N-(2,6- dichlorophenyl)-4,5-dihydro-[CAS]	4205-90-7	U.S. 4,060,084	Formulation, transdermal, patch	Hypertension, general
Clonitazene		3861-76-5			
Clonitrate		2612-33-1			
Clonixin		17737-65-4			
Clopamid		636-54-4			
Clopanthixol		982-24-1			
Cloperastine		3703-76-2			
clopidogrel	Thieno[3,2-c]pyridine-5(4H)-acetic acid, Alpha-(2-chlorophenyl)-6,7-dihydro-, methyl ester, (S)-[CAS]	120202-48-4 90055-48-4 113665-84-2 42779-82-8	EP 99802	Antithrombotic	Infarction, myocardial
Clopirac		5251-34-3			
Cloprednol		39563-28-5			
cloranolol	2-Propanol, 1-(2,5-dichlorophenoxy)-3- [(1,1-dimethylethyl)amino]-[CAS]	54247-25-5	U.S. 4,310,549	Antihypertensive, adrenergic	
Clorazepic Acid		23887-31-2			
Clorexolone		2127-1-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
cloricromene	Acetic acid, [[8-chloro-3-[2-(diethylaminoethyl)-4-methyl-2-oxo-2H-1-benzopyran-7-yl]oxy]-, ethyl ester [CAS]	68206-94-0	U.S. 4,349,566	Vasodilator, coronary	Peripheral vascular disease
Clorindione		1146-99-2			
Clorphenaline		3811-25-4			
Clortermine		10389-73-8			
Clospirazine		24527-27-3			
Clostebol		1093-58-9			
Clothiapine		2058-52-8			
clotiazepam	2H-Thieno[2,3-e]-1,4-diazepin-2-one, 5-(2-chlorophenyl)-7-ethyl-1,3-dihydro-1-methyl-[CAS]	33671-46-4	U.S. 3,849,405	Anxiolytic	Anxiety, general
clotrimazole	1-[(2-chlorophenyl)diphenylmethyl]-1H-imidazole	23593-75-1	U.S. 3,705,172	Antifungal	
clotrimazole + betamethasone	Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17,21-bis(1-oxopropoxy)-, (11 β ,16 β)-, mixt. with 1-[(2-chlorophenyl)diphenylmethyl]-1H-imidazole [CAS]	92522-91-3		Formulation, fixed-dose combinations	Infection, fungal, general
Cloxacillin		61-72-3			
cloxazolam	Oxazolol[3,2-d][1,4]benzodiazepin-6(SH)-one, 10-chloro-11b-(2-chlorophenyl)-2,3,7,11b-tetrahydro-[CAS]	24166-13-0	U.S. 3,442,371	Anxiolytic	
Cloxotestosterone		53608-96-1			
Cloxyquin		130-16-5			
clozapine	5H-Dibenzo[b,e][1,4]diazepine, 8-chloro-11-(4-methyl-1-piperazinyl)-[CAS]	5786-21-0	U.S. 3,539,573	Neuroleptic	Schizophrenia
CMI-392	Trans-2-[3-methoxy-4-(2-p-chlorophenylthio)ethoxy-5-(N'-methyl-N'-hydroxyureidyl)methylphenyl]-5-(3,4,5-trimethoxyphenyl)tetrahydrofuran	193739-23-0	U.S. 5,648,486	Antipsoriasis	Psoriasis
CMT-3	2-Naphthacene-9-carboxamide, 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4aS,5aR,12aS)- [CAS]	15866-90-7	U.S. 5,837,696	Anticancer, other	Cancer, sarcoma, Kaposi's
CNI-1493	Decanediamide, N,N'-bis[3,5-bis[1-(aminominoethyl)hydrazono]ethyl]phenyl]-, tetrahydrochloride [CAS]	164301-51-3	U.S. 5,750,573	Anti-inflammatory	Psoriasis
CNS-5161	N'-[2-chloro-5-(methylthio)phenyl]-N-methyl-N-[3-(methylthio)phenyl]guanide [CAS]	160754-76-7	WO 9427591	Analgesic, other	Pain, neuropathic
Cobanamide		13870-90-1			
Cocethylene		529-38-4			
Cocaine		50-36-2			
Codeine		76-57-3			
		52-28-8			
CoFactor	5,10 methylene-tetrahydrofolate			Anticancer, antimetabolite	Cancer, colorectal
Colchicine		64-86-8			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
colesevelam	1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride [CAS]	182815-44-7	U.S. 5,607,669	Hypolipaeimic/ Antihtherosclerosis	Hyper- lipidaemia, general
colestilan	1H-Imidazole, 2-methyl-, polymer with (chloromethyl)oxirane [CAS]	95522-45-5	JP 59155421	Hypolipaeimic/ Antihtherosclerosis	Hyper- cholesterol- aemia
Colestipol	6-(3-dimethylaminopropionyl)forkolin- [CAS]	26658-42-4			
colforsin daropate	138605-00-2	EP 222413		Cardio stimulant	Heart failure
colfosceril	3,5,9-Trioxa-4-phosphapentacosan-1- aminium, 4-hydroxy-N,N,N-trimethyl-10- oxo-7-[(1-oxohexadecyl)oxy]-, hydroxide, inner salt, 4-oxide, (R)-[CAS]	63-89-8 99732-49-7	U.S. 4,826,821	Lung Surfactant	Respiratory distress syndrome, infant
Collagraft		138331-02-9		Formulation, implant	Regeneration, bone
Colocynthin		1398-78-3			
Colpoornon		1247-71-8			
coluracetam		135463-81-9	EP 427636	Cognition enhancer	Alzheimer's disease
combreatastatin A-4 prodrug	1-Pyrrolidineacetamide, 2-oxo-N-(5,6,7,8- tetrahydro-2,3-dimethylfuro[2,3-b]quinolin- 4-yl)-[CAS]				
compound B, Pharmacor convaptin	disodium combreatastatin-A-4-3-O- phosphate				
			U.S. 6,362,165	Anticancer, other	Cancer, thyroid
			WO 9503305	Antiviral, anti-HIV	Infection, HIV/AIDS
				GI inflammatory/ bowel disorders	Hyponatraemia
Connetivina					
Convallatoxin		9004-61-9			
Coparaffinate		508-75-8			
Corticorelin Ovine		8001-60-3			
Triflutare					
Corticosterone		50-22-6			
Cortisone		53-06-5			
Cortivazol		1110-40-3			
Cosyntropin		16960-16-0			
Cotamine		82-54-2			
Cofinine		486-56-6			
co-trimazine		39474-58-3			
	Benzenesulfonamide, 4-amino-N-2- pyrimidinyl-, mixt. with 5-[(3,4,5- trimethoxyphenyl)methyl]-2,4- pyrimidinediamine [CAS]			Trimethoprim and analogues	Infection, urinary tract
Coumetarol					
CP-248	1H-Indene-3-acetamide, 5-fluoro-2-methyl- N-(phenylmethyl)-1-[(3,4,5- trimethoxyphenyl)methylene]-, (1Z)- [CAS]	4366-18-1 200803-37-8	WO 9747303	Anticancer, other	Barrett's oesophagus

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
CP-461					
CPC-211	Acetic acid, dichloro-, sodium salt [CAS]	2156-56-1	U.S. 5,948,779	Anticancer, other	Cancer, prostate
CPI-1189	CPI 1189 [CAS]	210475-67-5	WO 9631462	Neuroprotective Cognition enhancer	Acidosis, lactic Dementia, AIDS- related
CRA-0450	Guanidine, N-methyl-N-[2- (phosphonooxy)ethyl]-[CAS]	6903-79-3	WO 0202549	Anxiolytic Antianginal	Unspecified
creatino1-O-phosphate					
CRL-5861	Oxirane, methyl-, polymer with oxirane, block [CAS]	106392-12-5	U.S. 4,837,014	Antisickling	Anaemia, sickle cell
crobenetine	(2R,6S)-3-[2(S)-Benzoyloxypropyl]-6,11,11- trimethyl-1,2,3,4,5,6,-hexahydro-2,6- methano-3-benzazocin-10-ol		WO 9914199	Neuroprotective	Ischaemia, cerebral
croconazole	1H-Imidazole, 1-[1-[2-(3- chlorophenyl)methoxy]phenyl]ethenyl]- [CAS]	77175-51-0	DE 3021467	Antifungal	Infection, fungal, general
crosmoglicic acid	4H-1-Benzopyran-2-carboxylic acid, 5,5'- [(2-hydroxy-1,3-propanediyl)bis(oxy)]bis4- oxo-[CAS]	53736-52-0		Formulation, mucosal, topical	Conjunctiv- itis
crosmolyn	4H-1-Benzopyran-2-carboxylic acid, 5,5'- [(2-hydroxy-1,3-propanediyl)bis(oxy)]bis4- oxo-[CAS]	15826-37-6 16110-51-3		Formulation, inhalable, solution	Asthma
Cropropanide		633-47-6			
Crotamiton		483-63-6			
Crotethamide		6168-76-9			
Crystacide					
CS-502			U.S. 4,557,935	Formulation, dermal, topical	Infection, dermatological
CS-758	□ 4-[(1E,3E)-4-[trans-5-[(1R,2R)-2-(2,4- difluorophenyl)-2-hydroxy-1-methyl-3-(1H- 1,2,4-triazol-1-yl)propyl]thio]-1,3-dioxan-2- yl]-1,3-butadienyl]-3-fluorobenzonitrile		EP 799823	Analgesic, other Antifungal	Pain, general Infection, fungal, general
CS-834	1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[[1(R)-1-hydroxyethyl]-4-methyl-7- oxo-3-[[[(3R)-5-oxo-3-pyrrolidinyl]thio]- (2,2-dimethyl-1-oxopropoxy)methyl] ester, (4R,5S,6S)-[CAS]	157542-49-9	EP 599512	Beta-lactam antibiotic	Infection, general
CT-052923	[(2H-benzol[d]1,3-dioxolan-5- methyl)amino][4-(6,7-dimethoxyquinazolin- 4-yl)piperazinyl]methane-1-thione			Cardiovascular	Restenosis
CT-32228	N-(4-bromophenyl)-6-(5-chloro-2- methylphenyl)-[1,3,5]triazine-2,4-diamine			Anticancer, other	Cancer, general
Cupric Citrate		866-82-0			
Cuproxoline		13007-93-7			
CVT-2584	Ethanol, 2,2'-[[6-[[[4- methoxyphenyl)methyl]amino]-9-(1- methyl-ethyl)-9H-purin-2-yl]imino]bis- [CAS]	199986-75-9	WO 9805335	Cardiovascular	Restenosis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
CX-659S	((S)-6-amino-5-(6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxamido)-3-methyl-1-phenyl-2,4-(1H,3H)-pyrimidinone	140-87-4 3546-03-0 528-58-5	WO 00172745	Dermatological	Eczema, general
Cyacetacide Cyamemazine Cyamidin CYC400		3485-14-1 456-59-7 3572-80-3 15301-52-7 532-52-5 498-71-5		Anticancer, other	Cancer, general
Cyclacillin Cyclandelate Cyclazocine Cyclexanone Cyclexedrine cycelidrol					
cyclin D1 inhibitors	3-Cyclohexene-1-methanol, 5-hydroxy- Alpha,Alpha,4-trimethyl-[CAS]		U.S. 6,033,843	COPD treatment, Respiratory Anticancer, hormonal	Bronchitis, chronic Cancer, breast
Cyclizine Cyclobarbitol Cyclobenzazole cyclobenzaprine		82-92-8 52-31-3 31431-43-3 303-53-7			
Cyclobutrol Cyclocumarol Cyclodrine Cyclofenil Cycloguanil Cyclomethycaine Cycloniumelodide Cyclopentamine Cyclopentthiazide Cyclopentobarbital Cyclopentolate cyclophosphamide	1-Propanamine, 3-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-N,N-dimethyl-[CAS]	512-16-3 518-20-7 52109-93-0 2624-43-3 516-21-2 139-62-8 6577-41-9 102-45-4 742-20-1 76-68-6 512-15-2 50-18-0 6055-19-2		Formulation, modified- release, other	Muscle spasm, general
cyclopiroxalamine	N,N-Bis(2-chloroethyl)tetrahydro-2H-1,3,2-oxazaphosphorin-2-amine-2-oxide monohydrate 2(1H)-Pyridinone, 6-cyclohexyl-1-hydroxy-4-methyl-, crmpd with 2-aminoethanol(1:1) [CAS]	41621-49-2		Formulation, parenteral, targeted	Cancer, general
Cycloserine Cyclothiazide Cyclovalone Cynarin cymserine		68-41-7 2259-96-3 579-23-7 508-77-0 145209-39-8		Formulation, transdermal, other	Vaginitis
Cynarin(e)	Carbamic acid, [4-(1-methylethyl)phenyl]-, (3aS,8aR)-1,2,3,3a,8,8a-hexahydro-1,3a,8-trimethylpyrrolo[2,3-b]indol-5-yl ester [CAS]	30964-13-7	WO 9902154	Cognition enhancer	Alzheimer's disease

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
CYP26 inhibitors Cyproheptadine cypoterone	(1β,2β)-6-Chloro-1,2-dihydro-17-hydroxy-3H-cyclop[1,2]pregna-1,4,6-triene-3,20-dione [CAS]	129-03-3 2098-66-0	U.S. 6,063,606	Dermatological Radio/ chemoprotective	Unspecified Chemotherapy- induced injury, general
Cysteamine cystic fibrosis ther	[4-[3-[4-[1-(4-hydroxyphenyl)-1-methyl-ethyl]phenoxymethyl]phenyl]methoxy]phenyl]iminomethyl], ethyl ester	60-23-1		Cystic fibrosis treatment	Cystic fibrosis
cytarabine	2(1H)-Pyrimidinone, 4-amino-1-[5-O-[hydroxy(octadecyloxy)phosphinyl]-β-D-arabinofuranosyl]-, [CAS]	65093-40-5 147-94-4	EP 239015	Anticancer, antimetabolite	Myelodysplastic syndrome
D-24851	N-(Pyridin-4-yl)(1-(4-chlorobenzyl)-indol-3-yl)-glyoxylamide			Anticancer, other	Cancer, general
D-4418	8-Methoxyquinoline-5-[N-(2,5-dichloropyridin-3-yl)]carboxamide			Antiasthma	Asthma
DA-5018	Benzeneacetamide, 4-(2-aminoethoxy)-N-(3-(3,4-dimethylphenyl)propyl)-3-methoxy-, monohydrochloride [CAS]	174661-97-3	U.S. 5,242,944	Analgesic, other	Pain, musculoskeletal
DA-6034					
DA-7867			U.S. 6,025,387	GI inflammatory/ bowel disorders	Crohn's disease
DA-7911			KR 9957803	Antibacterial, other	Infection, general
DA-8159	3-(1-Methyl-7-oxo-3-propyl-6,7-dihydro-1H-pyrazolo-[4,3-d]pyrimidin-5-yl)-N-[2-(1-methylpyrrolidin-2-yl)ethyl]-4-propoxybenzenesulfonamide	4342-3-4 152923-56-3 50-76-0 171500-79-1	KR 56034 KR 353014	Antiarthritic, other Male sexual dysfunction	Arthritis, rheumatoid Sexual dysfunction, male, general
Dacarbazine Dacilizumab Dactinomycin dalbavancin	5,31-Dichloro-38-de(methoxycarbonyl)-7-demethyl-19-deoxy-56-O-[2-deoxy-2-(10-methylundecanamido)-β-D-glucopyranosyl]-38-[N-(3-(dimethylamino)propyl]carbamoyl]-42-O-Alpha-D-mannopyranosyl-N15-methylristomycin A aglycone			Peptide antibiotic	Infection, dermatological
Dalfofrislin dalofrislin + quinupristin	Virginiamycin M1, 26-(2-(diethylamino)ethyl)sulfonyl-26,27-dihydro-, (26R,27S)-, mixt with 4-(4-(dimethylamino)-N-methyl-L-phenylalanine)-5-(5-(1-azabicyclo(2.2.2)oct-3-ylthio)methyl)-4-oxo-L-2-piperidinecarboxylic acid	112362-50-2 126602-89-9	EP 248703	Antibiotic, other	Infection, respiratory tract, general
dalteparin	virginiamycin S1-[CAS] Heparin-, [CAS]	9041-08-1	U.S. 4,303,651	Anticoagulant	Thrombo- prophylaxis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Daltroban 8-Amino-levulinic Acid danaparoid		79094-20-5 106-60-5	EP 66908	Anticoagulant	Thrombosis, venous
danazol	Pregna-2,4-dien-20-yno[2,3-d]isoxazol-17- ol, (17A α)-[CAS]	17230-88-5	GB 905844	Menstruation disorders	
Danthron		117-10-2			
Dantrolene		7261-97-4			
dapiprazole	1,2,4-Triazolo[4,3-a]pyridine, 5,6,7,8- tetrahydro-3-[2-[4-(2-methylphenyl)-1- piperazinyl]ethyl]-[CAS]	72822-12-9 72822-13-0	U.S. 4,252,721	Ophthalmological	Glaucoma
dapivirine	4-[[4-(2,4,6- trimethylphenyl)amino]pyrimidin-2- yl]amino]benzonitrile	244767-67-7		Antiviral, anti-HIV	Infection, HIV/AIDS
dapoxetine	(+)(S)-N,N-dimethyl- α -[2-(1-naphthyl- oxy)ethyl]benzylamine HCl	119356-77-3	EP 288188	Male sexual dysfunction	Premature ejaculation
dapsone	4,4'-Sulfonyldianiline	80-08-0		Formulation, dermal, topical	Acne
daptomycin	Daptomycin [CAS]	103060-53-3	EP 178152	Peptide antibiotic	Infection, dermatological
Darbepoetin Alfa darifenacin		133099-04-4	EP 388054	Urological	Overactive bladder
daunorubicin	3-Pyrrolidineacetanide, 1-[2-(2,3-dihydro- 5-benzofuranyl)ethyl]- α -[2-(1-naphthyl- diphenyl)-, (S)]-[CAS]	20830-81-3	U.S. 5,441,745	Formulation, optimized, liposomes	Cancer, sarcoma, Kaposi's
DAX-5 SetClone	amino-2,3,6-trideoxy- α -[2-(1-lyxo- hexopyranosyl)oxy]-7,8,9,10-tetrahydro- 6,8,11-trihydroxy-1-methoxy-, (8S-cis)- [CAS]				
DB-67	3-diallyl-8-cyclohexylxanthine				
d-Camphocarboxylic DCF-987	7-tert-Butyldimethylsilyl-10- hydroxycamptothecin	18530-30-8	U.S. 5,514,665	Formulation, other	Cystic fibrosis
DDT	Dextran	50-29-3			
Deaminoxycocin		113-78-0			
Deanol		108-01-0			
Debrisoquin		1131-64-2			
Decanethonium		541-22-0			
Decimemide decitabine	1,3,5-Triazin-2(1H)-one, 4-amino-1-(2- deoxy- β -D-erythro-pentofuranosyl)-[CAS]	14817-09-5 23339-46-0 2353-33-5		Cystic fibrosis treatment Anticancer, other	Cystic fibrosis Cancer, general
declopramide	Benzamide, 4-amino-3-chloro-N-(2- (diethylamino)ethyl)-[CAS]	891-60-1	WO 9732582	Anticancer, antimetabolite	Myelo- dysplastic syndrome
Deferiprone Deferoxamine		30652-11-0 70-51-9		Anticancer, other	Cancer, colorectal

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
deflazacort	5H-Pregna-1,4-dienol[17,16]oxazole-3,20-dione, 21-(acetyloxy)-11-hydroxy-2'-methyl-, (11 β ,16 β)-[CAS]	14484-47-0 74712-90-6	GIB 1077393	Hormone	Asthma
Defosfamide degarelix	N-acetyl-3-(naphtalen-2-yl)-D-alanyl-4-chloro-D-phenylalanyl-3-(pyridin-3-yl)-D-alanyl-L-seryl-4-[[[(4S)-2,6-dioxohexalylidropyrimidin-4-yl]carbonyl]amino]-L-phenylalanyl-4-(carbamoyl)amino)-D-phenylalanyl-L-leucyl-N-6-(1-methylethyl)-L-lysyl-L-prolyl-D-alaninamide	3733-81-1 214766-78-6		Anticancer, hormonal	Cancer, prostate
dehydroascorbic acid	L-threo-2,3-Hexodululosonic acid gamma-lactone	490-83-5		Cognition enhancer	Alzheimer's disease
Dehydrocholic Acid Dehydroemetine delapril	Glycine, N-(2,3-dihydro-1H-inden-2-yl)-N-[N-[1-(ethoxycarbonyl)-3-phenylpropyl]-L-alanyl]-, (S)-[CAS]	81-23-2 4914-30-1 83435-66-9 83435-67-0	EP 51391	Antihypertensive, renin system	Hypertension, general
delapril + manidipine	Glycine, N-(2,3-dihydro-1H-inden-2-yl)-N-[N-[1-(ethoxycarbonyl)-3-phenylpropyl]-L-alanyl]-, (S)-3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl methyl ester [CAS]		FR 2733911	Formulation, fixed-dose combinations	Hypertension, general
delavirdine	Piperazine, 1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[[5-(methylsulfonyl)amino]-1H-indol-2-yl]carbonyl]-[CAS]	136817-59-9	WO 9109849	Antiviral, anti-HIV	Infection, HIV/AIDS
Delmadinone Delmopinol delorazepam	2H-1,4-Benzodiazepin-2-one, 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-[CAS]	13698-49-2 79874-76-3 2894-67-9	CH 408029	Anxiolytic	Ischaemia, cerebral
delucemine	3,3-Bis-(m-fluorophenyl)-N-methylpropylamine [CAS]	186495-99-8		Neuroprotective	
Demanyl Demecarium demeclocycline	2-Naphthacene-carboxamide, 7-chloro-4-(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-1,11-dioxo-, [4S-(4 α ,14 α ,4a α ,5a α ,6 β ,12 α)]-[CAS]	6909-62-2 56-94-0 127-33-3		Formulation, modified-release, <=24 hr	Infection, general
Denecolcine Denegestone Denexiptiline denaverine	Benzenecetic acid, Alpha-(2-ethylbutoxy)-Alpha-phenyl-, 2-(dimethylamino)ethyl ester, [CAS]	477-30-5 10116-22-0 24701-51-7 3321-06-0	DE 4133785	Analgesic, NSAID	Pain, musculo-skeletal
Denileukin Diftitox Denopamine		173146-27-5 71771-90-9			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Denopterin		22006-84-4			
Deoxycholic Acid		83-44-3			
Deoxycorticosterone		64-85-7			
Deoxydihydro- streptomycin		26086-49-7			
Deoxyepinephrine		501-15-5			
Depotide		161982-62-3			
desipeptide	L-Valine, N-[(3S,4E)-3-hydroxy-7- mercapto-1-oxo-4-heptenyl]-D-valyl-D- cysteinylo-(2Z)-2-amino-2-butenoyl-, (4-1)- lactone, cyclic (1-2)-disulfide [CAS]	EP 128517-07-7	352646	Anticancer, antibiotic	Cancer, general
Deptropine		604-51-3			
Dequalinium		522-51-0			
dersalazine	Benzoic acid, 2-hydroxy-5-[[4-[3-[4-(2- methyl-1H-imidazo[4,5-c]pyridin-1- yl)methyl]-1-piperidinyl]-3-oxo-1-phenyl-1- propenyl]phenyl]azo] (Z) [CAS]	U.S. 188913-57-7 188913-58-8	5,747,477	Anti-inflammatory	Colitis, ulcerative
Deserpidine		131-01-1			
desferrioxamine	Butanediamide, N'-[5-[[4-[[5- (acetylhydroxyamino)pentyl]amino]-1,4- dioxobutyl]hydroxyamino]pentyl]-N-(5- aminopentyl)-N-hydroxy-[CAS]	70-51-9		Antidote	Poisoning, metal
Desflurane		57041-67-5			
Desipramine		50-47-5			
Deslanoside		17598-65-1			
desloratadine	5H-Benzo(5,6)cyclohepta(1,2-b)pyridine, 8- chloro-6,11-dihydro-11-(4-piperidinylidene)- [CAS]	U.S. 100643-71-8	5,595,997	Antiallergic, non-asthma	Rhinitis, allergic, perennial
deslorelin	Luteinizing hormone-releasing factor (pig), 6-D-tryptophan-9-(N-ethyl-L-prolinamide)- 10-deglycinamide-[CAS]	U.S. 57773-65-6	4,034,082	Releasing hormones	Cancer, prostate
desmopressin	Vasopressin, 1-(3-mercaptopropanoic acid)-8-D-arginine-[CAS]	DE 16679-58-6	2948345	Hormone	Enuresis
Desogestrel		54024-22-5			
desogestrel + estradiol	Estra-1,3,5(10)-triene-3,17-diol (17 β), mixt. with (17 α)-13-ethyl-11- methylene-18,19-dinorpregn-4-en-20-yn- 17-ol [CAS]	122364-17-4		Menopausal disorders	Hormone replacement therapy
desogestrel, Akzo	18,19-Dinorpregn-4-en-20-yn-17-ol, 13- ethyl-11-methylene-, (17 α)-[CAS]	54024-55-5		Formulation, oral, other	Contraceptive, female
desogestrel + ethinylestrad (1)	18,19-Dinorpregn-4-en-20-yn-17-ol, 13- ethyl-11-methylene-, (17 α)-[CAS]	54024-22-5	U.S. 3,927,046	Formulation, oral, other	Contraceptive, female
Desonorphine		71138-35-7			
Desonide		427-00-9			
Desoximetasone		638-94-8			
Detaxtran		382-67-2			
Devacade		9015-73-0			
dexamethasone	Pregna-1,4-diene-3,20-dione, 9-fluoro- 11,17,21-trihydroxy-16-methyl-, (11 β ,16 α)-[CAS]	WO 50-02-2 2392-39-4 312-93-6	9308176	Analgesic, other Formulation, other	Pain, general Inflammation, ocular

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
dexanabinol	6H-Dibenzo[b,d]pyran-9-methanol, 3-(1,1-dimethylheptyl)-6a,7,10,10a-tetrahydro-1-hydroxy-6,6-dimethyl-, (6aS-trans)-[CAS]	112924-45-5	EP 427518	Neuroprotective	Head trauma
dexcedotril	Glycine, N-[2-[[acetylthio)methyl]-1-oxo-3-phenylpropyl]-, phenylmethyl ester, (R)-[CAS]	112573-72-5	EP 318377	Alimentary/ Metabolic, other	Unspecified
dexefaroxan	1H-Imidazole, 2-(2-ethyl-2,3-dihydro-2-benzofuranyl)-4,5-dihydro-[CAS]	89197-00-2 89197-32-0 21888-98-2 51146-56-6	EP 71368	Cognition enhancer	Alzheimer's disease
Dextetidine	Benzeneacetic acid, Alpha-methyl-4-(2-methylpropyl)-, (AlphaS)-[CAS]	22161-81-5		Analgesic, NSAID	Pain, general
dexibuprofen	Benzeneacetic acid, 3-benzoyl-Alpha-methyl-, (S)-[CAS]	119817-90-2	EP 0344184	Anti-inflammatory GI inflammatory/ bowel disorders	Inflammation, general Irritable bowel syndrome
dexloxiglumide	Penanoic acid, 4-[(3,4-dichlorobenzoyl)amino]-5-[(3-methoxypropyl)phenylamino]-5-oxo-, (R)-[CAS]				
dexmedetomidine	1H-Imidazole, 4-[1-(2,3-dimethylphenyl)ethyl]-, (R)-[CAS]	113775-47-6 86347-15-1 19262-08-1	EP 187471	Hypnotic/Sedative Psychostimulant	Anaesthesia Attention deficit disorder
dexmethyphenidate	2-Piperidineacetic acid, Alpha-phenyl-, methyl ester, (AlphaR,2R)-	81-13-0			
Dexpantanol	2,6-Piperazinedione, 4,4'-(1-methyl-1,2-ethanedyl)bis-, (S)-[CAS]	24584-09-6	DE 1910283	Radio/ chemoprotective	Chemotherapy-induced injury, general
dexrazoxane					
Dextran-1	Dextran [CAS]	9004-54-0 56087-11-7 51-64-9		Plasma substitute	
Dextranomer					
Dextroamphetamine	Morphinan, 3-methoxy-17-methyl-, (9Alpha, 13Alpha, 14Alpha)-,	6700-34-1 125-71-3	U.S. 4,221,788	Formulation, oral, other	Cough, Emotional lability
Dextromoramide	Benzeneethanol, Alpha-[2-(dimethylamino)1-methyl-ethyl]-Alpha-phenyl-, propanoate (ester), [S-(R*,S*)]-[CAS]	357-56-2 469-62-5		Formulation, modified-release, other	Pain, general
dextropropoxyphene					
Dezocine	N-Tropyl 7-azaindol-3-ylcarboxamide	53648-55-8 163220-65-3	WO 9504742	Respiratory	Respiratory disease, general Anaemia, aplastic
DF-1012					
DFA-IV	di-D-fructofuranose 2,6':6,2' dianhydride		U.S. 5,700,832	Antianaemic	
d-Fenchone					
D-Glucuronolactone					
Diab II	Diab II	4695-62-9 32449-92-6 309956-85-2	U.S. 6,153,632	Antidiabetic	Diabetes, Type II Arthritis, rheumatoid
disacerein	2-Anthracenecarboxylic acid, 4,5-bis(acetoxy)-9,10-dihydro-9,10-dioxo-[CAS]	13739-02-1	U.S. 4,244,968	Antiarthritic, other	
Diampromide					
Diamthazole		552-25-0 136-96-9			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Diathymosulfone		5964-62-5			
Diatrizoate		737-31-5			
diazepam	2H-1,4-Benzodiazepin-2-one, 7-chloro-1,3-dihydro-1-methyl-5-phenyl-[CAS]	439-14-5		Formulation, transmucosal, systemic	Anxiety, epilepsy, general
Diaziquone		57998-68-2			
Diazoxide		364-98-7			
dibekacin	D-Streptamine, O-3-amino-3-deoxy-Alpha-D-glucopyranosyl-(1-6)-O-[2,6-diamino-2,3,4,6-tetra-deoxy-Alpha-D-erythro-hexopyranosyl-(1-4)]-2-deoxy-, sulfate (salb)[CAS]	34493-98-6 58580-55-5	GB	Aminoglycoside antibiotic	Infection, general
Dibenzepin		4498-32-2			
Dibromopropamide		496-00-4			
Dibucaine		61-12-1			
Dichloralphenazone		480-30-8			
Dichloramine T		473-34-7			
Dichlorisone		7008-26-6			
Dichlorobenzyl Alcohol		1777-82-8			
Dichlororphen		97-23-4			
Dichlorophenarsine		536-29-8			
Dichlorophenamide		120-97-8			
diclofenac + HA					
diclofenac	Hyaluronic acid + benzenecacetic acid, 2-[(2,6-dichlorophenyl)amino]-[CAS]			Formulation, transdermal, systemic	Keratosis
	Benzenecacetic acid, 2-[(2,6-dichlorophenyl)amino]-, [CAS]	15307-79-6 15307-86-5 15307-81-0 3116-76-5 66-76-2 77-19-0		Formulation, modified-release, <=24 hr	Pain, general
Dicloxacillin					
Dicumarol					
Dicyclomine					
ditanosine	Inosine, 2',3'-dideoxy-[CAS]	69655-05-6 4097-22-7	U.S.	Antiviral, anti-HIV	Infection, HIV/AIDS
Dideoxyadenosine					
didox	Benzamide, N,3,4-trihydroxy-[CAS]	69839-83-4	U.S.	Anticancer antineoplastic	Cancer, general
Dienestrol		84-17-3			
dienogest	19-Norepregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17Alpha)-[CAS]	65928-58-7	GB	Menstruation disorders	Endometriosis
dienogest + estradiol	19-Norepregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17Alpha) + Estradiol, 1,3,5(10)-triene-3,17-diol(17b)			Formulation, fixed-dose combinations	Contraceptive, female
Diethadione		702-54-5			
Diethazine		60-91-3			
Diethylbromoacetamide		511-70-6			
Diethylcarbamazine					
diethylpropion	1-Propanone, 2-(diethylamino)-1-phenyl-[CAS]	90-89-1 90-84-6		Formulation, modified-release, <=24 hr	Obesity
Diethylstilbestrol		56-53-1			
Difemerine		80387-96-8			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Difenamizole		20170-20-1			
Difenoxin		28782-42-5			
Difenpiramide		51481-40-3			
diflunetecan	(5R)-5-Ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy-3H,15H-oxepino[3',4':6,indolizino[1,2-b]quinoline-3,15-dione	220997-97-7		Anticancer, other	Cancer, general
diflorasone	Pregna-1,4-diene-3,20-dione, 17,21-bis(acetyloxy)-6,9-difluoro-11-hydroxy-16-methyl-, (6 α ,11 β ,16 β)-[CAS]	33564-31-7 2557-49-5	U.S. 3,980,778	Antipsoriasis	
Difloxacin		98106-17-3			
Diflucortolone		2607-6-9			
diflunisal	2',4'-difluoro-4-hydroxy[1,1'-biphenyl]-3-carboxylic acid	23674-86-4 22494-42-4	GB 1175212	Analgesic, NSAID	Pain, post-operative
Difluprednate		23674-86-4			
Digitalin		752-61-4			
Digtoxin		71-63-6			
digoxin	Card-20(22)-enolide, 3-[(O-2,6-dideoxy- β -D-ribo-hexopyranosyl-(1-4)-O-2,6-dideoxy- β -D-ribo-hexopyranosyl-(1-4)-2,6-dideoxy- β -D-ribo-hexopyranosyl)oxy]-12,14-dihydroxy-, (3 β ,5 β ,12 β)-[CAS]	20830-75-5	U.S. 4,088,750	Formulation, oral, enteric-coated	Heart failure
Dihexyverine		561-77-3			
Dihydralazine		484-23-1			
Dihydrocodeine		125-28-0			
Dihydrocodeinone		466-90-0			
Enol					
dihydroergocryptine	Ergocryptine, dihydro-[CAS]	25447-66-9		Formulation, other	Depression, general
dihydroergotamine	Ergotaman-3',6',18-trione, 9,10-dihydro-12'-hydroxy-2'-methyl-5'-(phenylmethyl)-, (5' α ,10 α)-[CAS]	511-12-6	6495535	Formulation, modified-release, other	Migraine
Dihydromorphone		509-60-4			
Dihydrostreptomycin		128-46-1			
Dihydrotaclysterol		67-96-9			
Dihydroxyaluminum		13682-92-3			
Diisopronine		539-68-4			
Diisopropyl Paraoxon		5966-41-6			
Diisopropylamine		3254-66-8			
dilazep		660-27-5			
	Benzoic acid, 3,4,5-trimethoxy-, (tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)di-3,1-propanediyl ester [CAS]	35898-87-4	JP 51095086	Vasodilator, coronary	
Dilevalol					
diloxanide	2-Furancarboxylic acid, 4-[(dichloroacetyl)methylamino]phenyl ester [CAS]	75659-07-3 3736-81-0 579-38-4		Antoebicide	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
diltiazem	1,5-Benzothiazepin-4(5H)-one, 3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(4-methoxyphenyl)-, (2S-cis)-[CAS]	33286-22-5 42399-41-7	U.S. 4,721,619 U.S. 5,529,791 EP 322277	Antianginal	Angina, hypertension, general
Dimercroic Acid		7706-67-4			
Dimethine		1165-48-6			
Dimernorfan		36309-01-0			
Dimethylrhinate		523-87-5			
Dimenoxadol		509-78-4			
Dinephptanol		545-90-4			
Dimercaprol		59-52-9			
Dimetacrine		4757-55-5			
Dimethadione		695-53-4			
Dimethazan		519-30-2			
Dimethindene		5636-83-9			
Dimethisoquin		86-80-6			
Dimethisterone		79-64-1			
Dimethocaine		94-15-5			
Dimethoxanate		477-93-0			
Dimethyl Sulfoxide		67-68-5			
Dimethylthiambutene		524-84-5			
Dimetofrine		22950-29-4			
Dimorpholamine		119-48-2			
dinoprostone	Prosta-5,13-dien-1-oic acid, 11,15-dihydroxy-9-oxo-, (5Z,11A)alpha,13E,15S)-[CAS]	363-24-6		Formulation, modified- release, <=24 hr	Labour, induction
diosmectite	Smecta-[CAS]	110070-78-5	FR 2770778	Antidiarrhoeal	Diarrhoea, general
diosmin	4H-1-Benzopyran-4-one, 7-[[6-O-(6-deoxy-Alpha-L-mannopyranosyl)-beta-D-glucopyranosyl]oxy]-5-hydroxy-2-(3-hydroxy-4-methoxyphenyl)-[CAS]	520-27-4	DE 2602314	Vasoprotective, systemic	
Dioxadrol		6495-46-1			
Dioxaphetyl		467-86-7			
Dioxyethidine		497-75-6			
Dioxybenzone		131-53-3			
Diphenamil		62-97-5			
Diphenadione		82-66-6			
Diphenacyprone		886-38-4			
Diphenhydramine		58-73-1			
Diphenidol		972-02-1			
Diphenoxylate		915-30-0			
Diphenylpyraline		147-20-6			
Diphetarson		515-76-4			
Diphtheria & Tetanus Toxoids And Acellular Pertussis Vaccine Adsorbed					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Dipipanone dipivefrin	Propanoic acid, 2,2-dimethyl-, 4-[[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene ester, (+/-)[CAS]	467-83-4 52365-63-6	U.S. 3,809,714	Antiglaucoma	Glaucoma
Dipyridamole		58-32-2			
Dipyrocetyl		486-79-3			
Dipyrene		5907-38-0		Ophthalmological	Dry eye syndrome
diquafesol		211427-08-6		Macrolide antibiotic	Tonsillitis
dirithromycin	Uridine 5'-(pentahydrogen tetraphosphate)-5'-ester with uridine, [CAS]	62013-04-1	DE 2515075		
	Erythronycin, 9-deoxy-11-deoxy-9,11-[imino[2-(2-methoxyethoxy)ethylidene]oxy], [9S(R)]-[CAS]				
disodium pamidronate	Phosphonic acid, (3-amino-1-hydroxypropylidene)bis-, disodium salt [CAS]	57248-88-1	EP 177443	Osteoporosis treatment	Hypocalcaemia of malignancy
Disofenin					
disopyramide	2-Pyridineacetamide, Alpha-[2-bis(1-methyl)ethyl]amino]ethyl]-Alpha-phenyl-[CAS]	65717-97-7 3737-09-5		Formulation, modified-release, <=24 hr	Arrhythmia, general
Distigmine		15879-67-2			
Disulfamide		671-88-5			
Disulfiram		97-77-8			
Ditazol		18471-20-0			
Dithiazanine		514-73-8			
dithranol		1143-38-0		Formulation, dermal, topical	Psoriasis
Ditiocarb		148-18-5			
Dixanthogen		502-55-6			
Dixyrazine		2470-73-7			
DJ-927			WO 01027115	Anticancer, other	Cancer, general
DK-507k	(-)-7-[(7S)-7-Amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid hydrochloride monohydrate			Quinolone antibacterial	Infection, general
DL-Lactic Acid		598-82-3			
DMDC	Cytidine, 2'-deoxy-2'-methylene-, monohydrochloride [CAS]	113648-25-2	WO 8807049	Anticancer, antimetabolite	Cancer, general
DMXAA	5,6-dimethylxanthene-4-acetic acid			Anticancer, other	Cancer, lung, general
DNA Stealth Nucleosides			U.S. 6,132,776	Antiviral, anti-HIV	Infection, HIV/AIDS
Dobesilate		20123-80-2			
dobutamine	1,2-Benzenediol, 4-[2-[[3-(4-hydroxyphenyl)-1-methylpropyl]amino]ethyl]-, (+/-)-[CAS]	34368-04-2 49745-95-1	U.S. 3,987,200	Cardio stimulant	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Dopamine docetaxel	(2R,3S)-N-Carboxy-3-phenylisoserine, N-tert-butyl ester, 13-ester with 5 β ,20-epoxy-1,2 α lpha,4,7 β ,10 β ,13 α lpha-hexahydroxytax-11-en-9-one 4-acetate 2-benzoate-[CAS]	74639-40-0 114977-28-5 14808-66-6	EP 253738	Anticancer, other	Cancer, breast
docosahexaenoic acid					
docosanol	1-Docosanol [CAS]	661-19-8	EP 707487 EP 469064	Hypolipemic/ Antiatherosclerosis Antiviral, other	Hyper- lipidaemia, general Infection, herpes
docusate		128-49-4 577-11-7	U.S. 4,752,617	Formulation, dermal, topical	simplex virus Infection, herpes
dofetilide	Methanesulfonamide, N-[4-[2-[methyl[2-[4-[(methylsulfonyl)amino]phenoxy]ethyl]amino]-ethyl]phenyl]-[CAS]	115256-11-6	EP 245997	Antiarrhythmic	simplex virus prophylaxis Fibrillation, atrial
dolasetron mesilate	1H-Indole-3-carboxylic acid, octahydro-3-oxo-2,6-methano-2H-quinolizin-8-yl ester, (2 α lpha,6 α lpha,8 α lpha,9 α lpha β)-, monomethanesulfonate-[CAS]	115956-13-3 115956-12-2	EP 266730	Antiemetic	Chemotherapy- induced nausea and vomiting
Domidol Domiphen Domitroban domperidone		61869-07-6 538-71-6 112966-96-8 57808-66-9	U.S. 4,066,772	Antiemetic	
donepezil	2H-Benzimidazol-2-one, 5-chloro-1-[1-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-4-piperidinyl]-1,3-dihydro-[CAS]	120011-70-3 120014-06-4	EP 296560	Cognition enhancer	Alzheimer's disease
donitriptan	1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(1-(phenylmethyl)-4-piperidinyl)methyl)-, [CAS]	170912-52-4		Antimigraine	Migraine
Dopamine Dopexamine doramipinod	Piperazine, 1-((3-(2-aminoethyl)-1H-indol-5-yl)oxy)acetyl)-4-(4-cyanophenyl)-[CAS]	51-61-6 86197-47-9 285983-48-4			
dorandazole	urea, N-[3-(1,1-dimethyl-ethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-[4-(2-(4-morpholinyl)ethoxy)-1-naphthalenyl]-	137339-64-1	WO 9414778	Radio/ chemosensitizer	Arthritis, rheumatoid Surgery adjunct
doripenem	(\pm)-1,2,4-Butanetriol, 3-(2-nitro-1H-imidazol-1-yl)methoxy-[CAS] (1R,5S,6S)-2-[(3S,5S)-5-(sulfamoyl)aminomethyl]pyrrolidin-3-yl]thio-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-ern-3-carboxylic acid	148016-81-3	EP 528678	Beta-lactam antibiotic	Infection, urinary tract
dorzolamide	4H-Thieno[2,3-b]thiopyran-2-sulfonamide, 4-(ethylamino)-5,6-dihydro-6-methyl-, 7,7-dioxide (4S-trans)-[CAS]	120279-96-1	EP 296879	Antiglaucoma	Glaucoma

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
dorzolamide + timolol	4H-Thieno(2,3-b)thiopyran-2-sulfonamide, 4-(ethylamino)-5,6-dihydro-6-methyl-7,7- dioxide (4S-trans) + ethyl 2-propanol, 1- [(1,1-dimethylamino)-3-[[4-(4-morpholinyl)- 1,2,5-thiadiazol-3-yl]oxy]-, (S), (Z)-2- butenedioate (1:1) (salt) [CAS]	120279-96-1 26839-75-8 26921-17-5		Formulation, fixed-dose combinations	Glaucoma
dosmalfate	Aluminium, (μ7-7-(6-O-(6-deoxy-2,3,4-tri- O-sulfo-Alpha-L-mannosyl)pyranosyl)-2,3,4- tri-O-sulfo-β-D-glucopyranosyl)oxy)-5- hydroxy-2-(4-methoxy-3-(sulfooxy)phenyl)- 4H-1-benzopyran-4-onato(7-))tetradeca-μ- hydroxyhenicosahydroxytetradeca-[CAS] hydroxyhenicosahydroxytetradeca-[CAS]	122312-55-4		Antiulcer	Ulcer, gastric
dosulepine	1-Propanamine, 3-dibenzo[b,e]thiepin- 11(6H)-ylidene-N,N-dimethyl-[CAS]	113-53-1		Antidepressant	
Dotarizine		84625-59-2			
Dothiepin		113-53-1			
Doxacurium		106819-53-8			
Doxapram		309-29-5			
doxazosin	Piperazine, 1-(4-amino-6,7-dimethoxy-2- quinazolinyl)-4-[(2,3-dihydro-1,4- benzodioxin-2-yl)carbonyl]-[CAS]	74191-85-8	GB 2007656	Antihypertensive, adrenergic	Hypertension, general
Doxefazepam		40762-15-0			
Doxenitoin		3254-93-1			
doxepin	1-Propanamine, 3-dibenz[b,e]oxepin- 11(6H)-ylidene-N,N-dimethyl- 9,10-secoergosta-5,7,10(19),22-tetraene- 1,3-diol (1Alpha, 3β, 5Z, 7E, 22E) [CAS]	1668-19-5 54573-75-0	U.S. 5,104,854	Formulation, dermal, topical Hormone	Pruritus
doxercalciferol					
doxifluridine	Uridine, 5'-deoxy-5-fluoro-[CAS]	3094-09-5	U.S. 4,071,680	Anticancer, antineutrophilic	Hyperpara- thyroidism Cancer, colorectal
doxofylline	1H-Purine-2,6-dione, 7-(1,3-dioxolan-2- ylmethyl)-3,7-dihydro-1,3-dimethyl-[CAS]	69975-86-6	U.S. 4,187,308	Antiasthma	Asthma
doxorubicin	5,12-Naphthacenedione, 10-[(3-amino- 2,3,6-trideoxy-Alpha-L-xyxo- hexopyranosyl)oxy]-7,8,9,10-tetrahydro- 6,8,11-trihydroxy-8-(hydroxyacetyl)-1- methoxy-, (8S-cis) [CAS]	23214-92-8	EP 191824	Formulation, optimized, liposomes	Cancer, general
doxycycline	2-Naphthacene-1-carboxamide, 4- (dimethylamino)-1,4,4a,5a,6,11,12a- octahydro-3,5,10,12,12a-pentahydroxy-6- methyl-1,11-dioxo-[4S- (4Alpha,4aAlpha,5Alpha,5aAlpha,6Alpha,12aAlpha)]-[CAS]	564-25-0 17086-28-1		Formulation, modified- release, immediate	Periodontitis
doxylamine	N,N-Dimethyl-2-[1-phenyl-1-(2- pyridinyl)ethoxy]ethanamine	469-21-4		Formulation, transmucosal, systemic	Rhinitis, allergic, general
DPC-817	β-D-2',3'-diethyl-2',3'-dideoxy-5- fluorocytidine			Antiviral, anti-HIV	Infection, HIV/AIDS

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
DPL-3290 DQ-113	5-Amino-7-[(3S,4R)-(1-aminocyclopropyl)-3-fluoropyrrolidin-1-yl]-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methyl-4-oxo-3-quinolinecarboxylic acid	U.S. 5,681,830		Analgesic, other Quinolone antibacterial	Pain, general Infection, general
Drofenine		1679-76-1			
Droxifene		82413-20-5			
Drometizole		2440-22-4			
Dromostanolone		58-19-5			
dronabiol		1972-08-3		Antiemetic	Chemotherapy- induced nausea and vomiting
dronedarone	6H-Dibenzo[b,d]pyran-1-ol, 6a, 7,8,10a-tetrahydro-6,6,9-trimethyl-3-pentyl-, (6aR-trans)-[CAS]			Antiarrhythmic	Arrhythmia, general
	2-n-Butyl 3-[4-(3-di-n-butylamino-propoxy)benzoyl]5-methylsulfonamidobenzofuran				
Droperidol		548-73-2			
Droprerilamine		57653-27-7			
Dropropizine		17692-31-8			
Drosiprenone		67392-87-4			
Drotavetine		14009-24-6			
Drotebanol		03/02/3176			
droxicam	2H,5H-1,3-Oxazino[5,6-c][1,2]benzothiazine-2,4(3H)-dione, 5-methyl-3-(2-pyridinyl)-, 6,6-dioxide [CAS]	90101-16-9	EP 99770	Anti-inflammatory	Inflammation, general
droxidopa	L-Tyrosine, β,3-dihydroxy-, threo-[CAS]	23651-95-8	EP 128684	Antiparkinsonian	Parkinson's disease
Droxidopa		23651-95-8			
DU-125530	1,2-Benzisothiazol-3(2H)-one, 2-[4-[4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]butyl]-, 1,1-dioxide [CAS]	161611-99-0	EP 633260	Anxiolytic	Anxiety, general
duloxetine	2-Thiophenepropanamine, N-methyl-Gamma-(1-naphthalenyl)oxy-, hydrochloride, (S)-[CAS]	136434-34-9 116539-59-4	U.S. 5,362,886	Antidepressant	Depression, general
duramycin			WO 9428726	Formulation, inhalable, solution	Cystic fibrosis
Durapafite duttasteride	4-Azaandro-1-ene-17-carboxamide, N-(2,5-bis(trifluoromethyl)phenyl)-3-oxo-, (5Alpha,17β)-[CAS]	1306-06-5 164656-23-9	U.S. 5,565,467	Prostate disorders	Benign prostatic hyperplasia
DW-1141	N,N'-diisopropyl-4-[4-(3-aminobenzoyl)isoxazol-6-yloxy]butoxy]-3-methoxybenzamide			Osteoporosis treatment	Osteoporosis
DW-286a	(R)-(-)-7-((4-aminomethyl-4-methyl-3(Z)-methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro[1,8]naphthyridine-3-carboxylic acid			Quinolone antibacterial	Infection, general
DW-471			U.S. 5,922,871	Antiviral, other	Infection, hepatitis-B virus

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
DX-9065a	2-Naphthalenepropanoic acid, 7-(aminoiminomethyl)-.Alpha.-[4-[[1-(1-iminoethyl)-3-pyrrolidinyl]oxy]phenyl]-, monohydrochloride, pentahydrate, [S-(R*,R*)]-[CAS]	155204-81-2		Antithrombotic	Thrombosis, general
DY-9760e	1H-Indazole, 3-[2-[4-(3-chloro-2-methylphenyl)-1-piperazinyl]ethyl]-1-(1H-imidazol-4-ylmethyl)-5,6-dimethoxy-[CAS]	160522-00-9	U.S. 5,681,954	Neuroprotective	Ischaemia, cerebral
Dyclonine		586-60-7			
Dydrogesterone		152-62-5			
Dynamitline		124-28-7			
Dyphyllin		479-18-5			
E-1010	1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[[1(R)-1-hydroxyethyl]-3-[[[(3S,5S)-5-[(R)-hydroxy(3R)-3-pyrrolidinylmethyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, monohydrochloride, (4R,5S,6S)-[CAS]	186319-97-1		Beta-lactam antibiotic	Infection, general
E-2101	N-Ethyl-1-[1-(2-fluorophenethyl)piperidin-4-yl]-1H-indol-6-yl)acetamide			Muscle relaxant	Muscle spasm, general
E2F antagonists E-3620	Benzamide, 4-amino-5-chloro-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-2-[(1-methyl-2-butyryloxy]-, monohydrochloride, [3(S)-endo]-[CAS]	151213-86-4	WO 9606943 EP 554794	Anticancer, other Antacid/ Antiflatulent	Cancer, general Dyspepsia
E-5564	Alpha-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-(2-deoxy-3-O-((3R)-3-methoxydecyl)-6-O-methyl-2-((11Z)-1-oxo-11-octadecenyl)amino)-4-O-phosphono-beta-D-glucopyranosyl)-2-((1,3-dioxotetradecyl)amino)-1-(dihydrogen phosphate), tetrasodium salt [CAS]	185954-98-7	EP 536969	Septic shock treatment	Sepsis
E-5842	Pyridine, 4-(4-fluorophenyl)-1,2,3,6-tetrahydro-1-[4-(1H-1,3,4-triazol-1-yl)butyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1)[CAS]	220120-14-9		Neuroleptic	Schizophrenia
E-6259	1-(4-Aminosulfonylphenyl)-5-(2,4-difluorophenyl)-4,5-dihydro-3-trifluoromethyl-1H-pyrazole			Antiarthritic, other	Unspecified
EAA-90	[2-(8,9-Dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)-ethyl]phosphonic acid	57-08-9		Analgesic, other	Pain, neuropathic
e-Acetamidocaproic Acid		60-32-2			
e-Aminocaproic Acid ebastine	1-Butanone, 1-[4-(1,1-dimethyl[ethyl]phenyl)-4-(4-(diphenylmethoxy)-1-piperidinyl)-[CAS]	90729-43-4	EP 134124	Antiallergic, non-asthma	Rhinitis, allergic, seasonal
eberconazole	1H-Imidazole, 1-(2,4-dichloro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-[CAS]	128326-82-9 130104-32-4	ES 2012297	Antifungal	Infection, dermatological

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ebridine	Benzenesulfonamide, N-[[[2'-[[[2- [aminiminomethyl]amino]-4- thiazolyl]methyl]thio]ethyl]amino]methylene]- 4-bromo-[CAS]	100981-43-9	EP 159012	Antilulcer	Ulcer, duodenal
ebselen	1,2-Benzisoxaselenazol-3(2H)-one, 2-phenyl- [CAS]	60940-34-3	EP 44971	Neuroprotective	Haemorrhage, subarachnoid
Eburnanoneine		474-00-0			
Ecabapide	1-Phenanthrenecarboxylic acid, 1,2,3,4,4a,9,10,10a-octahydro-1,4a- dimethyl-7-(1-methylethyl)-6-sulfo-, [1R- (1Alpha,4aBeta,10aAlpha)]-[CAS]	104775-36-2			
ecacet	Glycine, N-[2-[(acetylthio)methyl]-1-oxo-3- phenylpropyl]-,phenylmethyl ester, (S)- [CAS]	33159-27-2 86408-72-2	DE 3239172	Antilulcer	Ulcer, gastric
ecadotril		112573-73-6	EP 318377	Antihypertensive, other	Hypertension, general
Egonidine		484-93-5			
Egonine		481-37-8			
Echthiophate		513-10-0			
Econazole		27220-47-9			
ecopipam		112108-01-7	EP 230270	Anorectic/ Antiobesity	Obesity
ecraprost	5H-Benzo[d]naphth[2,1-b]azepin-12-ol, 11- chloro-6,6a,7,8,9,13b-hexahydro-7-methyl-, (6aS-trans)-[CAS]	136892-64-3	EP 423697	Vasodilator; peripheral	Peripheral vascular disease
Ectylurea	Prosta-8,13-dien-1-oic acid, 11,15- dihydroxy-9-(1-oxobutoxy)-, butyl ester, (11Alpha,13E,15S)-[CAS]	95-04-5			
ED-71	9,10-Secocholesta-5,7,10(19)-triene- 1,3,25-triol, 2-(3-hydroxypropoxy)-, (1Alpha,2Beta,3Beta,5Z,7E)-[CAS]	104121-92-8	EP 184206	Osteoporosis treatment	Osteoporosis
edaravone	3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2- phenyl-[CAS]	89-25-8	JP 62108814	Neuroprotective	Infarction, cerebral
Edatrexate		80576-83-6			
Edetate Calcium		62-33-9			
Disodium		139-33-3			
Edetate Disodium		64-02-8			
Edetate Sodium		150-38-9			
Edetate Trisodium		210891-04-6			
edonentan	Butanamide, N-[[2'-[[[4,5-dimethyl-3- isoxazolyl]amino]sulfonyl]-4-(2- oxazolyl)][1,1'-biphenyl]-2-yl]methyl]-N,3,3- trimethyl-, monohydrate			Cardio stimulant	Heart failure
edotrectide	[N-[2-[4,7-Bis[(carboxy-kappaO)methyl]-10- (carboxymethyl)-1,4,7,10- tetraazacyclododec-1-yl- kappaN1,kappaN4,kappaN10]acetyl]-D- phenylalanyl-L-cysteiny]-L-tyrosyl-D- tryptophyl-L-lysyl-L-threanyl-L-cysteinyl-L- threoninol cyclic (2-7)-disulfido(3-)]yttrium	204318-14-9	U.S. 6,183,721	Anticancer, hormonal	Cancer, lung, small cell

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
edoxudine	Uridine, 2'-deoxy-5-ethyl-[CAS]	15176-29-1	GB 1170565	Antiviral, other	Infection, herpes virus, general
Edrecolomab		156586-89-9			
Edrophonium		116-38-1			
Efalith	Butanedioic acid, lithium salt [CAS]	16090-09-8			
efaproxiral	Propanoic acid, 2-[4-[2-[(3,5-dimethylphenyl)amino]-2-oxoethyl]phenoxy]-2-methyl-1-[CAS]	131179-95-8	U.S. 5,705,521	Anti-pruritic/ inflamm, allergic Radio/ chemosensitizer	Eczema, seborrheic Cancer, brain
efavirenz	2H-3,1-Benzoxazin-2-one, 6-chloro-4-(cyclopropylethynyl)-1,4-dihydro-4-(trifluoromethyl)-, (S)-[CAS]	154598-52-4	WO 9403440	Antiviral, anti-HIV	Infection, HIV/AIDS
efletizine	[2-[4-[Bis(p-fluorophenyl)methyl]-1-piperazinyl]ethoxy]acetic acid	150756-35-7	GB 2311940	Antiallergic, non-asthma	Allergy, general
efornithine	DL-Omithine, 2-(difluoromethyl)-[CAS]	70052-12-9 67037-37-0	U.S. 4,413,141	Protozoacide, dermal, topical	Infection, trypanosomiasis, African, Hirsutism
Eflorate eflucitnibe	Benzeneacetamide, Alpha-(dodecylthio)-N-(4-hydroxy-2,3,5-trimethylphenyl)-(S)-[CAS]	119-41-5 202340-45-2		Hypolipemic/ Antiatherosclerosis	Hyper- lipidaemia, general
efonidipine	3-pyridinecarboxylic acid, 5-(5,5-dimethyl-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-(phenyl(phenylmethyl)amino)ethyl ester, P-oxide [CAS]	111011-53-1 111011-63-3 111011-76-8	EP 230944	Antihypertensive, other	Hypertension, general
EGIS-7229	5-Chloro-4-[3-[N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methylamino]propylamino]-3(2H)-pyridazinone fumarate [CAS]	150800-12-7 190333-92-7	DE 4243381	Antiarrhythmic	Arrhythmia, general
eglumegad	Bicyclo[3.1.0]hexane-2,6-dicarboxylic acid, 2-amino-, (1S,2S,5R,6S)-[CAS]	176199-48-7 209216-09-1		Anxiolytic	Anxiety, general
egualen	1-Azulenecarboxylic acid, 3-ethyl-7-(1-methylethyl)-,	97683-31-3 99287-30-6 10417-94-4	EP 147915	Antiulcer	Ulcer, gastric
Elcosapentaenoic Acid					
elarofiban	3-Pyridinepropanoic acid, β -[[(3R)-1-[1-oxo-3-(4-piperidinyl)propyl]-3-piperidinyl]carbonyl]amino]-, (β S)-[CAS]	198958-88-2	WO 9741102	Antithrombotic	Thrombosis, general
Elcatonin		60731-46-6			
Elelolsin		69-25-0			
eletriptan	1H-Indole, 3-((1-methyl-2-pyrrolidinyl)methyl)-5-(2-(phenylsulfonyl)ethyl)-(R)-[CAS]	143322-58-1	U.S. 5,607,951	Antimigraine	Migraine
Elgodipine		119413-55-7			
Ellagic Acid		476-66-4			
Elliptinium		58337-35-2			
Eltoprazine		98224-03-4			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
elvicitabine	β -L-2',3'-Didehydro-2',3'-di-deoxy-5-fluorocytidine	181785-84-2		Antiviral, other	Infection, hepatitis-B virus
elzasonan	(2Z)-4-(3,4-dichlorophenyl)-2-[2-(4-methylpiperazin-1-yl)benzylidene]thiomorpholin-3-one monohydrochloride-[CAS]	220322-05-4 361343-20-6		Antidepressant	Depression, general
Embelin		550-24-3			
Embramine		3565-72-8			
emredastine	1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, (E)-2-butenedioate (1:2) [CAS]	87233-61-2 87233-62-3	EP 79545	Anti-allergic, non-asthma	Rhinitis, allergic, general
Eneproum		3614-30-0			
Emetine		483-18-1			
Emitefur		110690-43-2			
EMM-210525					
Enemodin					
emorfazonone	17 Alpha-Acetoxy-6 Alpha-methyl-19-nor-1 β ,2 β -dihydrocyclopropa[1,2]pregn-4-ene-3,20-dione + Estr-1,3,5(10)-triene-3,17-diol(17 β)	518-82-1 38957-41-4	JP 7224030	Anti-inflammatory	Hormone replacement therapy
EMR-62203	3(2H)-Pyridazinone, 4-ethoxy-2-methyl-5-(4-morpholinyl)-[CAS]		WO 9806722	Male sexual dysfunction	Impotence
emtricitabine	2(1H)-Pyrimidinone, 4-amino-5-fluoro-1-(2-(hydroxymethyl)-1,3-oxathiolan-5-yl)-, (2R-cis)-[CAS]	143491-57-0	WO 9214743	Antiviral, anti-HIV	Infection, HIV/AIDS
Emyleanmate					
enalapril	L-Proline, 1-[N-[1-(ethoxycarbonyl)-3-phenylpropyl]-L-alanyl]-, (S)-, (Z)-2-butenedioate [CAS]	78-28-4 76095-16-4	U.S. 4,374,829	Antihypertensive, renin system	
Enalaprilat					
Enallylpropymal		76420-72-9			
Encasinide		1861-21-8			
Enciprazine		66778-36-7			
Endralazine		68576-86-3			
enfenamic acid		39715-02-1			
enflurane	Benzoic acid, 2-[(2-phenylethyl)amino]-[CAS]	23049-93-6	IN 103066	Anti-inflammatory	
	Ethane, 2-chloro-1-(difluoromethoxy)-1,1,2-trifluoro-[CAS]	13838-16-9	U.S. 3,469,011	Anaesthetic, inhalation	Anaesthesia
Enilconazole		35554-44-0			
Eniluracil		59989-18-3			
ENMD-0995	S-3-amino-phthalidoglutarimide		U.S. 5,712,291	Anticancer, other	Cancer, myeloma
Enoctabine	1H-Indole-3-propanoic acid, Alpha-oxo-[CAS]	55726-47-1 392-12-1	EP 106813	Hypnotic/Sedative	Insomnia
Enol-3-IPA	1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-[CAS]	74011-58-8	U.S. 4,359,578	Quinolone antibacterial	Infection, general
enoxacin					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
enoxaparin	Heparin, [CAS]	9005-49-6	EP 40144	Antithrombotic	Thrombosis, venous
enoximone	2H-Imidazol-2-one, 1,3-dihydro-4-methyl-5-[4-(methylthio)benzoyl]-[CAS]	9041-08-1 77671-31-9	EP 59948	Cardio stimulant	Heart failure
Enoxolone enprostil	4,5-Heptadienoic acid, 7-[3-hydroxy-2-(3-hydroxy-4-phenoxy-1-butenyl)-5-oxocyclopentyl]-, methyl ester, [1.1Alpha.2][1E.3R*.3.3Alpha]-[CAS]	471-53-4 73121-56-9	GB 2025431	Prostaglandin	Ulcer, duodenal
enrasentan	1H-Indene-2-carboxylic acid, 1-(1,3-benzodioxol-5-yl)-2,3-dihydro-3-(2-(2-hydroxyethoxy)-4-methoxyphenyl)-5-propoxy-, (1S-(1.1Alpha.2[3.3Alpha]))-[CAS]	167256-08-8	U.S. 5,817,693	Antihypertensive, other	Hypertension, pulmonary
entacapone	2-Propenamide, 2-cyano-3-(4,5-dihydroxy-3-nitrophenyl)-N,N-diethyl-[CAS]	130929-57-6	EP 426468	Antiparkinsonian	Parkinson's disease
entecavir	6H-Purin-6-one, 2-amino-1,9-dihydro-9-((1S,3R,4S)-4-hydroxy-3-(hydroxymethyl)-2-methylecyclopentyl)-[CAS]	142217-69-4	EP 481754	Antiviral, other	Infection, hepatitis-B virus
Enviomycin epalrestat	3-Thiazolidineacetic acid, 5-(2-methyl-3-phenyl-2-propenylidene)-4-oxo-2-thioxo-, (E,E)-[CAS]	33103-22-9 82159-09-9	EP 47109	Symptomatic antidiabetic	Neuropathy, diabetic
Epavir	L-lysine-cis-5,8,11,14,17-eicosapentanoate with L-lysine-cis-4,7,10,13,16,19-dodecenoate			Antiviral, other	Infection, herpes simplex virus
EPC-K1	L-ascorbic acid 2-[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]-hydrogen phosphate/potassium-[CAS]	127061-56-7	EP 127471	Neuroprotective	Infarction, cerebral
epetrisone	1-Propanone, 1-(4-ethylphenyl)-2-methyl-3-(1-piperidinyl)-[CAS]	64840-90-0	U.S. 3,995,047	Muscle relaxant	Spastic paralysis
epervudine	Uridine, 2'-deoxy-5-(1-methylethyl)-[CAS]	60136-25-6	DE 2918260	Antiviral, other	Infection, herpes simplex virus
Ephedrine Epigillin Epimestrol epinasfine		299-42-3 26774-90-3 7004-98-0 80012-43-7			
eoninephrine	1H-Dibenz[c,f]imidazo[1,5-a]azepin-3-amine, 9,13b-dihydro-[CAS] (R)-4-[1-hydroxy-2-(methylamino)ethyl]-1,2-benzenediol	51-43-4	DE	Antisthma	Asthma
Epirizole epirubicin	5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-Alpha-L-arabino-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S-cis)-[CAS]	18694-40-1 56390-09-1 56420-45-2	GB 1457632	Formulation, inhalable, dry powder Anticancer, antibiotic	Anaphylaxis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Epitostanol epiprenone	Pregn-4-ene-7,21-dicarboxylic acid, 9,11-epoxy-17-hydroxy-3-oxo-, Gamma-lactone, methyl ester (7Alpha, 11Alpha, 17Alpha)-[CAS]	2363-58-8 107724-20-9	EP 122232	Antihypertensive, diuretic	Hypertension, general
epilivanerin	1-Propanone, 1-(2-fluorophenyl)-3-(4-hydroxyphenyl)-, O-(2-(dimethylamino)ethyl)oxime, (Z)-, (E)-2-butenedioate (2:1) (salt) [CAS]	130580-02-8	EP 373998	Anxiolytic	Schizophrenia
epiprostenol	Prosta-5,13-dien-1-oic acid, 6,9-epoxy-11,15-dihydroxy-, (5Z,9Alpha,11Alpha,13E,15S)- [CAS]	35121-78-9 61849-14-7	DE 2720999	Prostaglandin	Hypertension, pulmonary
Epostane Eprazinone Epristeride eprosartan	3-[2-Butyl-1-(4-carboxybenzyl)-1H-imidazol-5-yl]-2-(2-thienylmethyl)-2-(E)-propenoic acid	80471-63-2 10402-90-1 119169-78-7 133040-01-4	EP 403159	Antihypertensive, renin system	Hypertension, general
Eprozinol epiapirone	4-methyl-2-[4-(4-(pyrimidin-2-yl)-piperazino)-butyl]-2H,4H-1,2,4-triazin-3,5-dione	32665-36-4 179756-85-5		Antidepressant	Depression, general
eptaplalin	Platinum, [(4R,5R)-2-(1-methylethyl)-1,3-dioxolane-4,5-dimethanamine-kappaN4,kappaN5][propanedioato(2-)-kappaO1,kappaO3]-, (SP-4-2)-[CAS]	146665-77-2	WO 9216539	Anticancer, alkylating	Cancer, lung, small cell
Eptastigmine eptazocine	1,6-Methano-1H-4-benzazonin-10-ol, 2,3,4,5,6,7-hexahydro-1,4-dimethyl-, (1S)-[CAS]	101246-68-8 72522-13-5	U.S. 4,082,744	Analgesic, other	
Eptifibatide Equilenin Equilin ERA-923	ERA 923 [CAS]	188627-80-7 517-09-9 474-86-2 352233-89-7	EP 802183	Female contraceptive Respiratory	Contraceptive, female Respiratory disease, general
erdosteine	Acetic acid, [(2-oxo-2-[(tetrahydro-2-oxo-3-thienyl)amino]ethyl]thio)-[CAS]	84611-23-4	EP 61386		
Ergocormine Ergocornine Ergoloid Mesylates Ergonovine Ergosterol ergotamine	(5'Alpha)-12'-Hydroxy-2'-methyl-(phenylmethyl)ergotaman-3',6',18-trione	564-36-3 564-37-4 8067-24-1 60-79-7 57-87-4 113-15-5		Formulation, inhalable, systemic	Migraine
Eritadenine erlotinib	4-Quinazolinamine, N-(3-ethynylphenyl)-6,7-bis(2-methoxyethoxy)-, monohydrochloride [CAS]	23918-98-1 183319-69-9	WO 9630347	Anticancer, other	Cancer, lung, non-small cell

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ertapenem	1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[[(3S,5S)-5-[[[(3-carboxyphenyl)amino]carbonyl]-3-pyrrolidinyl]thio]-6-[(1R)-1-hydroxyethyl]-4-methyl-7-oxo-, [CAS]	153773-82-1 153832-46-3	WO 9315078	Beta-lactam antibiotic	Infection, GI tract
Erythritol		7297-25-8			
Tetranitrate		50276-98-7			
Erythrocentaurin		96128-89-1	U.S. 4,599,326	Macrolide antibiotic	Infection, general
erythromycin acistrate	Erythromycin, 2'-acetate, octadecanoate (salt) [CAS]	3521-62-8 23067-13-2			
Erythromycin Estolate		3847-29-8			
Erythromycin		134-36-1			
Glucolheptonate		643-22-1			
Erythromycin		84252-03-9	EP 57489	Macrolide antibiotic	Infection, respiratory tract, lower
Lactobionate	Erythromycin, 2'-propanoate, compd. with N-acetyl-L-cysteine (1:1) [CAS]				Acne
Propionate	Erythromycin [CAS]	114-07-8		Formulation, dermal, topical	
Erythromycin		36150-73-9 64204-55-3			
Stearate		128196-01-0	EP 347066	Antidepressant	Depression, general
erythromycin stinoprate	5-Isobenzofuranecarbonitrile, 1-[3-(dimethylamino propyl)-1-(4-fluorophenyl)-1,3-dihydro-, (S)-[CAS]	531-75-9 25573-43-7 81147-92-4	U.S. 4,387,103	Antihypertensive, adrenergic	Tachycardia, supra-ventricular
erythrophleine	Benzenepropanoic acid, 4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, methyl ester, (+/-)-[CAS]	161973-10-0	U.S. 5,877,192	Antispasmodic	Gastro-oesophageal reflux
Esaprazole	bis (5-methoxy-2-(((4-methoxy-3,5-dimethyl-2-pyridinyl)methyl)sulfinyl)-1H-benzimidazolato)	29975-16-4	U.S. 3,987,052	Hypnotic/Sedative	
escitalopram	4H-[1,2,4]Triazole[4,3-a][1,4]benzodiazepine, 8-chloro-6-phenyl-[CAS]	58-22-0	U.S. 5,460,820	Formulation, transdermal, patch	Sexual dysfunction, female
Esculin	Androst-4-en-3-one, 17-hydroxy-, (17β)-[CAS]	50-28-2	EP 430491	Formulation, transdermal, systemic	Menopausal symptoms, general
Eseridine	Estro-1,2,5(10)-triene-3,17-diol (17β)-[CAS]	2998-57-4 4891-15-0 52205-73-9 50-27-1		Anticancer, alkylating	Cancer, prostate
esmolol	Estro-1,3,5(10)-triene-3,17-diol (17β)-3-[bis(2-chloroethyl)carbamate] 17-[CAS]				
esomeprazole					
estazolam					
estradiol					
estradiol					
estradiol					
estramustine					
Estriol					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
estrogen			WO 9924041	Menopausal disorders	Menopausal symptoms, general
Estrone		53-16-7			
eszopiclone	1-Piperazinecarboxylic acid, 4-methyl-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (S)-[CAS]	138729-47-2	U.S. 5,786,357	Hypnotic/Sedative	Insomnia
Etafedrine		7681-79-0			
Etafenone		90-54-0			
Etaniphyllin		314-35-2			
Etanercept		185243-69-0			
Etanidazole		22668-01-5			
Etaqualone		7432-25-9			
Eterobarb		27511-99-5			
Ethacridine		442-16-0			
Ethacrynic Acid		58-54-8			
Ethadion		520-77-4			
Ethambutol		74-55-5			
Ethamivan		304-84-7			
Ethansylate		2624-44-4			
Ethanolaniline		141-43-5			
Ethaverine		486-47-5			
Ethchlorvynol		113-18-8			
Ethenzamide		938-73-8			
Ethiazide		1824-58-4			
Ethinamate		126-52-3			
Ethinyl Estradiol		57-63-6			
ethinyl estradiol	19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, 3-(2-propanesulfonate), (17 α)-[CAS]	28913-23-7	DE 1949095	Formulation, modified-release, >24 hr	Cancer, prostate
Ethionamide		536-33-4			
Ethisterone		434-03-7			
Ethioleptazine		77-15-6			
Ethopropazine		522-00-9			
Ethosuximide		77-67-8			
Ethotoin		86-35-1			
Ethoxzolamide		452-35-7			
Ethybenztropine		524-83-4			
Ethyl Alcohol		64-17-5			
Ethyl Biscoumacetate		548-00-5			
Ethyl Chloride		75-00-3			
Ethyl Dibunate		5560-69-0			
Ethyl Ether		60-29-7			
ethyl Icosapentate	5,8,11,14,17-Eicosapentaenoic acid, ethyl ester, (all-Z)-[CAS]	86227-47-6	JP 61043143	Antithrombotic	Peripheral vascular disease
ethyl loflazepate	1H-1,4-Benzodiazepine-3-carboxylic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester [CAS]	29177-84-2	U.S. 3,657,223	Anxiolytic	Anxiety, general
Ethyl Loflazepate		29177-84-2			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Ethylamine		75-04-7			
Ethylene		74-85-1			
Ethylestrenol		965-90-2			
Ethylidene		1821-16-5			
Dicoumarol					
Ethylmethyl- thiambutene		441-61-2			
Ethylmorphine		76-58-4			
Ethylmeprobamate		536-24-3			
Ethynodiol		1231-93-2			
ethynylethidine	Uridine, 3'-C-ethynyl-[CAS]	180300-49-6	WO	Anticancer, antimetabolite	Cancer, general
Etidocaine		36637-18-0			
etidronate	Phosphonic acid, (1-hydroxyethylidene)bis-, [CAS]	2809-21-4	U.S.	Osteoporosis treatment	Osteoporosis
Etidronic Acid		7414-83-7			
Etifelmin		2809-21-4			
etiofoxine		341-00-4			
	4H-3,1-Benzoxazin-2-amine, 6-chloro-N- ethyl-4-methyl-4-phenyl-[CAS]	21715-46-8	U.S.	Anxiolytic	
Etilefin		709-55-7			
etilevodopa	L-Tyrosine, 3-hydroxy-, ethyl ester [CAS]	37178-37-3	U.S.	Antiparkinsonian	Parkinson's disease
etiprednol	androsta-1,4-diene-17-carboxylic acid, 17- [(dichloroacetyl)oxyl]-11-hydroxy-3-oxo-, ethyl ester, (11β,17Alpha)-	199331-40-3		GI inflammatory/ bowel disorders	Crohn's disease
Etiroate		17365-01-4			
Etizolam		40054-69-1			
etodolac	Pyranol[3,4-b]indole-1-acetic acid, 1,8- diethyl-1,3,4,9-tetrahydro-[CAS]	41340-25-4	U.S.	3,939,178	Arthritis, osteo
Etdroxizine		17692-34-1			
etofenamate	Benzoic acid, 2-[[3- (trifluoromethyl)phenyl]amino]-, 2-(2- hydroxyethoxy)ethyl ester [CAS]	30544-47-9	GIB	Anti-inflammatory, topical	Inflammation, general
etofibrate	3-Pyridinecarboxylic acid, 2-[2-(4- chlorophenoxy)-2-methyl-1- oxopropoxy]ethyl ester [CAS]	31637-97-5	U.S.	Hypolipemic/ Antiatherosclerosis	
Etofylline		519-37-9			
etofylline clobibrate	Propanoin acid, 2-(4-chlorophenoxy)-2- methyl-, 2-(1,2,3,6-tetrahydro-1,3-dimethyl- 2,6-dioxo-7H-purin-7-yl)ethyl ester [CAS]	54504-70-0	DE	Hypolipemic/ Antiatherosclerosis	
Etofylline Nicotinate		13425-39-3			
Eroglicicid		1954-28-5			
Etonidate		33125-97-2			
Eromidoline		21590-92-1			
Eronitazene		911-65-9			
etonogestrel	18,19-Dinopregn-4-en-20-yn-3-one, 13- ethyl-17-hydroxy-11-methylene, (17Alpha)- [CAS]	54048-10-1		Formulation, implant	Contraceptive, female

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Etoposide etoposide phosphate	Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 9-[(4,6-O-ethylidene-β-D-glucopyranosyl)oxy]-5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-, [5R-[5Alpha,5aβ,8aAlpha,9β(R*)]]-[CAS]	52942-31-1 33419-42-0	GB 1205966	Anticancer, other	Cancer, testicular
	Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 9-[(3,5-dimethoxy-4-(phosphonoxy)phenyl]-9-[(4,6-O-ethylidene-β-D-glucopyranosyl)oxy]-5,8,8a,9-tetrahydro-, [5R-[5Alpha,5aβ,8aAlpha,9β(R*)]]-[CAS]	117091-64-2	EP 302473	Anticancer, other	Cancer, testicular
etoricoxib	2,3-Bipyridine, 5-chloro-6'-methyl-3-(4-(methylsulfonyl)phenyl) [CAS]	202409-33-4	WO 9803484	Antiarthritic, other	Arthritis, osteo
Eroxadrol Etrozolin etretinate	2,4,6,8-Nonatetraenoic acid, 9-(4-methoxy-2,3,6-trimethylphenyl)-3,7-dimethyl-, ethyl ester, (all-E)-[CAS]	28189-85-7 73-09-6 54350-48-0	U.S. 4,215,215	Antipsoriasis	
Eryptamine Etymenazine Eucatropine Eugenol EUK-134	Manganese, chloro[[2,2-[1,2-ethanediy]bis[(nitrido-kappaN)methylidene]]bis(6-methoxyphenolato-kappaO)]], (SP-5-13)-[CAS]	2235-90-7 523-54-6 100-91-4 97-53-0 81065-76-1		Cardiovascular	Unspecified
EUK-189			U.S. 6,046,188	Radio/chemoprotective	Chemotherapy-induced injury, general
Evans Blue everolimus	Rapamycin, 42-O-(2-hydroxyethyl)-[CAS]	314-13-6 159351-69-6	WO 9409010	Immunosuppressant	Transplant rejection, general Infection, fungal, general
exaltamide	Benzamide, 2-(hexyloxy)-[CAS]	53370-90-4	GB 726786	Antifungal	
Exametazine exatecan	10H,13H-Benzo[de]pyrro[3',4':6,7]indolizino[1,2-b]quinoline-10,13-dione, 1-amino-9-ethyl-5-fluoro-1,2,3,9,12,15-hexahydro-9-hydroxy-4-methyl-, (1A,9S)-, [CAS]	105613-48-7 171335-80-1		Anticancer, other	Cancer, pancreatic
exemestane	Androsta-1,4-diene-3,17-dione, 6-methylene-[CAS]	107868-30-4	DE 3622841	Anticancer, hormonal	Cancer, breast
Exifone exisulind	1H-Indene-3-acetic acid 5-fluoro-2-methyl-1-((4-(methylsulfonyl)phenyl)methyl)ene)-, (Z)-[CAS]	52479-85-3 59973-80-7		Anticancer, other	Polyp

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Exosurf® ezetimibe	2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-[CAS]	99732-49-7 163222-33-1	U.S. 5,846,966	Hypolipemic/ Antithrombotic	Hypercholesterol- emia
Factor IX		9001-28-9			
Factor VIII		9001-27-8			
Factor XIII		9013-56-3			
fadolmidine	1H-Inden-5-ol, 2,3-dihydro-3-(1H-imidazol-4-ylmethyl)-, monohydrochloride [CAS]	189353-32-0	WO 9712874	Analgesic, other	Pain, general
Fadrozole	9,10-Secocholosta-5,7,10(19)-triene-1,3,25-triol, 26,26,27,27-hexafluoro-, (1A,3A,3B,5Z,7E)-[CAS]	102676-47-1 83805-11-2	JP 03099022	Osteoporosis treatment	Hyperpara- thyroidism
famciclovir	1,3-Propanediol, 2-[2-(2-amino-9H-purin-9-yl)ethyl]-, diacetate (ester)-[CAS]	104227-87-4	JP 61085388	Antiviral, other	Infection, gynaecological
famotidine	Propylamine, 3-[[[2-[(aminiminomethyl)amino]-4-thiazolyl]methyl]thio]-N-(aminosulfonyl)-[CAS]	76824-35-6	U.S. 4,283,408	Antilcer	Ulcer, duodenal
fampridine	4-pyridamine	504-24-5		Neuroprotective	Spinal cord injury
fandofloxacin	3-Quinolonecarboxylic acid, 6-fluoro-1-(5-fluoro-2-pyridinyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo, [CAS]	164150-85-0 164150-99-6	U.S. 5,496,947	Quinolone antibacterial	Infection, urinary tract
Fantofarone		114432-13-2			
faropenem daloxate	(5R,6S)-6-[1(R)-Hydroxyethyl]-2-[2(R)-tetrahydrofuryl]-2-penten-3-carboxylic acid-5-methyl-2-oxo-1,3-dioxol-4-ylmethyl ester			Beta-lactam antibiotic	Infection, general
faropenem	4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-(tetrahydro-2-furanyl)-, [5R- [3(R*),5A]alpha,6A]phi(R*)]-[CAS]	122547-49-3	EP 410727	Beta-lactam antibiotic	Infection, ocular
fasiidotril	L-Alanine, N-[(2S)-3-(acetylthio)-2-(1,3-benzodioxol-5-ylmethyl)-1-oxopropyl]-, phenylmethyl ester [CAS]	135038-57-2	EP 419327	Antihypertensive, renin system	Hypertension, general
fasiidil	1H-1,4-Diazepine, hexahydro-1-(5-isoquinolylsulfonyl)-[CAS]	103745-39-7 105628-07-7 49564-56-9 13246-02-1	EP 187371	Neuroprotective	Vasospasm, general
Fazadinium Bromide febarbamate	2,4,6(1H,3H,5H)-Primidinetriene, 1-[2-[(aminocarbonyl)oxy]-3-butoxypropyl]-5-ethyl-5-phenyl-[CAS]	U.S. 3,075,983	Psychostimulant		
Febuprol		3102-00-9			
febuxostat	5-Thiazolecarboxylic acid, 2-[3-cyano-4-(2-methylpropoxy)phenyl]-4-methyl-[CAS]	144060-53-7	WO 9209279	Antigout	Hyper- uricaemia
Fedotozine		123618-00-8			
felbamate	1,3-Propanediol, 2-phenyl-, dicarbamate [CAS]	25451-15-4	U.S. 4,868,327	Antiepileptic	Epilepsy, general
felbinac	[1,1'-Biphenyl]-4-acetic acid [CAS]	5728-52-9	EP 127840	Anti-inflammatory, topical	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
felodipine	3,5-Pyridinedicarboxylic acid, 4-(2,3-dichlorophenyl)-, 1,4-dihydro-2,6-dimethyl-, ethyl methyl ester [CAS]	72509-76-3	U.S. 4,264,611	Antihypertensive, other	Hypertension, general
Felypressin		56-59-7			
Fenoxetone		59859-58-4			
Fenbuticillin		1926-48-3			
fenbuten	[1,1'-Biphenyl]-4-butanoic acid, Gamma-oxo-[CAS]	36330-85-5	U.S. 3,784,701	Anti-inflammatory	
Fenbutazate		4378-36-3			
Fencamfamine		1209-98-9			
Fencamine		28947-50-4			
Fenclozic Acid		17969-20-9			
Fendiline		13042-18-7			
Fendosal		53597-27-6			
Fenethylamine		3736081			
Fenfluramine		458-24-2			
Fenpentol		583-03-9			
fenofibrate	Propanoic acid, 2-[4-(4-chlorobenzoyl)phenoxy]-2-methyl-, 1-methylethyl ester [CAS]	26129-32-8 49562-28-9		Formulation, modified-release, <=24 hr	Hyperlipidaemia, general
fenoldopam	1H-3-Benzazepine-7,8-diol, 6-chloro-2,3,4,5-tetrahydro-1-(4-hydroxyphenyl)-[CAS]	67227-56-9 67227-57-0	EP 22330	Antihypertensive, other	Hypertension, general
Fenopropfen		31879-05-7			
Fenoterol		13392-18-2			
fenoverine	10H-Phenothiazine, 10-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]acetyl]-[CAS]	37561-27-6	FR 2092639	Antispasmodic	
Fenoxazoline		4846-91-7			
Fenoxedil		54063-40-0			
Fenozolone		15302-16-6			
Fenpentadiol		15687-18-0			
Fenpiprane		3540-95-2			
Fenpiverinium		125-60-0			
Bromide					
Fenproporex		15686-61-0			
Fenquione		20287-37-0			
fenretinide	Retinamide, N-(4-hydroxyphenyl)-[CAS]	65646-68-6	BE 847942	Anticancer, other	Cancer, breast
Fenspiride		5053066			
fentanyl	Propanamide, N-phenyl-N-[1-(2-phenylethyl)-4-piperidinyl]-[CAS]	437-38-7		Formulation, transmucosal, systemic	Anaesthesia, adjunct
Fentiazac		18046-21-4			
Fenticlor		97-24-5			
fenticonazole	1H-Imidazole, 1-[2-(2,4-dichlorophenyl)-2-[[4-(phenylthio)phenyl]methoxy]ethyl]-[CAS]	72479-26-6 73151-29-8	U.S. 4,221,803	Antifungal	Infection, gynaecological

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Fentonium Bromide fepradinol	Benzenemethanol, Alpha[[[2-hydroxy-1,1-dimethyl-ethyl]amino]methyl]-, (+/-)-[CAS]	5868064 36981-91-6 67704-50-1 63075-57-8 30748-29-9 15708-41-5		Anti-inflammatory, topical	
Feprazone Ferric Sodium Edetate ferrioxamine B			WO 9426263	Septic shock treatment	Respiratory distress syndrome, adult
Ferrocholinate Ferrous Gluconate ferumoxytol	Polyglucose sorbitol carboxymethyl ether-coated non-stoichiometric magnetite	1336-80-7 299-29-6		Imaging agent	Diagnosis, cancer
fesoterodine	phenylpropyl)-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (Salt)-[CAS]	286930-03-8		Urological	Incontinence
fexofenadine	Benzeneacetic acid, 4-[1-hydroxy-4-[4(hydroxydiphenylmethyl)(-1-piperidinyl)butyl]-Alpha,Alpha-dimethyl-, [CAS]	153439-40-8 83799-24-0 138452-21-8	U.S. 5,345,693	Antiallergic, non- asthma	Rhinitis, allergic, seasonal
Fibrostat fidarestat	Spiro[4H-1-benzopyran-4,4'-imidazolidine]-2-carboxamide, 6-fluoro-2,3-dihydro-2',5'-dioxo-, (2S-cis)-, [CAS]	136087-85-9	CA 2132416 EP 418834	Vulnery Symptomatic antidiabetic	Wound healing Neuropathy, diabetic
fiduxosin	8-Phenyl-3-[4-[(3aR,9bR)-1,3a,4,9b-]]tetrahydro-9-methoxy[1]benzopyranol[3,4-c]-pyrrol-2(3H)-yl]butyl]pyrazinol[2,3':4,5']thieno[3,2-d]pyrimidine-2,4(1H,3H)-dione	208993-54-8		Prostate disorders	Benign prostatic hyperplasia
finasteride	4-Azaandroster-1-one-17-carboxamide, N-[(1,1-dimethylethyl)-3-oxo-, (5Alpha,17beta)-[CAS]	98319-26-7	EP 155096	Prostate disorders	Benign prostatic hyperplasia
finrozole	Benzonitrile, 4-(3-(4-fluorophenyl)-2-hydroxy-1-(1H-1,2,4-triazol-1-yl)-propyl)-[CAS]	160146-16-7	EP 476944	Urological	Urinary retention
Flipexide FK-960	N-(4-Acetyl-1-piperazinyl)-4-fluorobenzamide monohydrate-[CAS]	34161-24-5 133920-70-4	WO 9101979	Cognition enhancer	Alzheimer's disease
Flavopiridol flavoxate	4H-1-Benzopyran-8-carboxylic acid, 3-methyl-4-oxo-2-phenyl-, 2-(1-piperidinyl)ethyl ester [CAS]	146426-40-6 15301-69-6 3717-88-2	U.S. 2,921,070	Urological	
flecainide	Benzamide, N-(2-piperidinylmethyl)-2,5-bis(2,2,2-trifluoroethoxy)-, [CAS]	54143-55-4 54143-56-5		Formulation, modified- release, <=24 hr	Fibrillation, atrial
floxacin	3-Quinolonecarboxylic acid, 6,8-difluoro-1-(2-fluoroethyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-[CAS]	79660-53-0 79660-72-3	U.S. 4,398,029	Quinolone antibacterial	Infection, general
Flesinoxan		98206-10-1			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
flibanserin	2H-Benzimidazol-2-one, 1,3-dihydro-2-(2-(4-(3-(trifluoromethyl)phenyl)-1-piperazinyl)ethyl)-[CAS]	167933-07-5			Sexual dysfunction, female
floctafenine	Benzoic acid, 2-[[8-(trifluoromethyl)-4-quinolinyl]amino]-, 2,3-dihydroxypropyl ester [CAS]	23779-99-9	U.S. 3,644,368	Analgesic, NSAID	
flomoxef	5-Oxa-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(difluoromethyl)thio]acetyl]amino]-3-[[[(1-(2-hydroxyethyl)-1H-tetrazol-5-yl)thio]methyl]-7-methoxy-8-oxo-, (6R-cis)-[CAS]	92823-03-5 99665-00-6	EP 128536	Cephalosporin, injectable	Infection, general
Flopropione		2295-58-1			
Florantyrone		519-95-9			
Flosequinan		76568-02-0			
Floxacinlin		5250-39-5			
Floxuridine		50-91-9			
Fluacizine		30223-48-4			
Fluanisone		1480-19-9			
fluasterone	Androst-5-en-17-one, 16-fluoro-, (16 α)-[CAS]	112859-71-9	EP 246650	Cardiovascular	Keratosis
fluzacort	5'H-Pregna-1,4-dienol[17,16-d]oxazole-3,20-dione, 21-(acetoxy)-9-fluoro-11-hydroxy-2'-methyl-, (11 β ,16 β)-[CAS]	19888-56-3	U.S. 3,461,119	Antipruritic/antiinflamm, non-allergic	
Flucloronide		3693-39-8			
flucloxacillin		1847-24-1			
Fluconazole	1H-1,2,4-Triazole-1-ethanol, Alpha-(2,4-difluorophenyl)-Alpha-(1H-1,2,4-triazol-1-ylmethyl)-[CAS]	34214-51-2 86386-73-4	EP 96569	Antifungal	Infection, general Infection, dermatological
Flucytosine		2022-85-7			
fludarabine	9H-Purin-6-amine, 2-fluoro-9-(5-O-phosphono- β -D-arabinofuranosyl)-[CAS]	75607-67-9 21679-14-1	U.S. 4,357,324	Anticancer, antimetabolite	Cancer, leukaemia, chronic lymphocytic
Fludeoxyglucose F ₁₈		105851-17-0			
Fludiazepam		3900-31-0			
Fludrocortisone		127-31-1			
Flufenamic Acid		530-78-9			
Fluindione		957-56-2			
flumazenil	4H-Imidazo[1,5-a][1,4]benzodiazepine-3-carboxylic acid, 8-fluoro-5,6-dihydro-5-methyl-6-oxo-, ethyl ester [CAS]	78755-81-4	EP 27214	Neurological	
Flumecinol		56430-99-0			
Flumequine		42835-25-6			
Flumethasone		2135-17-3			
Flumethiazide		148-56-1			
fluazizine	Piperazine, 1-[bis(4-fluorophenyl)methyl]-4-(3-phenyl-2-propenyl)-, (E)-[CAS]	30484-77-6 52468-60-7 27848-84-6	GB 1268710	Antimigraine	

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
flunisolide	Pregna-1,4-diene-3,20-dione, 6-fluoro-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]-, (6Alpha,11Beta,16Alpha)-[CAS]	3385-03-3	U.S. 3,124,571	Antiasthma	Rhinitis, allergic, general
flunitrazepam	2H-1,4-Benzodiazepin-2-one, 5-(2-fluorophenyl)-1,3-dihydro-1-methyl-7-nitro-[CAS]	1622-62-4	U.S. 3,116,203	Hypnotic/ Sedative	
Flunoxaprofen		66934-18-7			
Fluocinolone Acetonide		67-73-2			
Fluocinonide		356-12-7			
Fluocortin Butyl		41767-29-7			
Fluocortolone		152-97-6			
Fluorescein		2321-07-5			
Fluoresone		2924-67-6			
Fluorometholone		426-13-1			
Fluorosalan		4776061			
fluorouracil		51-21-8		Formulation, transdermal, enhanced	Keratosis
fluoxetine	2,4(1H,3H)-Pyrimidin-2-one, 5-fluoro-[CAS]			Antidepressant	Depression, general
Fluoxymesterone		54910-89-3	U.S. 4,314,081		
Flupentixol	Benzenepropanamine, N-methyl-Gamma-[4-(trifluoromethyl)phenoxy]-, (+/-)-[CAS]	56296-78-7			
Fluperolone		76-43-7			
Fluphenazine		2709-56-0			
flupirtine		2119-75-7			
		69-23-8			
	Carbamic acid, [2-amino-6-[[[4-(fluorophenyl)methyl]amino]-3-pyridinyl]-, ethyl ester [CAS]	33400-45-2	U.S. 4,481,205	Analgesic, other	Pain, post-operative
		56995-20-1			
		75507-68-5			
		1255-35-2			
		53-34-9			
		40507-23-1			
		1524-88-5			
		17617-23-1			
	[1,1'-Biphenyl]-4-acetic acid, 2-fluoro-Alpha-methyl-[CAS]	5104-49-4	U.S. 3,793,457	Anti-inflammatory	
flurithromycin	Erythromycin, 8-fluoro-mono(ethyl butanedioate) (ester)-[CAS]	82730-23-2	EP 56291	Macrolide antibiotic	Infection, respiratory tract, lower
Fluogestone		2529-45-5			
Flurothyl		333-36-8			
Fluroxen		406-90-6			
Fluspirilene		1841-19-6			
flutamide	Propanamide, 2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-[CAS]	13311-84-7	U.S. 4,329,364	Anticancer, hormonal	
flutazolan	Oxazolo[3,2-d][1,4]benzodiazepin-6(5H)-one, 10-chloro-11b-(2-fluorophenyl)-2,3,7,11b-tetrahydro-7-(2-hydroxyethyl)-[CAS]	27060-91-9	U.S. 3,905,956	Anxiolytic	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
fluticasone	Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(fluoromethyl) ester, (6 α),11 β ,16 α),17 α), [CAS]	80474-14-2 90566-53-3		Formulation, inhalable, solution	Asthma
flutoprazepam	2H-1,4-Benzodiazepin-2-one, 7-chloro-1-(cyclopropylmethyl)-5-(2-fluorophenyl)-1,3-dihydro-, [CAS]	25967-29-7	GB	Anxiolytic	Psychosis, general
flutrimazole	1H-Imidazole, 1-[(2-fluorophenyl)(4-fluorophenyl)phenylmethyl]-[CAS]	119006-77-8	EP	Antifungal	Infection, dermatological
Flutropium Bromide		63516-07-4			
fluvastatin	6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, [R*, S*, (E)]-, (+)-[CAS]	93957-55-2 93957-54-1	EP	Hypolipemic/ Antiatherosclerosis	Hypercholesterolaemia
fluvoxamine	1-Pentanone, 5-methoxy-1-[4-(trifluoromethyl)phenyl]-O-(2-aminoethyl)oxime, (E)-[CAS]	54739-18-3 61718-82-9	GB	Antidepressant	Depression, general, Obsessive-compulsive disorder
Folic Acid		59-30-3			
Folinic Acid		58-05-9			
Fomepizole		7554-65-6			
fomtinoben	Benzamide, N-[3-chloro-2-[[methyl[2-(4-morpholinyl)-2-oxoethyl]amino]methyl]phenyl]-[CAS]	18053-31-1 24600-36-0	U.S.	Respiratory stimulant	Eczema, general
Fomivirsen		144245-52-3			
Fomocaine		17692-39-6			
Fonazine		7456-24-8			
fondaparinux	Alpha-D-Glucopyranoside, methyl O-2-deoxy-6-O-sulfo-2-(sulfinamino)-Alpha-D-glucopyranosyl-(1-4)-O-beta-D-glucopyranuronyl-(1-4)-O-2-deoxy-3,6-di-O-sulfo-2-(sulfoamino)-Alpha-D-glucopyranosyl-(1-4)-O-2-O-sulfo-Alpha-L-idopyranuronyl-(1-4)-2-deoxy-2-(sulfoamino)-6-(hydrogen sulfate) [CAS]	104993-28-4 114870-03-0		Anticoagulant	Thrombosis, venous
Formebolone		2454117			
formestane	Androst-4-ene-3,17-dione, 4-hydroxy-[CAS]	566-48-3	EP	Anticancer, hormonal	Cancer, breast
Formocortol		2825-60-7			
formoterol	Formamide, N-[2-hydroxy-5-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, (R*, R*)-(+/-)-[CAS]	43229-80-7 73573-87-2	GB	Antiasthma	Asthma
fosamprenavir	Carbamic acid, ((1S,2R)-3-(((4-aminophenyl)sulfonyl)(2-methylpropyl)amino)-1-(phenylmethyl)-2-(phosphonoxy)propyl)-C-(3S)-tetrahydro-3-furanyl ester, [CAS]	226700-81-8		Antiviral, anti-HIV	Infection, HIV/AIDS

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
foscarnet	Phosphinecarboxylic acid, dihydroxy-, oxide, trisodium salt [CAS]	34156-56-4 4428-95-9	U.S. 4,839,445	Antiviral, other	Infection, cytomegalo- virus
Fosfestrol fosfluconazole	2,4-difluoro-Alpha,Alpha-bis(1H-1,2,4- triazol-1-ylmethyl)benzyl alcohol, dihydrogen phosphate (ester)	63585-09-1 522-40-7 194798-83-9		Antifungal	Infection, fungal, general
fosfomycin	Phosphonic acid, (3-methyloxiranyl)-, (2R- cis)-[CAS]	23155-02-4 26016-98-8	GB 1223923	Antibiotic, other	Infection, general
fosfomycin trometamol	Phosphonic acid, (3-methyloxiranyl)-, (2R- cis)-, compd. with 2-amino-2- (hydroxymethyl)-1,3-propanediol (1:1)- [CAS]	78964-85-9	EP 27597	Antibiotic, other	Infection, urinary tract
Fosfosal fosinopril	L-Proline, 4-cyclohexyl-1-[[[2-methyl-1-(1- oxopropoxy)propoxy](4- phenylbutyl)phosphinyl]acetyl]-, (2Alpha,4B)-[CAS]	6064-83-1 88889-14-9 98048-97-6	EP 63896	Antihypertensive, renin system	Hypertension, general
fosphenytoin	2,4-Imidazolidinedione, 5,5-diphenyl-3- [(phosphonoxy)methyl]-[CAS]	92134-98-0 93390-81-9	U.S. 4,260,769	Antiepileptic	Epilepsy, generalized, tonic-clonic
fotemustine	Phosphonic acid, [1-[[[(2- chloroethyl)nitrosoamino]carbonyl]amino]- ethyl]-, diethyl ester [CAS]	92118-27-9	EP 117959	Anticancer, alkylating	Cancer, melanoma
Fropenem frovatriptan	1H-Carbazole-6-carboxamide, 2,3,4,9- tetrahydro-3-(methylamino)-, (R)-[CAS]	106560-14-9 158747-02-5 57-48-7 488-69-7	WO 9922730	Antimigraine	Migraine
Fructose-1,6- diphosphate FTC	2(1H)-Pyrimidinone, 4-amino-5-fluoro-1-(2- (hydroxymethyl)-1,3-oxathiolan-5-yl)-(4R) 1,3-Propanediol, 2-amino-2-(4- octylphenyl)ethyl)-, hydrochloride [CAS]	162359-56-0	WO 9408943	Antiviral, anti-HIV Immunosuppressant	Infection, HIV/AIDS Transplant rejection, general
fidusteine fulvestrant	Alanine, 3-(3-hydroxypropylthio)-[CAS] Estra-1,3,5(10)-triene-3,17-diol, 7-[9- [(4,4,5,5-pentafluoropentyl)sulfinyl]onyl]-, (7Alpha,17B)-[CAS]	13189-98-5 129453-61-8	U.S. 5,047,428 EP 346014	Antitussive Anticancer, hormonal	Cough Cancer, breast
fumagiline	2,4,6,8-Decatetraenedioic acid, mono[5- methoxy-4-[2-methyl-3-(3-methyl-2- butenyl)oxiranyl]-1-oxaspiro[2.5]oct-6-yl] ester, [3R- [3Alpha,4Alpha(2R*,3R*),5B,6B(all-E)]]- [CAS]	23110-15-8		Protozoacide	Infection, GI tract
Fumagillin Furaladone Furazabol Furazolidone		23110-15-8 139-91-3 1239-29-8 67-45-8			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Furazolidone		5118-17-2			
Furozamide furosemide	Benzoic acid, 5-(aminosulfonyl)-4-chloro-2- [(2-furanyl)methyl]amino]-[CAS]	3460-67-1 54-31-9		Formulation, modified- release, other	Hypertension, general
Fursultiamine		804-30-8			
Furthronium		7618-86-2			
Fusidic Acid		06-03/6990			
G1, YM BioSciences	1-(5-bromofur-2-yl)-2-bromo-2-nitroethene				
G25					
GABA-A Alpha5 inverse agonist,Mer gabapentin			WO 9804252	Antifungal	Infection, gynaecological
			WO 0206285	Antimalarial	Infection, malaria
			U.S. 4,152,326	Cognition enhancer	Alzheimer's disease
			U.S. 3,751,447	Antiepileptic	Epilepsy, general
				GI inflammatory/ bowel disorders	Pancreatitis
gabexate	Cyclohexanecarboxylic acid, 1-(aminomethyl)- [CAS]	60142-96-3			
	Benzoic acid, 4-[[6- [(aminomethyl)amino]-1- oxohexyl]oxy]-, ethyl ester; monomethanesulfonate [CAS]	39492-01-8 56974-61-9			
gaboxadol	Isosaxazol[5,4-c]pyridin-3(2H)-one, 4,5,6,7- tetrahydro-[CAS]	64603-91-4	CA 1125288	Hypnotic/Sedative	Sleep disorder, general
Gadobenat		127000-20-8			
Dimethylglutamine		138071-82-6			
Gadobutrol		131410-48-5			
Gadodiamide		80529-93-7			
Gadopentetic Acid		120066-54-8			
Gadoteridol		131069-91-5			
Gadoversetamide		135326-11-3			
Gadoxetic Acid					
galantamine	(4aS,6R,8aS)-6-Hydroxy-3-methoxy-11- methyl-5,6,9,10,11,12-hexahydro-4aH- benzofuro[3a,3,2-e,f][2]benzazepine	357-70-0		Formulation, modified-release, other	Alzheimer's disease
Galanthamine	β -Alanine, 2-[4-[(2,6-dideoxy-2-fluoro- Alpha-L-talopyranosyl)oxy]-1,2,3,4,6,11- hexahydro-2,5,12-trihydroxy-7-methoxy- 6,11-dioxo-2-naphthaceny]-2-oxoethyl ester, [CAS]	140637-82-7 140637-86-1	EP 424899	Anticancer, antibiotic	Cancer, breast
Gallamine Triethiodide		65-29-2 149-91-7			
Galic Acid	4H-Pyran-4-one, 3-hydroxy-2-methyl-, gallium complex				
gallium maltoate	Nitric acid, gallium salt [CAS]	13494-90-1	U.S. 4,529,593	Anticancer, other	Cancer, myeloma
gallium nitrate		16662-47-8	GB 1367677	Osteoporosis treatment	Hypercalcaemia of malignancy
gallopamil	Benzenesacetonitrile, Alpha-[3-[[2-(3,4- dimethoxyphenyl)ethyl]methylamino]propyl]- 3,4,5-trimethoxy-Alpha-(1-methylethyl)- [CAS]			Antianginal	Angina, general
γ -Aminobutyric Acid		56-12-2			
Ganaxolone		38398-32-2			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ganciclovir	6H-Purin-6-one, 2-amino-1,9-dihydro-9-[[2-hydroxy-1-(hydroxymethyl)ethoxy]methyl]-[CAS]	107910-75-8 82410-32-0	EP 49072	Antiviral, other	Infection, cytomegalovirus
ganirelix	[N-Ac-D-NaLD-pCl-Phe-D-PaLD-hArg(Et)2.hArg(Et)2-D-Ala[GnRH-[CAS]	124904-93-4	EP 312052	Releasing hormones	Infertility, female
ganstigmine	Carbamic acid, (2-ethylphenyl)-, (3aS,8aS)1,2,3,3a,8,8a-hexahydro-1,3a,8-trimethylpyrrolo[2,3-b]indol-5-yl ester, 1-Piperazineacetic acid, 4-[[[(5R)-3-[4-[imino(methoxycarbonyl)amino]methyl]-phenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethylester [CAS]	223585-99-7	EP 1023297	Cognition enhancer	Alzheimer's disease
gantofiban	3-Quinolonecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-7-((1R)-2,3-dihydro-1-methyl-1H-isoindol-5-yl)-1,4-dihydro-4-oxo-monomethanesulfonate [CAS]	183547-57-1	EP 741133	Antithrombotic	Thrombosis, general
garenoxacin	5-73-macrophage inflammatory protein 2/Alpha (human gene gro2)-[CAS]	223652-82-2		Quinolone antibacterial	Infection, respiratory tract, lower
garnocestim		246861-96-1		Radio/chemoprotective	Chemotherapy-induced injury, bone marrow, neutropenia
gatifloxacin	3-Quinolonecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, (+/-)-[CAS]	112811-59-3	EP 230295	Quinolone antibacterial	Infection, respiratory tract, general
Gefarnate	4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-(3-(4-morpholinyl)propoxy) [CAS]	51-77-4			
genecabene	6,6'-oxybis(2,2-dimethylhexanoate)	184475-35-2	WO 9633980	Anticancer, other	Cancer, lung, non-small cell
gencitabine	Cytidine, 2'-deoxy-2', 2'-difluoro-, [CAS]	209789-08-2		Hypolipemic/Antiatherosclerosis	Hyperlipidaemia, general
gemeprost	Prosta-2,13-dien-1-oic acid, 11,15-dihydroxy-16,16-dimethyl-9-oxo-, methyl ester, (2E,11A,13E,15R)-[CAS]	122111-03-9 95058-81-4 64318-79-2	GB 2136425 GB 1540427	Anticancer antimetabolite Prostaglandin	Cancer, pancreatic
gemfibrozil	Pentanoic acid, 5-(2,5-dimethylphenoxy)-2,2-dimethyl-[CAS]	23812-30-0	U.S. 3,674,836	Hypolipemic/Antiatherosclerosis	Hyperlipidaemia, general
gemifloxacin	1,8-Naphthyridine-3-carboxylic acid, 7-(3-(aminomethyl)-4-(methoxyimino)-1-pyrroldinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-[CAS]	175463-14-6	U.S. 5,869,670	Quinolone antibacterial	Infection, respiratory tract, general
gentamicin	Gentamicin [CAS]	1403-66-3		Formulation, implant	Infection, general
Gentian Violet		548-62-9			
Gentiopticin		20831-76-9			
Genistic Acid		490-79-9			
Gepefine		18840-47-6			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
gopirone	2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-[CAS]			Formulation, modified-release, other	Depression, general
gestodene	18,19-Dinopregna-4,15-dien-20-yn-3-one, 13-ethyl-17-hydroxy-, (17Alpha)-[CAS]	109852-02-0 60282-87-3	GB 1569135	Formulation, fixed-dose combinations Formulation, modified-release, >24 hr	Contraceptive, female Contraceptive, female
gestodene + ethiny/est	18,19-Dinopregna-4,15-dien-20-yn-3-one, 13-ethyl-17-hydroxy-, (17Alpha) mixt with 19-Nopregna-1,3,5(10)-trien-20-yne-13,17-diol (17Alpha)	1253-28-7 16320-04-0 591-81-1 292618-32-7			
Gestonorone Caproate					
Gestrinone					
γ-Hydroxybutyrate					
gimatecan	(4S)-11-[(E)-[(1,1-dimethylethoxy)imino]methyl]-4-ethyl-4-hydroxy-1,12-dihydro-14H-pyranol[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H)-dione	24870-04-0 4562-36-1		Anticancer, other	Cancer, brain
Giractide					
Glitoxin GL-406349	N,N'-Bis[2-[N-[2-(N2,N5-dimethyl-DL-lysylamino)-ethyl]carbamoyl]1H-indol-6-yl]-1H-indole-2,5-dicarboxamide			Antifungal	Infection, fungal, general
Glafenine glatramer	L-Glutamic acid, polymer with L-alanine, L-lysine and L-tyrosine, [CAS]	3820-67-5 147245-92-9 28704-27-0	WO 5800808	Multiple sclerosis treatment	Multiple sclerosis, relapsing-remitting
Glibornuride gliclazide	Benzenesulfonamide, N-[[[(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)amino]carbonyl]-4-methyl-1-[CAS] 1H-Pyrrole-1-carboxamide, 3-ethyl-2,5-dihydro-4-methyl-N-[2-[4-[[[(4-methylcyclohexyl)amino]carbonyl]amino]-sulfonyl]phenyl]ethyl]-2-oxo-[CAS]	26944-48-9 21187-98-4	GB 1153982	Antidiabetic	Diabetes, Type II
glimepiride	Pyrazinecarboxamide, N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]-5-methyl-1-[CAS]	93479-97-1	WO 9303724	Antidiabetic	Diabetes, Type II
γ-Linolenic Acid glipizide	Benzenesulfonamide, N-[[[(cyclohexylamino)carbonyl]-4-[2-(3,4-dihydro-7-methoxy-4,4-dimethyl-1,3-dioxo-2(1H)-isoquinolinyl)ethyl]-1-[CAS] 3-isoxazolecarboxamide, N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]-sulfonyl]phenyl]ethyl]-5-methyl-1-[CAS]	506-26-3 29094-61-9	U.S. 3,669,966	Antidiabetic	Diabetes, general
gliquidone		33342-05-1	GB 1277847	Antidiabetic	Diabetes, general
glisolamide		24477-37-0		Antidiabetic	Diabetes, general
Glisoxepid Glucumetacin Glucolheptonic Acid		25046-79-1 52443-21-7 87-74-1			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Gluconic Acid glucosamine	D-Glucose, 2-amino-2-deoxy-, [CAS]	526-95-4 29031-19-4 3416-24-8	DE 1953689	Antiarthritic, other	Arthritis, osteo
Glucosulfone glufosfamide	β -D-Glucopyranose, 1-(N,N'-bis(2-chloroethyl)phosphorodiamidate) [CAS]	554-18-7 132682-98-5	DE 3835772	Anticancer, alkylating	Cancer, general
Glutamic Acid		56-86-0			
Glutaraldehyde		111-30-8			
Glutethimide		77-21-4			
Glyburide		10238-21-8			
Glybuthiazol(e)		535-65-9			
Glybuzole		1492-02-0			
Glycerol		56-81-5			
Glycoxyamine		352-97-6			
Glycol Salicylate		87-28-5			
Glyconiazide		3691-74-5			
Glycopyrrolate		596-51-0			
Glyhexamide		451-71-8			
Glymidine		339-44-6			
Glypinamide		1228-19-9			
GMDP	N-acetylglucosaminyl-N-acetylmuramyl dipeptide			Anti-infective, other	Infection, general
Gold Sodium Thiernalat		12244-57-4			
Gold Sodium Thiosulfate		10233-88-2			
goserelin	Luteinizing hormone-releasing factor (pig), 6-[O-(1,1-dimethylethyl)-D-serine]-10- deglycinamide, 2- (aminocarbonyl)hydrazide [CAS]	65807-02-5	U.S. 4,100,274	Releasing hormones	Cancer, prostate
GPI-1485	L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester [CAS]	186452-09-5		Antiparkinsonian	Parkinson's disease Pain, neuropathic
GPI-5693	2-(Phosphonomethyl)pentanedioic acid		U.S. 5,672,592	Analgesic, other	
Graftskin granisetron	1H-Indazole-3-carboxamide, 1-methyl-N-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-, endo- [CAS]	107007-99-8 109889-09-0	EP 200444	Antiemetic	Chemotherapy- induced nausea and vomiting
Grepafloxacin griseofulvin	Spiro[benzofuran-2(3H),1'-[2]cyclohexane]- 3,4'-dione, 7-chloro-2',4,6-trimethoxy- 6methyl-, (1'S-trans)-[CAS]	119914-60-2 126-07-8		Formulation, dermal, topical	Infection, dermatological
Guaiaccol		90-05-1			
Guaiapate		852-42-6			
Guaiazulene		489-84-9			
Guafenesin		93-14-1			
guafemesal		81674-79-5	GB 2098201	Anti-inflammatory	
Guamecycline	4H-1,3-Benzodioxin-4-one, 2-(2- methoxyphenoxy)-2-methyl-[CAS]	16545-11-2			
Guanabenz		5051-62-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Guanadrel		40580-59-4			
Guanethidine		55-65-2			
Guanfacine		29110-47-2			
Guanoxabenz		24047-25-4			
Guanoxan		2165-19-7			
gugulipid	Pregna-4,17(20)-diene-3,16-dione [CAS]	95975-55-6	EP 447706	Hypolipemic/ Antiatherosclerosis	
Gusperimus GW-280430A	(Z)-2-Chlorofumaric acid 1-[3-[-(6,7-dimethoxy-2(S)-methyl-1(R)-(3,4,5-trimethoxybenzyl)-1,2,3,4-tetrahydroisoquinolinium-2-yl)propyl][2S,3S,5R]-2-[3,5-difluorophenyl]-3,5-dimethyl-2-morpholinol (+)-R-2-[3-[N-(2-Benzo[1,4]dioxanylmethyl)amino]-1-propyl]-3(2H)-pyridazinone hydrochloride	104317-84-2		Muscle relaxant	Anaesthesia, adjunct
GW-320659				Anorectic/ Antiobesity	Obesity
GYKI-16084			U.S. 6,194,411	Prostate disorders	Benign prostatic hyperplasia
Hachimycin		1394-02-1			
Halazepam		23092-17-3			
Halcinonide		3093-35-4			
halobetasol		60852-54-8	U.S. 4,619,921	Antipsoriasis	Psoriasis
halofantrine	Pregna-1,4-diene-3,20-dione, 21-chloro-6,9-difluoro-11-hydroxy-16-methyl-17-(1-oxopropoxy)-, (6Alpha,11beta,16-[CAS] 9-Phenanthrenemethanol, 1,3-dichloro-Alpha-[2-(diethylamino)ethyl]-6-(trifluoromethyl)-[CAS]	36167-63-2 69756-53-2	EP 138374	Antimalarial	Infection, malaria
halometasone	Pregna-1,4-diene-3,20-dione, 2-chloro-6,9-difluoro-11,17,21-trihydroxy-16-methyl-, (6Alpha,11beta,16Alpha)-[CAS]	50629-82-8	U.S. 4,076,737	Antipruritic/ inflamm, allergic	
Haloperidol		52-86-8			
Halopredone		57781-14-3			
Haloprogin		777-11-7			
Halopropane		679-84-5			
Halothane		151-67-7			
Haloxazolam harkoseride		59128-97-1			
HE-2000	2(R)-Acetamido-N-benzyl-3-methoxypropionamide 16Alpha-Bromo-3beta-hydroxy-5Alpha-androstane-17-one		WO 9733861	Antiepileptic Antiviral, anti-HIV	Epilepsy, general Infection, HIV/AIDS Regeneration, bone
Healos			WO 9714376	Musculoskeletal	
Hematoporphyrin		14459-29-1			
Heptonicate		7237-81-2			
Heptabarbital		509-86-4			
Heptaminol		372-66-7			
Hetacillin		3511-16-8			
Hetasarch		9004-62-0			
Hexachlorophene		70-30-4			
Hexadimethrine Bromide		28728-55-4			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Hexafluorenum Bromide		317-52-2			
Hexamethonium		60-26-4			
Hexamidine		3811-75-4			
Hexapropymate		358-52-1			
Hexedine		5980-31-4			
Hexestrol		84-16-2			
Hexestrol Bis(β- diethylaminoethyl ether)		2691-45-4			
Hexethal		144-00-3			
Hexetidine		141-94-6			
Hexobarbital		56-29-1			
Hexobendine		54-03-5			
Hexocyclium Methyl Sulfate		115-63-9			
Hexoprenaline		3215-70-1			
Hextend	Hextend [CAS]	235746-51-7	U.S. 5,407,428	Plasma substitute	Surgery adjunct
Hexylcaine HF-0299	11b-hydroxy androstenedione	532-76-3		Osteoporosis treatment	Osteoporosis
HGP-2	Benzeneacetic acid, 4-[2-hydroxy-3-[(1- methylthyl)amino]propoxy]-, 2- tricyclo[3.3.1.1 ^{3,7}]dec-1-ylethyl ester, (2Z)- 2-butenedioate (1:1) (salt) [CAS]	121009-31-2		Antiglaucoma	Glaucoma
HGP-6 ^d	8-Azoniabicyclo[3.2.1]octane, 3-(3-ethoxy- 1,3-dioxo-2-phenylpropoxy)-8,8-dimethyl-, (3-endo) _P , methyl sulfate [CAS]	113932-41-5		Antiepileptic	Epilepsy, general
hidrosmin	Hydrosmin-[CAS]	120250-44-4		Vasoprotective, systemic	
histamine	histamine	51-45-6	EP 0493468	Anticancer, immunological	Cancer, melanoma
Histapyrodine histrelin	Luteinizing hormone-releasing factor (pig), 6-[1-(phenylmethyl)-D-histidine]-9-(N-ethyl- L-prolinamide)-10-deglycinamide-[CAS]	493-80-1 76712-82-8	EP 217659	Releasing hormones	Precocious puberty
HM-101	HM 101 [CAS]	217311-70-1		Osteoporosis treatment	Osteoporosis
HMN-214	(E)-4-[2-[2-(p- methoxybenzenesulfonamide)- phenyl]ethenyl]pyridine-1-oxide	87-00-3 535-86-4 848-53-3		Anticancer, other	Cancer, general
Homatropine					
Homocamfin					
Homochlorcyclizine					
Hopantenic Acid		18679-90-8			
HP-228	Glycinamide, N-acetyl-L-norleucyl-L- glutaminyl-L-histidyl-D-phenylalanyl-L- arginyl-D-tryptophyl-[CAS]	172617-89-9	EP 759770	Analgesic, other	Pain, post- operative
Huperzine A		102518-79-6			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
hyaluronan	Hyaluronic acid [CAS]	9004-61-9		Formulation, other	Restenosis
Hycanthone		3105-97-3			
Hydrocarpic Acid		459-67-6			
Hydralazine		86-54-4			
Hydrastine		118-08-1			
Hydrastinine	Morphinan-6-one, 4,5-epoxy-3-hydroxy-17-methyl-, (5Alpha)-[CAS]	6592-85-4		Formulation, modified-release, other	Pain, general
Hydrochlorothiazide		58-93-5			
hydrocodone		466-99-9 125-29-1			
Hydrocortanate	Pregn-4-ene-3,20-dione, 21-(acetyloxy)-11-hydroxy-17-(1-oxopropoxy)-, (11beta)-[CAS]	76-47-1	DE	Dermatological	Unspecified
hydrocortisone		74050-20-7			
hydrocortisone butyrate propio		50-23-7 72590-77-3	DE		
Hydroflumethiazide	Morphinan-6-one, 4,5-epoxy-3-hydroxy-17-methyl-, (5Alpha)-, mixt with acetamide, N-(4-hydroxyphenyl)-, mixt with morphinan-6-one, 17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxy-, (5Alpha)-[CAS]	135-09-1		Formulation, fixed-dose combinations	Pain, general
hydromorphone		103-90-2			
		16590-41-3 466-99-9			
Hydroquinidine		1435-55-8			
Hydroquinine		522-66-7			
Hydroquinone		123-31-9			
Hydroxocobalamin		13422-51-0			
Hydroxyamphetamine		1518-86-1			
Hydroxychloroquine		118-42-3			
Hydroxydione		53-10-1			
Hydroxypethidine		468-56-4			
Hydroxyphenamate		50-19-1			
Hydroxypropyl Cellulose		9004-64-2			
Hydroxystilbamidine		495-99-8			
Hydroxytetracaine		490-98-2			
Hydroxyzine		68-88-2			
Hylan G-F 20					
Hymecromone	benzeneacetic acid, Alpha(hydroxymethyl)-, 8-methyl-8-azabicyclo [3.2.1]oct-3-yl ester, [3(S)-endo]; Phenanthro[1,10,9,8-opqr]perylene-7,14-dione, 1,3,4,6,8,13-hexahydroxy-10,11-dimethyl-[CAS]	90-33-5 101-31-5		Formulation, oral, orally-disintegrating	Ulcer, GI, general
hyoscyamine		548-04-9			
hypericin	Phosphonic acid, [1-hydroxy-3-(methylpentylamino)propylidene]bis-[CAS]	180468-34-2 114084-78-5	EP	Anticancer, other	Cancer, brain
LACFT					
ibandronic acid				Osteoporosis treatment	Hypercalcaemia of malignancy

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ibopamine	Propanoic acid, 2-methyl-, 4-[2-(methylamino)ethyl]-1,2-phenylene ester- [CAS]	66195-31-1	GIB 1551661	Cardio stimulant	Heart failure
ibopamine	Propanoic acid, 2-methyl-, 4-[2-(methylamino)ethyl]-1,2-phenylene ester- [CAS]	66195-31-1		Formulation, mucosal, topical	Surgery adjunct
Ibritumomab Tixetan ibrolipin		206181-63-7			
ibudilast	Phosphonic acid, [[4-[(4-bromo-2-cyanophenyl)amino]carbonyl]phenyl]-methyl]-, diethyl ester [CAS] 1-Propanone, 2-methyl-1-[2-(1-methyl)ethyl]pyrazolo[1,5-a]pyridin-3-yl]- [CAS]	133208-93-2 50847-11-5	EP 402033 EP 215438	Hypolipemic/ Antiatherosclerosis Antiasthma	Hypertri- glyceridaemia Asthma
Ibufenac ibuprofen piconol	Benzeneacetic acid, Alpha-methyl-4-(2-methylpropyl)-, 2-pyridinylmethyl ester [CAS]	1553-60-2 64622-45-3	DE 2658610	Antipruritic/inflamm, non-allergic	Eczema, contact
ibuprofen	Benzeneacetic acid, Alpha-methyl-4-(2-methylpropyl)-[CAS]	15687-27-1		Formulation, modified- release, other	Inflammation, general
Ibuproxam ibutilide	Methanesulfonamide, N-[4-[4-(ethylheptylamino)-1-hydroxybutyl]phenyl]-, (+/-), [CAS]	53648-05-8 122647-31-8 122647-32-9	JP 60239458	Antiarrhythmic	Fibrillation, atrial
ICA-17043			U.S. 6,288,122	Antisickling	Anaemia, sickle cell
icodextrin	Dextrin-[CAS]	9004-53-9		Urological	Renal failure
idanubicin	5,12-Naphthacenedione, 9-acetyl-7-[(3-amino-2,3,6-trideoxy-Alpha-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,9,11-trihydroxy-, (7S-cis)-[CAS]	58957-92-9 86189-66-4	U.S. 4,471,052	Anticancer, antibiotic	Cancer, leukaemia, acute
Idazoxan IdB-1016	2-(2,3-dihydro-2-(4-hydroxy-3-methoxyphenyl)-3-(hydroxymethyl)-1,4-benzodioxin-6-yl)-2,3-dihydro-3,5,7-trihydroxy-4H-1-benzopyran-4-one phosphatidylcholine complex 2,5-Cyclohexadiene-1,4-dione, 2-(10-hydroxydecyl)-5,6-dimethoxy-3-methyl- [CAS]	79944-58-4 134499-06-2	EP 209038	Anticancer, hormonal	lymphocytic Cancer, ovarian
idebenone	4-Hexenoic acid, 3-[[[(1,1-dimethyl)ethoxy]carbonyl]amino]-2-hydroxy-5-methyl-, (3aS,4R,7R,8aS,9S,10aR,12aS,12bR,13S,13aS)-7,12a-bis(acetyloxy)-13-(benzoyloxy)- 3a,4,7,8,8a,9,10,10a,12,12a,12b,13-dodecahydro-9-hydroxy-5,8a,14,14-tetramethyl-2,8-dioxo-6,13a-methano-	58186-27-9	EP 58057	Neuroprotective	Ischaemia, cerebral
IDN-5109		186348-05-0	U.S. 5,264,591	Anticancer, other	Cancer, colorectal

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Idoxifen idraparinux	13aH-oxeto [2",3",5',6'] benzo[1,2:4,5] cyclodeca [1,2-d] dioxy[4-yl ester, 2R,3S] [CAS]	116057-75-1			
	Alpha-D-Glucopyranoside, methyl O-2,3,4- tri-O-methyl-6-O-sulfo-Alpha-D- glucopyranosyl-(1,4)-O-2,3-di-O-methyl-β- D-glucopyranuronosyl-(1,4)-O-2,3,6-tri-O- sulfo-Alpha-D-glucopyranosyl-(1,4)-O-2,3- di-O-methyl-Alpha-L-idopyranuronosyl- (1,4)-, tris(hydrogen sulfate) nonasodium salt [CAS]	149920-56-9	AU 698456	Antithrombotic	Thrombosis, venous
	2-Propenamide, N-(2-hydroxyethyl)-3- phenyl-[CAS]	6961-46-2	U.S. 3,659,014	Anti-inflammatory, topical	
	(7)-2-(4-benzyl piperidino)-1-p- hydroxyphenylpropanol tartrate	23210-58-4			
	2H-1,3,2-Oxazaphosphorin-2-amine, N,3- bis(2-chloroethyl)tetrahydro-2-oxide [CAS]	23210-56-2	U.S. 3,509,164	Neuroprotective	
ifosfamide	N-[3-(Formylamino)-4-oxo-6-phenoxy-4H- chromen-7-yl] methanesulfonamide	3778-73-2	U.S. 3,732,340	Anticancer, alkylating	Cancer, lung, general
	1H-Benzimidazole, 2-((4-methoxy-3- methyl-2-pyridinyl) methyl)sulfinyl)-5-(1H- pyrrol-1-yl)-[CAS]	123663-49-0	DE 3834204	Antiarthritic, other	Arthritis, rheumatoid
	1H-Benzimidazole, 2-((4-methoxy-3- indol-3-ylmethyl)-2-(methylamino)-2- oxoethyl)-2-(2-methylpropyl)-, (S-(R*,S*))- [CAS]	172152-36-2	U.S. 5,703,097	Antiulcer	Ulcer, GI, general
ilomastat	Butanediamide, N4-hydroxy-N1-(1-(1H- indol-3-ylmethyl)-2-(methylamino)-2- oxoethyl)-2-(2-methylpropyl)-, (S-(R*,S*))- [CAS]	142880-36-2	U.S. 5,892,112	COPD treatment	Emphysema, smoking- related
iloperidone	Ethanone, 1-[4-[3-[4-(6-fluoro-1,2- benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3- methoxyphenyl]-[CAS]	133454-47-4	U.S. 5,776,963	Neuroleptic	Schizophrenia
iloprost trometamol	Pentanoic acid, 5-[hexahydro-5-hydroxy-4- (3-hydroxy-4-methyl-1-octen-6-ynyl)-2(H)- pentalenylidene]-[CAS]	78919-13-8	DE 3417638	Prostaglandin	Peripheral vascular disease
ILX23-7553	1Alpha,25-Hydroxy-16-yne vitamin D3			Anticancer, other	Cancer, general
imatnib	4-((Methyl-1-piperazinyl)methyl)-N-[4- methyl-3-[[4-(3-pyridinyl)-2- methanesulfonyl]amino]phenyl]benzamide	152459-95-5	U.S. 5,521,184	Anticancer, other	Cancer, leukaemia, chronic
imidapril	4-Imidazolidinecarboxylic acid, 3-[2-[[[(1- ethoxy carbonyl)-3-phenylpropyl]amino]-1- oxopropyl]-1-methyl-2-oxo-, [4S- [3(R*,R*)],4R*]]-[CAS]	89371-37-9 89396-94-1	EP 95163	Antihypertensive, renin system, Musculoskeletal	myelogenous Hypertension, general, Cachexia
imidazole salicylate	Benzoic acid, 2-hydroxy-, compd. with 1H- imidazole (1:1) [CAS]	36364-49-5	U.S. 4,329,340	Anti-inflammatory	Pain, general
imipenem	1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[2- [(iminomethyl)amino]ethylthio]-7-oxo-, [5R- [5Alpha,6Alpha(R*)]]-[CAS]	64221-86-9 74431-23-5 81129-83-1	GB 1570990	Beta-lactam antibiotic	Infection, general
Imipramine		50-49-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Imipramine N-Oxide imiquimod	1H-Imidazol[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)-[CAS]	6929-98-7 99011-02-6	EP	145340	Infection, human papilloma virus
Imolamine imipitapide	Benzeneacetamide, Alpha-cyclopentyl-4-((2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl)-N-(1R)-2-hydroxy-1-phenylethyl)-(AlphaS)-[CAS]	318-23-0 177469-96-4	EP	705831	Hypolipaeimic/ Antiatherosclerosis
Improsulfan Inaperisone incadronate	Phosphonic acid, [(cycloheptylamino)methylene]bis-, [CAS]	13425-98-4 99323-21-4 138330-18-4			Hypertension, general
Incadronic Acid Indalpine Indanazoline indapamide	4-chloro-N-(2-methylindolin-1-yl)-3-sulfamoylbenzamide	124351-85-5 63758-79-2 40507-78-6 26807-65-8	GB	1203691	Hypertension, general
Indecanid indeloxazine	Morpholine, 2-[(1H-inden-7-yl)oxy]methyl]-[CAS]	74517-78-5 60929-23-9 65043-22-3	JP	52083773	Alzheimer's disease
Indeloxazine indenolol	2-Propanol, 1-[(1H-inden-4(or 7)-yl)oxy]-3-[(1-methylethyl)amino]-[CAS]	65043-22-3 30190-87-5 60607-68-3 68906-88-7	GB	1290343	Antihypertensive, adrenergic
indinavir	D-erthro-Pentonamide, 2,3,5-trideoxy-N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-5-(2-((1,1-dimethylethyl)amino)carbonyl)-4-(3-(phenylmethyl)-1-piperazinyl)-2-(phenylmethyl), [1S-[1Alpha(R*),2Alpha]]-, [CAS]	150378-17-9 157810-81-6	EP	0541168	Infection, HIV/AIDS
indiplon	Acetamide, N-methyl-N-(3-(3-(2-thienyl)carbonyl)pyrazolo[1,5-a]pyrimidin-7-yl)phenyl)-[CAS]	325715-02-4	U.S.	6,399,621	Insomnia
indisetrone	1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, diendo-[CAS]	160472-97-9			Nausea and vomiting, general
indisulam	1,4-Benzenedisulfonamide, N-(3-chloro-1H-indol-7-yl)-[CAS]	165668-41-7			Cancer, lung, non-small cell
Indobufen Indocyanine Green indometacin	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-[CAS]	63610-08-2 3599-32-4 53-86-1			Inflammation, general
Indoprofen indoramin	Benzamide, N-[1-[2-(1H-indol-3-yl)ethyl]-4-piperidinyl]-[CAS]	31842-01-0 26844-12-2 38821-52-2	GB	1218570	Antihypertensive, adrenergic
Induclern			U.S.	5,993,810	Labour, induction

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Infliximab		170277-31-3			
Inosine Pranobex		36703-88-5			
Inositol		87-89-8			
Inositol Niacinate		6556112			
Iobenguane		80663-95-2			
Iobenzamic Acid		3115057			
Iobitridol		136949-58-1			
Iocarmic Acid		10397-75-8			
Iocetamic Acid		16034-77-8			
Iodamide		440-58-4			
iodine	Iodine [CAS]	7553-56-2		Formulation, oral, other	Fibrocystic breast disorder
Iodipamide		606-17-7			
Iodixanol		92339-11-2			
Iodoaliphonic Acid		577-91-3			
iodochlorhydroxyquin	5-Chloro-7-iodo-8-quinolinol	130-26-7		Cognition enhancer	Alzheimer's disease
Iodoform		75-47-8			
Iodopyracet		300-37-8			
Iodopyrrole		87-58-1			
Iodoquinol		83-73-8			
Iofetamine ¹²³ I		75917-92-9			
Ioglycamic Acid		2618-25-9			
Iohexol		66108-95-0			
Iomeglamic Acid		25827-76-3			
Iomeprol		78649-41-9			
Iopamidol		60166-93-0			
Iopanoic Acid		96-83-3			
Iopentol		89797-00-2			
Iophendylate		99-79-6			
Iophenoxic Acid		96-84-4			
Iopromide		73334-07-3			
Iopronic Acid		41473-08-9			
Iopydol		5579-92-0			
Iopydone		5579-93-1			
Iothalamic Acid		2276-90-6			
Iotrolan		79770-24-4			
Ioversol		87771-40-2			
Ioxaglic Acid		59017-64-0			
Ioxilan		107793-72-6			
IP-751	(3R,4R)-(delta6)-THC-DMH-11-oic acid	WO	9401429	Analgesic, other	Pain, neuropathic
Ipidacrine		62732-44-9			
IPL-576092	Stigmastan-15-one, 22,29-epoxy- 3,4,6,7,29-pentahydroxy-, (3Alpha,4beta,5Alpha,6Alpha,7beta,14beta,22S)- [CAS]	U.S.	6,046,185	Antiasthma	Asthma

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Iodate ipratropium bromide		5587-89-3 66985-17-9 22254-24-6		Formulation, inhalable, solution	Chronic obstructive pulmonary disease Asthma
ipratropium	(endo, syn)-(±)-3-(3-Hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-(1-methylethyl)-8-azoniabicyclo[3.2.1]octane			Formulation, inhalable, topical	Asthma
ipratropium	(endo, syn)-(±)-3-(3-Hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-(1-methylethyl)-8-azoniabicyclo[3.2.1]octane			Formulation, inhalable, topical	Asthma
iprazoschrome	Hydrazinecarboxamide, 2-[1,2,3,6-tetrahydro-3-hydroxy-1-(1-methylethyl)-6-oxo-5H-indol-5-ylidene]-[CAS]	7248-21-7		Haemostatic	
ipriflavone	4H-1-Benzopyran-4-one, 7-(1-methylethoxy)-3-phenyl-[CAS]	35212-22-7	EP 214647	Osteoporosis treatment	Osteoporosis
Ipindole		5560-72-5			
Iproclozid		3544-35-2			
Iponiazid		54-92-2			
Ipsapiron		95847-70-4			
irbesartan	2-n-butyl-4-spiro[cyclopentane-1-((2'-tetrazol-5-yl)phenyl)-4-y]methyl]-2-imidazolin-5-one	138402-11-6	WO 9114679	Antihypertensive, renin system	Hypertension, general
IRFI-042	Butanedioic acid, mono[2-[2-(acetylthio)ethyl]-2,3-dihydro-4,6,7-trimethyl-5-benzofuran-1-yl] ester, (+/-)-[CAS]	134867-62-2	U.S. 5,114,966	Cardiovascular	Atherosclerosis
IRFI-165	N-Cyclopentyl-1-methylimidazo[1,2-a]quinoxalin-4-amine	191349-26-5	EP 865442	Antidepressant	Depression, general
Iridomyrmecin irindalone	-Imidazolidinone, 1-[2-[4-[3-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]-1-piperazinyl]ethyl]-, (1R-trans)-[CAS]	485-43-8 104113-57-7 96478-43-2	EP 183349	Antidepressant	Depression, general
Irinotecan irofulven	Spiro[cyclopropane-1,5'-[5H]inden]-7'(6H)-one, 6'-hydroxy-2',4',6'-trimethyl-, (R)-[CAS]	97682-44-5 125392-76-9	U.S. 5,563,176	Anticancer, other	Cancer, prostate
Iron Sorbitex irsogladine	1,3,5-Triazine-2,4-diamine, 6-(2,5-dichlorophenyl)-[CAS]	1338-16-5 57381-26-7 57381-28-9	U.S. 4,657,907	Antihypertensive, diuretic	Hypertension, general
IS-741	Cyclohexanecarboxamide, N-[2-[(ethylsulfonyl)amino]-5-(trifluoromethyl)-3-pyridinyl]-[CAS]	57381-33-6 141283-87-6	EP 465913	GI inflammatory/ bowel disorders	Pancreatitis
isaglitazone	2,4-Thiazolidinedione, 5-[[6-[(2-fluorophenyl)methoxy]-2-naphthalenyl]methyl]-[CAS]	161600-01-7	U.S. 5,594,016	Antidiabetic	Diabetes, Type II
ISAtx-247			NZ 502362	Immunosuppressant	Transplant rejection, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Isbogrel isepamicin	D-Streptamine, O-6-amino-6-deoxy-Alpha-D-glucopyranosyl-(1-4)-O-[3-deoxy-4-C-methyl-3-(methylamino)-β-L-arabinopyranosyl-(1-6)]-N1-(3-amino-2-hydroxy-1-oxopropyl)-2-deoxy-, (S)-[CAS]	89667-40-3 58152-01-5 58152-03-7	U.S. 4,029,882	Aminoglycoside antibiotic	Infection, dermatological
Isoaminile Isobutyl p- Aminobenzoate Asocarbinoxazid isocanazole		77-51-0 94-14-4 59-63-2 24168-96-5 27523-40-6			
Isoetharine isofloxythepin	1-[2-[2-6-dichlorobenzyloxy]-2-(2,4-dichlorophenyl)ethyl]	530-08-5 106819-39-0 106819-41-4 70931-18-9	GB 1244530	Antifungal	Infection, fungal, general
isoflurane	1-Piperazineethanol, 4-[3-fluoro-10,11-dihydro-8-(1-methylethyl)Xibenzob[<i>b</i> , <i>f</i>]thiepin-10-yl]-[CAS] Ethane, 2-chloro-2-(difluoromethoxy)-1,1,1-trifluoro-[CAS]	26675-46-7 55-91-4 530-34-7 466-40-0 503-01-5 54-85-3 57021-61-1 303-14-0 71-81-8 67-63-0 120373-24-2	U.S. 3,555,388	Anaesthetic, inhalation	Anaesthesia
Isofluroplate Isoladol Isomethadone Isomethiptene Isoniazid Isonixin Isopromethazine Isopropamide Iodide Isopropyl Alcohol isopropyl unoprostone	5-Heptenoic acid, 7-(3,5-dihydroxy-2-(3-oxodecyl)cyclopentyl)-, 1-methylethylester, (1R-(1Alpha(Z), 2β,3Alpha,5Alpha))-[CAS] D-Glucitol, 1,4:3,6-dianhydro-, dinitrate [CAS] D-Glucitol, 1,4:3,6-dianhydro-, 5-nitrate [CAS] Retinoic acid, 13-cis-[CAS]	7683-59-2 652-67-5 87-33-2 16051-77-7 482-15-5 4759-48-2 533-32-4 55453-87-7 34552-84-6 395-28-8	EP 289349	Prostaglandin Formulation, modified- release, other Formulation, modified- release, other Antiacne	Glaucoma Angina, general Angina, general Acne
Isoproterenol Isosorbide isosorbide dinitrate					
isothipendyl isotretinoin Isovaleryl Diethylamide Isoxepac Isoxicam Isoxsuprine					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
isradipine	3,5-Pyridinedicarboxylic acid, 4-(4-benzofuranyl)-1,4-dihydro-2,6-dimethyl-, methyl 1-methylethyl ester [CAS]	75695-93-1	GIB 2037766	Antihypertensive, other	Hypertension, general
israpafant	6H-Thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine, 4-(2-chlorophenyl)-6,9-dimethyl-2-[2-(4-(2-methylpropyl)phenyl)ethyl]-[CAS]	117279-73-9	EP 268242	Antiasthma	Asthma
ISV-403			U.S. 5,447,926	Formulation, mucosal, topical	Conjunctivitis
Itasectron ITF-282	ITF 282 [CAS]	123258-84-4 93615-44-2	GB 2115821	Antianaemic	Anaemia, general
itopride	Benzamide, N-[[4-[2-(dimethylamino)ethoxy]phenyl]methyl]-3,4-dimethoxy-, monohydrochloride [CAS]	122892-31-3	EP 306827	Gastroprokinetic	Gastritis
itraconazole	3H-1,2,4-Triazol-3-one, 4-[4-[4-[2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)methyl]-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2,4-dihydro-2-(1-methylpropyl)-[CAS]	84625-61-8	EP 6711	Antifungal	Infection, fungal, general
Itramin itriglumide	1-Naphthalenepropanoic acid, β -[2-[2-(8-azaspiro[4.5]dec-8-ylcarbonyl)-4,6-dimethylphenyl]amino]-2-oxoethyl]-, (BR)-[CAS]	13445-63-1 201605-51-8	WO 9800404	Anxiolytic	Anxiety, general
iturelix	D-Alaninamide N-acetyl-3-(2-naphthalenyl) D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-(3-pyridinylcarbonyl)-L-lysyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methyl-ethyl)-L-lysyl-L-prolyl-[CAS]	112568-12-4	WO 8901944	Fertility enhancer	Infertility, female
ivabradine	7,8-dimethoxy-3-(3-[[[(1S)-(4S-dimethoxybenzocyclobutan-1-yl)methyl]methyl]amino]propyl)-1,3,4,5-tetrahydro-2H-benzazepin-2-one			Antianginal	Angina, general
ixabepilone	17-Oxa-4-azabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,10,12,16-pentamethyl-3-(1-methyl-2-(2-methyl-4-thiazolyl)ethenyl), (1R,3S,7S,10R,11S,12S,16R) [CAS]	219989-84-1		Anticancer, other	Cancer, breast
J-104132	5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-2-butyl-7-[2]-(2S)-2-carboxypropyl]-4-methoxyphenyl]-6,7-dihydro-, (5S,6R,7R)-[CAS]	198279-45-7	WO 9737665	Antihypertensive, other	Heart failure
J-107088	5H-Indole(2,3-a)pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 1,2- β -D-glucopyranosyl-1,2,13-dihydro-2,10-dihydroxy-6-(2-hydroxy-1-(hydroxymethyl)ethyl)amino-[CAS]	174402-32-5		Anticancer, other	Cancer, bladder

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
J-113397	1-[(3R,4R)-1-cyclooctylmethyl-3-hydroxymethyl-4-piperidinyl]-3-ethyl-1,3-dihydro-2H-benzimidazole-2-one	202475-60-3		Analgesic, other	Pain, general
Janex-1	Phenol, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-[CAS]			Anticancer, other	Cancer, leukaemia, general
josamycin	Leucomycin V, 3-acetate 4B-(3-methylbutanoate) [CAS]	16846-24-5	JP 41021759	Macrolide antibiotic	Infection, general
JTV-519	1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-4-[1-oxo-3-[4-(phenylmethyl)-1-piperidinyl]propyl]-[CAS]	145903-06-6	WO 9212148	Cardiovascular	Infarction, myocardial
K-777			U.S. 6,287,840	Protozoacide	Infection, trypano-somiasis, American
Kaiaic Acid		487-79-6			
Kalimate	Kalimate- [CAS]	92354-70-6		Urological	
Kallidin		342-10-9			
KB-130015	Acetic acid (2,6-diiodo-4-(2-methyl-3-benzofuranyl)methyl)phenoxyl-[CAS]	147030-48-6		Antiarrhythmic	Arrhythmia, general
KCB-328	Methanesulfonamide, N-[3-amino-4-[2-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]ethoxy]phenyl]-, monohydrochloride [CAS]	177596-55-3	WO 9604231	Antiarrhythmic	Arrhythmia, general
Kebuzone		853-34-9			
ketamine	2-(2-Chlorophenyl)-2-(methylamino)-cyclohexanone hydrochloride	6740-88-1		Formulation, transmucosal, nasal	Pain, post-operative
ketanserlin	2,4(1H,3H)-Quinazolinedione, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-[CAS]	74050-98-9	EP 13612	Antihypertensive, other	Hypertension, general
ketazolam	4H-[1,3]Oxazino[3,2-d][1,4]benzodiazepine-4,7(6H)-dione, 11-chloro-8,12b-dihydro-2,8-dimethyl-12b-phenyl-[CAS]	83846-83-7 27223-35-4	GB 1222294	Anxiolytic	
Kethoxal		27762-78-3			
Ketobemidone		469-79-4			
ketoconazole	Piperazine, 1-acetyl-4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-, cis-[CAS]	65277-42-1	U.S. 4,335,125	Antifungal	Infection, fungal, general
ketoprofen	mono(3-benzoyl- α -methylbenzeneacetate) [CAS]	173011-11-5	EP 502502	Formulation, transdermal, systemic	Pain, general
ketorolac	1H-Pyrrrolizine-1-carboxylic acid, 5-benzoyl-2,3-dihydro-, (+)-[CAS]	74103-06-3 74103-07-4	EP 53021	Analgesic, NSAID	
Ketorolac					
Tromethamine					
ketotifen	10-H-Benzo[4,5]cyclohepta[1,2-b]thiophen-10-one, 4,9-dihydro-4-(1-methyl-4-piperidinylidene)-, (E)-2-butenedioate (1:1)-[CAS]	34580-13-7 34580-14-8	GB 1355539	Antiasthma	Asthma

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Khedin kinetin KNI-272	4-Thiazolidinecarboxamide, N-(1,1-dimethyl-ethyl)-3-[2-hydroxy-3-[[2-[(5-isoquinolinyloxy)acetyl]amino]-3-(methylthio)-1-oxopropyl]amino]-1-oxo-4-phenylbutyl]-, [4R-[3[2S*,3S*(R*)],4R*]]-[CAS]	82-02-0 9001-29-0 147318-81-8	U.S. 5,466,028	Dermatological Antiviral, anti-HIV	Photodamage Infection, HIV/AIDS
KP-103	(R,R)-2-(2,4-Difluorophenyl)-3-(4-methyl-1-ene-1-yl)-1-(1,2,4-triazol-1-yl)-2-butanol			Antifungal	Infection, general
KP-157			U.S. 6,110,961	Antidepressant	Depression, general
KP-544 KRN-5500	L-glycero-β-L-manno- Heptopyranosylamine, 4-deoxy-4- [[[(2E,4E)-1-oxo-2,4- tetradecadienyl]amino]acetyl]amino]-N-1H- purin-6-yl]-[CAS]	151276-95-8	WO 9919305 WO 9015811	Cognition enhancer Anticancer, antibiotic	Unspecified Cancer, colorectal
KT-136	Alpha-D-Glucopyranoside, β-D- fructofuranosyl, mixt. with 1-ethenyl-2- pyrrolidinone homopolymer compd. with iodine [CAS]	121602-88-8		Formulation, dermal, topical	Ulcer, decubitus
KUL-7211	(-)-2-[(2S)-1,2,3,4-tetrahydro-2-[[[(2R)-2- hydroxy-2-(4- hydroxyphenyl)ethyl]amino]naphthalen-7- yloxy]-N,N-dimethylacetamide hydrochloride monohydrate	207862-44-0		Urological	Urinary calculus
KW-2170	6H-Pyrazolo[4,5,1-de]acridin-6-one,5-[(3- aminopropyl)amino]-7,10-dihydroxy-2-[[[2- hydroxyethyl]amino]methyl]-, dihydrochloride [CAS]	155270-99-8		Anticancer, alkylating	Cancer, lung, non-small cell
KW-6002	1H-Purine-2,6-dione, 8-(2-(3,4- dimethoxyphenyl)ethyl)-1,3-diethyl-3,7- dihydro-7-methyl-(E)-[CAS]			Antiparkinsonian	Parkinson's disease
KW-7158	3,3,3-Trifluoro-2-hydroxy-2-methyl-N-(10- oxo-4,10-dihydrothieno[3,2-C][1] benzothiepin-9-yl)propanamide 5,5 dioxide			Urological	Incontinence
L-365260	Urea, N-(2,3-dihydro-1-methyl-2-oxo-5- phenyl-1H-1,4-benzodiazepin-3-yl)-N-(3- methylphenyl)-, (R)-[CAS]	118101-09-0	EP 284256	Anticancer, other	Cancer, general
L-5-hydroxy- tryptophan L-745357	L-Tryptophan, 5-hydroxy-[CAS]	4350-09-8		Metabolic and enzyme disorders	Unspecified
	Methanesulfonamide, N-[6-[(2,4- difluorophenyl)thio]-2,3-dihydro-1-oxo-1H- inden-5-yl]-[CAS]	158205-05-1	WO 9413635	Analgesic, NSAID	Pain, general
L-758298	Phosphonic acid, [3-[[[2R,3S)-2-((1R)-1- [3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-(4- fluorophenyl)-4-morpholinyl]methyl]-2,5- dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-[CAS]	172673-20-0	WO 9523798	Antimetic	Chemotherapy- induced nausea and vomiting

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
L-826141 labetalol	5-[1-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]ethyl]salicylamide HCl	32780-64-6 36894-69-6	WO 9722585 U.S. 4,012,444	Antiasthma	Unspecified
lacidipine	3,5-Pyridinedicarboxylic acid, 4-[2-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]phenyl]-1,4-dihydro-2,6-dimethyl-, diethyl ester, (E) [CAS]	103890-78-4	GB 2164336	Antihypertensive, adrenergic Antihypertensive, other	Hypertension, general
Lactic Acid lactol	D-Glucitol, 4-O-β-D-galactopyranosyl- [CAS]	585-86-4		Hepatoprotective	Infection, neurological
Lactulose lafutidine	Acetamide, 2-[(2-furanylmethyl)sulfinyl]-N-[4-[4-(1-piperidinylmethyl)-2-pyridinyloxy]-2-butenyl]-, (Z)- [CAS]	4618-18-2 118288-08-7 169899-19-8	EP 282077	Antitumor	Ulcer, gastric
Lamifiban lamivudine	2(1H)-Pyrimidinone, 4-amino-1-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-, (2R, cis)- [CAS]	144412-49-7 134678-17-4	EP 51397	Antiviral, anti-HIV	Infection, HIV/AIDS
lamotrigine	1,2,4-Triazine-3,5-diamine, 6-(2,3-dichlorophenyl)- [CAS]	84057-84-1	EP 21121	Antiepileptic	Epilepsy, partial (focal, local)
landiolol	Benzenepropanoic acid, 4-[2-hydroxy-3-[[2-(4-morpholinylcarbonyl)amino]ethyl]amino]propoxyl-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester, [S-(R*-R*)]-HCl dihydrochloride	133242-30-5	EP 397031	Antiarrhythmic	Tachycardia, general
lanicemine	(S)-Alpha-phenyl-2-pyridine ethanamine dihydrochloride	153322-05-5		Neurological	Unspecified
laniquidar	Methyl 6,11-dihydro-11-[1-[2-[4-(2-quinolylmethoxy)phenyl]ethyl]-4-piperidinylidene]-5H-imidazo[2,1-b][3]benzazepine-3-carboxylate	197509-46-9	WO 9734897	Radio/chemosensitizer	Cancer, general
lanoconazole	1H-Imidazole-1-acetonitrile, Alpha-[4-(2-chlorophenyl)-1,3-dithiolan-2-ylidene]-, (E)- (±)- [CAS]	101530-10-3	U.S. 4,738,976	Antifungal	Infection, fungal, general
Lanotepase Lanreotide lansoprazole	1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]- [CAS]	171870-23-8 108736-35-2 103577-45-3			
lanthanum carbonate	Carbonic acid, lanthanum(3+) salt (3:2) [CAS]	587-26-8	U.S. 5,968,976	Urological	Hyperphosphataemia
lapatinib	4-Quinazolinamine, N-[3-chloro-4-[(3-fluorobenzyl)methoxy]phenyl]-6-[5-[[[2-[methylsulfonyl]ethyl]amino]methyl]furan-2-yl]	388082-78-8		Anticancer, other	Cancer, breast
laquinimod		248281-84-7		Multiple sclerosis treatment	Multiple sclerosis, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
lasofoxiene	2-Naphthalenol, 5,6,7,8-tetrahydro-6-phenyl-5-(4-(2-(1-pyrrolidinyl)ethoxy)phenyl)-(5R-cis)-, (S-(R*, R*)-2,3-dihydroxybutanedioate [CAS]	190791-29-8	WO 9716434	Menopausal disorders	Hormone replacement therapy
latamoxef	5-Oxa-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[carboxy(4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-]-[CAS]	64952-97-2 64953-12-4	GB 1547351	Beta-lactam antibiotic	Infection, general
latanoprost	5-Heptenoic acid, 7-(3,5-dihydroxy-2-(3-hydroxy-5-phenylpentyl)cyclopentyl)-, 1-methylethyl ester, (1R-(1Alpha(Z),2beta(R*),3Alpha,5Alpha))-[CAS]	130209-82-4	WO 9002553	Prostaglandin	Glaucoma
Lauroguadine Laurolinium Acetate Lawson LAX-111	1-(Z,Z,Z,Z,Z-eicosa-5,8,11,14,17-pentaenyl)oxy)-3-(Z,Z,Z,Z,Z-eicosa-5,8,11,14,17-pentaenyl)oxy)-propane	135-43-3 146-37-2 83-72-7			
Lazabemide LB-30057	Benzene-carboximide acid, 4-[(2S)-3-(cyclopentylmethyl)amino]-2-[(2-naphthalenylsulfonyl)amino]-3-oxopropyl]-, hydrazide [CAS]	103878-84-8	WO 9749673	Antithrombotic	Thrombosis, venous
L-Cystine Lefetamine leflunomide	4-Isosazolecarboxamide, 5-methyl-N-[4-(trifluoromethyl)phenyl]-[CAS]	7262-75-1 75706-12-6	EP 13376	Anti-arthritis, immunological	Arthritis, rheumatoid
leflunomide	4-Isosazolecarboxamide, 5-methyl-N-[4-(trifluoromethyl)phenyl]-[CAS]	104981-93-3 75706-12-6 5633-16-9	U.S. 5,610,173	Anticancer, other	Cancer, ovarian
Leipopyrrole lenampicillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl ester, [2S-[2Alpha,5Alpha,6(R*)]]-[CAS]	80734-02-7 86273-18-9	EP 61206	Penicillin, oral	Infection, general
lentinan	Lentinan [CAS]	37339-90-5		Anticancer, immunological	Cancer, stomach
Lepirudin lercanidipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[(3,3-diphenylpropyl)methyl]amino]-1,1-dimethylethyl methyl ester-, hydrochloride [CAS]	100427-26-7 132866-11-6	U.S. 4,705,797	Antihypertensive, other	Hypertension, general
lerisetron	1H-Benzimidazole, 1-(phenylmethyl)-2-(1-piperazinyl)-[CAS]	143257-98-1	U.S. 5,256,665	Antimetic	Nausea and vomiting, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Lesopitron Iteprelim	Benzoic acid, 4-(3-(1,6-dihydro-6-oxo-9H-purin-9-yl)-1-oxopropyl)amino), monopotassium salt [CAS]	132449-46-8 138117-50-7	U.S. 6,338,963	Antiparkinsonian	Parkinson's disease
Ietosteine	4-Thiazolidinecarboxylic acid, 2-[2-[(2-ethoxy-2-oxoethyl)phiolethyl]-[CAS]	53943-88-7	U.S. 4,032,534	COPD treatment	Bronchitis, chronic
Ietrozole	Benzonitrile, 4,4'-(1H-1,2,4-triazol-1-ylmethylene)bis-[CAS]	112809-51-5	EP 236940	Anticancer, hormonal	Cancer, breast
Leucoyanidin Leuprolide	Luteinizing hormone-releasing factor (pig), 6-D-leucine-9-(N-ethyl-L-prolinamide)-10-deglycinamide-, monoacetate (salt) [CAS]	480-17-1 53714-56-0 53714-56-0 74381-53-6		Formulation, implant	Cancer, prostate
leuprorelin	Luteinizing hormone-releasing factor (pig), 6-D-leucine-9-(N-ethyl-L-prolinamide)-10-deglycinamide-, [CAS]	53714-56-0		Formulation, implant	Cancer, prostate
Levallophan levamisole	Imidazo[2,1-b]thiazole, 2,3,5,6-tetrahydro-6-phenyl-, (S)-[CAS]	152-02-3 14769-73-4 16895-80-5	U.S. 4,584,305	Anthelmintic	Infection, helminth, general
Levromakalim levetiracetam	1-Pyrrolidineacetamide, Alpha-ethyl-2-oxo-, (S)-[CAS]	94535-50-9 102767-28-2	EP 162036	Antiepileptic	Epilepsy, general Glaucoma
levobetaxolol	2-Propanol, 1-(4-(2-(cyclopropylmethoxy)ethyl)phenoxy)-3-[(1-methylethyl)amino] hydrochloride [CAS]	116209-55-3		Formulation, mucosal, topical	
levobunolol	1(2H)-Naphthalenone, 5-[3-[(1,1-dimethyl)ethyl]amino]-2-hydroxypropoxy]-3,4-dihydro-, (S)-[CAS]	27912-14-7 47141-42-4	U.S. 3,641,152	Formulation, mucosal, topical	Glaucoma
levobupivacaine	2-Piperidinecarboxamide, 1-butyl-N-(2,6-dimethylphenyl)-, (S)-[CAS]	27262-47-1	WO 9510276	Anaesthetic, injectable	Anaesthesia
levocabastine	4-Piperidinecarboxylic acid, 1-[4-cyano-4-(4-fluorophenyl)levolohexyl]-3-methyl-4-phenyl-, [3S-[[(cis),3,Alpha,4β]]-[CAS]	79449-98-2 79516-68-0 79547-78-7	U.S. 4,369,184	Antiallergic, non-asthma	Rhinitis, allergic, general
levocetirizine	Acetic acid, (2-(4-(4-chlorophenyl)phenylmethyl)-1-piperazinyl)ethoxy)-, (R)-[CAS]	130018-77-8	WO 9406429	Antiallergic, non-asthma	Allergy, general
Levodopa levodropropizine	1,2-Propanediol, 3-(4-phenyl-1-piperazinyl)-, (S)-[CAS]	59-92-7 99291-25-5	EP 147847	Antitussive	Cough
levofloxacin	7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (S)-[CAS]	100986-85-4 138199-71-0	EP 206283	Quinolone antibacterial	Infection, respiratory tract, lower
Levomethadyl Acetate levomoprolol	2-Propanol, 1-(2-methoxyphenoxy)-3-[(1-methylethyl)amino]-, (S)-[CAS]	1477-40-3 27058-84-0 5741-22-0 77164-20-6	EP 15418	Antihypertensive, adrenergic	
levonorgestrel	18,19-Dinopregn-4-en-20-yn-3-one, 13-ethyl-17-hydroxy-, (17Alpha)-[CAS]	797-63-7		Formulation, implant	Contraceptive, female

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Levopropacetopirane		24558-01-8			
Levopropoxyphenene		2338-37-6			
Levorphanol		77-07-6			
levosimendan	Propanedinitrile, [[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]hydrazono]-, (R)-[CAS]	131741-08-7	EP 383449	Cardio stimulant	Heart failure
	Benzamide, 5-(aminosulfonyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methoxy-, (S)-[CAS]	141505-33-1			
levosulpride		23672-07-3	GB 2014990	Antiemetic	Dyspepsia
Levothyroxine					
levovirin	1-β-L-ribofuranosyl-1,2,4-triazole-3-carboxamide			Antiviral, other	Infection, hepatitis-C virus
lexipafant	L-Leucine, N-methyl-N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]phenyl]sulfonyl]-, ethyl ester-[CAS]	139133-26-9	WO 9203423	Neurological	Dementia, AIDS-related
LF-15-0195			WO 9624579	Immunosuppressant	Lupus erythematosus, general
LF-16-0687	2-Pyrrolidinecarboxamide, N-[3-[[4-(aminoininomethyl)benzoyl]amino]propyl]-1-[[2,4-dichloro-3-[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (2S)-[CAS]	209733-45-9	FR 2756562	Neuroprotective	Head trauma
LGD-1550	2,4,6-Octatrienoic acid, 7-(3,5-bis(1,1-dimethyl[ethyl]phenyl)-3-methyl-(2E,4E,6E)-[CAS]	178600-20-9		Anticancer, other	Cancer, cervical
LH		902-67-9			
LH-RH		934-40-6			
liarozote	1H-Benzimidazole, 5-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-[CAS]	115575-11-6		Formulation, other	Psoriasis
licofelone	1H-Pyrrolizine-5-acetic acid, 6-(4-chlorophenyl)-2,3-dihydro-2,2-dimethyl-7-phenyl-[CAS]	156897-06-2		Antiarthritic, other	Arthritis, osteo
Licostinel		153504-81-5			
lidatronate	Phosphonic acid, [1-amino-3-(dimethylamino)propylidene]bis-[CAS]	63132-38-7	WO 9702827	Urological	Unspecified
Lidamidine		66871-56-5			
lidocaine	Acetamide, 2-(diethylamino)-N-(2,6-dimethylphenyl)-[CAS]	137-58-6		Formulation, transdermal, patch	Pain, post-herpetic
Lidofenin		59160-29-1			
Lidoflazine		3416-26-0			
limaprost	Prosta-2,13-dien-1-oic acid, 11,15-dihydroxy-17,20-dimethyl-9-oxo-, (2E,11Alpha,13E,15S,17S)-, [CAS]	74397-12-9	GB 2041368	Prostaglandin	Buerger's syndrome
Lincomycin		154-21-2			
Lindan		58-89-9			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
linezolid	Acetamide, N-((3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl)-, (S)-[CAS]	165800-03-3	WO 9507271	Antibiotic, other	Infection, dermatological
Linoleic Acid		60-33-3			
Linolenic Acid		463-40-1			
Liothyronine		6893023			
Lipase		9001-62-1			
Lipo-dexamethasone	Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-(1-(1-oxohexadecyloxy)-, (11 β ,16 α)-[CAS]	14899-36-6		Formulation, optimized, microemulsion	Arthritis, rheumatoid
lipo-flurbiprofen	[1,1'-Biphenyl]-4-acetic acid, 2-fluoro- α -methyl-, 1-(acetoxyethyl) ester [CAS]	91503-79-6	JP 60208910	Formulation, optimized, microemulsion	Pain, cancer
Lipogel HA			EP 525655	Formulation, optimized, liposomes	Unspecified
LiquiVent	perfluorooctylbromide	423-55-2	U.S. 5,437,272	Lung Surfactant	Respiratory distress
liranafate	Carbamothioic acid, (6-methoxy-2-pyridinyl)methyl-, O-(5,6,7,8-tetrahydro-2-naphthalenyl) ester [CAS]	88678-31-3	GB 2124617	Antifungal	Infection, dermatological
lisinopril	L-Proline, 1-[N2-(1-carboxy-3-phenylpropyl)-L-lysyl]-, (S)-[CAS]	76547-98-3 83915-83-7 100324-81-0	EP 12401	Antihypertensive, renin system	Hypertension, general
Lisofyllin		19875-60-8		Antiprolactin	Acromegaly
lisuride	Urea, N'-[(8 α)-9,10-didehydro-6-methylergolin-8-yl]-N,N-diethyl-, [CAS]	305-13-5 18016-80-3 919-16-4			
Lithium Citrate		554-13-2			
lithium	Carbonic acid, dilithium salt [CAS]			Formulation, modified-release, <24 hr	Depression, bipolar
lixivaptan	Benzamide, N-[3-chloro-4-(5H-pyrrolo[2,1-c][1,4]benzodiazepin-10(11H)-ylcarbonyl)phenyl]-5-fluoro-2-methyl-[CAS]	168079-32-1	U.S. 5,736,540	Cardiovascular	Heart failure
LJP-1082			U.S. 6,207,160	Immunosuppressant	Thrombosis, venous
LLU α pha	S-2,7,8-Trimethyl-6-(β -carboxyethyl)-6-hydroxycyclohexan			Antihypertensive, other	Hypertension, general
LMP-160			U.S. 5,643,893	Antiasthma	Asthma
LMP-420			U.S. 5,643,893	Antiasthma	Arthritis, Arthritis,
lobaplatin	Platinum, (1,2-cyclobutanedimethanamine-N,N')-[2-hydroxypropanoato(2-)-O1,O2]-, [SP-4-3-(S)(trans)]-[CAS]	135558-11-1	DE 4115559	Anticancer, alkylating	Cancer, lung, small cell
Lobeline		90-69-7			
Lobenzarit		63329-53-3			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
lodoxamide	2,2'-(2-chloro-5-cyano-1,3-phenylene)dimino bis(2-oxoacetate)-2-amino-2-(hydroxymethyl)-1,3-propanediol (1:2)	63610-09-3 53882-12-5	U.S. 4,439,445	Antiasthma	Asthma
Lofentanil lofepramine	Erihanone, 1-(4-chlorophenyl)-2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]-[CAS]	61380-40-3 23047-25-8 26786-32-3	GB 1177525	Antidepressant	
lofexidine	1H-Imidazole, 2-[1-(2,6-dichlorophenoxy)ethyl]-4,5-dihydro-[CAS]	31036-80-3	GB 1181356	Antihypertensive, adrenergic	Hypertension, general
Loflucaban lomefloxacin	3-Quinolonecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo-[CAS]	790-69-2 98079-51-7 98079-52-8	EP 140116	Quinolone antibacterial	Infection, respiratory tract, lower
lometizine	Piperazine, 1-bis(4-fluorophenyl)methyl-4-[[2,3,4-trimethoxyphenyl)methyl]-, [CAS]	101477-54-7 101477-55-8	EP 159566	Antimigraine	Migraine
lomitifline	7-(5-oxohexyl)theophylline	10226-54-7	DE 2207860	Neurological	
lomustine	Urea, N-(2-chloroethyl)-N'-cyclohexyl-N-nitroso-[CAS]	13010-47-4	JP 48075526	Anticancer, alkylating	Anticancer, other
lonafarnib	1-Piperidinedicarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzol[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-[CAS]	193275-84-2	U.S. 5,874,442	Anticancer, other	Cancer, lung, non-small cell
Lonapalene		91431-42-4			
Lonazolac		53808-88-1			
lonidamine	1H-Indazole-3-carboxylic acid, 1-[(2,4-dichlorophenyl)methyl]-[CAS]	50264-69-2	DE 2310031	Radio/chemosensitizer	Cancer, breast
loperamide	4-(p-chlorophenyl)-4-hydroxy-N,N-dimethyl-Alpha,Alpha-diphenyl-1-piperidine butyramide HCl	34552-83-5 53179-11-6	U.S. 3,714,159	Antidiarrhoeal	Diarrhoea, general
loperamide oxide	1-Piperidinedibutanamide, 4-(4-chlorophenyl)-4-hydroxy-N,N-dimethyl-Alpha,Alpha-diphenyl-, 1-oxide, trans-[CAS]	106900-12-3	EP 219898	Antidiarrhoeal	Diarrhoea, general
loprazolam	1H-Imidazo[1,2-a][1,4]benzodiazepin-1-one, 6-(2-chlorophenyl)-2,4-dihydro-2-[(4-methyl-1-piperazinyl)methyl]ene]-8-nitro-[CAS]	61197-73-7 61197-93-1 70111-54-5	GB 1496426	Hypnotic/Sedative	
Loprinone loracarbef	1-Azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[(aminophenylacetyl)amino]-3-chloro-8-oxo-, [6R-[6Alpha,7beta(R*)]]-[CAS]	106730-54-5 76470-66-1 121961-22-6	EP 14475	Cephalosporin, oral	Infection, respiratory tract, lower
Lorajmine loratadine	1-Piperidinedicarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzol[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester-[CAS]	47562-08-3 79794-75-5	EP 42544	Antiallergic, non-asthma	Rhinitis, allergic, general
lorazepam	2H,1,4-Benzodiazepin-2-one, 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-3-hydroxy-Benzeneacetamide, N-(4-chlorophenyl)-N-[1-(1-methylethyl)-4-piperidinyl]-[CAS]	846-49-1 58934-46-6 59729-31-6	DE 2642856	Formulation, oral, orally-disintegrating	Epilepsy, general

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
lormetazepam	2H-1,4-Benzodiazepin-2-one, 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-3-hydroxy-1-methyl-[CAS]	848-75-9	U.S. 3,296,249	Hypnotic/Sedative	Insomnia
lornoxicam	2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-2-pyridinyl-, 1,1-dioxide-[CAS]	70374-39-9	EP 313935	Analgesic, NSAID	Pain, post-operative
losartan	1H-Imidazole-5-methanol, 2-butyl-4-chloro-1-[[2-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, [CAS]	124750-99-8 114798-26-4	EP 253310	Antihypertensive, renin system	Hypertension, general
loteprednol	Androsta-1,4-diene-17-carboxylic acid, 17-[(ethoxycarbonyloxy)-11-hydroxy-3-oxo-, chloromethyl ester, (11β,17α)ph]-[CAS]	82034-46-6	GIB 2079755	Anti-inflammatory, topical	Uveitis
Lotrafiban		171049-14-2			
Lovastatin		75330-75-5			
Loxapine		1002/1977			
loxiglumide	Pentanoic acid, 4-[(3,4-dichlorobenzoylamino)-(3-methoxypropyl)pentylamino]-5-oxo-, (±)-[CAS]	107097-80-3	WO 8703869	GI inflammatory/bowel disorders	Pancreatitis
loxoprofen	Benzeneacetic acid, Alpha-methyl-4-[(2-oxocyclopentyl)methyl]-[CAS]	68767-14-6 80382-23-6 87828-36-2	EP 55588	Antiarthritic, other	Arthritis, rheumatoid
Lu-35-138	1-[3]2-[5-chloro-1-(4-fluorophenyl)-3-1H-indolyl]ethyl]methylamino]propyl]-2-imidazolidinone hydrochloride]		WO 9516684	Neuroleptic	Psychosis, general
Lubeluzole					
lubiprostone	(-)-7-[(2R,4R,5R,7aR)-2-(1,1-difluoropentyl)-2-hydroxy-6-oxooctahydrocyclopenta[b]pyran-5-yl]heptanoic acid	144665-07-6 136790-76-6		Laxative	Constipation
lucanthone	Thioxanthene-9-one, 1-(2-(diethylamino)ethyl)amino-4-methyl-[CAS]	479-50-5		Radio/chemosensitizer	Cancer, brain
Lucanthone		548-57-2			
Lumefantrine	Benzeneacetic acid, 2-(2-chloro-6-fluorophenyl)amino)-5-methyl-[CAS]	82186-77-4			
lumiracoxib	11H-1,4-Dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinoline 9,12-[8H,14H]-dione, 8-ethyl-2,3-dihydro-8-hydroxy-15-[[4-methyl-1-piperazinyl]methyl]-, [CAS]	220991-20-8 155773-58-3		Analgesic, NSAID	Pain, general
lutetium texaphyrin	Lutetium, bis(acetato-O)9,10-diethyl-20,21-bis-[2-[2-(2-methoxyethoxy)ethoxy]ethoxy]-4,15-dimethyl-8,11-imino-3,6,16,13-dinitrilo-1,18-benzodiazacyclooctacosine-5,14-dipropionato-N1,N18,N23,N24,N25], (PB 7-11-233724)-[CAS]	156436-90-7	WO 9906411	Formulation, optimized, liposomes	Cancer, ovarian
LV-216	Zinc[2-(2,6-dichloroanilino)phenyl]acetate			Radio/chemosensitizer	Atherosclerosis
				Anti-inflammatory	Arthritis, rheumatoid

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
LX-104	Hexadecanamide, N-[4-[[2-[2-[2-[O-(N-acetyl- α -neuraminosyl)-(2-3)-O- β -D-galactopyranosyl-(1-4)-O-(6-deoxy- α -phosphogalactopyranosyl)oxy]ethoxy]ethoxy]ethoxy]methyl]phenyl]-2-tetradecyl]-[CAS] β -methyl-6-chloromelatonin	158792-45-1		Cognition enhancer	Dementia, senile, general
LX-156735			EP 655243	Hypnotic/Sedative	Sleep disorder, general
LX-293111	Benzoic acid, 2-[3-[3-[(5-ethyl-4-fluoro-2-hydroxy[1,1'-bi]phenyl]-4-yloxy]propoxy]-2-propyl]phenoxy]-[CAS]	161172-51-6		Anticancer, other	Cancer, melanoma
LX-293558	3-Isoquinolinecarboxylic acid, decalhydro-6-[2-(1H-tetrazol-5-yl)ethyl]-, [3S-[2-(4H-tetrazol-5-yl)ethyl]-, (3S-[3 α -(4 α -13-dioxo-8,11-diazacyclotetradec-13-en-2,5,9,12-tetrono, 10-[(3-chloro-4-methoxyphenyl)methyl]-6,6-dimethyl-3-(2-methylpropyl)-16-[(1S)-1-[(2S,3R)-3-phenyloxiranyl]ethyl]-, (3S,10R,13E,16S)-[CAS]	154652-83-2		Anticancer, other	Pain, neuropathic
LX-355703	1,4-Dioxo-8,11-diazacyclotetradec-13-en-2,5,9,12-tetrono, 10-[(3-chloro-4-methoxyphenyl)methyl]-6,6-dimethyl-3-(2-methylpropyl)-16-[(1S)-1-[(2S,3R)-3-phenyloxiranyl]ethyl]-, (3S,10R,13E,16S)-[CAS]	182566-67-7	WO 9707798	Anticancer, other	Cancer, lung, non-small cell
Lyapolate		25053-27-4			
Lymecycline		992-21-2			
Lynestrenol		52-76-6			
Lypressin		50-57-7			
Lysine Acetylsalicylate		62952-06-1	WO 9624331	Analgescic, NSAID	Diagnostic, cancer
lysine salicylate		59535-08-9	WO 9843093	Diagnostic	Unspecified
lysophospholipids					
M-40403	L-Lysine, 2-hydroxybenzoate [CAS]		U.S. 6,180,620	Anticancer, other	
mabuprofen	Dichloro[(4aR,13aR,17aR,21aR)-1,2,3,4,4a,5,6,12,13a,14,15,16,17,17a,18,19,20,21,21a-eicosahydro-1,7-nitrolo-7H-dibenzol[b,h][1,4,7,10]tetraazacycloheptadecine-kappaN5,kappaN13,kappaN18,kappaN21,kappaN22]manganese	82821-47-4	DE 3121595	Anti-inflammatory	
Mabuterol	Benzeneacetamide, N-(2-hydroxyethyl)- α -methyl-4-(2-methylpropyl)-, (+/-)-[CAS]	56341-08-3 81627-83-0			
Macrophage Colony-Stimulating Factor					
MADU					
mafenide	Benzene-sulfonamide, 4-(aminomethyl)-monoacetate [CAS]	840-50-6 13009-99-9 138-39-6		Vulnery	Burns
mafosfamide	Ethanesulfonic acid, 2-[2-bis(2-chloroethyl)amino]tetrahydro-2H-1,3,2-oxazaphosphorin-4-yl]thio]-, P-oxide, cis-(+)-[CAS]	88859-04-5 98845-64-8	EP 393575	Anticancer, alkylating	Cancer, renal
magaldrate	Aluminum magnesium hydroxide sulfate (Al5Mg10(OH)31(SO4)2), hydrate [CAS]	74978-16-8 632-99-5	U.S. 2,923,660	Antacid/Antiflatulent	
Magenta I					

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Magnesium Acetylsalicylate		132-49-0			
Magnesium Carbonate		39409-82-0			
Hydroxide magnesium chloride	Magnesium chloride (MgCl ₂) [CAS]	7786-30-3		Formulation, oral, enteric-coated	Nutrition
Magnesium Citrate		3344-18-1			
magnesium gluconate	D-Gluconic acid, magnesium salt (2:1) [CAS]	3632-91-5		Formulation, other	Hypertension, general
Magnesium Lactate		18917-93-6			
Magnesium Salicylate		18917-89-0			
Malathion		121-75-5			
Malotilate		59937-28-9			
Mandelic Acid		90-64-2			
Mandelic Acid Isoamyl Ester		5421045			
Mangafodipir		118248-94-5 (free acid); 155319-91-8 (hexa- hydrogen)			
manidipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro- 2,6-dimethyl-4-(3-nitrophenyl)-, 2-[4- (diphenylmethyl)-1-piperazinyl]ethyl methyl ester [CAS]	89226-50-6 89226-75-5	EP 941 59	Antihypertensive, other	Hypertension, general
Mannomustine	mannose-6-phosphate	551-74-6		Vulnery	Wound healing
Maprotiline		10262-69-8			
maribavir	1H-Benzimidazol-2-amine, 5,6-dichloro-N- (1-methylethyl)-1-β-L-ribofuranosyl-[CAS]	176161-24-3		Antiviral, other	Infection, cytomegalo- virus
marimastat	N-[2,2-Dimethyl-a(S)-(N- methylcarbamoyl)propyl]-N,3(S)-dihydroxy- 2(R)-isobutylsuccinamide	154039-60-8	WO 9402447	Anticancer, other	Cancer, pancreatic
maxacalcitol	1,3-Cyclohexanediol, 4-methylene-5-(2- (octahydro-1-(1-(3-hydroxy-3- methylbutoxy)ethyl)-7a-methyl-4H-inden-4- ylidene)ethylidene)-, (1S- (1A)pha(R*),3aR,4E(1S*,3R*,5Z),7aAlpha))- [CAS]	103909-75-7	U.S. 4,891,364	Hormone	Hyperpara- thyroidism
mazindol	3H-Imidazo[2,1-a]isoindol-5-ol, 5-(4- chlorophenyl)-2,5-dihydro- [CAS]	22232-71-9	U.S. 3,763,178	Anorectic/ Antiobesity	Obesity
Mazindone		13085-08-0			
MC-5723	(2-amino-6-(4-methoxyphenylthio)-9-[2- (phosphonomethoxy)ethyl]purine bis(2,2,2- trifluoroethyl)ester)		U.S. 6,043,259	Cardiovascular Antiviral, other	Unspecified Infection, hepatitis-B virus
MCC-478	3(2H)-Pyridazinone, 4,5-dihydro-6-[4-(4- pyridinylamino)phenyl]-, monohydrochloride [CAS]	98326-32-0 98326-33-1	EP 145019	Cardio stimulant	Heart failure

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
m-Cresyl Acetate MDMAM	Gamma-Methylene-10-deazaaminopterin	122-46-3			
	MDI-101				
	MDI-403				
MDI-100907	4-Piperidinemethanol, Alpha-(2,3-dimethoxyphenyl)-1-(2-(4-fluorophenyl)ethyl)-, (R)-[CAS]	403849-94-5 139290-65-6	U.S. 4,885,311 U.S. 4,677,120	Anticancer, antimetabolite Antiacne Hypnotic/Sedative	Cancer, general Acne Sleep disorder, general
mefenbendazole	methyl-5-benzoylbenzimidazole-2-carboxylate	31431-39-7	GB 1307306	Anthelmintic	
mefeverine	Benzoic acid, 3,4-dimethoxy-, 4-[ethyl[2-(4-methoxyphenyl)-1-methyl-ethyl]amino]butyl ester [CAS]	3625-06-7		Antispasmodic	Irritable bowel syndrome
Mebhydroline		524-81-2			
Meflofenin		78266-06-5			
Mebutamate		64-55-1			
mecanilamine	Bicyclo(2.2.1)heptan-2-amine, N,2,3,3-tetramethyl-[CAS]	60-40-2		Neurological	Unspecified
Mechlorethamine		51-75-2			
Mechlorethamine Oxide		302-70-5			
mecillinam	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[hexahydro-1H-azepin-1-yl]methylene]amino]-3,3-dimethyl-7-oxo-, [2S-(2Alpha,5Alpha,6beta.)]-[CAS]	32887-01-7 32887-03-9	GB 1293590	Penicillin, injectable	Infection, general
Mecizine		569-65-3			
Meclocycline		2013-58-3			
meclofenamate	Benzoic acid, 2-[(2,6-dichloro-3-methylphenyl)amino]-, monosodium salt [CAS]	6385-02-0 644-62-2		Antiarthritic, other	Arthritis, osteo
Meclofenamic Acid		644-62-2			
Meclofenoxate		51-68-3			
Mecloqualone		340-57-8			
Mecysteine		18598-63-5			
Medazepam		12/06/2898			
medetomidine	Ethanamine, N,N-dimethyl-2,2-diphenoxy-[CAS]	32359-34-5	FR M5498	Antidepressant	
Medrogestone		977-79-7			
Medronic Acid		1984-15-2			
medroxyprogesterone	Pregn-4-ene-3,20-dione, 17-(acetyloxy)-6-methyl-,(6Alpha)	71-58-9		Formulation, fixed-dose combinations	Contraceptive, female
Medrysone		520-85-4			
Mefenamic Acid		2668-66-8			
Mefenorex		61-68-7			
Mefexamide		17243-57-1			
mefloquine		1227-61-8			
	4-Quinolinemethanol, Alpha-2-piperidinyl-2,8-bis(trifluoromethyl)-, (R*,S*)(±)-[CAS]	51773-92-3 53230-10-7 69191-18-0 7195-27-9 595-33-5	GB 1594282	Antimalarial	
Mefuside					
Megestrol					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Meglumin		22154-43-4 131-49-7 503-49-1			
meglutol	2-hydroxy-2-methyl-1,3-propanedicarboxylic acid		U.S. 3,629,449	Hypolipaeimic/ Antiatherosclerosis	Hyper- lipidaemia, general
melagatran	Glycine, N-[(1R)-2-[(2S)-2-[[[4-(aminoinitromethyl)phenyl]methyl]amino]-carboxyl]-1-azetidinyl]-1-cyclohexyl-2-oxoethyl]-[CAS]	159776-70-2	WO 9616671	Antithrombotic	Thrombosis, general
melanocortin-4 agonist	N-[(3R)-1,2,3,4-Tetrahydroisoquinolinium-3-ylcarboxyl]-1-(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethylamine(1)	494-79-1 5633-18-1 7101-51-1		Anorectic/ Antiobesity	Obesity
Melarsoprol					
Melengestrol	Alanine, 3-(3,4-dihydroxyphenyl)-methyl ester [CAS]	494-79-1 5633-18-1 7101-51-1	EP 252290	Antiparkinsonian	Parkinson's disease
Melivodopa					
Melinamide		14417-88-0			
Melitracen		5118-29-6			
meloxicam	2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide-[CAS]	71125-38-7	U.S. 4,233,299	Antiarthritic, other	Arthritis, rheumatoid
melperone	1-Butanone, 1-(4-fluorophenyl)-4-(4-methyl-1-piperidinyl)-[CAS]	1622-79-3 3575-80-2 148-82-3	BE 651144	Neuroleptic	
Melphalan					
meluadrine	Benzenemethanol, 2-chloro-Alpha-((1,1-dimethylethyl)amino)methyl)-4-hydroxy-, (R)-, (R*, R*)-2,3-dihydroxybutanedioate (1:1) (salt) [CAS]	134865-37-5	EP 420120	Labour inhibitor	Labour, preterm
memantfne	Tricyclo[3.3.1.1 ^{3,7}]decan-1-amine, 3,5-dimethyl [CAS]				
MEN-10700	Acetamide, 2-[[[(5R,6S)-6-[(1R)-1-hydroxyethyl]-2-methyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-2-en-3-yl]methyl]methylamino]-[CAS]	41100-52-1 19982-08-2 195874-55-6	EP 392059 WO 9406803	Cognition enhancer Beta-lactam antibiotic	Dementia, AIDS-related Infection, general
MEN-10755	5,12-Naphthacenedione, 7-[[4-O-(3-amino-2,3,6-trideoxy-Alpha-L-lyxo-hexopyranosyl)-2,6-dideoxy-Alpha-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,9,11-trihydroxy-9-(hydroxyacetyl)-, hydrochloride, (7S,9S)-[CAS]	169317-77-5	WO 9509173	Anticancer, antibiotic	Cancer, breast
Menadiol					
Menadione		481-85-6 58-27-5			
Menadoxime		573-01-3			
Menbutone		3562-99-0			
Menosaril		71628-96-1			
MENT	7[Alpha-Methyl-19-nortestosterone			Formulation, transdermal, systemic	Contraceptive, male
menthol	Cyclohexanol, 5-methyl-2-(1-methylethyl)-[CAS]	1490-04-6 89-78-1		Formulation, dermal, topical	Pruitus

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Menthyl Valerate		89-47-4			
Meobentine		46464-11-3			
Meparfynol		77-75-8			
mepartricin	Parttricin, methyl ester [CAS]	11121-32-7	U.S. 3,780,173	Antifungal	Infection, Candida, general
Mepazine		60-89-9			
Meperizolate Bromide		76-90-4			
Meperidine		57-42-1			
Mephensin		59-47-2			
Mephexalone		70-07-5			
Mephentermine		100-92-5			
Mepheryoin		50-12-4			
Mephobarbital		115-38-8			
Mepindolol		23694-81-7			
Mepitostane		21362-69-6			
mepivacaine	N-(2,6-Dimethylphenyl)-1-methyl-2-piperidinecarboxamide	96-88-8		Formulation, modified- release, >24 hr	Pain, post- operative
Mepixanox		17854-59-0			
Mepradisone		1247-42-3			
Meprobanate		57-53-4			
meprosillarin		33396-37-1	DE 1910207	Cardiosimulant	Heart failure
mep tazinol	Bufa-4,20,22-trienolide, 3-[(6-deoxy-4-O-methyl- α -L-mannopyranosyl)oxy]-14-hydroxy-, (3 β)-[CAS]	54340-58-8	GB 1285025	Analgesic, other	Pain, general
mequitazine	Phenol, 3-(3-ethylhexahydro-1-methyl-1H-azepin-3-yl)-[CAS]	59263-76-2			
	10H-Phenothiazine, 10-(1-azabicyclo[2.2.2]oct-3-ylmethyl)-[CAS]	29216-28-2	GB 1250534	Antiallergic, non-asthma	
Meralain		4386-35-0			
Meralluride		8069-64-5			
Merbromin		129-16-8			
Mercaptopnerin		21259-76-7			
Mercumallic Acid		86-36-2			
Mercuric Chloride, Ammoniated		10124-48-8			
Mercuric Oleate		1191-80-6			
Mercuric Oxycyanide		1335-31-5			
mermetopidib	Carbamic acid, ((3-(((3-methoxy-4-(5-oxazolyl)phenyl)amino)carbonyl)amino)-phenyl)methyl)-((3S)-tetrahydro-3-furanyl ester [CAS]	198821-22-6	U.S. 5,807,878	Antiviral, other	Infection, hepatitis- C virus
meropenem	1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[(dimethylamino)carbonyl]-3-pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, [4R-[3(3S*,5S*),4 α ,5 β ,6 β (R*)]]-[CAS]	96036-03-2	EP 126587	Beta-lactam antibiotic	Infection, respiratory tract, lower
Mersalyl		492-18-2			
Mesalanine		89-57-6			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
mesalazine	Benzoic acid, 5-amino-2-hydroxy-[CAS]	89-57-6	WO 5541170	Formulation, oral, other	Colitis, ulcerative
Mesna		19767-45-4			
Mesoridazine		5588-33-0			
Mestanolone		521-11-9			
Mesterolone		1424-00-6			
Mestranol		72-33-3			
Mesulfen		135-58-0			
Metaclozepam		84031-17-4			
Metampicillin		6489-97-0			
Metapramine		21730-16-5			
Metaproterenol		586-06-1			
Metaraminol		54-49-9			
Metazocine		3734-52-9			
metergoline	Carbamic acid, [(8 β)-1,6-dimethylergolin-8-yl]methyl]-, phenylmethyl ester [CAS]	17692-51-2 21631-37-8 2706-42-5 657-24-9	GB 1401935	Antiprolactin	Amenorrhoea
metformin	Imidodicarbonimidic diamide, N,N-dimethyl [CAS]			Formulation, modified- release, <=24 hr	Diabetes, Type II
Methacholine		62-51-1			
Methacycline		914-00-1			
Methadone		76-99-3			
Methafurylene		531-06-6			
Methamphetamine		537-46-2			
Methandriol		521-10-8			
Methandrostenolone		72-63-9			
Methanthelene		53-46-3			
Methapyrilene		91-80-5			
Methaqualone		72-44-6			
Metharbital		50-11-3			
Methazolamide		554-57-4			
Methdilazine		1982-37-2			
Methenamine		100-97-0			
Methenolone		153-00-4			
Methestrol		130-73-4			
Methetoin		5696-06-0			
Methicillin		132-92-3			
Methimazole		60-56-0			
Methiodal		126-31-8			
Methionine Acid		503-40-2			
Methionine		63-68-3			
Methisazone		1910-68-5			
Methital		467-43-6			
Methixene		02/02/4969			
Methocarbamol		532-03-6			
Methohexital		22151-68-4			
methotrexate	L-Glutamic acid, N-[4-[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]- [CAS]	59-05-2	U.S. 2,512,572	Anticancer, antimetabolite	Cancer, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Methorineprazine		60-99-1			
Methoxamine		390-28-3			
Methoxsalen		298-81-7			
Methoxyflurane		76-38-0			
Methoxyphenamine		93-30-1			
Methoxypromazine		61-01-8			
Methscopolamine		155-41-9			
Methsuximide		77-41-8			
Methylclofiazide		135-07-9			
Methyl Blue		28983-56-4			
Methyl Nicotinate		93-60-7			
Methyl Propyl Ether		557-17-5			
Methyl Salicylate		119-36-8			
Methyl tert-Butyl Ether		1634-04-4			
Methylbenzethonium Chloride		25155-18-4			
Methylcobalamin methyl/dopa	L-Tyrosine, 3-hydroxy- α -methyl- [CAS]	13422-55-4 555-30-6		Formulation, modified- release, ≤ 24 hr	Hypertension, general
Methylene Blue		61-73-4			
Methylergonovine		113-42-8			
Methylhexanamide methylphenidate	2-Piperidineacetic acid, α -phenyl-, methyl ester [CAS]	105-41-9 113-45-1 298-59-9		Formulation, modified- release, multi	Attention deficit disorder
Methylprednisolone methylprednisolone aceponate	Pregna-1,4-diene-3,20-dione, 21- (acetyloxy)-11-hydroxy-6-methyl-17-(1- oxopropoxy)-, (6 α), (11 β)-[CAS]	83-43-2 86401-95-8	EP 72547	Antipruritic/ inflamm, allergic	Pruritus
methylprednisolone sulepianate	Pregna-1,4-diene-3,20-dione, 11,17- dihydroxy-6-methyl-21-[[8-[methyl(2- sulfoethyl)amino]-1,8-dioxocetyl]oxy]-, monosodium salt, (6 α), (11 β)-[CAS]	90350-40-6	JP 59137500	Antiasthma	Asthma
Methylthiouracil		56-04-2			
Methyltrienolone		965-93-5			
Methpyrion		125-64-4			
Methysergide		361-37-5			
Metiazinic Acid		13993-65-2			
metipranolol	Phenol, 4-[2-hydroxy-3-[(1- methyl(ethyl)amino]propoxy]-2,3,6-trimethyl-, 1-acetate [CAS]	22664-55-7	GB 1206148	Antihypertensive, adrenergic	
metoclopramide	Benzamide, 4-amino-5-chloro-N-[2- (diethylamino)ethyl]-2-methoxy-[CAS]	364-62-5		Formulation, modified- release, ≤ 24 hr	Gastro- oesophageal reflux
Metocurine Iodide		7601-55-0			
Metofenazate		388-51-2			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
metolazone	6-Quinazolin-2-sulfonamide, 7-chloro-1,2,3,4-tetrahydro-2-methyl-3-(2-methylphenyl)-4-oxo-[CAS]	17560-51-9	U.S. 4,517,179	Antihypertensive, diuretic	
Metopimazine		14008-44-7			
Metopon		143-52-2			
metoprolol	2-Propanol, 1-[4-(2-methoxyethyl)phenoxy]-3-[(1-methylethyl)amino]-, (+/-)-[CAS]	51384-51-1 56392-17-7 37350-58-6 54188-38-4 31112-62-6 1949-45-7 13946-02-6 54-36-4 672-87-7 31868-18-5 1641-17-4 31828-71-4 42057-22-7 51481-65-3 72539-76-5		Formulation, modified-release, other	Hypertension, general
Metralindole					
Metrizamide					
Metrizoic Acid					
Metron S					
Metysapone					
Metyrosine					
Mexazolam					
Mexenone					
Mexiletine					
mezlocillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[[[3-(methylsulfonyl)-2-oxo-1-phenylacetyl]amino]-7-oxo-, [2S-imidazolidinyl]carbonyl]amino]-[CAS]		GB 1301961	Penicillin, injectable	Infection, general
MFH-244					
mianserin	Benzene-3-carboximidic acid, 3,4,5-trihydroxy-, ethyl ester, hydrochloride	95933-76-9	U.S. 4,623,659	Cardiovascular	Reperfusion injury
Mibefradil		21535-47-7			
Miboplatin		24219-97-4			
Micafungin		116644-53-2			
miconazole	Dibenzof[<i>c</i>]pyrazino[1,2- <i>a</i>]azepine, 1,2,3,4,10,14b-hexahydro-2-methyl-[CAS]	103775-75-3 235114-32-6 22916-47-8	GB 1173783	Antidepressant	Depression, general
	1H-Imidazole, 1-(2,4-dichlorophenyl)-2[2,4-dichlorophenyl]methoxy [ethyl]			Formulation, modified-release, other	Infection, Candida, general
Micronomicin					
midaxifyline	1H-Purine-2,6-dione-8-(1-amino-2-oxo-1,3-dihydro-1,3-dipropyl-aminocyclopentyl)-3,7-dihydro-1,3-dipropyl-[CAS]	52093-21-7 151159-23-8	U.S. 5,378,844	Cardiovascular	Unspecified
midazolam	4H-Imidazo[1,5- <i>a</i>][1,4]benzodiazepine, 8-chloro-6-(2-fluorophenyl)-1-methyl-[CAS]	59467-70-8 59467-94-6	U.S. 4,280,957	Anaesthetic, injectable	Infection, general
midecanycin	Leucomycin V, 3,4B-dipropionate [CAS]	35457-80-8	U.S. 3,761,588	Macrolide antibiotic	Infection, general
midecanycin acetate	Leucomycin V, 3B,9-diacetate 3,4B-dipropionate [CAS]	55881-07-7	JP 49124087	Macrolide antibiotic	Infection, general
midesteine	2-Thiophenecarboxylic acid, S-[1-methyl-2-oxo-2-[(tetrahydro-2-oxo-3-thienyl)amino]ethyl] ester [CAS]	94149-41-4	EP 120534	COPD treatment	Emphysema, general
midodrine	Acetamide, 2-amino-N-[2-(2,5-dimethoxyphenyl)-2-hydroxyethyl]-[CAS]	42318-56-0 42794-76-3	EP 164571	Urological	Incontinence

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
midostaurin	Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindol[1,2,3-g:h;3',2',1'-lin]pyrrol[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, (9Alpha,10β,11β,13Alpha)-[CAS]	120685-11-2	EP 296110	Anticancer, other	Cancer, leukaemia, acute myelogenous
mifepristone	Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-propenyl)-, (11β,17β)-[CAS]	84371-65-3	EP 57115	Abortifacient	Abortion
miglitol	3,4,5-Piperidinetriol, 1-(2-hydroxyethyl)-2-(hydroxymethyl)-, [2R-(2Alpha,3β,4Alpha,5β)]-[CAS]	72432-03-2	EP 55431	Antidiabetic	Diabetes, Type I
miglustat	3,4,5-Piperidinetriol, 1-buryl-2-(hydroxymethyl)-(2R-(2Alpha, 3β, 4Alpha, 5β)) [CAS]	72599-27-0	DE 2758025	Metabolic and enzyme disorders	Gaucher's disease
mildronate	Hydrazinium, 2-(2-carboxyethyl)-1,1,1-trimethyl-, inner salt-[CAS]	76144-81-5	WO 8001068	Cardio stimulant	Heart failure
milnacipran	Cyclopropanecarboxamide, 2-(aminomethyl)-N,N-diethyl-1-phenyl-, cis-(±)-[CAS]	101152-94-7 92623-85-3	U.S. 4,478,836	Antidepressant	Depression, general
Miloxacin milrinone ,iltefosine	[3,4-Bipyridine]-5-carbonitrile, 1,6-dihydro-2-methyl-6-oxo-[CAS] Etanaminium, 2-[[[hexadecyloxy]hydroxyphosphinyl]oxy]-N,N,N-trimethyl-, hydroxide, inner salt [CAS]	37065-29-5 78415-72-2	U.S. 4,313,951	Cardio stimulant	Heart failure
minaprine	4-Morpholineethanamine, N-(4-methyl-6-phenyl-3-pyridazinyl)-[CAS]	53949-20-5 58066-85-6	EP 225608	Anticancer, other	Cancer, skin, general
minocycline	2-Naphthacene carboxamide, 4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, [4S-(4Alpha,4aAlpha,5a.alpha.,12aAlpha)]-[CAS]	25905-77-5 25953-17-7 10118-90-8	GB 1345880	Antidepressant Formulation, optimized, microparticles	Depression, general Infection, oral
minodronic acid	Phosphonic acid, (1-hydroxy-2-imidazo[1,2-a]pyridin-3-yl)ethylene)bis-, [CAS]	180064-38-4	EP 354806	Anticancer, other	Cancer, myeloma
minoxidil	2,4-Pyrimidinediamine, 6-(1-piperidinyl)-3-oxide [CAS]	38304-91-5	U.S. 4,139,619	Vasodilator, peripheral	Hypertension, general
Miokanycin mirtazapine	Pyrazino[2,1- <i>a</i>]pyrido[2,3- <i>c</i>]benzazepine, 1,2,3,4,10,14b-hexahydro-2-methyl-[CAS]	55881-07-7 85650-52-8 61337-67-5	GB 1543171	Antidepressant	Depression, general
misoprostol	Prost-13-en-1-oic acid, 11,16-dihydroxy-16-methyl-9-oxo-, methyl ester, (11Alpha,13E)-(±)-[CAS]	59122-46-2 59122-48-4	U.S. 4,301,146	Prostaglandin	Ulcer, gastric

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
mitomycin	Erythroneycin, 8,9-didehydro-N-demethyl-9-deoxo-6,11-dideoxy-6,9-epoxy-12-O-methyl-N-(1-methylethyl)-11-oxo-, (2E)-butenedioate (2:1) [CAS]	154802-96-7	WO 9324509	Gastroprokinetic	Gastro-oesophageal reflux
mitiglinide	Calcium (2S)-2-benzyl-3-(cis-hexahydro-2-isoindolyl)carboxylpropionate, dihydrate- [CAS]	145525-41-3	EP 507534	Antidiabetic	Diabetes, Type II
Mitobronitol		488-41-5			
Mitoguanzone		459-86-9			
mitolactol	Galactitol, 1,6-dibromo-1,6-dideoxy-[CAS]	10318-26-0	U.S. 3,993,781	Anticancer, alkylating Formulation, parenteral, other	Cancer, cervical Cancer, stomach
mitomycin	Azino[2,3':3,4]pyrrolo[1,2-a]indole-4,7-dione, 5-amino-8-[[[aminocarbonyl]oxy]methyl]-1,1a,2,8,8a,8b-hexahydro-8a-methoxy-5-methyl-, [1aS- (1a)Alpha,8b,8aAlpha,8bAlpha]]-[CAS]	50-07-7			
Mitotane		53-19-0			
mitoxantrone	9,10-Anthracenedione, 1,4-dihydroxy-5,8-bis[[2-[(2-hydroxyethyl)amino]ethyl]amino]-[CAS]	65271-80-9 70476-82-3	U.S. 4,197,249	Anticancer, other	Cancer, breast
mitoxantrone	9,10-Anthracenedione, 1,4-dihydroxy-5,8-bis[[2-[(2-hydroxyethyl)amino]ethyl]amino]-[CAS]	65271-80-9 70476-82-9		Formulation, optimized, liposomes	Cancer, general
MIV-210	(3'-Fluoro-2',3'-dideoxy guanosine)			Antiviral, other	Infection, hepatitis-B virus
mivacurium	Isoquinolinium, 2,2'-[[1,8-dioxo-4-octene-1,8-diyl]bis(oxy-3,1-)]propanediyl]bis[[1,2,3,4-tetrahydro-6,7-dimethoxy-2-methyl-1-(3,4,5-trimethoxyphenyl)methyl]-, dichloride, [R*-R*-(E)]-[CAS]	106861-44-3	EP 181055	Muscle relaxant	Anaesthesia, adjunct
Mivazerol		125472-02-8			
mizolastine	4(1H)-Pyrimidinone, 2-[[1-[1-(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl]methylamino]-[CAS]	108612-45-9	EP 217700	Antiallergic, non-asthma	Rhinitis, allergic, general
Mizoribine		50924-49-7 194093-42-0			
MKC-733	(R)-N-(3-quinolidinyl)-7-oxo-4,7-dihydrothienol[3,2-b]pyridine-6-carboxamide hydrochloride		JP 09216888	Gastroprokinetic	Gastro-oesophageal reflux
MLN-519	6-Oxa-2-azabicyclo[3,2,0]heptane-3,7-dione, 1-[(1S)-1-hydroxy-2-methylpropyl]-4-propyl-, (1R,4R,5S)-[CAS]	211866-70-5	WO 9915183	Neuroprotective	Ischaemia, cerebral
MLN-576	4-Methoxy-benzo[<i>a</i>]phenazine-11-carboxylic acid (2-dimethylamino)-1-(R)-methyl-ethyl)-amide			Anticancer, other	Cancer, general
moclobemide	Benzamide, 4-chloro-N-[2-(4-morpholinyl)ethyl]-[CAS]	71320-77-9	EP 336023	Antidepressant	Depression, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
modafinil	Acetamide, 2-[(diphenylmethyl)sulfinyl]- [CAS]	68693-11-8	DE 2809625	Psychostimulant	Narcolepsy
moexipril	3-Isoquinolinecarboxylic acid, 2-[2-[[1-((ethoxycarbonyl)-3-phenylpropyl]amino)-1- oxopropyl]-1,2,3,4-tetrahydro-6,7- dimethoxy-(3S-(2(R*(R*),3R*)))-[CAS]	103775-10-6 103775-14-0	U.S. 4,344,949	Antihypertensive, renin system	Hypertension, general
Mofenotene		125533-88-2			
Mofebutazone		2210-63-1			
Mofegiline		119386-96-8			
mofezolac	5-Isoxazoleacetic acid, 3,4-bis(4- methoxyphenyl)-[CAS]	78967-07-4	EP 26928	Analgesic, NSAID	Pain, post-operative Asthma
MOL-6131	N-[4-(aminomethyl)benzyl]-8(S)-[1-(4-[2-(4- aminophenyl)-acetamido]butyl)]piperidin- 4-yl]-2-(naphthalen-1-ylmethyl)-1,3-dioxo- 2,3,5,8-tetrahydro-1H-[1,2,4]triazolo[1,2-a]- pyridazine-5(R)-carboxamide			Antiasthma	
Molindone		7416-34-4			
molisdomine	Sydnone imine, N-(ethoxycarbonyl)-3-(4- morpholinyl)-[CAS]	25717-80-0	U.S. 3,769,283	Vasodilator, coronary	
monetazone	Pregna-1,4-diene-3,20-dione, 9,21- dichloro-11,17-dihydroxy-16-methyl-, (11 β ,16 α)-[CAS]	105102-22-5 83919-23-7	EP 57401	Antipruritic/inflam., allergic	Psoriasis
Monatepil		103377-41-9			
Monobenzzone		103-16-2			
monolaurin	Dodecanolic acid, monoester with 1,2,3- propanetriol [CAS]	27215-38-9	U.S. 4,885,282	Dermatological	Ichthyosis
montelukast	Cyclopropanecarboxylic acid, 1-[[[1-[3-[2-(7- chloro-2-quinolinyl)ethenyl]phenyl]-3-[2-(1- hydroxy-1- methyl)ethyl]phenyl]propyl]thio]methyl]-, [CAS]	151767-02-1 158966-92-8		Antiasthma	Asthma
Monteplase		122007-85-6			
Moperone		1050-79-9			
Mopidamol		13665-88-8			
Moprolol		5741-22-0			
moracizine	Carbamic acid, [10-[3-(4-morpholinyl)-1- oxopropyl]-10H-phenothiazin-2-yl]-, ethyl ester [CAS]	29560-58-5 31883-05-3	U.S. 3,864,487	Antiarrhythmic	Tachycardia, ventricular
Morazone		6536-18-1			
Moricizine		31883-05-3			
Moroxydine		3731-59-7			
Morphazinaide		952-54-5			
morphine	Morphine-3,6-diol, 7,8-didehydro-4,5- epoxy-17-methyl-(5 α ,6 α)-[CAS]	57-27-2 6055-06-7 64-31-3		Formulation, parenteral, other	Pain, cancer
morphine-6- glucuronide	morphine-6-glucuronide			Formulation, inhalable, systemic	Pain, general

API	Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
mosapramine		Spiro[imidazol[1,2- <i>a</i>]pyridine-3(2H),4'-piperidin]-2-one, 1'-[3-(3-chloro-10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]hexahydro-, (<i>±</i>)-) [CAS]	89419-40-9 98043-60-8	U.S. 4,337,260	Neuroleptic	
		Benzamide, 4-amino-5-chloro-2-ethoxy-N-((4-(4-fluorophenyl)methyl)-2-morpholinyl)methyl)-) [CAS]	112885-41-3 112885-42-4	EP 243959	GI inflammatory/bowel disorders	Gastritis
motexafin gadalinium		Gadolinium, bis(acetato-kappaO)(9,10-diethyl-20,21-bis(2-(2-methoxyethoxy)ethoxy)-4,15-dimethyl-8,11-imino-3,16,16,13-dinitrilo-1,18-benzodiazacycloicosine-5,14-dipropylalato-kappaN1,kappaN18,kappaN23,kappaN24,kappaN25), (PB-7-11-23324) [CAS]	246252-06-2		Radio/chemosensitizer	Cancer, brain
Motretinide			56281-36-8			
Moveltipril			85856-54-8			
Moxalactam			64952-97-2			
Moxastine			3572-74-5			
Moxaverine			10539-19-2			
Moxestrol			34816-55-2			
moxifloxacin		3-Quinolonecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-4-oxo-, hydrochloride (4 <i>S</i> - <i>cis</i>)-) [CAS]	186826-86-8 151096-09-2	DE 19546249	Quinolone antibacterial	Infection, respiratory tract, general
moxisylyte		Phenol, 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(1-methyl)ethyl)-, acetate (ester), [CAS]	964-52-3 54-32-0		Male sexual dysfunction	Impotence
moxonidine		5-Pyrimidinamine, 4-chloro-N-(4,5-dihydro-1 <i>H</i> -imidazol-2-yl)-6-methoxy-2-methyl-[CAS]	75438-57-2	DE 2849537	Antihypertensive, other	Hypertension, general
M-PGA		(-)-(S)-2-Methyl-2-(1-oxo-2,3-dihydro-1 <i>H</i> -isoindol-2-yl)pentanedioic acid		U.S. 5,712,291	Anticancer, other	Cancer, general
MPPI-5010		Platinum diamminedichloro-, (SP-4-2) + (R)-4-[1-hydroxy-2-(methylamino)ethyl]-1,2-benzenediol		U.S. 6,224,883	Formulation, parenteral, other	Cancer, head and neck
MPPI-5020		2,4-(1 <i>H</i> ,3 <i>H</i>)-Pyrimidin-6-one, 5-fluoro-[CAS]	51-21-8 198076-81-2	U.S. 5,750,146	Formulation, parenteral, other Immunostimulant, other	Cancer, breast
MPL						Vaccine adjunct
MRS-1754		1-Piperazineethanol, 4-(diphenylacetyl)-				
MMS-209		Alpha-[(5-quinolinyl)oxy)methyl]-, (2 <i>E</i>)-2-butenedioate(2:3) (salt) [CAS]	158681-49-3	U.S. 6,060,481	Antiasthma	Asthma
MMS-275		N-(2-Aminophenyl)-4-[N-(pyridin-3-yl)-methoxycarbonyl]aminomethyl]benzamide			Radio/chemosensitizer	Cancer, breast
MS-325					Anticancer, antimetabolite	Cancer, lung, general
MS-377						
Mupirocin						
Muscarin						
			201688-00-8	EP 839805	Neuroleptic	Schizophrenia
			12650-69-0 300-54-9			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Muzolinine MX-1013 mycophenolate mofetil	4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)-[CAS]	55294-15-0 116680-01-4 128794-94-5	U.S. WO 6,153,591 9119498	Hepatoprotective Immunosuppressant	Unspecified Transplant rejection, general
mycophenolic acid	4-hexanoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-,	37415-62-6 24280-93-1		Formulation, oral, enteric-coated	Transplant rejection, general
Myrophine N-(Hydroxymethyl)- nicotinamide N,N,N',N'-		467-18-5 3569-99-1			
Tetraethylphthalimide N ₂ -Formyl- sulfisomidine		83-81-8 795-13-1			
N ₄ P ⁺ - Glucosylsulfanilamide N ₄ ⁺		53274-53-6 547-52-4			
Sulfanilylsulf- anilamide Nabilone nabumetone					
N-acetylcysteine	2-Butanone, 4-(6-methoxy-2-naphthalenyl)-[CAS] L-Cysteine, N-acetyl-[CAS]	51022-71-0 42924-53-8 616-91-1	GB 1476721	Anti-inflammatory Anticancer, other	Arthritis, osteo Cancer, general
N-Acetylmetionine nadifloxacin		65-82-7 124858-35-1	U.S. 4,399,134	Quinolone antibacterial	Acne
nadolol	1H,5H-Benzol[i]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (+/-)-[CAS] 2,3-Naphthalenediol, 5-[3-[(1,1-dimethyl)ethyl]amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro-[CAS]	42200-33-9	U.S. 4,346,106	Antihypertensive, adrenergic	
Nadexolol nafamostat	Benzoic acid, 4- [(aminoininomethyl)amino]-, 6- (aminoininomethyl)-2-naphthalenyl ester-[CAS] Luteinizing hormone-releasing factor (pig), 6-[3-(2-naphthalenyl)-D-alanine]-[CAS]	54063-51-3 80251-32-7 81525-10-2 82956-11-4	EP 450232	GI inflammatory/ bowel disorders	Pancreatitis
nafarelin		76932-56-4 86220-42-0 147-52-4 31329-57-4 31329-57-4	EP 21234	Releasing hormones	Endometriosis
Nafacilin Naftronyl naftidofuryl	2-Furampropanoic acid, tetrahydro-Alpha-(1-naphthalenylmethyl)-, 2-(diethylamino)ethyl ester 1-Naphthalenemethanamine, N-methyl-N-(3-phenyl-2-propenyl)-, (E)-[CAS] 1-Piperazineethanol, 4-(2-methoxyphenyl)-Alpha-[(1-naphthalenyl)oxy]methyl]-[CAS]	65472-88-0 65473-14-5 57149-07-2	U.S. 4,282,251 U.S. 3,997,666	Formulation, modified- release, other Antifungal Antihypertensive, adrenergic	Unspecified Infection, dermatological Hypertension, general

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
nalbuphine	Morphinan-3,6,14-triol, 17-(cyclobutylmethyl)-4,5-epoxy-, (5Alpha,6Alpha)-[CAS]	20594-83-6 23277-43-2	U.S. 3,393,197	Analgesic, other	Pain, general
Nalidixic Acid					
nalmeferene	Morphinan-3,14-diol, 17-(cyclopropylmethyl)-4,5-epoxy-6-methylene-, (5Alpha)-[CAS]	389-08-2 55096-26-9	JP 56167687	Dependence treatment	Poisoning, drug
Nalorphine					
naloxone	Morphinan-6-one, 17-allyl-4,5Alpha-epoxy-3,14-dihydroxy-, hydrochloride [CAS]	62-67-9 357-08-4 465-65-6		Septic shock treatment	
naltrexone	Morphinan-6-one, 17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxy-, (5Alpha)-[CAS]	16590-41-3 16676-29-2	U.S. 3,332,950	Dependence treatment	Addiction, narcotic/opiate
NAMI	Imidazolium trans(imidazole)(dimethylsulfoxide)-tetrachlororuthenate (III)			Anticancer, other	Cancer, general
naminidil	Guanidine, N-cyano-N'-(4-cyanophenyl)-N''-[(1R)-1,2,2-trimethylpropyl]-[CAS]	220641-11-2		Dermatological	Alopecia, general
Nandrolone					
Naphazoline		434-22-0 835-31-4			
naphthalene		91-20-3			
naproxen betanate		104124-26-7	U.S. 4,672,077	Antiarthritic, other	Arthritis, rheumatoid
naproxen	Methanaminium, 1-carboxy-N,N,N'-trimethyl-salt with (R)-6-methoxy-Alpha-methyl-2-naphthaleneacetic acid (1:1), sodium salt [CAS]	26159-34-2 22204-53-1 121679-13-8	GB 1211134	Analgesic, NSAID	Pain, general
naratriptan	Alpha-methyl-, [CAS] 1H-Indole-5-ethanesulfonamide, N-methyl-3-(1-methyl-4-piperidinyl)-[CAS]	131-28-2 125-55-3 7681-93-8	EP 303507	Antimigraine	Migraine
Narceine		105816-04-4			
Narco-barbital					
Natamycin					
nateglinide	D-phenylalanine, N-(4-(1-methylethyl)cyclohexyl)carbonyl), trans-[CAS]	72599-27-0 149-64-4	EP 196222	Antidiabetic	Diabetes, Type II
N-Butyldeoxy-neojirimycin					
N-Butylscopol-Bromide					
NC-503					
NC-531					
NCX-1000					
NCX-4016	Benzoic acid, 2-(acetyloxy)-, 2-((nitrooxy)methyl)phenyl ester [CAS]	U.S. 5,643,562 U.S. 5,643,562	U.S. 5,643,562	Anti-inflammatory Cognition enhancer	Amyloidosis Alzheimer's disease
		WO 0061604	WO 0061604	Hepatoprotective	Cirrhosis, hepatic
		WO 9716405	WO 9716405	Symptomatic antidiabetic	Insulin-related metabolic syndrome
NCX-456	Benzoic acid, 5-amino-2-hydroxy-, 4-(nitrooxy)butyl ester [CAS]	175033-36-0 256499-26-0	WO 9716405	GI inflammatory/bowel disorders	Inflammatory bowel disease

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
NCX-950	Alpha'-[[(1,1-dimethylethyl)amino]methyl]-4-hydroxy-1,3-benzenedimethanol nitrate			Antiasthma	Asthma
n-Docosanol		661-19-8			
NE-100	Benzeneethanamine, 4-methoxy-3-(2-phenylethoxy)-N,N-dipropyl-, hydrochloride [CAS]	149409-57-4	WO 9307113	Neuroleptic	Schizophrenia
Neubarbital					
nebulivolol	2H-1-Benzopyran-2-methanol, Alpha:Alpha'-[iminobis(methylene)]bis[6-fluoro-3,4-dihydro]-, (2R*(R*(R*(S*))))(1+)-[CAS]	561-83-1 118457-14-0 99200-09-6	EP 145067	Antihypertensive, adrenergic	Hypertension, general
nebostinel	N1-(4,4-Dimethylcyclohexyl)-L-isoglutamine	163000-63-3	EP 0688312	Cognition enhancer	Unspecified
Nebracetam					
nedaplatin	Platinum, diammine[dihydroxyacetato(2-)-O1,O2]-, (SP-4-3)-[CAS]	97205-34-0 95734-82-0	EP 216362	Anticancer, alkylating	
nedocromil	4H-Pyranol[3,2-g]quinoline-2,8-dicarboxylic acid, 9-ethyl-6,9-dihydro-4,6-dioxo-10-propyl-[CAS]	69049-73-6 69049-74-7	EP 555718	Antiasthma, Ophthalmological	Rhinitis, allergic, general, Ocular disorder, general Depression, general
nefazodone	3H-1,2,4-Triazol-3-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)-, [CAS]	82752-99-6 83366-66-9	U.S. 4,338,317	Antidepressant	
nefracetam	1-Pyrrolidineacetamide, N-(2,6-dimethylphenyl)-2-oxo-[CAS]	77191-36-7	U.S. 4,341,790	Cognition enhancer	Dementia, senile, general
nefopam	1H-2,5-Benzoxazoline, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-[CAS]	13669-70-0 23327-57-3 33404-78-3	U.S. 3,487,153	Analgesic, NSAID	
Negamycin					
nelfinavir	3-Isoquinolinecarboxamide, N-(1,1-dimethylethyl)N-methyl-2-(2-hydroxy-3-((3-hydroxy-2-methylbenzoyl)amino)-4-(phenylthio)butyl)-, (3S-, (2(2S*,3S*),3Alpha,4aβ,8aβ))-, [CAS]	159989-65-8 159989-64-7		Antiviral, anti-HIV	Infection, HIV/AIDS
Nemonapride					
Neostigmine					
nepadurant	Cyclo[3-amino-L-alanyl-L-leucyl-N-[2-(acetyl amino)-2-deoxy-β-D-glucopyranosyl]-L-asparaginyl-L-Alpha-aspartyl-L-tryptophyl-L-phenylalanyl], (4-1)-lactam [CAS]	75272-39-8 59-99-4 183747-35-5	WO 9628467	Antiasthma	Asthma
neramexane					
neridronic acid	1,3,3,5,5-pentamethylcyclohexylamine	202807-80-5 219810-59-0 79778-41-9		Dependence treatment Musculoskeletal	Addiction, alcohol Osteogenesis imperfecta
Netifolin	Phosphonic acid, (6-amino-1-hydroxyhexylidene)bis-[CAS]	466-07-9			
N-Ethylamphetamine					
neticonazole	1H-Imidazole, 1-[2-(methylthio)-1-[2-(pentyloxy)phenyl]ethenyl]-, monohydrochloride, (E)-[CAS]	457-87-4 130773-02-3 130726-68-0	EP 445540	Antifungal	Infection, Candida, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
netilmicin	D-Streptamine, O-3-deoxy-4-C-methyl-3-(methylamino)-β-L-arabinoxyranosyl-(1-6)-O-[2,6-diamino-2,3,4,6-tetra-deoxy-Alpha-D-glycero-hex-4-enopyranosyl-(1-4)]-2-deoxy-N1-ethyl-[CAS]	56391-56-1 56391-57-2	GIB 1473733	Aminoglycoside antibiotic	Infection, general
nevirapine	6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-cyclopropyl-5,11-dihydro-4-methyl-[CAS]	129618-40-2	EP 429987	Antiviral, anti-HIV	Infection, HIV/AIDS
NGD-98-2		WO 9635689		Anxiolytic	Anxiety, general
Nialamide		51-12-7			
Niaprazine		27367-90-4			
Nicametate		3099-52-3			
nicaraven	3-Pyridinecarboxamide, N,N'-(1-methyl-1,2-ethanediy)bis-[CAS]	79455-30-4	EP 29602	Neuroprotective	Haemorrhage, subarachnoid
nicardipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl 2-[methyl(phenylmethyl)amino]ethyl ester [CAS]	54527-84-3 55985-32-5	U.S. 3,985,758	Neuroprotective	Hypertension, general
nicergoline	Ergoline-8-methanol, 10-methoxy-1,6-dimethyl-, (8'b)-, 5-bromo-3-pyridinecarboxylate(ester)	27848-84-6		Formulation, modified-release, other	Unspecified
Niceritol		5868053			
Niclosamide		50-65-7			
Nicoclonate		10571-59-2			
Nicofuranose		15351-13-0			
Nicomol		27959-26-8			
Nicomorphine		639-48-5			
nicorandil	3-Pyridinecarboxamide, N-[2-(nitrooxyethyl)]-[CAS]	65141-46-0	U.S. 4,792,564	Vasodilator, coronary	Hypertension, general
Nicotinamide		98-92-0			
nicotine	Pyridine, 3-(1-methyl-2-pyrrolidinyl)-, (S)-[CAS]	54-11-5		Formulation, inhalable, other	Addiction, nicotine
Nicotinic Acid		59-67-6			
Nicotinic Acid Benzyl Ester		94-44-0			
Nicotinyl Alcohol		100-55-0			
nifedipine	4-(2'-nitrophenyl)-2,6-dimethyl-3,5-dicarbo-methoxy-1,4-dihydropyridine	21829-25-4	GIB 1173862	Vasodilator, coronary	Hypertension, general
nifekalant	2,4(1H,3H)-Pyrimidin-6-one, 6-[[2-[(2-hydroxyethyl)]3-(4-nitrophenyl)propyl]amino]ethyl]amino]-1,3-dimethyl-, [CAS]	130636-43-0 130656-51-8	EP 369627	Antiarrhythmic	Arrhythmia, general
Nifenalol		7413-36-7			
Niflumic Acid		4394-00-7			
Nifuratel		4936-47-4			
Nifurfoline		3363-58-4			
Nifuroxazide		965-52-6			
Nifuroxime		6236051			
Nifurpirinol		13411-16-0			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Nifurpazine		1614-20-6			
Nifurtimox		23256-30-6			
Nifurtinol		1088-92-2			
nifurzide	2-Thiophenecarboxylic acid, 5-nitro-, [3-(5-nitro-2-furanyl)-2-propenylidene]hydrazide [CAS]	39978-42-2	U.S. 3,847,911	Antidiarrhoeal	Infection, GI tract
NIK-254	Gentamicin, sulfate (salt) [CAS]	1405-41-0		Formulation, other	Infection, general
Nikethamide		59-26-7			
nilotamide	2,4-Imidazolidinedione, 5,5-dimethyl-3-[4-nitro-3-(trifluoromethyl)phenyl]-[CAS]	63612-50-0	U.S. 4,472,382	Anticancer, hormonal	Cancer, prostate
nilvadipine	3,5-Pyridinedicarboxylic acid, 2-cyano-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, 3-methyl 5-(1-methylethyl) ester [CAS]	75530-68-6	U.S. 4,338,322	Antihypertensive, other	Hypertension, general
nimesulide	Methanesulfonamide, N-(4-nitro-2-phenoxyphenyl)-[CAS]	51803-78-2	U.S. 3,840,597	Anti-inflammatory	Pain, general
Nimetazepam		2011-67-8			
nimodipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-methoxyethyl 1-methylethyl ester [CAS]	66085-59-4	EP 533014	Neuroprotective	
Nimorazole		6506-37-2			
nimustine	Urea, N'-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(2-chloroethyl)-N-nitroso-[CAS]	103745-00-2 42471-28-3 55661-38-6 2179-16-0	GB 1374344	Anticancer, alkylating	Cancer, brain
Ninopterin					
NIP-142	N-[4(S)-(Cyclopropylamino)-3-(R)-hydroxy-2,2-dimethyl-7-nitro-3,4-dihydro-2H-1-benzopyran-6-yl]-4-methoxybenzeneacetamide		WO 9804542	Antiarrhythmic	Fibrillation, atrial
NIP-531	N'-[3,5-Bis(trifluoromethyl)benzyl]-N-[3-[N-[1-(4-fluorobenzyl)benzimidazol-2-yl]-amino]propyl-N-methylurea hydrochloride			Antipruritic/inflam, allergic	Eczema, atopic
niperotidine	N-[2-[[5-[(dimethylamino)methyl]furfuryl]thio]ethyl]-2-nitro-N'-piperonyl-1,1-ethenediamine	84845-75-0	GB 2104071	Antileuer	Ulcer, GI, general
nipradilol	2H-1-Benzopyran-3-ol, 3,4-dihydro-8-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, 3-nitrate [CAS]	81486-22-8 86247-86-1	EP 42299	Formulation, mucosal, topical	Glaucoma
Niridazole		61-57-4			
nisoldipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-, methyl 2-methylpropyl ester-[CAS]	63675-72-9	GB 1516793	Antihypertensive, other	Hypertension, general
nitazoxanide	Benzamide, 2-(acetyloxy)-N-(5-nitro-2-thiazolyl)-[CAS]	55981-09-4	U.S. 5,387,598	Protozoacide	Infection, GI tract
nitisinone	1,3-Cyclohexanedione, 2-[2-nitro-4-(trifluoromethyl)benzoyl]-[CAS]	104206-65-7	EP 186118	Metabolic and enzyme disorders	Cirrhosis, hepatic
nitracrine	1,3-Propanediamine, N,N-dimethyl-N'-(1-nitro-9-acridinyl)-[CAS]	4533-39-5 6514-85-8 146-22-5	FR 1458183	Anticancer, other	Cancer, ovarian
Nitrazepam					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
nitrendipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, ethyl methyl ester-[CAS]	39562-70-4	GIB 1358951	Antihypertensive, other	Hypertension, general
nitroflurbiprofen	(1,1'-Biphenyl)-4-acetic acid, 2-fluoro-Alpha-methyl-, 4-(nitrooxy)butyl ester [CAS]	158836-71-6	EP 670825	Urological	Incontinence
Nitrofurantoin		67-20-9			
Nitrofurazone		59-87-0			
nitroglycerin	1,2,3-Propanetriol, trinitrate [CAS]	55-63-0			
Nitromersol					
nitronaprofen	2-Naphthaleneacetic acid, 6-methoxy-Alpha-methyl 4-(nitrooxy)butyl ester (AlphaS)-[CAS]	133-58-4 163133-43-5	WO 9509831	Formulation, transdermal, patch	Angina, general
nitroxazepine	[3-(dimethylamino)propyl]-2-nitro-, monohydrochloride [CAS]	16398-39-3	NL 6608671	Analgescic, NSAID	Pain, post-operative
Nitroxoline					
nizatidine	1,1-Ethenediamine, N-[2-[[[2-(dimethylamino)methyl]-4-thiazolyl]methyl]thio]ethyl]-N'-methyl-2-nitro-[CAS]	4008-48-4 76963-41-2	EP 49618	Antitumor	Ulcer, duodenal
Nizofenone					
NM-3	3-(2-methylcarboxymethyl)-6-methoxy-8-hydroxy-isocoumarin	54533-85-6	JP 08176138	Anticancer, other	Cancer, general
NM-702	4-Bromo-5-(3-pyridylmethylamino)-6-[3-(4-chlorophenyl)propoxy]-3(2H)pyridazinone hydrochloride			Antithrombotic	Peripheral vascular disease
N-Methylephedrine		552-79-4			
N-Methylepinephrine		554-99-4			
N-Methylglucamine		6284-40-8			
NN-414					
NNC-05-1869	6-chloro-3-(1-methylcyclopropylamino)-4H-thieno[3,2-e]-[1,2,4]thiadiazine-1,1-dioxide (R)-1-(3-(10,11-dihydro-5H-dibenz[<i>a,d</i>]pycolhepten-5-ylidene)-1-propyl)-3-piperidine carboxylic acid				
Nogalamycin		1404-15-5			
notalrexed	4(1H)-Quinazolinone, 2-amino-6-methyl-5-(4-pyridinylthio)-, [CAS]	152946-68-4 147149-76-6	WO 9320055	Anticancer, antimetabolite	Cancer, liver
notolirole	Propanoic acid, 2-methyl-, 5,6,7,8-tetrahydro-6-(methylamino)-1,2-naphthalenediyl ester, hydrochloride, (+/-)-[CAS]	138531-51-8	WO 9529147	Cardio stimulant	Heart failure
notpantium	1-Azoniabicyclo[2.2.2]octane, 1-[2-[3-(4-dichlorophenyl)-1-[3-(1-methylethoxy)phenyl]acetyl]-3-piperidinyl]ethyl]-4-phenyl-, chloride, (S)-[CAS]	153050-21-6	EP 591040	GI inflammatory/bowel disorders	Inflammatory bowel disease

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
norgestrol	19-Norepregna-4,6-diene-3,20-dione, 17-(acetyloxy)-6-methyl-[CAS]	58652-20-3	DE 2522533	Menstruation disorders	Menstrual disorder, general
Nonifensine		24526-64-5			
Noprysulfamide		576-97-6			
Norbolethone		1235-15-0			
Nordazepam		1088-11-5			
Nordéfrin		6539-57-7			
		(unspecified);			
		74812-63-8			
		(R*,S*)(±)-form			
Nordihydroguaiaretic Acid		27686-84-6			
		(meso-form);			
		500-38-9			
		(unspecified)			
Norelgestromin, Ethinyl Estradiol		51-41-2			
Norepinephrine		52-78-8			
Norethandrolone		68-22-4			
Norethindrone		68-23-5			
Norethynodrel		536-21-0			
Norfenefrine		68077-27-0			
norfloxacin	3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-[CAS]	70458-96-7	U.S. 4,146,719	Quinolone antibacterial	Infection, general
Norgestronone		13563-60-5			
Norgestimate		35189-28-7			
Norgestrel		6533-00-2			
Norgestrienone		848-21-5			
Norlevorphanol		1531-12-0			
Normethadone		467-85-6			
Normethandrone		514-61-4			
Normorphine		466-97-7			
Norphenazone		89-25-8			
Norpipatone		561-48-8			
Norpseudoephedrine		492-39-7			
Nortriptyline		72-69-5			
Norvinisterone		6795-60-4			
Noscapine		128-62-1			
Novembichin		1936-40-9			
Novobiocin		303-81-1			
Noxiptilin		3362-45-6			
Noxythiolin		15599-39-0			
NS-1209	Butanoic acid, 2-[[[5-[4-[(dimethylamino)sulfonyl]phenyl]-1,2,6,7,8,9-hexahydro-8-methyl-2-oxo-3H-pyrrolo[3,2-b]isoquinolin-3-ylidene]amino]oxy]-3-hydroxy-[CAS]	254751-28-5	WO 9426747	Antiepileptic	Epilepsy, general

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
NS-1231	5-(4-chlorophenyl)-6,7,8,9-tetrahydro-1H-pyrido-(3,2-b)naphthalene-2,3-dione-3-oxime			Neuroprotective	Ischaemia, cerebral
NS-126			U.S. 5,063,222	Antiallergic, non-asthma	Rhinitis, allergic, general
NS-220	2-Methyl- <i>c</i> -5-[4-[5-methyl-2-(4-methylphenyl)-4-oxazoly]butyl]-1,3-dioxane- <i>r</i> -2-carboxylic acid			Hypolipaeimic/Antiatherosclerosis	Athero-sclerosis
NS-2330	NS 2330 [CAS]	402856-42-2		Cognition enhancer	Alzheimer's disease
NS5A inhibitors			U.S. 6,030,785	Antiviral, other	Infection, hepatitis-C virus
NS-7	Pyrimidine, 4-(4-fluorophenyl)-2-methyl-6-[[5-(1-piperidinyl)pentyl]oxy], monohydrochloride [CAS]	178429-67-9	WO 9607641	Neuroprotective	Ischaemia, cerebral
NS-8	2-Amino-5-(2-fluorophenyl)-4-methyl-1H-pyrrole-3-carbonitrile			Urological	Incontinence
NSC-330507	17-Allylaminogeldanamycin			Anticancer, antibiotic	Cancer, general
NSC-619534	2-chloroethyl phenyl selenone			Anticancer, alkylating	Cancer, general
NSC-697726	2,5-diazinidinyl-3-[hydroxymethyl][6-methyl-1,4-benzoquinone	120-34-3		Anticancer, antibiotic	Cancer, general
N-Sulfanilyl-3,4-xy/amide NU-6027	2,4-Pyrimidinedianine, 6-(cyclohexylmethoxy)-5-nitroso-[CAS]	220036-08-8		Anticancer, other	Cancer, general
NV-07	2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-ethyl-5-sec-pentyl-, 2-oxime [CAS]	53745-16-7	U.S. 6,455,032	Antipruritic/inflammin, non-allergic	Keratosis
NVP-SRA880	(3R,4aR,10aR)-1,2,3,4,4a,5,10,10a-Octahydro-6-methoxy-1-methyl-benz[g]quinoline-3-carboxylic acid-4-(4-nitrophenyl)piperazine amide, hydrogen maleate			Neurological	Unspecified
NW-1029	(S)-(+)-2-[4-(2-fluorobenzoyloxy)benzylamino]propanamide methansulfonate			Analgesic, other	Pain, general
NXY-059	CPI 22 [CAS]	168021-79-2	U.S. 5,780,510	Neuroprotective	Ischaemia, cerebral
Nylidrin NZ-314	1-Imidazolidineacetic acid, 3-[(3-nitrophenyl)methyl]-2,4,5-trioxo-[CAS]	447-41-6 128043-99-2	EP 353198	Symptomatic antidiabetic	Neuropathy, diabetic
NZ-419	5-hydroxy-1-methylimidazolidine-2,4-dione	114-90-9	EP 412940	Urological	Renal failure
Obidoxime Chloride OC-108	OC 108 [CAS]	162602-62-2		Vasoprotective, topical	Venous insufficiency

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
ocinaplon	Methanone, 2-pyridinyl-[7-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-3-yl]-[CAS]	96604-21-6	EP 129847	Anxiolytic	Generalized anxiety disorder
Octabenzone		1843-05-6			
Octacaine		13912-77-1			
Octamoxin		4684-87-1			
Octaverine		549-68-8			
octenidine	1-Octanamine, N,N'-(1,10-decanediyl-di-1(4H)pyridinyl-4-ylidene)bis-[CAS]	70775-75-6 71251-02-0 86767-75-1	WO 8705501	Stomatological	Periodontitis
Octodrine		543-82-8			
Octopamine		104-14-3			
Octotamine		137-86-0			
octreotide	L-Cysteinamide, D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-N-[2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (2-7)-disulfide, [R-(R*,R*)]-[CAS]	83150-76-9		Formulation, fixed-dose combinations	Cancer, general
Octyl		5466-77-3			
Methoxycinnamate					
ofloxacin	7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (+/-)-[CAS]	82419-36-1	EP 47005	Quinolone antibacterial	Schizophrenia
o-Iodohippurate		133-17-5			
loazapine	10H-Thieno[2,3-b](1,5)benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-[CAS]	132539-06-1	EP 454436	Neuroleptic	
Oleandrin		465-16-7			
Oleic Acid		112-80-1			
olmesartan - medoxomil	1H-Imidazole-5-carboxylic acid, 4-(1-hydroxy-1-methylethyl)-2-propyl-1-((2'-(1H-tetrazol-5-yl)(1,1'-biphenyl)-4-yl)methyl)-, (S-methyl-2-oxo-1,3-dioxol-4-yl) methyl ester [CAS]	144689-63-4	EP 503785	Antihypertensive, renin system	Hypertension, general
olopatadine	11-[(Z)-3-(Dimethylamino)propylidene]-6,11-dihydrodibenz[b,e]oxepin-2-acetic acid, monohydrochloride	113806-05-6 140462-76-6	EP 235796	Ophthalmological	Conjunctivitis
olpadronic acid	Monosodium 3-dimethylamino-1-(hydroxypropylidene)-1,1-bisphosphonate	63132-39-8	WO 9619998	Osteoporosis treatment	Osteoporosis
olsalazine	Benzoic acid, 3,3'-azobis[6-hydroxy-[CAS]	15722-48-2	U.S. 4,559,330	GI inflammatory/bowel disorders	Colitis, ulcerative
oltipraz	3H-1,2-Dithiole-3-thione, 4-methyl-5-pyrazinyl-[CAS]	53200-51-4 64224-21-1	DE 2705641	Anticancer, other	Cancer, general
OM-294DP	2-[3(R)-(Dodecanoyloxy)tetradecanamido]-N-[4-[3(R)-hydroxytetradecanamido]-5-(phosphonoxy)pentyl]-4-(phosphonoxy)butyramide			Anticancer, immunological	Unspecified

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Omacor	ethyl (5Z,8Z,11Z,14Z,17Z)-eicosa-5,8,11,14,17-pentaenoate + ethyl (4Z,7Z,10Z,13Z,16Z,19Z)-docosa-4,7,10,13,16,19-hexaenoate	81926-94-5 86227-47-6		Hypolipemic/ Antiatherosclerosis	Hypertigly- ceridaemia
omapatrilat	7H-Pyrido(2,1-b)(1,3-thiazepine-7-carboxylic acid, octahydro-4-(2-mercaptop-1-oxo-3-phenylpropyl)amino)-5-oxo, (4S-(4 α lpha(R*),7 α lpha,10 α lpha))-[CAS]	167305-00-2	U.S. 5,508,272	Antihypertensive, renin system	Hypertension, general
omeprazole	1H-Benzimidazole, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methylsulfanyl]-[CAS]	73590-58-6	U.S. 4,255,431	Antilulcer	Ulcer, GI, general
omiloxetine	Ethanone, 2-[(3R,4S)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-1-piperidinyl]-1-(4-fluorophenyl)-, rel-[CAS]	176894-09-0		Antidepressant	Depression, general
omoconazole	1H-Imidazole, 1-[2-[2-(4-chlorophenoxy)ethoxy]-2-(2,4-dichlorophenyl)-1-methylethyl]-, (Z)-[CAS]	74512-12-2	EP 8804	Antifungal	Infection, dermatological
Onapristone ondansetron	4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-[CAS]	96346-61-1 99614-01-4 99614-02-5	U.S. 4,847,281	Antiemetic	Chemotherapy- induced nausea and vomiting Unspecified
ONO-3403	Benzoic acid, 4-[(1E)-3-[(2-ethoxy-2-oxoethyl)-2-propenylamino]-2-methyl-3-oxo-1-propenyl]-, 4-(aminoiminomethyl)phenyl ester, monomethanesulfonate [CAS]	181586-07-2		GI inflammatory/ bowel disorders	
ONO-4128	1,4,9-Triazaspiro(5,5)undecane-2,5-dione, 1-butyl-3-(cyclohexylmethyl)-9-(2,3-dihydro-1,4-benzodioxin-6-yl)methyl-[CAS]	342394-93-8		Antiviral, anti-HIV	Infection, HIV/AIDS
ONO-8815 Ly	L-lysine (Z)-7-[(1R,2R,3R,5R)-5-chloro-3-hydroxy-2-(E)-4-(1-ethylcyclobutyl)-4-hydroxy-1-butenyl]cyclopentyl]-5-heptenoate			Labour inhibitor	Labour, preterm
ONT-093			U.S. 5,756,527	Radio/ chemosensitizer	Cancer, general
OPC-14523	2(1H)-Quinolinone, 1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-5-methoxy-[CAS]	145969-30-8	EP 512525	Antidepressant	Depression, general
OPC-31260	Benzamide, N-[4-[5-(dimethylamino)-2,3,4,5-tetrahydro-1H-1-benzazepin-1-yl]carbonyl]phenyl]-2-methyl-(5R)-2-[1-(2-chloro-4-(1-pyridinyl)benzoyl)-2,3,4,5-tetrahydro-1H-1-benzazepin-5-yl]-N-isopropylacetamide	137975-06-5	WO 9105549	Urological	Unspecified
OPC-51803				Antidiabetic	Diabetes, insipidus
OPC-6535	2-Pyridinecarboxylic acid, 6-[2-(3,4-dithoxyphenyl)-4-thiazolyl]-[CAS]	145739-56-6	WO 9209586	GI inflammatory/ bowel disorders	Inflammatory bowel disease
Opiniazide		2779-55-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
opioid analgesics	2-(4-(trifluoromethyl)phenyl)-N-methyl-1-phenyl-2-(1-pyrrolidinyl)ethylacetamide]	315-72-0 2574-78-9 137109-78-5		Analgasic, other	Pain, general
Opipranol Orazamide orazipone	2,4-Pentanedione, 3-(4-(4-methylsulfonyl)phenyl)methylene)-[CAS]	EP 440324		Antiasthma	Unspecified
Org-12962	Piperazine, 1-[6-chloro-5-(trifluoromethyl)-2-pyridinyl]-, monohydrochloride [CAS]	210821-63-9		Antidepressant	Depression, general
Org-24448 oritavancin	Vancomycin, 22-O-(3-amino-2,3,6-trideoxy-3-C-methyl- α -L-arabino-hexopyranosyl)-N ³ "-(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-, (4"R)-[CAS]	U.S. 6,166,008 U.S. 5,840,684		Neuroleptic Peptide antibiotic	Schizophrenia Infection, dermatological
orlistat	L-Leucine, N-formyl-, 1-[(3-hexyl-4-oxo-2-oxetanyl)methyl]dodecyl ester, [2S-[2 α](R*),3 β)]-[CAS]	96829-58-2	EP 129748	Anorectic/ Antiobesity	Obesity
ormetoxifene	Pyrolidine, 1-[2-(p-(7-methoxy-2,2-dimethyl-3-phenyl-4-chromanyl)phenoxy)ethyl]-, trans-[CAS]	31477-60-8	DE 2329201	Female contraceptive	Contraceptive, female
Ornidazole Ornipressin Ornithine ornoprostil	Prost-13-en-1-oic acid, 11,15-dihydroxy-17,20-dimethyl-6,9-dioxo-, methyl ester, (11 α ,13 α ,15 α ,17S)-[CAS]	16773-42-5 3397-23-7 70-26-8 70667-26-4	U.S. 4,278,688	Prostaglandin	Ulcer, gastric
Orotic Acid Orphenadrine Orthocaine Osalmid osametant	Acetamide, N-[1-[3-(3R)-1-benzoyl-3-(3,4-dichlorophenyl)-3-piperidinyl]propyl]-4-phenyl-4-piperidinyl-N-methyl-[CAS]	65-86-1 83-98-7 536-25-4 526-18-1 160492-56-8	EP 673928	Neuroleptic	Schizophrenia
osaterone	2-Oxapregna-4,6-diene-3,20-dione, 17-(acetyloxy)-6-chloro-[CAS]	105149-00-6	EP 193871	Prostate disorders	Benign prostatic hyperplasia
oseltamivir	1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-ethyl ester, (3R-(3 α),4 β ,5 α)-[CAS]	196618-13-0	WO 9626933	Antiviral, other	Infection, influenza virus
OSI-7836	4'-Thio- β -D-arabinofuranosylcytosine				
OSI-7904	Pentanedioic acid, 2-[5-[(1,2-dihydro-3-methyl-1-oxobenzof[quinoxalin-9-yl)methyl]amino]-1,3-dihydro-1-oxo-2H-isoindol-2-yl]-, (S)-[CAS]	139987-54-5	WO 9119700	Anticancer, antimetabolite Formulation, optimized, liposomes	Cancer, general Cancer, general
osperifene	Ethanol, 2-[4-(1Z)-4-chloro-1,2-diphenyl-1-butenyl]phenoxy]-[CAS]	128607-22-7	WO 9607402	Menopausal disorders	Osteoporosis
otilonium bromide	Ethaniminium, N,N-diethyl-N-methyl-2-[[4-[[2-(octyloxy)benzoyl]amino]benzoyl]oxy]-, bromide [CAS]	26095-59-0	GB 1181406	Antispasmodic	Irritable bowel syndrome
Ouabain		630-60-4			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Oxaceprol		33966-33-7			
Oxacillin		66-79-5			
Oxaflozane		26629-87-8			
oxaliplatin	Platinum, (1,2-cyclohexanediamine-N,N')[ethanedioato(2-)-O,O'], [SP-4-2-(1R-trans)]-[CAS]	61825-94-3	EP 393575	Anticancer, alkylating	Cancer, colorectal
Oxalyt-C	1,2,3-Propanetricarboxylic acid, 2-hydroxy-, potassium sodium salt [CAS]	28060-67-5	DE 2249274	Urological	
Oxanarin		15301-80-1			
Oxametacine		27035-30-9			
Oxamniquine		21738-42-1			
oxandrolone	2-Oxaandrostane-3-one, 17-hydroxy-17-methyl-, (5Alpha,17Beta)-[CAS]	53-39-4	U.S. 3,128,283	Reproductive/gonadal, general	Sex-chromosome abnormality, Turner's syndrome
Oxantel		36531-26-7			
Oxapropanium		541-66-2			
oxaprozin	2-Oxazolepropanoic acid, 4,5-diphenyl-[CAS]	21256-18-8	GB 1206403	Antiarthritic, other	Arthritis, osteo
oxatomide	2H-Benzimidazol-2-one, 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-1,3-dihydro-[CAS]	60607-34-3	GB 1579365	Antiallergic, non-asthma	Rhinitis, allergic, general
oxazepam	7-Chloro-1,3-dihydro-3-hydroxy-5-phenyl-2H-1,4-benzodiazepin-2-one	604-75-1		Formulation, oral, orally-disintegrating	Anxiety, general
oxazolam	Oxazolol[3,2-d][1,4]benzodiazepin-6(5H)-one, 10-chloro-2,3,7,11b-tetrahydro-2-methyl-11b-phenyl-[CAS]	27167-30-2	U.S. 3,772,371	Anxiolytic	
oxcarbazepine	5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-[CAS]	28721-07-5	DE 2011087	Antiepileptic	Epilepsy, general
Oxeladin		29331-92-8			
Oxendolone		468-61-1			
Oxethazaine		33765-68-3			
Oxetoron		126-27-2			
oxiconazole		26020-55-3			
	Ethanone, 1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)-, O-[(2,4-dichlorophenyl)methyl]oxime, (Z)-[CAS]	64211-45-6	GB 1514870	Antifungal	Infection, fungal, general
Oxidronic Acid		15468-10-7			
Oxiniac Acid		2398-81-4			
Oxiracetam		62613-82-5			
oxitropium	3-Oxa-9-azomiatricyclo[3.3.1.02,4]nonane, 9-ethyl-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9-methyl-, bromide, [7(S)-(1Alpha,2Beta,4Beta,5Alpha,7Beta)]-[CAS]	30286-75-0	GB 1178305	Antiasthma	
Oxolamin		959-14-8			
Oxolinix Acid		14698-29-4			
Oxoplenarsine		538-03-4			
Oxprenolol		6452-71-7			
Oxybenzone		131-57-7			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
oxybutynin	Benzeneacetic acid, Alpha-cyclohexyl- Alpha-hydroxy-, 4-(diethylamino)-2-butynyl ester-[CAS]	5633-20-5		Formulation, modified- release, other	Incontinence
Oxycinchophen oxycodone	Morphinan-6-one, 4,5-epoxy-14-hydroxy-3- methoxy-17-methyl-, (5Alpha)-	485-89-2 76-42-6		Formulation, transmucosal, nasal	Pain, general
Oxytedrine Oxygent	Octane, 1-bromo- 1,1,2,2,3,3,4,4,5,5,6,6,7,7,8,8- heptafluoro-[CAS]	15687-41-9 423-55-2		Haematological	Surgery adjunct
Oxymesterone Oxymetazoline oxymetholone	Androstan-3-one, 17-hydroxy-2- (hydroxymethylene)-17-methyl-, (5Alpha,17beta)-[CAS]	145-12-0 1491-59-4 434-07-1		Hormone	Anaemia, general
Oxymethurea oxymorphone	(5Alpha)-4,5-Epoxy-3,14-dihydroxy-17- methylmorphinan-6-one [CAS]	140-95-4 76-41-5		Formulation, modified- release, immediate	Pain, general
Oxypendyl Oxypertine Oxyphenbutazone Oxyphenacyclimine Oxyphenisatin Oxyphenonium Oxypinocamphone oxypurinol	1H-Pyrazolo[3,4-d]pyrimidine-4,6(5H,7H)- dione [CAS]	5585-93-3 153-87-7 129-20-4 125-53-1 115-33-3 50-10-2 10136-65-9 2465-59-0		Antigout	Hyper- uricaemia
Oxytetracycline ozagrel	2-Propenoic acid, 3-[4-(1H-imidazol-1- ylmethyl)phenyl]-, (E)-[CAS]	79-57-2 78712-43-3 82571-53-7 536-95-8	GB 2025946	Antithrombotic	Vasospasm, cerebral
p-(Benzyl- sulfonamido)- benzoic Acid P-100	Pentanoic acid, 5-amino-4-oxo, methyl ester, hydrochloride [CAS]		U.S. 6,313,777	Antiviral, anti-HIV	Infection, HIV/AIDS Keratoses
P-1202	Di-(3N-[(2S,3S)-2-amino-3-methyl- pentanoyl]-1,3-thiazolidine)fumarate	79416-27-6	U.S. 6,034,267	Dermatological	Diabetes, Type II
P32/98			WO 9701562	Antimycobacterial	Infection, tuberculosis
PA-824			U.S. 5,128,242	Neuroprotective	Nerve injury, general
PACAP 38	Pituitary adenylate cyclase-activating peptide-38 [CAS]	128606-20-2		Formulation, optimized, nanoparticles	Cancer, breast
pacitaxel	5beta,20-Epoxy-1,2Alpha,4,7beta,10beta,13Alpha- hexahydroxytax-11-en-9-one-4,10- diacetate-2-benzoate-13-(Alpha- phenyl)hippurate)	33069-62-4	U.S. 6,413,935	Immunostimulant, other	Vaccine adjunct
PADRE					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
pargolone	1H-Isoindol-1-one, 2-(7-chloro-1,8-naphthyridin-2-yl)-2,3-dihydro-3-(5-methyl-2-oxohexyl)-(R)-[CAS]	133737-32-3	U.S. 4,960,779	Anxiolytic	Panic disorder
PAI inhibs					
palindore	8H-1,4-dioxino[2,3-e]indol-8-one,2,3,7,9-tetrahydro-2-[(phenylmethyl)amino]methyl]-, 2(S)-, (2E)-2-butendioate (1:1)	189681-71-8	WO 9404512	Antithrombotic	Thrombosis, venous
Palivizumab		188039-54-5		Neuroleptic	Schizophrenia
palonosetron	3aS-2-[(S)-1-Azabicyclo[2.2.2]oct-3-yl]-2,3,3a,4,5,6-hexahydro-1-oxo-1H-benz[de]isoquinoline hydrochloride	135729-62-3	U.S. 5,202,333	Antiemetic	Chemotherapy-induced nausea and vomiting
Panabrom		606-04-2			
Pamaquine	1H-Pyrrole-1-acetic acid, 2-[4,5-bis(4-methoxyphenyl)-2-thiazolyl]-, ethyl ester [CAS]	491-92-9			
panitocogral		101001-34-7	EP 159677	Antithrombotic	Thrombosis, cerebral
panidronate	(3-Amino-1-hydroxypropylidene)phosphonic acid-[CAS]	40391-99-9		Formulation, implant	Hypercalcaemia of malignancy
p-Aminobenzoic Acid		150-13-0			
p-Aminohippuric Acid		61-78-9			
p-Amino-propionophenone		70-69-9			
p-Aminosalicilic Acid		65-49-6			
Panavir	4,4'-isopropylidenedithiobis-2,6-di- <i>t</i> -butylphenol	15500-66-0		Neuroprotective	Vasospasm, cerebral
Pancuronium		87726-17-8			
Panipenem		16816-67-4			
Pantethine		102625-70-7	EP 166287	Antitumor	Ulcer, duodenal
pantoprazole	1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]-sulfonyl]-[CAS]				
Pantothenic Acid		79-83-4			
Papain					
Papaverine		58-74-2			
paracetamol	Acetamide, N-(4-hydroxyphenyl)-[CAS]	103-90-2		Formulation, oral, other, modified-release	Pain, general
Parafutizide		1580-83-2			
Paraldehyde		123-63-7			
Paramethadione		115-67-3			
Paramethasone		53-33-8			
Paranyline		1729-61-9			
Parathyroid Hormone		9002-64-6			
parecoxib	Propanamide, N-((4-(5-methyl-3-phenyl-4-isoxazolyl)phenyl)sulfonyl)-, sodium salt [CAS]	198470-85-8	WO 9738986	Analgesic, NSAID	Pain, post-operative

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Parethoxycaine Pargyline paricalcitol	19-Nor-9,10-secoergosta-5,7,22-triene-1,3,25-triol, (1 α ,3 β ,7E,22E)-[CAS]	94-23-5 555-57-7 131918-61-1	EP 387077	Hormone	Hyperparathyroidism
paromomycin	O-2-Amino-2-deoxy- α -D-glucopyranosyl-(1 \rightarrow 4)-O-[O-2,6-diamino-2,6-dideoxy- β -L-idopyranosyl-(1 \rightarrow 3)] β -D-ribofuranosyl-(1 \rightarrow 5)]-2-deoxy-D-streptamine	7542-37-2		Protozoacide	Infection, leishmaniasis
paroxetine	Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, (3S-trans)-[CAS]	61869-08-7	EP 223403	Antidepressant, formulation, oral, orally-disintegrating	Depression, general
Paroxypropione Parsalmide PaTrin-2	4-Bromothénylguanidine	70-70-2 30653-83-9		Radio/chemosensitizer	Cancer, melanoma
Pazinaclone pazufloxacin	7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-(1-aminocyclopropyl)-9-fluoro-2,3-dihydro-3-methyl-7-oxo-, (S)-[CAS]	103255-66-9 127045-41-4 127046-45-1 136905-87-8	DE 3913245	Quinolone antibacterial	Infection, general
p-Bromoacetanilide PC-NSAIDs	6-(2,6-Dichlorophenyl)-2-[4-(diethylaminoethoxy)-phenylamino]-8-pyrido[2,3-D]pyrimidine-7-one	103-88-8	U.S. 4,918,063	Formulation, other	Arthritis, general
PD-0166285				Anticancer, other	Cancer, general
Pecilocin pefloxacin	3-Quinolonecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-[CAS]	19504-77-9 70458-92-3	GB 1598915	Quinolone antibacterial	Infection, urinary tract
pegvisomant	Somatotropin (18-aspartic acid, 21-asparagine, 120-lysine, 167-asparagine, 168-alanine, 171-serine, 172-arginine, 174-serine, 179-threonine (human), pegylated [CAS]	218620-50-9		Somatostatin	Acromegaly
Pelletierine pemetrexed	L-Glutamic acid, N-[4-[2-(2-amino-4,7-dihydro-4-oxo-1H-pyrrolo[2,3-d]pyrimidin-5-yl)ethyl]benzoyl]-, disodium salt [CAS]	4396-1-4 137281-23-3 150399-23-8	U.S. 5,248,775	Anticancer, antimetabolite	Cancer, mesothelioma
penicilast	4H-Pyrido[1,2-a]pyrimidin-4-one, 9-methyl-3-(1H-tetrazol-5-yl)-[CAS]	100299-08-9 69372-19-6 2152-34-3 79-55-0	U.S. 4,457,932	Antiasthma	Asthma
Penmoline Pempidine PEN-203			U.S. 5,955,446	Antiviral, other	Infection, human papilloma virus

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Penamceillin penbutolol	2-Propanol, 1-(2-cyclopentylphenoxy)-3- [(1,1-dimethylethyl)amino]-, (S)-, sulfate (2:1) (salt) [CAS]	983-85-7 38363-32-5 38363-40-5	GB 1215751	Antihypertensive, adrenergic	
peniclovir	6H-Purin-6-one, 2-amino-1,9-dihydro-9-[4- hydroxy-3-(hydroxymethyl)butyl]-[CAS]	39809-25-1	JP 60058982	Antiviral, other	Infection, herpes simplex virus
Penethamate penfuridol	4-Piperidinol, 1-[4,4-bis(4- fluorophenyl)butyl]-4-[4-chloro-3- (trifluoromethyl)phenyl]-[CAS]	808-71-9 26864-56-2	DE 2040231	Neuroleptic	
Penicillamine		52-67-5			
Penicillin G		61-33-6			
Penicillin G		1538-09-6			
Benzathine					
Penicillin G Procaine		6130-64-9			
Penicillin N		525-94-0			
Penicillin O		87-09-2			
Penicillin V		87-08-1			
Penimepicycline		4599-60-4			
Penutuss			U.S. 4,221,778	Formulation, modified- release, other	Rhinitis, allergic, general
Pentaerythritol Chloral					
Pentaerythritol		78-12-6			
Dichlorohydrin		2209-86-1			
Pentaerythritol		597-71-7			
Pentagastrin		5534-95-2			
Pentagestrone		7001-56-1			
Pentalyte	Starch, 2-hydroxyethyl ether [CAS]	9005-27-0	U.S. 5,407,428	Plasma substitute	Surgery adjunct
Pentam thonium pentamidine	Benzenecarboximidamide, 4,4'-[1,5- pentanediyl]bis(oxy)]bis-[CAS]	541-20-8 100-33-4		Formulation, inhalable, systemic	Infection, <i>Pneumocystis</i> <i>jiroveci</i> <i>prophylaxis</i>
Pentazocine					
Pentetate		359-83-1			
Pentetic Acid		12111-24-9			
Pentetreotide		67-43-6			
Penthenate		138661-02-6			
Pentifyllin		60-44-6			
Pentifyllin		1028-33-7			
Pentigetide		62087-72-3			
Pentisonide		78833-03-1			
Pentobarbital		76-74-4			
Pentolinium		52-62-0			
Pentorex		434-43-5			
pentosan	Xylan, [CAS]	37319-17-8	U.S. 5,180,715	Urological	Inflammation, urinary tract

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
pentostatin	Imidazo[4,5-d][1,3]diazepin-8-ol, 3-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6,7,8-tetrahydro-, (R)-[CAS]	53910-25-1	U.S. 3,923,785	Anticancer, antimetabolite	Cancer, leukaemia, hairy cell
pentoxifyline	1H-Purine-2,6-dione, 3,7-dihydro-3,7-dimethyl-1-(5-oxohexyl)-[CAS]	147-61-5 1607-17-6 54-95-5 68247-85-8		Neuroprotective	Amiotrophic lateral sclerosis
Pentoxyl		84-97-9			
Penitritrol		423-55-2			
Penylentetrazole		62435-42-1;			
peplomycin	Bleomycinamide, N1-[3-(1-phenylethyl)amino]propyl-, (S)-[CAS]	39800-16-3 (unspecified)	U.S. 4,195,018	Anticancer, antibiotic	
Perazine		66104-22-1	U.S. 4,797,405	Antiparkinsonian	Parkinson's disease
Perflubron	Ergoline, 8-[(methylthio)methyl]-6-propyl-, (8 β)-, monomethanesulfonate-[CAS]	66104-23-2 6621-47-2 2622-26-6 157716-52-4			
Perfosamide			EP 594999	Anticancer, other	Cancer, prostate
pergolide	Piperidinium, 4-[[[hydroxy(octadecyloxy)phosphinyl]oxy]-1,1-dimethyl-, inner salt [CAS]	536-59-4	U.S. 5,110,832	Anticancer, other	Cancer, breast
Perhexiline	1-Cyclohexene-1-methanol, 4-(1-methylethyl)-[CAS]	13093-88-4			
Pericyazine		107133-36-8	EP 49658	Antihypertensive, renin system	Hypertension, general
perifosine	1H-Indole-2-carboxylic acid, 1-[2-[[1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, [2S-[1-[R*(R*)],2Alpha,3a β ,7a β]], compd. with 2-methyl-2-propanamine (1:1) [CAS]	82834-16-0 95153-31-4			
perillyl alcohol		53586-99-5	JP 04217925	Anti-inflammatory	
Perimethazine		2139-25-5			
perindopril	1-Piperidineethanol, Alpha-(5-phenyl-3-isoxazolyl)-, 2-hydroxy-1,2,3-propanetricarboxylate (2:1) (salt) [CAS]	2055-44-9			Schizophrenia
Periodyl		1977-11-3			
perisoxal		52645-53-1			
Perlapipe		129273-38-7			
Permethrin		150915-41-6			
perospirone	1H-Isoindole-1,3(2H)-dione, 2-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butyl]hexahydro-, cis-[CAS]	58-39-9 8030-30-6	CA 2167004	Neuroleptic	
Perphenazine		84-12-8			
Petroleum Benzin			U.S. 6,331,286	Antipsoriasis	Psoriasis
PH-10			WO 9638482	Immunological	Unspecified
Phanquinone			WO 9703986	Neuroleptic	Schizophrenia
Pharmaprojects No. 4994			WO 0204426	Antiasthma	Asthma
Pharmaprojects No. 5325			U.S. 6,057,346	Antiviral, anti-HIV	Infection,
Pharmaprojects No. 5972					
Pharmaprojects No.					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
6362 Pharmaprojects No. 6446	(R)-N-[4-[2-[[2-Hydroxy-2-(3-pyridinyl)ethyl]amino]ethyl]phenyl]-4-[4-(trifluoromethyl)phenyl]thiazole-2-yl]-benzenesulfonamide			Anorectic/ Antiobesity	HIV/AIDS Obesity
Pharmaprojects No. 6590			WO 0206223	Psychostimulant	Attention deficit disorder
6656 Pharmaprojects No. 6691			U.S. 6,455,026	Genomics-based drug discovery	Cancer, brain
6743 Pharmaprojects No. 6748	3-(6-Aminopyridin-3-yl)-N-methyl-N-[(1-methyl-1H-indol-2-yl)methyl]acrylamide 1,2,3,4,10,14b-Hexahydro-6-methoxy-2-methyl-dibenzo[c,f]pyrazino[1,2-a]azepin		U.S. 6,299,900	Formulation, other	Pain, general
Phenacaine		620-99-5			
Phenacetamide		63-98-9			
Phenacetin		62-44-2			
Phenadoxone		467-84-5			
Phenallylmal		115-43-5			
Phenamet		3819-34-9			
Phenazocine		127-35-5			
Phenazopyridine		136-40-3			
Phenbutamide		3149-00-6			
Phencyclidine		77-10-1			
Phendimetrazine		634-03-7			
Phenelzine		51-71-8			
Phenesterine		3546-10-9			
Phenetharbital		357-67-5			
Phenethicillin		132-93-4			
Pheneturide		90-49-3			
Phenformin		114-86-3			
Phenglutarinide		1156-05-4			
Phenindamine		82-88-2			
Phenindione		83-12-5			
Pheniprazine		55-52-7			
Pheniramine		86-21-5			
Phenmetrazine		134-49-6			
Phenobarbital		50-06-6			
Phenobutiodil		554-24-5			
Phenocol		103-97-9			
Phenoclide		78-05-7			
Phenolphthalein		77-09-8			
Phenolphthalol		81-92-5			
Phenolsulfonphthalein		143-74-8			
Phenol- tetrachlorophthalein		639-44-1			
Phenoperidine		562-26-5			
Phenosulfazole		515-54-8			
				Antibacterial, other	Infection, general
				Antidepressant	Depression, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Phenoxybenzamine		59-96-1			
Phenoxypropazine		3818-37-9			
Phenprocoumon		673-31-4			
Phenprocoumon		435-97-2			
Phenserine	Pyrolo(2,3-b)indol-5-ol, 1,2,3,3a,8,8a-hexahydro-1,3a,8-trimethyl-, phenyl/carbamate (ester), (3aS-cis)-[CAS]	101246-66-6		Cognition enhancer	Alzheimer's disease
Phenuximide		86-34-0			
Phentermine		122-09-8			
Phentololalein		18265-54-8			
Phentolamine		65-28-1			
		50-60-2		Formulation, oral, other	Impotence
Phenyl Acetylsalicylate		134-55-4			
Phenyl Aminosallylate		133-11-9			
Phenyl Salicylate		118-55-8			
Phenylbutazone		50-33-9			
Phenylephrine		61-76-7			
Phenylethanolamine		7568-93-6			
Phenylmercury		102-98-7			
Phenylmethylbarbituric Acid		76-94-8			
phenylpropanolamine	Benzenemethanol, Alpha-(1-aminoethyl)-, (R*, S*)(+/-)-[CAS]	14838-15-4		Anorectic/ Antiobesity; formulation, optimized, microparticles	
Phenylpropyl-methylamine		93-88-9			
Phenyltoloxamine		92-12-6			
Phenylramidol		553-69-5			
phenytoin	2,4-Imidazolidinedione, 5,5-diphenyl-[CAS]	57-41-0		Formulation, oral, other	Epilepsy, general
Phethenylate		510-34-9			
Pilloglucinol		108-73-6			
Pholcodine		509-67-1			
Pholedrine		370-14-9			
Phosphocreatine		67-07-2			
Phosphocysteamine		5746-40-7			
Phosphorylcholine		107-73-3			
Phthalylsulfacetamide		131-69-1			
Phthalylsulfathiazole		85-73-4			
p-Hydroxyephedrine		365-26-4			
Phylloquinone		84-80-0			
Physostigmine		57-47-6			
Phytic Acid		83-86-3			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
PI-88	D-Mannose, O-6-O-phosphono-Alpha-D-mannopyranosyl-(1-3)-O-Alpha-D-mannopyranosyl-(1-3)-O-Alpha-D-mannopyranosyl-(1-3)-O-Alpha-D-mannopyranosyl-(1-2)-hydrogen sulphate [CAS]	185077-23-0		Anticancer, other	Cancer, melanoma
Piberaline piboserod	2H-(1,3)Oxazino(3,2-a)indole-10-carboxamide, N-(1-butyl-4-piperidinyl)methyl-3,4-dihydro-[CAS]	39640-15-8 152811-62-6	WO 9318036	Antiarrhythmic	Fibrillation, atrial
Picilorex Picloxydine Picoperine Picosulfate Picotamide Picumast pidotimod		62510-56-9 5636-92-0 21755-66-8 10040-45-6 32828-81-2 39577-19-0 121808-62-6			
Pifamine piketopufen	4-Thiazolidinecarboxylic acid, 3-[(5-oxo-2-pyrrolidinyl)carbonyl]- [CAS]	56208-01-6 60576-13-8	GB 1436502	Immunomodulator, anti-infective Anti-inflammatory, topical	Infection, respiratory tract, lower
Pildralazine pilocarpine	Benzeneacetamide, 3-benzoyl-Alpha-methyl-N-(4-methyl-2-pyridinyl)-[CAS] 2(3H)-Furanone, 3-ethyl/dihydro-4-[(1-methyl-1H-imidazol-5-yl)methyl]-, (3S-cis)-[CAS]	64000-73-3 92-13-7		Formulation, implant, Stomatological Formulation, mucosal, topical	Glaucoma
Piloplex	2-Propenoic acid, 2-methyl-, dodecyl ester, polymer with 2-propenoic acid, compd. with (3S-cis)-3-ethyl/dihydro-4-[(1-methyl-1H-imidazol-5-yl)methyl]-2(3H)-furanone [CAS]	62783-28-2	DE 2636559		
pilsicanide	1H-Pyrrolizine-7a(5H)-acetamide, N-(2,6-dimethylphenyl)tetrahydro-, monohydrochloride [CAS]	88069-49-2 88069-67-4	U.S. 4,564,624	Antiarrhythmic	Arrhythmia, general
Pimeclone pimecrolimus	15,19-Epoxy-3H-pyrido(2,1-c)(1,4)oxazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-(2-(4-chloro-3-methoxycyclohexyl)-1-methylethenyl)-8-ethyl- 5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-(3S-(3R*E(1S*,3S*,4R*),4S*,5R*,8S*,9E*,12R*,14R*,5S*,16R*,18S,8,19S*,26aR*))-[CAS]	534-84-9 137071-32-0	EP 626385	Antipruritic/inflam, allergic	Eczema, atopic

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Pimefylline pimilprost	Acetic acid, [2-(octahydro-5-hydroxy-6-(3-hydroxy-5-methyl-1-nonenyl)-2-pentalenyl]ethoxy]-, methyl ester, [2R-[2Alpha,3Alpha,4Alpha,5Alpha,6Alpha]]-[CAS]	10001-43-1 139403-31-9		Dermatological	Ulcer, general
Piminodine Pimobendan pimozide	2H-Benzimidazol-2-one, 1-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-1,3-dihydro-[CAS]	13495-09-5 74150-27-9 2062-78-4	FR M3695	Neuroleptic	
Pinacidil pinaverium	Morpholinium, 4-[(2-bromo-4,5-dimethoxyphenyl)methyl]-4-[2-(6,6-dimethylbicyclo[3.1.1]hept-2-yl)ethoxy]ethyl]-, [CAS]	85371-64-8 53251-94-8 59995-65-2	EP 406743	Antispasmodic	Irritable bowel syndrome
pinazepam	2H-1,4-Benzodiazepin-2-one, 7-chloro-1,3-dihydro-5-phenyl-1-(2-propynyl)-[CAS]	52463-83-9	DE 2339790	Anxiolytic	
Pindolol pioglitazone	2,4-Thiazolidinedione, 5-[[4-[2-(5-ethyl-2-pyridinyl)ethoxy]phenyl]methyl]-, monohydrochloride (+-)-[CAS]	13523-86-9 111025-46-8 112529-15-4	EP 193256	Antidiabetic	Diabetes, Type II
Pipacryline Pipamazine Pipamperone Pipazethate Pipebuzone Pipecurium pipecuronium	Piperazinium, 4,4'-[(2beta,3Alpha,5Alpha,16beta,17beta)-3,17-bis(acetyloxy)androstane-2,16-diy]]bis[1,1-dimethyl-, [CAS]	1110-80-1 84-04-8 1893-33-0 2167-85-3 27315-91-9 52212-02-9 52212-02-9 68399-57-5	GB 1398050	Muscle relaxant	Anaesthesia, adjunct
pipemidic acid	Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 8-ethyl-5,8-dihydro-5-oxo-2-(1-piperazinyl)-[CAS]	51940-44-4	GB 1451911	Antibacterial, other	Infection, urinary tract
Pipenzolate Bromide Piperacetazine piperacillin	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]phenyl]acetyl]-amino]-3,3-dimethyl-7-oxo-, [2S-[2Alpha,5Alpha,6beta(S*)]]-[CAS]	125-51-9 3819-00-9 59703-84-3 61477-96-1	GB 1508062	Penicillin, injectable	Infection, general
Piperazine Adipate Piperidione Piperidolate Piperilate piperine analogues Piperocaine Piperonal Piperoxan		142-88-1 77-03-2 82-98-4 4546-39-8 136-82-3 120-57-0 59-39-2	WO 002544	Dermatological	Vitiligo

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Piperylone		25 31-4-6			
Pipobroman		54-91-1			
Piposulfan		2608-24-4			
pipotiazine	Hexadecanoic acid, 2-[1-[3-[2- [(dimethylamino)sulfonyl]-10H- phenothiazin-10-yl]propyl]-4- piperidinyl]ethyl ester [CAS]	37517-26-3 39860-99-6	U.S. 4,782,077	Neuroleptic	
Pipoxolan		18174-58-8			
Pipradrol		467-60-7			
piprozolin	Acetic acid, [3-ethyl-4-oxo-5-(1-piperidinyl)- 2-thiazolidinylidene], ethyl ester [CAS]	17243-64-0	U.S. 3,971,794	GI inflammatory/ bowel disorders	Motility dysfunction, GI, general
Piracetam		7491-74-9			
pirarubicin	5,12-Naphthacenedione, 10-[[3-amino- 2,3,6-trideoxy-4-O-(tetrahydro-2H-pyran-2- yl)-Alpha-L-xylo-hexopyranosyl]oxy]- 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8- (hydroxyacetyl)-1-methoxy-, [8S- [8Alpha,10Alpha(S*)]]-[CAS]	72496-41-4	U.S. 4,303,785	Anticancer, antibiotic	Cancer, breast
Pirazolac		71002-09-0			
pirbuterol	2,6-Pyridinedimethanol, Alpha6-[[[(1,1- dimethyl)ethyl]amino]methyl]-3-hydroxy-, monoacetate (salt) [CAS]	38029-10-6 38677-81-5 65652-44-0 1043-21-6	U.S. 3,786,160	Antiasthma	Asthma
Pirenoxine		28797-61-7			
pirenzepine	6H-Pyrido[2,3-b][1,4]benzodiazepin-6-one, 5,11-dihydro-11-[(4-methyl-1- piperazinyl)acetyl]-[CAS]	29868-97-1	FR 1505795	Antiulcer	
piretanide	Benzoic acid, 3-(aminosulfonyl)-4-phenoxyl- 5-(1-pyrrolidinyl)-[CAS]	55837-27-9	U.S. 4,010,273	Antihypertensive, diuretic	Hypertension, general
pirfenidone	2(1H)-Pyridinone, 5-methyl-1-phenyl- [CAS]	53179-13-8		Respiratory	Fibrosis, pulmonary
piribedil	Pyrimidine, 2-[4-(1,3-benzodioxol-5- ylmethyl)-1-piperazinyl]-[CAS]	3605-01-4	U.S. 3,299,067	Vasodilator, peripheral	Parkinson's disease
Piridocaine		87-21-8			
Pirifibrate		55285-45-5			
Pirtramide		302-41-0			
Piritrexim		72732-56-0			
pirindole	1H-Pyrazine[3,2,1-ik]carbazole, 2,3,3a,4,5,6-hexahydro-8-methyl-[CAS]	16154-78-2	SU 276060	Antidepressant	Depression, general
pirmenol	(2-Pyridinemethanol, Alpha-[3-(2,6- dimethyl-1-piperidinyl)propyl]- Alphaphenyl-, cis-(+)-[CAS]	60762-57-4 61477-94-9 68252-19-7	U.S. 4,112,103	Antiarrhythmic	Tachycardia, supra- ventricular
Piroctone		50650-76-5			
Piroheptine		16378-21-5			
Piromidic Acid		19562-30-2			
piroxicam	2H-1,2-Benzothiazine-3-carboxamide, 4- hydroxy-2-methyl-N-2-pyridinyl-, 1,1- dioxide [CAS]	36322-90-4	U.S. 3,862,319	Anti-inflammatory	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
piroxicam betadex	β -Cyclodextrin, compd. with 4-hydroxy-2-methyl-N-2-pyridinyl-2H-1,2-benzothiazine-3-carboxamide 1,1-dioxide-[CAS]	121696-62-6 96684-39-8	EP 153998	Formulation, other	Pain, musculo-skeletal
piroxicam cinnamate	2-Propenoic acid, 3-phenyl-, 2-methyl-3-[(2-pyridinylamino)carbonyl]-2H-1,2-benzothiazin-4-yl ester, S,S-dioxide [CAS]	87234-24-0 54110-25-7 31793-07-4 147526-32-7	EP 79639	Anti-arthritis, other	Inflammation, general
Pirozadil	6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), [S-[R*,S*-(E)]]-[CAS]	69542-93-4	EP 304063	Hypolipemic/ Antiatherosclerosis	Hyperlipidaemia, general
Pirprofen	N-trimethylacetyl-4-aminobutyric acid	122110-53-6	EP 302349	Neurological	Anxiety, general
pitavastatin	Butanoic acid, (2,2-dimethyl-1-oxopropoxy)methyl ester [CAS]	306-19-4 33817-20-8 98445-47-7	EP 302349	Anticancer, other	Cancer, lung, non-small cell
pivagabine	4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[hexahydro-1H-azepin-1-yl)methylene]amino]-3-dimethyl-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [2S-(2Alpha,5Alpha,6B)]-[CAS]	63836-75-9 32886-97-8	GB 1293590	Penicillin, oral	Infection, general
pixantrone	Benz[gl]isoquinoline-5,10-dione, 6,9-bis[(2-aminoethyl)amino]-, (2Z)-butenedioate (1:2) [CAS]	144675-97-8	EP 503537	Anticancer, other	Cancer, lymphoma, non-Hodgkin's
pizotifen	4-(9,10-dihydro-4H-benzo[4,5]cyclohepta[1,2-b]thien-4-ylidene)-1-methylpiperidine	15574-96-6 187724-61-4	DE 2346747	Antimigraine	Cancer, general
Pizotyline PKI-166	Phenol, 4-(4-((1R)-1-phenylethyl)amino)-1H-pyrrolo[2,3-d]pyrimidin-6-yl)-[CAS]	539-08-2 63394-05-8 105913-11-9	EP 151996	Anticancer, other	Cancer, general
p-Lactophenetide	Plasminogen activator [CAS]	551-01-9 3571-88-8 64218-02-6 198022-65-0	EP 805145	Fibrinolytic	Infarction, myocardial
Plafibride	Cyclopentanecarboxylic acid, 2-amino-4-methylene-, (1R,2S)-[CAS]	153168-05-9	U.S. 5,464,848	Antifungal	Infection, Candida, general
Plasminogen activator	(OC-6-43)-Bis(acetato)(1-adamantyl)amine diamine-dichloroplatinum (IV)	153168-05-9	U.S. 5,464,848	Anticancer, alkylating	Cancer, general
Plasmodid	1,2,4-Oxadiazole, 3-(3,5-dimethyl-4-(3-(3-methyl-5-isoxazolyl)propoxy)phenyl)-5-(trifluoromethyl)-[CAS]	153168-05-9	U.S. 5,464,848	Antiviral, other	Infection, respiratory tract, general
Platonin					
Plautotol					
PLD-118					
PLD-147					
pleconaril					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Plicamycin p-Methylidiphen- hydramine PMS-601		18379-89-7 19804-27-4	WO 0001677	Antiviral, Anti-HIV	Infection, HIV/AIDS
Pneumococcal Vaccine, Diphtheria Conjugate Pneumococcal Vaccine, Polyvalent PNU-288034	N-[[[(5s)-3-[4[(1,1-dioxido-4- thiomorpholinyl)3,5-difluorophenyl]-2-oxo- 5-oxazolidinyl]methyl]acetamide]	518-28-5 107667-60-7	EP 303380	Antibiotic, other	Infection, general
Podophylotoxin polaprezinc	Zinc, bis(N- β -alanyl-L-histidinato- N3,OAlpha), (T-4)-[CAS]	545-80-2 9011-2-3 9064-92-0 3055-99-0 9002-92-0		Antitumor	Ulcer, duodenal
Poldine Methylsulfate Poliresulen Polidexide polidocanol	Polyethylene glycol monododecyl ether			Vasoprotective, systemic	Venous insufficiency
Poliovirus Vaccine Inactivated poly-ADPRT inhibitors			WO 9845253	Anticancer, other	Cancer, general
Polyestradiol Phosphate Polyphtenon E	Polyphtenon E [CAS]	28014-46-2 188265-33-0		Antiviral, other	Infection, human papilloma virus
Polythiazide porfirmer	Photofrin [CAS]	346-18-9 87806-31-3	U.S. 4,882,234	Anticancer, other	Cancer, lung, non-small cell
Perfromycin posaconazole	D-threo-Pentitol, 2,5-anhydro-1,3,4- trideoxy-2-C-(2,4-difluorophenyl)-4-((4-(4- (4-(1(S,2S)-1-ethyl-2-hydroxypropyl)-1,5- dihydro-5-oxo-4H-1,2,4-triazol-4-yl)phenyl)- 1-piperazinyl)phenoxy)methyl)-1-(1H-1,2,4- triazol-1-yl)-[CAS]	801-52-5 171228-49-2	U.S. 5,714,490	Antifungal	Infection, fungal, general
Posatirelin potassium chloride	Potassium chloride (KCl) [CAS]	7866473-0 7447-40-7		Formulation, oral, enteric-coated	
Potassium Gluconate Potassium Gualacolsulfonate Potassium p- Aminobenzoate		299-27-4 1321-14-8 138-84-1			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Potassium Permanganate		7722-64-7			
Povidone		9003-39-8			
Povidone-Iodine		25655-41-8			
PP-117	3-Pyridinemethanol, hydrofluoride [CAS]	62756-44-9	DE 2633028	Formulation, oral, other	Unspecified
PR-2699	(-)-(E)-[4-(2,4-dichlorophenyl)-1,3-dithiolan-2-ylidene]-1-imidazolylacetoneitrile			Antifungal	Infection, fungal, general
PR-608	(S)-(-)-1-[4-(4-bis(4-fluorophenyl)butyl)-4-(2-hydroxy-3-phenylaminopropyl)piperazine trihydrochloride]			Antiparkinsonian	Parkinson's disease
Practolol		6673-35-4			
Prajalmine		35080-11-6			
Pralidoxime		51-15-0			
pralnacasan	6H-Pyridazino(1,2-a)(1,2-diazepine-1-carboxamide, N-((2R,3S)-2-ethoxytetrahydro-5-oxo-3-furanyl)octahydro-9-(1-isoquinolinylcarbonyl)amino)-6,10-dioxo-, (1S,9S)-[CAS]	192755-52-5		Antiartbritic, immunological	Arthritis, rheumatoid
pranipexole	2,6-Benzothiazolediamine, 4,5,6,7-tetrahydro-N-6-propyl-, (S)-[CAS]	104632-26-0	EP 186087	Antiparkinsonian	Parkinson's disease
pramiracetam	1-Pyrrolidineacetamide, N-[2-[bis(1-methyl-ethyl)amino]ethyl]-2-oxo-, monohydrochloride [CAS]	68497-62-1 72869-16-0 75733-50-5 14334-40-8	U.S. 4,145,347	Cognition enhancer	Amnesia
Pramiverin pramlintide	1,2-Dithia-5,8,11,14,17-pentazacycloicosane, cyclic peptide deriv. [CAS]	151126-32-8	U.S. 5,124,314	Antidiabetic	Diabetes, Type I
Pramoxine prandipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, methyl 3-phenyl-2-propenyl ester, (E)-[CAS]	140-65-8 99522-79-9	EP 173126	Antihypertensive, other	Hypertension, general
Praulukast pranoprofen	5H-[1]Benzopyrano[2,3-b]pyridine-7-acetic acid, Alpha-methyl-[CAS]	103177-37-3 52549-17-4		Formulation, mucosal, topical	Ocular disorder, general
prasterone	Androst-5-en-17-one, 3-hydroxy-, (3β)-[CAS]	53-43-0		Labour inducer	
pratosartan	4(3H)-Cycloheptimidazolone, 5,6,7,8-tetrahydro-2-propyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-[CAS]	153804-05-8	U.S. 5,409,947	Antihypertensive, renin system	Hypertension, general
pravastatin	1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-β,δ,6-trihydroxy-2-methyl-8-(2-methyl-1-oxobutoxy)-, monosodium salt, [1S- [1]Alpha(βS*,deltaS*),2]Alpha,6Alpha,8β(R*), 8aAlpha]]-[CAS]	81093-37-0 81131-70-6	U.S. 4,346,227	Hypolipemic/ Antiatherosclerosis	Atherosclerosis
Praxepam		2955-38-6			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
praziquantel	4H-Pyrazino[2,1-a]isoquinolin-4-one, 2-(cyclohexylcarbonyl)-1,2,3,6,7,11b-hexahydro-[CAS]	55268-74-1	U.S. 4,001,411	Schistosomicide	
prazosin	Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(2-furanylcarbonyl)-[CAS]	19216-56-9 19237-84-4 73771-04-7	U.S. 4,092,315	Antihypertensive, adrenergic	Hypertension, general
Prednicarbate prednimustine	Pregna-1,4-diene-3,20-dione, 21-[4-[4-bis(2-chloroethyl)amino]phenyl]-1-oxobutoxy]-11,17-dihydroxy-, (11 β)-[CAS]	29069-24-7	GB 1272841	Anticancer, alkylating	
Prednisolone Prednisolone 21-Diethylaminoacetate prednisolone farnesil		50-24-8 5626-34-6			
	Pregna-1,4-diene-3,20-dione, 11,17-dihydroxy-21-[(3,7,11-trimethyl-1-oxo-2,6,10-dodecatrietyl)oxy]-, [11 β ,21(2E,6E)]-[CAS]	118244-44-3	EP 332143	Antiarthritic, other	Arthritis, rheumatoid
Prednisolone Sodium Phosphate Prednisone Prednival Prednylidene pregabalin		125-02-0 53-03-2 15180-00-4 599-33-7 148553-50-8			
Pregnan-3 α -ol-20-one Prenarin + trimegestone	Hexanoic acid, 3-(aminomethyl)-5-methyl, (S)-[CAS] Estra-4,9-dien-3-one, 17-(2-hydroxy-1-oxopropyl)-17-methyl-, [17 β (S)]-[CAS]	128-20-1 74513-62-5		Antiepileptic Menopausal disorders	Epilepsy, general Hormone replacement therapy
prenalterol	Phenol, 4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-, hydrochloride, (S)-[CAS]	57526-81-5 61260-05-7	GB 1470039	Cardio stimulant	
Prenoxdiazine Prenylamine prezatide	Cuprate(1-), (N2-(N-glycyl-L-histidyl)-L-lysinate)(N2-(N-glycyl-L-histidyl)-L-lysinate(2-)) ⁺ , hydrogen, [CAS]	982-43-4 390-64-7 130120-57-9		Vulnery	Wound healing
Pridinol Prifinium Prilocaine Primaquine Primidone Prinomastat PRO-2000		511-45-5 4630-95-9 721-50-6 90-34-6 125-33-7 192329-42-3	U.S. 5,614,599	Antiviral, anti-HIV	Infection, HIV prophylaxis
Probenecid Probucol procainamide Procaine Procabazine	Benzamide, 4-amino-N-[2-(diethylamino)ethyl]-[CAS]	57-66-9 23288-49-5 51-06-9 614-39-1 59-46-1 671-16-9		Formulation, other	Arrhythmia, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
procatenol	2-(1H-Quinolone, 8-hydroxy-5-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-monohydrochloride [CAS]	59828-07-8 60443-17-6	GB 1496766	Anti-asthma	
prochlorperazine	10H-Phenothiazine, 2-chloro-10-[3-(4-methyl-1-piperazinyl)propyl]-, (Z)-2-butenedioate	72332-33-3 58-38-8 84-02-6		Formulation, oral, other	Nausea and vomiting, general
procodazol	1H-Benzimidazole-2-propanoic acid [CAS]	23249-97-0	ES 407882	Anticancer, immunological	Cancer, general
Procyelidine		77-37-2			
Procymate		13931-64-1			
Prodipine		31314-38-2			
Proflavine		92-62-6			
Progabide		62666-20-0			
progesterone	Profin-4-ene-3,20-dione [CAS]	57-83-0			Amenorrhoea
proglumetacin	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 2-(4-(3-(4-(benzoylamino)-5-(dipropylamino)-1,5-dioxopentyl)oxypropyl)-1-piperazinyl)ethyl ester, (+/-)-[CAS]	57132-53-3 59209-40-4	GB 1467568	Formulation, transmucosal, systemic Anti-inflammatory	Inflammation, general
proglumide	Pentanoic acid, 4-(benzoylamino)-5-(dipropylamino)-5-oxo-, (+/-)-[CAS]	6620-60-6	DE 1518125	Antitumor	Ulcer, gastric
Proheptazine		77-14-5			
Prolactin		9002-62-4			
Prolintane		493-92-5			
Prolonium		123-47-7			
Promazine		58-40-2			
Promedol		64-39-1			
Promegestone		34184-77-5			
promestriene	Estra-1,3,5(10)-trien-17-methoxy-3-propoxy-, (17 β)-[CAS]	39219-28-8	GB 1337198	Reproductive/gonadal, general	Acne
Promethazine		60-87-7			
Pronethalol		54-80-8			
propacetamol	Glycine, N,N-diethyl-, 4-(acetylamino)phenyl ester [CAS]	66532-85-2	U.S. 4,127,671	Formulation, parenteral, other	
propafenone	1-Propanone, 1-[2-[2-hydroxy-3-(propylamino)propoxy]phenyl]-3-phenyl-[CAS]	66532-86-3 54063-53-5	GB 1307455	Antiarrhythmic	Fibrillation, ventricular
Propagermanium		12758-40-6			
Propallylomal		545-93-7			
Propamidine		104-32-5			
propane-1,2-diol	1,2-propanediol	57-55-6		Formulation, dermal, topical	Infection, fungal, general
Propanidid		1421-14-3			
Propantheline		50-34-0			
Proparacaine		499-67-2			
Proparyl		2921-92-8			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
propenidazole	ethyl trans-Alpha-acetyl-1-methyl-5-nitroimidazole-2-acrylate	76448-31-2		Antifungal	Infection, trichomoniasis
propentofylline	1H-Purine-2,6-dione, 3,7-dihydro-3-methyl-1-(5-oxohexyl)-7-propyl-[CAS]	55242-55-2	GB	Neuroprotective	Ischaemia, cerebral
Propicillin		551-27-9			
Propiomazine		362-29-8			
Propionic Acid		79-09-4			
propionyl L-carnitine	1-Propanaminium, 3-carboxy-N,N,N-trimethyl-2-(1-oxopropoxy) ⁺ , chloride, (R)-[CAS]	119793-66-7 20084-19-1	GB	Vasodilator, peripheral	Peripheral vascular disease
Propipocaine		3670-68-6			
Propiram		15686-91-6			
propiverine	2,2-diphenyl-2-(1-propoxy)acetic acid (1-methylpiperid-4-yl) ester hydrochloride	54556-98-8 60569-19-9		Urological	Incontinence
Propizepine		10321-12-7			
propofol	Phenol, 2,6-bis(1-methylethyl)-[CAS]	2078-54-8	U.S.	Anaesthetic, injectable	Anaesthesia
Propoxycaïne		550-83-4			
Propoxyphene		469-62-5			
propranolol	2-Propanol, 1-[(1-methylethyl)amino]-3-(1-naphthalenyl)oxy-[CAS]	318-98-9 525-66-6		Formulation, modified-release, <=24 hr	Hypertension, general
Propylhexedrine		101-40-6			
Propylidone		587-61-1			
Propylthiouracil		51-25-5			
Propyphenazone		479-92-5			
Proquazone		22760-18-5			
Proscillaridin		466-06-8			
Prostacyclin		35121-78-9			
Prostaglandin E ₁		745-65-3			
Prostaglandin E ₂		363-24-6			
Prostaglandin F _{2α}		551-11-1			
Prosultiamine		59-38-5			
Protein C		60202-16-6			
Prothobromine		50-39-5			
Prothipendyl		303-69-5			
Protiofate		58416-00-5			
Protonamide		14222-60-7			
protizincic acid	10H-Phenothiazine-2-acetic acid, 7-methoxy-Alpha,10-dimethyl-, (+/-)-[CAS]	13799-03-6	U.S.	Anti-inflammatory	
Protoanemonin		108-28-1			
Protoklol		136-70-9			
Protoporphyrin IX		553-12-8			
Protriptyline		438-60-8			
Pro-Urokinase		82657-92-9			
Proxazole		5696-9-3			
Proxibarbal		2537-29-3			
proxigermanium	Propanoic acid, 3,3'-(1,3-dioxo-1,3-digermoxanediy)bis-[CAS]	12758-40-6	FR	Antiviral, other	Infection, hepatitis-B virus

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Proxiphylline		603-00-9			
Prozapine		3426-8-2			
Prucalopride		179474-81-8			
prulifloxacin	1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 6-fluoro-1-methyl-7-[4-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]-1-piperazinyl]-4-oxo-[CAS]	123447-62-1	EP 315828	Quinolone antibacterial	Infection, respiratory tract, general
Pseudococaine					
pseudoephedrine + triprolidine	Benzenemethanol, Alpha-[1-(methylamino)ethyl]-, hydrochloride, [S-(R*,R*)]-, mixt. with (E)-2-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]pyridine monohydrochloride [CAS]	478-73-9		Formulation, modified-release, other	Rhinitis, allergic, general
pseudoephedrine	Benzenemethanol, Alpha-[1-(methylamino)ethyl]-, [S-(R*,R*)]-[CAS]	90-82-4, 8054-27-1, 345-78-8 520-52-5 154992-24-2		Formulation, oral, other	Infection, respiratory tract, general
Psilocybin					
PSK-3841	Benzonitrile, 4-[3-(4-hydroxybutyl)-4,4-dimethyl-1,2,5-dioxo-1-imidazolidinyl]-2-(trifluoromethyl)-[CAS]	4393-19-5		Dermatological	Alopecia, general
p-Sulfamyl- benzylamine PT-141			U.S. 6,051,555	Male sexual dysfunction	Impotence
Pteropterin		89-38-3			
Puromycin		53-79-2			
PX-12	1-Methylpropyl 2-mercaptimidazolyl disulfide			Anticancer, other	Cancer, general
Pyrantel		15686-83-6			
Pyrazinamide		98-96-4			
Pyridinol Carbamate		1882-26-4			
Pyridostigmine Bromide		101-26-8			
Pyridoxal 5-Phosphate		54-47-7			
Pyridoxine		58-56-0			
Pyrilamine		91-84-9			
Pyrimethamine		58-14-0			
Pyrimoline		1740-22-3			
Pyrisuccideanol		33605-94-6			
Pyrithione		1121-30-8			
Pyrrithyldione		77-04-3			
Pyritinol		1098-97-1			
Pyrocatechol		120-80-9			
Pyrogallol		87-66-1			
Pyronaridine		74847-35-1			
Pyrovalerone		3563-49-3			
Pyroxylin		9004-70-0			
Pyrobutanamine		91-82-7			
Pyrocaine		2210-77-7			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Pyrolnitrin		1018-71-9			
Pyrrinium Pamoate		3546-41-6			
quazepam	2H-1,4-Benzodiazepine-2-thione, 7-chloro-5-(2-fluorophenyl)-1,3-dihydro-1-(2,2,2-trifluoroethyl)-[CAS]	36735-22-5	U.S. 3,845,039	Hypnotic/Sedative	Insomnia
Quercetin		117-39-5			
quetiapine	Ethanol, 2-[2-(4-dibenzo[b,f][1,4]thiazepin-11-yl-1-piperazinyl)ethoxy]-, (E)-2-butenedioate (2:1) (salt) [CAS]	111974-69-7 111974-72-2	EP 240228	Neuroleptic	Schizophrenia
Quinaclilin		1596-63-0			
quinacrine	N-(6-Chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-1,4-pentanediamine + 10H-Phenothiazine-10-propanamine, 2-chloro-N,N-dimethyl	83-89-6		Neurological	Creutzfeldt-Jakob disease
quinagolid	Sulfamide, N,N-diethyl-N'- (1,2,3,4,4a,5,10,10a-octahydro-6-hydroxy-1-propylbenzo[g]quinolin-3-yl)-, (3Alpha,4aAlpha,10aβ)-(4/-)-[CAS]	87056-78-8 94424-50-7 97805-49-7	EP 77754	Antiprolactin	Hyperprolactin- aemia
quinapril	3-Isoquinolinecarboxylic acid, 2-[2-[[1-(ethoxycarbonyl)-3-phenylpropylamino]-1-oxopropyl]-1,2,3,4-tetrahydro-, [3S- [2[R*(R*),3R*]]-[CAS]	82586-55-8 85441-61-8 90243-99-5	EP 49605	Antihypertensive, renin system	Hypertension, general
quinaprilat	3-Isoquinolinecarboxylic acid, 2-[2-[[1-(carboxy-3-phenylpropylamino)-1-oxopropyl]-1,2,3,4-tetrahydro-, [3S- [2[R*(R*),3R*]]-[CAS]	82768-85-2	EP 46953	Antihypertensive, renin system	Hypertension, general
Quinapyramine		20493-41-8			
Quinbolone		2487-63-0			
Quinestradiol		1169-79-5			
Quinestrol		152-43-2			
Quinethazone		73-49-4			
quinfamide		62265-68-3			
quinidine	2-Furancarboxylic acid, 1-(dichloroacetyl)-1,2,3,4-tetrahydro-6-quinolinyl ester [CAS]	747-45-5	U.S. 3,997,542	Amoebicide	
Quinine	Cinchonan-9-ol, 6-methoxy-, (9S)-, sulfate (1:1) (salt) [CAS]	56-54-2		Formulation, modified- release, other	Arrhythmia, general
Quinone		130-95-0			
Quinocide		525-61-1			
Quinupramine		31721-17-2			
Quinupristin		120138-50-3			
R-107500	cis-2,3,3a,8-tetrahydro-N,N-dimethylidibenz[c,f]isoxazolo[2,3-a]azepine-2-methanamine		WO 9614320	Anxiolytic	Anxiety, general
R-667			WO 0204439	COPD treatment	Emphysema, general
rabeprazole	1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfonyl]-, sodium salt-[CAS]	117976-89-3 117976-90-6	EP 268956	Antiulcer	Ulcer, gastric

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
racecadotril	Glycine, N-[2-[(acetylthio)methyl]-1-oxo-3-phenylpropyl]-, phenylmethyl ester, (+/-)- [CAS]	112573-72-5 81110-73-8	EP 38758	Antidiarrhoeal	Diarrhoea, general
Racemethorphan raloxifene	Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]-, hydrochloride [CAS]	510-53-2 82640-04-8 84449-90-1	EP 62503	Osteoporosis treatment	Osteoporosis
raltitrexed	L-glutamic acid, N-[[5-[[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]methylamino]-2-thienyl]carbonyl]-[CAS]	112887-68-0	EP 239362	Anticancer, antimetabolite	Cancer, colorectal
ramatroban	9H-Carbazole-9-propanoic acid, 3-[[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-, (R)-[CAS]	116649-85-5	EP 242518	Antiallergic, non-asthma	Rhinitis, allergic, perennial
Ramifenazone ranipril	Cyclopenta[b]pyrrole-2-carboxylic acid, 1-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, [2S-[1[R*(R*)2A]phaa,3aβ,6aβ]]-[CAS]	3615-24-5 87269-97-4 87333-19-5	EP 79022	Antihypertensive, renin system	Heart failure
ramosetron	Methanone, (1-methyl-1H-indol-3-yl)(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-, monohydrochloride, (R)-[CAS]	132907-72-3 132036-88-5	EP 381422 U.S. 5,730,992	Antiemetic Dermatological	Nausea and vomiting, general Unspecified
Ramot project No. 1097 Ranimustine ranitidine	1,1-Ethenediamine, N-[2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-N'-methyl-2-nitro- [CAS]	58994-96-0 66357-35-5	U.S. 4,128,658	Antitumor	Ulcer, duodenal
ranitidine bismuth citrate	1,2,3-Propanetricarboxylic acid, 2-hydroxy-bismuth(3+) salt (1:1), compd. with N-(2-((5-((dimethylamino)methyl)-2-furanyl)methyl)thio)ethyl)-N'-methyl-2-mil-ethenediamine (1:1)-[CAS]	128345-62-0	EP 533281	Antitumor	Ulcer, duodenal
ranolazine	1-Piperazineacetamide, N-(2,6-dimethylphenyl)-4-[2-hydroxy-3-(2-methoxyphenoxy)propyl]-, (+/-)-[CAS]	95635-55-5 95635-56-6	EP 126449	Antianginal	Angina, general
Ranipinase Rapacuronium rasagiline	1H-Inden-1-amine, 2,3-dihydro-N-2-propenyl-, (R)-, [CAS]	133737-96-9 156137-99-4 161735-79-1	U.S. 5,457,133	Antiparkinsonian	Parkinson's disease
Rarbazine ravuconazole	Benzonitrile, 4-[2-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-4-thiazolyl]-[CAS]	483-04-5 182760-06-1		Antifungal	Infection, meningitis, general
raxofelast	2-Benzofuranaacetic acid, 5-(acetyloxy)-2,3-dihydro-4,6,7-trimethyl-, (+)-[CAS]	128232-14-4	U.S. 4,999,350	Symptomatic antidiabetic	Nephropathy, diabetic

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
razoxane	2,6-Piperazinedione, 4,4'-(1-methyl-1,2-ethanediy)bis-[CAS]	21416-67-1, 21416-87-5	GIB	Anticancer, other	Cancer, general
RC-529	Tetradecanoic acid (1R)-1-(2-(2-deoxy-3-O-((3R)-1-oxo-3-(1-oxotetradecyl)oxy)tetradecyl)amino-4-O-phosphono-β-D-glucopyranosyl)oxy)ethyl)amino)-2-oxoethyl)dodecyl ester, compd. with N,N-diethylethanolamine (1:1) [CAS]	216014-46-9		Immunostimulant, other	Vaccine adjuvant
rebamipide	4-Quinolonepropanoic acid, Alpha-[(4-chlorobenzoyl)amino]-1,2-dihydro-2-oxo-[CAS]	90098-04-7	DE	Antitumor	
rebimastat	L-Valinamide, N-((2S)-2-mercapto-1-oxo-4-(3,4,4-trimethyl-2,5-dioxo-1-imidazolidinyl)butyl)-L-leucyl-N,3-dimethyl-[CAS]	259188-38-0		Anticancer, other	Cancer, lung, non-small cell
reboxetine	Morpholine, 2-[(2-ethoxyphenoxy)phenylmethyl]-, (R*,S*)-[CAS]	71620-89-8, 98769-81-4	U.S.	Antidepressant	Depression, general
Remacemide remifentanyl	1-Piperidinepropanoic acid, 4-(methoxycarbonyl)-4-(1-oxopropyl)phenylamino)-methyl ester-[CAS]	128298-28-2 132539-07-2, 132875-61-7	EP	Analgesic, other	Pain, general
remimetant	Tricyclo[3.3.1.1 ^{1,3} .7]decane-2-carboxylic acid, 2-[[[1-(7-chloro-4-quinolinyl)-5-(2,6-dimethoxyphenyl)-1H-pyrazol-3-yl]carbonyl]amino]-[CAS]	146362-70-1	EP	Neuroleptic	Schizophrenia
Remoxipride renzapride	Benzamide, 4-amino-N-1-azabicyclo[3.3.1]non-4-yl-5-chloro-2-methoxy-[CAS]	80125-14-0 109872-41-5 88721-77-1	JP	Gastroprokinetic	Irritable bowel syndrome
repaglinide	Benzoic acid, 2-ethoxy-4-[2-[[3-methyl-1-[2-(1-piperidinyl)phenyl]butyl]amino]-2-oxoethyl]-, (S)-[CAS]	135062-02-1	WO	Antidiabetic	Diabetes, Type II
repertaxin L-lysine salt	2(R)-4-Isobutylphenylpropionyl methanesulfonamide L-lysine salt		WO	Cardiovascular	Reperfusion injury
repinotan	1,2-Benzisothiazol-3(2H)-one, 2-(4-((3,4-dihydro-2H-1-benzopyran-2-yl)methyl)amino)butyl)-, 1,1-dioxide, monohydrochloride [CAS]	144980-29-0 144980-77-8	U.S.	Neuroprotective	Ischaemia, cerebral
repirinast	4H-Pyranol[3,2-c]quinoline-2-carboxylic acid, 5,6-dihydro-7,8-dimethyl-4,5-dioxo-3-methylbutyl ester [CAS]	73080-51-0	U.S.	Antiasthma	
Reposal reproterol	1H-Purine-2,6-dione, 7-[3-[2-(3,5-dihydroxyethyl)amino]propyl]-3,7-dihydro-1,3-dimethyl-[CAS]	3625-25-0 13055-82-8 54063-54-6	FR	Antiasthma	Asthma
Rescimetol Rescinamine		73573-42-9 24815-24-5			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Reserpine		131-02-2			
Reserpine		50-55-5			
Resibufogenin		465-39-4			
resiquimod	1H-Imidazo(4,5-c)quinoline-1-ethano(ethoxymethyl)- α . Alpha-dimethyl-[CAS]	144875-48-9	U.S. 5,389,640	Antiviral, other	Infection, hepatitis-C virus
Resorcinol		108-46-3			
Retepase	Carbamic acid, (2-amino-4-(((4-fluorophenyl)methyl)amino)phenyl)-, ethyl ester [CAS]	133652-38-7			
retigabine	Retinoic acid [CAS]	150812-12-7	DE 4200259	Antiepileptic	Epilepsy, general
retinoic acid		302-79-4		Formulation, parenteral, other	Cancer, leukaemia, acute myelogenous
Revinid			U.S. 6,281,230	Anticancer, other	Cancer, myelome
R-flurbiprofen	[1,1'-Biphenyl]-4-acetic acid, 2-fluoro-Alpha-methyl	5104-49-4		Anticancer, other	Cancer, prostate
Rho (D) Immune Globulin (Human)					
Rho-kinase inhibitors					
ribavirin	1H-1,2,4-Triazole-3-carboxamide, 1- β -D-ribofuranosyl-[CAS]	36791-04-5	WO 0156988 U.S. 4,122,771	Antiasthma Antiviral, other	Unspecified Infection, haemorrhagic fever
Riboflavin		146-17-8			
ribostamycin	D-Streptamine, O-2,6-diamono-2,6-dideoxy-Alpha-D-glucopyranosyl-(1-4)-O- β -D-ribofuranosyl-(1-5)]-2-deoxy-[CAS]	25546-65-0	GB 1254883	Aminoglycoside antibiotic	Infection, general
Ricinoleic Acid		141-22-0			
Ridogrel		110140-89-1			
rifabutin	Rifamycin XIV, 1',4'-didydro-1'-deoxy-1,4'-didydro-5'-(2-methylpropyl)-1-oxo-[CAS]	72559-06-9	U.S. 4,219,478	Antimycobacterial	Infection, Mycobacterium avium complex
rifalazil	Rifamycin VIII, 1',4'-didydro-1'-deoxy-1,4'-didydro-3'-hydroxy-5'-[4-(2-methylpropyl)-1-piperazinyl]-1-oxo-[CAS]	129791-92-0 129791-94-2 133633-12-2	EP 366914	Antimycobacterial	Infection, tuberculosis
rifametane	Rifamycin, 3-[[[1-(diethylamino)ethylidene]hydrazono]methyl]-[CAS]	94168-98-6	EP 119571	Antimycobacterial	Infection, general
Rifamide		2750-76-7			
Rifampicin + trimethoprim	Rifamycin, 3-[[[4-methyl-1-piperazinyl]imino]methyl]-, mixt. with 5-[[3,4,5-trimethoxyphenyl]methyl]-2,4-pyrimidinediamine [CAS]	61498-94-0		Formulation, fixed-dose combinations	Infection, general
Rifampin		13292-46-1			
Rifampicin SV		6998-60-3			
rifapentine	Rifamycin, 3-[[[4-cyclopentyl-1-piperazinyl]imino]methyl]-[CAS]	61379-65-5	DE 2608218	Antibiotic, other	Infection, tuberculosis

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
rifaximin	Epoxy-pentadeca[1,11,13]trienimino-benzo-furo[4,5-e]-pyrido[1,2-a]benzimidazole-1,15(2H)-dione, 25-(acetoxy)-5,6,21,23-tetrahydroxy-27-methoxy-2,4,11,16,20,22,25,26-octamethyl-, [2S- (2R*,16Z,18E,20R*,22S*,23S*,24S*,25R*,26S*,27R*,28E)]	80621-81-4	GIB 2079270	Antibiotic, other	Infection, GI tract
rifaximine cream	4-deoxy-4'-methylpyrido[1',2'-1,2]imidazo[5,4-c]rifamycin SV	80621-81-4	BE 888895	Formulation, dermal, topical	Infection, dermatological
Rilmazafone	2-Oxazolamine, N-(dicyclopropylmethyl)-4,5-dihydro-[CAS]	99593-25-6	DE 2362754	Antihypertensive, adrenergic	Hypertension, general
rilmidenine	2-Benzothiazolamine, 6-(trifluoromethoxy)-[CAS]	54187-04-1 54249-57-9 1744-22-5	EP 50551	Neuroprotective	Amiotrophic lateral sclerosis
riluzole					
Rimantadine		13392-28-4	DE 2461349	Analgesic, NSAID	
rimazolium	4H-Pyrido[1,2-a]pyrimidinium, 3-(ethoxycarbonyl)-6,7,8,9-tetrahydro-1,6-dimethyl-4-oxo-, [CAS]	28610-84-6 35615-72-6	DE 2301317	Ophthalmological	Inflammation, ocular
rimexolone	Androsta-1,4-dien-3-one, 11-hydroxy-16,17-dimethyl-17-(1-oxopropyl)-, (11β,16α,17β)-[CAS]	49697-38-3	DE 2301317	Ophthalmological	Inflammation, ocular
Rimiterol		32953-89-2	U.S. 5,624,941	Anorectic/Antiobesity	Obesity
rimonabant	1H-Pyrazole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-, monohydrochloride [CAS]	158681-13-1	U.S. 5,624,941	Anorectic/Antiobesity	Obesity
riodoxol	1,3-Benzenediol, 2,4,6-triiodo-[CAS]	19403-92-0	U.S. 3,755,251	Antiviral, other	Pagets disease
Rioprostil	Phosphonic acid, (1-hydroxy-2-(3-pyridinyl)ethylidene)bis-, monosodium salt	77287-05-9	EP 304961	Osteoporosis treatment	
risedronate		115436-72-1	EP 304961	Osteoporosis treatment	
Risedronic Acid		105492-24-6	EP 196132	Neuroleptic, formulation, optimized, microcapsulate	Schizophrenia
risperidone	4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(4-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-[CAS]	106266-06-2	EP 196132	Neuroleptic, formulation, optimized, microcapsulate	Schizophrenia
Ritanserlin		87051-43-2	U.S. 3,410,944	Labour inhibitor	Labour, preterm
Ritipenem	Benzenemethanol, 4-hydroxy-Alpha-[1-[[2-(4-hydroxyphenyl)ethyl]amino]ethyl]-, (R*,S*)-[CAS]	84845-57-8	U.S. 3,410,944	Labour inhibitor	Labour, preterm
ritodrine		23239-51-2 26652-09-5	U.S. 3,410,944	Labour inhibitor	Labour, preterm
ritonavir	2,4,7,12-Tetraazatridecan-13-oic acid, 10-hydroxy-2-methyl-5-(1-methylethyl)-1-(2-(1-methylethyl)-4-thiazolyl)-3,6-dioxo-8,11-bis(phenylmethyl)-, 5-thiazolyl-methyl ester, (5S-(5R*,8R*,10R*,11R*))-[CAS]	155213-67-5	WO 9414436	Antiviral, anti-HIV	Infection, HIV/AIDS
Rituximab	Carbamic acid, ethylmethyl-, 3[[1-(dimethylamino)ethyl]phenyl ester, (S)-[CAS]	174722-31-7 123441-03-2 129101-54-8	DE 3805744	Cognition enhancer	Alzheimer's disease
rivastigmine					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
rizatriptan	1H-Indole-3-ethanamine, N,N-dimethyl-5-(1H-1,2,4-triazol-1-ylmethyl)-, [CAS]	145202-66-0 159776-67-7 144034-80-0 183288-99-5	EP 497512	Antimigraine	Migraine
RJR-2403	3-Buten-1-amine, N-methyl-4-(3-pyridinyl)-, (3E)-, (2E)-2-butenedioate (1:1) [CAS]			Cognition enhancer	Alzheimer's disease
RNA Stealth Nucleosides	5-Formyluridine			Antiviral, other	Infection, hepatitis-C virus
Ro-0094889	2',3'-Di-O-acetyl-5'-vinyleytidine			Anticancer, antimetabolite	Cancer, general
Ro-61-1790	2-Pyridinesulfonamide, N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2-[2-(1H-tetrazol-5-yl)-4-pyridinyl]-4-pyrimidinyl]-5-methyl-[CAS]	180384-56-9	WO 9619459	Cardiovascular	Haemorrhage, subarachnoid
Rociverine rocuronium	Pyrrrolidinium, 1-[(2β,3α,16α,16β,17β)-17-(acetyloxy)-3-hydroxy-2-(4-morpholinyl)androstane-16-yl]-1-(2-propenyl)-, bromide-[CAS]	53716-44-2 104855-17-6 104884-91-5 119302-91-9 143558-00-3	EP 287150	Muscle relaxant	Muscle spasm, general
rofecoxib	2(5H)-Furanone, 4-(4-(methylsulfonyl)phenyl)-3-phenyl-[CAS]	162011-90-7	U.S. 5,474,995	Analgesic, NSAID	Arthritis, osteo
roflumilast	Benzamide, 3-(cyclopropylmethoxy)-N-(3,5-dichloro-4-pyridinyl)-4-(difluoromethoxy)-[CAS]	162401-32-3	WO 9501338	COPD treatment	Chronic obstructive pulmonary disease
rokitamycin	Leucomycin V, 4B-butanolate 3B-propanoate [CAS]	74014-51-0 61413-54-5 751-97-3 78113-36-7 42597-57-9	U.S. 4,242,504	Macrolide antibiotic	Infection, general
Rolipram					
Rolitetracycline					
Ronitride					
Ronifibrate					
ropinirole	2H-Indol-2-one, 4-[2-(dipropylamino)ethyl]-1,3-dihydro-, monohydrochloride-[CAS]	91374-20-8 91374-21-9 84057-95-4 98717-15-8 84088-42-6 56695-65-9	EP 266033 EP 239710 GB 1523355	Antiparkinsonian Anaesthetic, local Prostaglandin	Parkinson's disease Anaesthesia
ropivacaine					
Roquinimex					
rosaprostol	Cyclopentanepentanoic acid, 2-hexyl-5-hydroxy-[CAS]				
Rosaramicin					
Rose Bengal					
rosiglitazone	2,4-Thiazolidinedione, 5-((4-(2-methyl-2-pyridinylamino)ethoxy)phenyl)methyl)-, (Z)-2-butenedioate (1:1) [CAS]	35834-26-5 632-68-8 122320-73-4 155141-29-0	U.S. 5,002,953	Antidiabetic	Diabetes, Type II
rosoxacin	3-Quinolonecarboxylic acid, 1-ethyl-1,4-dihydro-4-oxo-7-(4-pyridinyl)-[CAS]	40034-42-2	U.S. 3,753,993	Quinolone antibacterial	Infection, gonorrhoea

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
rotopurfin	Tin, dichloro[ethyl 3,4,10,21-tetrahydro-4,9,14,19-tetraethyl-18,19-dihydro-3,8,13,18-tetramethyl-20-phorbinecarboxylato(2-)-kappaN23,kappaN24,kappaN25,kappaN26], (OC-6-13)-[CAS]	114494-17-6		Ophthalmological	Macular degeneration
rosuvastatin	6-Heptenoic acid, 7-(4-(4-fluorophenyl)-6-(1-methyl-ethyl)-2-(methyl(methylsulfonyl)amino)-5-pyrimidinyl)-3,5-dihydroxy- (S-(R*,S*(E))) [CAS]	147098-20-2	JP 2648897	Hypolipaeimic/ Antithrombotic	Hyper-lipidaemia, general
rotigotine	1-Naphthalenol, 5,6,7,8-tetrahydro-6-[propyl[2-(2-thienyl)ethyl]amino]-, (S)- [CAS]	99755-59-6	U.S. 4,564,628	Antiparkinsonian	Parkinson's disease
Rotaxate Roxarsone roxatidine	Acetamide, 2-(acetyl-oxo)-N-[3-[3-(1-piperidinylmethyl)phenoxy]propyl]-, [CAS]	92071-51-7 121-19-7 78628-28-1 93793-83-0 176022-59-6	EP 24510	Antitumor	Ulcer, gastric
roxifiban	L-Alanine, 3-((3-(4-(aminoiminomethyl)phenyl)-4,5-dihydro-5-isoxazolyl)acetyl)amino)-N-(butoxycarbonyl)-, methyl ester, (R)-, [CAS]		U.S. 5,849,736	Antithrombotic	Thrombosis, general
Roxindol roxithromycin	Erythromycin, 9-[O-[(2-methoxyethoxy)methyl]oxime][CAS]	112192-04-8 80214-83-1 80214-86-4 192573-38-9	EP 33255	Macrolide antibiotic	Infection, general
RPR-109881A	Benzenepropanoic acid, beta-((1,1-dimethyl(ethoxy)carbonyl)amino)-Alpha-hydroxy- (1S,2S,4S,7R,8aR,9aS,10aR,12aS,12bR)-7,12a-bis(acetyl-oxo)-1-(benzoyloxy)-1,3,4,7,8,9,9a,10,10a,12,12a,12b-dodecalhydro-2-hydroxy-5,13,13-trimethyl-8-oxo-2,6-methano-2H-cyclodeca(3,4)cyclopropa (4,5) benz (1,2-b) oxet-4-yl ester, dihydrate Alpha R, betaS [CAS]			Anticancer, other	Cancer, lung, non-small cell
RPR-130401	4,9-Ethano-3aH-benz[f]isoidole-3a-carboxylic acid, 1,2,3,4,9,9a-hexahydro-2-[2-(2-methoxyphenyl)-1-oxo-2-propenyl]-9-(4-methylphenyl)-, (3aR,4S,9S,9aR)-rel- [CAS]	210282-69-2	WO 9829390	Anticancer, other	Cancer, general
R-roscovitine	NN'-bis(3-hydroxyphenyl)pyridazine-3,6-diamine		U.S. 6,316,456	Anticancer, other	Cancer, lung, non-small cell
RSR-0406				Neuroprotective	Alzheimer's disease
RSR-13		131179-95-8 79-58-3 91421-42-0			
Rubjervine rubitecan	1H-Pyran(3',4':6,7)indolizino(1,2-b)quinoline-3,14(4H,12H)-dione, 4-ethyl-4-hydroxy-10-nitro-, (S)-[CAS]		U.S. 6,485,514	Anticancer, other	Cancer, pancreatic

API	Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
S-0139	Rufinamide	9H,18H-5,21:12,17-Dimethenodibenzo(e,k)pyrrolo(3,4-b)(1,4,13)oxadiazacyclohexadecene-18,20(19H)-dione-9-((dimethylamino)methyl)-6,7,10,11-tetrahydro-, (S)-[CAS]	169939-94-0		Symptomatic antidiabetic	Retinopathy, diabetic
		7H-Pyridolo[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-10-(4-methyl-1-piperazinyl)-7-oxo-[CAS]	103608-44-5 101363-10-4 102052-47-1	EP 165375	Quinolone antibacterial	Infection, general
		5H-Benzof[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, trihydrochloride-[CAS]	106017-08-7 156611-76-6	EP 0577957	Antiallergic, non-asthma	Rhinitis, allergic, seasonal
S-15535	Rutin	5-Thia-1-azabicyclo[4,2,0]oct-2-ene-2-carboxylic acid, 7-[[[2Z)-(2-amino-5-chloro-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[3-[[[(2-aminoethyl)thio]methyl]-4-pyridinyl]thio]methyl]-4-pyridinyl]thio]-8-oxo-, (6R,7R)-[CAS]	153-18-4 189448-35-9	WO 3713772	Cephalosporin, injectable	Infection, beta-lactamase resistant
		Olean-12-en-28-oic acid, 27-[[[3-[5-hydroxy-2-[(4-methoxy-1,4-dioxo-2-butanyl)amino]phenyl]-1-oxo-2-propenyl]oxy]-3-oxo-[CAS]	193969-54-9	WO 9727314	Cardiovascular	Ischaemia, cerebral
		Piperazine, 1-(2,3-dihydro-1,4-benzodioxin-5-yl)-4-(2,3-dihydro-1H-inden-2-yl)-[CAS]	146998-34-7		Cognition enhancer	Cognitive disorder, general
S-18886	S-34750	1-Naphthalenepropanoic acid, 6-(((4-chlorophenyl)sulfonyl)amino)-5,6,7,8-tetrahydro-2-methyl [CAS]	165537-73-5		Antithrombotic	Thrombosis, general
		7-chloro-6-sulfamoyl-2-(1H)-quinoline-3-phosphonic acid			Neuroprotective	Unspecified
		7β-[2-(5-amino-1,2,4-thiadiazol-3-yl)-2(Z)-ethoxyiminoacetamidol]-3-(1-(N-methylaminopropyl)-1H-imidazo[4,5-b]pyridinium-4-methyl-3-cephem-4-carboxylate monosulfate			Cephalosporin, injectable	Infection, general
S-36496	S-36527	2-[N-[(4-(Chlorophenyl)sulfonyl)amino]butyl]-N-[3-[(4-isopropylthiazol-2-yl)methyl]oxy]benzyl]sulfamoyl]benzoic acid			Antiasthma	Asthma
		2-[N-[(4-(Chlorophenyl)sulfonyl)amino]butyl]-N-[3-[(4-(4-cyclobutylthiazol-2-yl)methyl]benzyl]sulfamoyl]benzoic acid			Antiasthma	Asthma

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
S-5751	(1R,2R,3S,5S)-7-[2-(5-Hydroxybenzothiophen-3-ylcarboxamido)-6,6-dimethylbicyclo[3.1.1]hept-3-yl]-5(Z)-heptenoic acid			Antiallergic, non-asthma	Allergy, general
S-8510	Imidazo[4,5-d]pyrano[4,3-b]pyridine, 1,6,7,9-tetrahydro-2-(3-isoxazolyl)-, phosphate (1:1) [CAS]	151466-23-8	EP 556008	Cognition enhancer	Alzheimer's disease
S-8921	2-Naphthalenecarboxylic acid, 1-(3,4-dimethoxyphenyl)-3-(3-ethyl-1-oxopentyl)-4-hydroxy-6,7,8-trimethoxy-, methyl ester [CAS]	151165-96-7	WO 9308155	Hypolipemic/ Antiatherosclerosis	Hypercholesterolaemia
Sabcomeline		159912-53-5			
Sabcluzole		104383-17-7			
S-Adenosylmethionine safnamide	(S)-(+)-2-[4-(3-fluorobenzoyloxy)benzylamino]propanamide methanesulfonate	29908-03-0 133865-89-1	AU 711309	Antiepileptic	Epilepsy, general
Salacetamide		487-48-9			
Salazosulfamididine		2315-8-4			
salbutamol	1,3-Benzenedimethanol, Alpha1-[[[(1,1-dimethylethyl)amino]methyl]-4-hydroxy- [CAS]	18559-94-9	EP 451745	Formulation, inhalable, topical, dry powder	Asthma
Salicin		138-52-3			
Salicyl Alcohol		90-01-7			
Salicylamide		65-45-2			
Salicylamide O-Acetic Acid		25395-22-6			
Salicylic Acid		87-17-2			
Salicylsulfuric Acid		69-72-7			
Salinazid		89-45-2			
salmeterol	1,3-Benzenedimethanol, 4-hydroxy-Alpha1-[[[6-(4-phenylbutoxy)hexyl]amino]methyl]-, (±)-1-hydroxy-2-naphthalenecarboxylate [CAS]	495-84-1 89365-50-4 94749-08-3	WO 9006775	Antiasthma	Asthma
Salsalate		552-94-3			
Salverine		6376-26-7			
Samarium ¹⁵³ Sm		154427-83-5			
Lexidronam					
sampatrilat	L-Tyrosine, N2-(methylsulfonyl)-L-lysyl-1-[(2S)-3-amino-2-carboxypropyl]cyclopentanecarbonyl-[CAS]	129981-36-8	EP 358398	Antihypertensive, renin system	Hypertension, general
Sancycline		808-26-4			
Saperconazole		110588-57-3			
sapropterin	4(1H)-Pteridinone, 2-amino-6-(1,2-dihydroxypropyl)-5,6,7,8-tetrahydro-, dihydrochloride, [6R-[6R*(1R*,2S)]]-[CAS]	69056-38-8 62989-33-7	EP 191335	Antidepressant	Hyperphenyl-alaninaemia

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
sacquinavir	Butanediolamide, N1-[3-[3-[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1H)-isquinolinyl]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [3S-[2[1R*(R*),2S*],3Alpha,4alpha,8alpha]]-[CAS]	127779-20-8	EP 432695	Antiviral, anti-HIV	Infection, HIV/AIDS
Saralasin sareldutant	Benzamide, N-[4-[4-(acetyl amino)-4-phenyl-1-piperidinyl]-2-(3,4-dichlorophenyl)butyl]-N-methyl-, (S)-[CAS]	34273-10-4 142001-63-6	EP 474561	Antiasthma	Asthma
sarizotan	3-Pyridinemethanamine, N-(3,4-dihydro-2H-1-benzopyran-2-yl)methyl)-5-(4-fluorophenyl)-[CAS]	177975-08-5		Antiparkinsonian	Parkinson's disease
sarpogrelate	Butanediol acid, mono[2-(dimethylamino)-1-[[2-[2-(3-methoxyphenyl)ethyl]phenoxy]methyl]ethyl] ester [CAS]	125926-17-2	EP 398326	Antithrombotic	
Satigrel satraplatin	Platinum, bis(acetato-O)aminodichloro(cyclohexanamine)-, (OC-6-43)-[CAS]	111753-73-2 129580-63-8	EP 328274	Anticancer, alkylating	Cancer, prostate
Satumomab SB-237376	N-[3-[2-(3,4-dimethoxyphenyl)ethyl]amino]propyl]-4-nitrobenzamide, HCl	144058-40-2		Antiarrhythmic	Fibrillation, atrial
SB-238039	(5-(2-phenylamino-4-pyrimidinyl)-4-fluorophenyl)-1-(4-piperidinyl)imidazole			Anticancer, other	Cancer, general
SB-277011	trans-N-[4-[2-(Cyano-1,2,3,4-tetrahydroisquinolin-2-yl)ethyl]cyclohexyl]-4-quinolinecarboxamide			Neuroleptic	Schizophrenia
Scarlet Red SCH-00013	Benzonitrile, 4-[2-[3,6-dihydro-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1(2H)-pyridinyl]-1-hydroxyethyl]-[CAS]	85-83-6 217963-18-3	EP 618204	Cardio stimulant	Heart failure
Sch-23863	(2-[10,11-Dihydro-5-ethoxy-5H-dibenzo[a,d] cyclohepten-8-yl]-N,N-dimethylethylamine			Immunosuppressant	Inflammation, general
sareldutant	Benzamide, N-[4-[4-(acetyl amino)-4-phenyl-1-piperidinyl]-2-(3,4-dichlorophenyl)butyl]-N-methyl-, (S)-[CAS]	142001-63-6	EP 474561	Antiasthma	Asthma
sarizotan	3-Pyridinemethanamine, N-(3,4-dihydro-2H-1-benzopyran-2-yl)methyl)-5-(4-fluorophenyl)-[CAS]	177975-08-5		Antiparkinsonian	Parkinson's disease
sarpogrelate	Butanediol acid, mono[2-(dimethylamino)-1-[[2-[2-(3-methoxyphenyl)ethyl]phenoxy]methyl]ethyl] ester [CAS]	125926-17-2	EP 398326	Antithrombotic	
Satigrel		111753-73-2			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
satrapiatin	Platinum, bis(acetato- Oamininedichloro(cyclohexanamine)), (OC-6-43)-[CAS]	129580-63-8	EP 328274	Anticancer, alkylating	Cancer, prostate
Satumomab SB-237376	N-[3-[2-(3,4- dimethoxyphenyl)ethyl]amino]propyl]-4- nitrobenzamide, HCl	144058-40-2		Antiarrhythmic	Fibrillation, atrial
SB-238039	(5-(2-phenylamino-4-pyrimidinyl)-4- λ (4- fluorophenyl))-1-(4-piperidinyl)imidazole			Anticancer, other	Cancer, general
SB-277011	trans-N-[4-[2-(6-Cyano-1,2,3,4- tetrahydroisoquinolin-2-yl)ethyl]cyclohexyl]- 4-quinolinecarboxamide			Neuroleptic	Schizophrenia
Scarfet Red SCH-00013	Benzonitrile, 4-[2-[3,6-dihydro-4-(1,4,5,6- tetrahydro-6-oxo-3-pyridazinyl)-1(2H)- pyridinyl]-1-hydroxyethyl]-[CAS]	85-83-6 217963-18-3	EP 618204	Cardio stimulant	Heart failure
Sch-23863	(2-[10,11-Dihydro-5-ethoxy-5H-dibenzo [a,d]cyclohepten-5-yl]-N,N-dimethyl- ethanamine			Immunosuppressant	Inflammation, general
sertaconazole	1H-Imidazole, 1-[2-[(7-chlorobenzo[b]thien- 3-yl)methoxy]-2-(2,4-dichlorophenyl)ethyl]- [CAS]	99592-32-2	EP 151477	Antifungal	Infection, dermatological
sertindole	2-Imidazolidinone, 1-[2-[4-[5-chloro-1-(4- fluorophenyl)-1H-indol-3-yl]-1- piperidinyl]ethyl]-[CAS]	106516-24-9	EP 392959	Neuroleptic	Schizophrenia
serttraline	1-Naphthalenamine, 4-(3,4- dichlorophenyl)-1,2,3,4-tetrahydro-N- methyl-, (1S-cis)-[CAS]	79559-97-0 79617-96-2 79617-97-3 64294-95-7	EP 30081	Antidepressant	Depression, general
Setastine sevelamer	2-Propen-1-amine polymer with (chloromethyl)oxirane, hydrochloride [CAS]	152751-57-0 52757-95-6	U.S. 5,496,545	Urological	Renal failure
sevoflurane	Propane, 1,1,1,3,3,3-hexafluoro-2- (fluoromethoxy)-[CAS]	28523-86-6	DE 1954268	Anaesthetic, inhalation	Anaesthesia
SG-210	2H-1,4-Benzothiazine-2-acetic acid, 3,4- dihydro-3-oxo-4-(4,5,7-trifluoro-2- benzothiazolyl)methyl)-[CAS]	143162-65-6		Symptomatic antidiabetic	Neuropathy, diabetic
sibutramine	Cyclobutanemethanamine, 1-(4- chlorophenyl)-N,N-dimethyl- α -Alfa-(2- methylpropyl)-[CAS]	106650-56-0 84485-00-7	GB 2098602	Anorectic/ Antiobesity	Obesity
sicanin	(4aS- (4a α Alfa,6a α Alfa,11b α Alfa,13aR*, 13b α Alfa)-1,2,3,4,4a,5,6a,11b,13b- decahydro-4,4,6a,9-tetramethyl-13H- benzo[a]furo[2,3,4-mn]xanthene-11-ol	22733-60-4	JP 37003548	Antifungal	
sildenafil	Piperazine, 1-((3-(4-(7-dihydro-1-methyl-7- oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin- 5-yl)-4-ethoxyphenyl)sulfonyl)-4-methyl, 2- hydroxy-1,2,3-propanetricarboxylate-(1:1) [CAS]	171599-83-0 139755-83-2	WO 9428902	Male sexual dysfunction	Impotence

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
silodosin	1H-Indole-7-carboxamide, 2,3-dihydro-1-(3-hydroxypropyl)-5-[(2R)-2-[[2-(2,2,2-trifluoroethoxy)phenoxy]ethyl]amino]propyl]-[CAS]	160970-54-7	EP 600675	Urological	Dysuria
Silver Lactate Sch-57790	1-Piperazineacetone nitrile, 4-cyclohexyl-alpha-[4-(S)-(4-methoxyphenyl)sulfonyl]phenyl]-[CAS]	128-00-7 221660-80-6		Cognition enhancer	Alzheimer's disease
Sch-63390	7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-(3-phenylpropyl)-[CAS]	174648-45-4		Antiparkinsonian	Parkinson's disease
Scillarenin		465-22-5			
Scopolamine		51-34-3			
Scopolamine N-Oxide		97-75-6			
scopolamine		51-34-3	U.S. 4,262,003	Formulation, transdermal, other	Nausea and vomiting, general
SCS technology					
secalciferol	Benzeneacetic acid, Alpha-(hydroxymethyl)-, 9-methyl-3-oxa-9-azatricyclo[3.3.1.0 ^{2,4}]non-7-yl ester, [7(S)-(1A)pha,2β,4β,5A)pha,7β]-[CAS]	55721-11-4	U.S. 6,046,188 EP 301167	Antiasthma	Unspecified
secnidazole	9,10-Seocholest-5,7,10(19)-triene-3,24,25-triol, (3β,5Z,7E,24R)-[CAS]	3366-95-8	FR M3270	Osteoporosis treatment Protozoicide	Osteo-dystrophy Infection, trichomoniasis
Secobarbital	1H-Imidazole-1-ethanol, Alpha,2-dimethyl-5-nitro-[CAS]	309-43-3			
selegiline	Benzeneethanamine, N,Alpha-dimethyl-N-2-propynyl, (R)-[CAS]	14611-51-9	GB 1153578	Antiparkinsonian	
Selenomethionine		1464-42-2			
Sematiide		101526-83-4			
Semotiadil		116476-13-2			
seocalcitol	1,3-Cyclohexanediol, 5-((1-(6-ethyl-6-hydroxy-1-methyl-2,4-octadienyl)octahydro-7a-methyl-4H-inden-4-ylidene)ethylidene)-7a-methylene-, (1R-4-methylene-, (1R-1A)pha(1R*,2E,4E),3aβ,4E(1R*,3S*,5Z),7aAlpha))-[CAS]	134404-52-7	WO 9100855	Anticancer, other	Cancer, liver
Septimostat					
seratrodast	Benzeneheptanoic acid, zeta-(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)-, (+/-)-[CAS]	103926-64-3 103187-07-1 112665-43-7	EP 232089	Antiasthma	Asthma
sertaconazole	1H-Imidazole, 1-[2-[(7-chlorobenzo[b]thien-3-yl)methoxy]-2-(2,4-dichlorophenyl)ethyl]-[CAS]	99592-32-2	EP 151477	Antifungal	Infection, derma-tological
sertindole	2-Imidazolidinone, 1-[2-[4-[5-chloro-1-(4-fluorophenyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]-[CAS]	106516-24-9	EP 392959	Neuroleptic	Schizophrenia
serttraline	1-Naphthalenamine, 4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-, (1S-cis)-[CAS]	79559-97-0 79617-96-2 79617-97-3 64294-95-7	EP 30081	Antidepressant	Depression, general
Setastine					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
sevelamer	2-Propen-1-amine polymer with (chloromethyl)oxirane, hydrochloride [CAS]	152751-57-0 52757-95-6	U.S. 5,496,545	Urological	Renal failure
sevoflurane	Propane, 1,1,1,3,3,3-hexafluoro-2- (fluoromethoxy)-[CAS]	28523-86-6	DE 1954268	Anaesthetic, inhalation	Anaesthesia
SG-210	2H-1,4-Benzothiazine-2-acetic acid, 3,4- dihydro-3-oxo-4-((4,5,7-trifluoro-2- benzothiazolyl)methyl)-[CAS]	143162-65-6		Symptomatic antidiabetic	Neuropathy, diabetic
sibutramine	Cyclobutanemethanamine, 1-(4- chlorophenyl)-N,N-dimethyl- α -(2- methylpropyl)-[CAS]	106650-56-0 84485-00-7	GB 2098602	Anorectic/ Antiobesity	Obesity
siccanin	(4aS- (4a α),6a,11b,13aR*, 13b α)-1,2,3,4,4a,5,6a,11b,13b- decahydro-4,4,6a,9-tetramethyl-13H- benzo[a]furo[2,3,4-mn]xanthene-11-ol	22733-60-4	JP 37003548	Antifungal	
sildenafil	Piperazine, 1-((3-(4,7-dihydro-1-methyl-7- oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin- 5-yl)-4-ethoxyphenyl)sulfonyl)-4-methyl-2- hydroxy-1,2,3-propanetricarboxylate-(1:1) [CAS]	171599-83-0 139755-83-2	WO 9428902	Male sexual dysfunction	Impotence
siodosin	1H-Indole-7-carboxamide, 2,3-dihydro-1- (3-hydroxypropyl)-5-[(2R)-2-[[2-(2,2,2- trifluoroethoxy)phenoxy]ethyl]amino]- propyl]-[CAS]	160970-54-7	EP 600675	Urological	Dysuria
Silver Lactate		128-00-7			
Silver Picrate		146-84-9			
silver sulfadiazine	N ² -pyrimidinylsulfanilamide monosilver salt	22199-08-2 68-35-9		Anti-infective, other	Infection, general
Simetride		154-82-5			
Simfibrate		14929-11-4			
simvastatin	Butanoic acid, 2,2-dimethyl-, 1,2,3,7,8,8a- hexahydro-3,7-dimethyl-8-[2-(tetrahydro-4- hydroxy-6-oxo-2H-pyran-2-yl)ethyl]-1- naphthalenyl ester, [1S- [1A]pha,3A]pha,7R,8R(2S*,4S*,8a β)]- [CAS]	79902-63-9	U.S. 4,444,784	Hypolipemic/ Antiatherosclerosis	Hyper- lipidaemia, general
Sincalide		25126-32-3			
Sintropium Bromide		79467-19-9			
Sisomicin		32385-11-8			
sitafloxacin	3-Quinolonecarboxylic acid, 7-(7-amino-5- azaspiro[2.4]hept-5-yl)-8-chloro-6-fluoro-1- (2-fluorocyclopropyl)-1,4-dihydro-4-oxo-, [1R-[1A]pha(S*,2A]pha)]-, hydrate	127254-12-0	EP 341493	Quinolone antibacterial	Infection, general
sitamaquine	1,6-Hexanediamine, N,N-diethyl-N'-(6- methoxy-4-methyl-8-quinolinyl)-[CAS]	5330-29-0 57695-04-2		Protozoacide	Infection, leishmaniasis
sitaxsentan	N-(4-Chloro-3-methyl-5-isoxazolyl)-2-[[4,5- (methylenedioxy)-o-tolyl]acetyl]-3- thiophenesulfonamide	184036-34-8	U.S. 5,464,853	Antihypertensive, other	Hypertension, pulmonary

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
sivetestat	Glycine, N-[2-[[[4-(2,2-dimethyl-1-oxopropoxy)phenyl]sulfonyl]amino]benzoyl]-[CAS]	127373-66-4	EP 347168	Respiratory	Systemic inflammatory response syndrome Cataract
SIA-6017	Butanamide, 2-[[[4-(4-fluorophenyl)sulfonyl]amino]-N-[(1S)-1-formyl-3-methylbutyl]-3-methyl-, (2S)-[CAS]	190274-53-4	EP 771565	Ophthalmological	
SL-65-1498	6-Fluoro-9-methyl-2-phenyl-4-pyrrolidin-1-ylcarbonyl)-2,9-dihydro-1H-pyrido[3,4-b]indole-1-one	EP 607076		Anxiolytic	Anxiety, general
SLV-306	(3S,2R)-3-[1-[2'-(Ethoxycarbonyl)-4'-phenyl-butyl]-cyclopentan-1-ylcarbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-1H-benzapin-1-acetic acid			Antihypertensive, diuretic	Hypertension, general
SLV-308	2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride	269718-83-4		Antiparkinsonian	Parkinson's disease
Sm153 leixidromam	Samarate(5-)-153Sm, ((1,2-ethanediy)bis(nitriobis(methylene)))>tetrakis(phosphonato)(8->N',O',O'',O''',OP''',OP''', pentasodium, (OC-6-21)>[CAS]	160369-78-8		Analgesic, other	Pain, cancer
S-Methylmethionine SMP-300	N-(Aminiminomethyl)-11-chloro-5,6,7,8-tetrahydro-8-oxo-4H-pyrrolo[3,2,1-k][1]benzazocine-2-carboxamide monomethanesulfonate monohydrate	4727-40-6		Antianginal	Angina, general
SN-38	(4S)-4,7,11-triethyl-3,4,12,14-tetrahydro-4,10-dihydroxy-3,14-dioxo-1H-pyranol[3',4':6,7]indolizino[1,2-b]quindin-9-yl	100286-90-6		Formulation, optimized, liposomes	Cancer, colorectal
SNAP-7941	((+)-methyl (4S)-3-[[[3-(4-[3-(acetylamino)phenyl]-1-piperidinyl)propyl]amino]carbonyl]-4-(3,4-difluorophenyl)-6-(methoxymethyl)-2-oxo-1,2,3,4-tetrahydro-5-pyrimidinecarboxylate hydrochloride)			Anxiolytic	Anxiety, general
SOA-132	2-Naphthalenecarboxamide, N-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]-3-hydroxy-5-(3-pyridinylmethoxy)-[CAS]	143964-80-1		Formulation, inhalable, topical	Asthma
sobidotin	L-valinamide, N,N-dimethyl-L-valyl-N-[2-methoxy-4-[2-[1-methoxy-2-methyl-2-oxo-3-(2-phenylethyl)amino]propyl]-1-pyrrolidinyl]-1-(2-methylpropyl)-4-oxobutyl]-N-methyl-, [2S-[1[1R*(R*),2S*],2R*(1S*,2S*)]]-[CAS]	149606-27-0	WO 9303054	Anticancer, other	Cancer, lung, non-small cell
Sobrerol sobuzoxane	Carbonic acid, 1,2-ethanediybis[(2,6-dioxo 4,1-piperazinediyl)methyl]ene[bis(2-methylpropyl) ester [CAS]	498-71-5 98631-95-9	EP 140327	Anticancer, other	Cancer, lymphoma, T-cell

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Sodium Arsanilate		127-85-5			
Sodium Arspenamine		1936-28-3			
Sodium Chloride					
Sodium Dibunate		14992-59-7			
Sodium Folate		6484-89-5			
Sodium Formaldehy		149-44-0			
desulfoxylate Sodium		1334-74-3			
Sodium Glycerophosphate					
Sodium Hyaluronate		519-26-6			
Sodium Iodomethamate		7632-00-0			
Sodium Nitrite		14402-89-2			
Sodium Nitroprusside					
sodium oxybate	Butyric acid, 4-hydroxy monosodium salt [CAS]	502-85-2		Psychostimulant	Narcolepsy
Sodium Phenol- sulfonate		1300-51-2			
sodium phenylbutyrate	Butyric acid, 4-phenyl-, sodium salt-[CAS]	1716-12-7			
sodium phosphate	Sodium phosphate monobasic monohydrate + sodium phosphate dibasic anhydrous		U.S. 6,162,464	Formulation, other oral, other	Hyper- ammonemia Surgery adjunct
sodium prasterone sulfate	3 β -hydroxy-5-androsten-17-one(sodium sulfate dihydrate)	137-40-6	EP 380036	Formulation, mucosal, topical	Labour, induction
Sodium Propionate					
sodium salicylate	Benzoic acid, 2-hydroxy-, monosodium salt [CAS]	54-21-7		Formulation, oral, solubility-enhanced	Pain, general
Sodium Tetradecyl Sulfate		139-88-8			
sulfalcone					
	Acetic acid, [5-[(3-methyl-2-butenyl)oxy]-2- [3-[4-[(3-methyl-2-butenyl)oxy]phenyl]-1- oxo-2-propenyl]phenoxy]]-[CAS]	64506-49-6	GB 1523241	Antitumor	
Solastifone					
solifenacin	Butanediolic acid compd with (1S)-(3R)-1- azabicyclo(2.2.2)oct-3-yl 3,4-dihydro-1- phenyl-2(1H)-isoquinolinecarboxylate (1:1) [CAS]	133-65-3 242478-38-2		Urological	Overactive bladder
Sorbitamate					
Sorbitol	D-Glucitol, hexa-3-pyridinecarboxylate [CAS]	6184-06-1	BE 883352	Hypolipemic/ Antiatherosclerosis	
Sorivudine					
sotalol	Methanesulfonamide, N-[4-[1-hydroxy-2- [(1-methylethyl)amino]ethyl]phenyl]-[CAS]	50-70-4 77181-69-2 3930-20-9 959-24-0		Antiarrhythmic	
Soterenol		13642-52-9			
Soziodolic Acid		554-71-2			
spaglumic acid	L-Glutamic acid, N-(N-acetyl-L-Alpha- aspartyl)-[CAS]	3106-85-2		Formulation, mucosal, topical	Conjunctivitis
spartofloxacin	3-Quinolonecarboxylic acid, 5-amino-1- cyclopropyl-7-(3,5-dimethyl-1-piperazinyl)- 6,8-difluoro-1,4-dihydro-4-oxo-, cis-[CAS]	80619-64-3 110871-86-8	EP 221463	Quinolone antibacterial	Infection, respiratory tract, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Sparteine SPA-S-843	Candicin D, 18-decarboxy-40-demethyl-3,7-diideoxo-N ³ -((dimethylamino)acetyl)-18-(((2-(dimethylamino)ethyl)amino)carbonyl)-3,7-dihydroxy-N ⁴ -methyl-5-oxo cyclic 15,19-hemiacetal, comp with L-ascorbic acid (1:2) [CAS]	90-39-1 202748-83-2	U.S. 5,298,495	Antifungal	Infection, fungal, general
Spasmolytol SPD-754	2((1H)-Pyrimidinone, 4-amino-1-(2-(hydroxymethyl)-1,3-oxathiolan-4-yl-(2R-cis)-	25333-96-4 160707-69-7	U.S. 6,228,860	Antiviral, anti-HIV	Infection, HIV/AIDS
Spectinomycin SPI-339	4-[3-(4-Oxo-4,5,6,7-tetrahydroindol-yl)propionylamino]benzoic acid ethyl ester	1695-77-8		Cognition enhancer	Alzheimer's disease
Spiperone spirapril	1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxylic acid, 7-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, [8S-[7[R*(R*)],8R*]]-[CAS]	749-02-0 83647-97-6	EP 50800	Antihypertensive, renin system	Hypertension, general
Spirogermanium spironolactone	Pregn-4-ene-21-carboxylic acid, 7-(acetylthio)-17-hydroxy-3-oxo-, Gamma-lactone,(7Alpha,17Alpha)-[CAS]	41992-23-8 52-01-7	EP 124147	Formulation, dermal, topical	Acne
SR-121463	Benzamide, N-(1,1-dimethylethyl)-4-[[cis-5'-ethoxy-4-[2-(4-morpholinyl)ethoxy]-2'-oxospiro[cyclohexane-1,3-[3H]indol]-1'(2H)-yl]sulfonyl]-3-methoxy-[CAS]	185913-78-4	WO 9715556	Cardio stimulant	Heart failure
SR-144190	Morpholine, 4-benzoyl-2-(3,4-difluorophenyl)-2-[2-[4-[[dimethylamino]carbonyl]amino]-4-phenyl]-1-piperidinyl]ethyl]-, (2R)-[CAS]	201152-86-5	WO 9623787	Anxiolytic	Anxiety, general
SR-146131	1H-Indole-1-acetic acid, 2-[[[4-(4-chloro-2,5-dimethoxyphenyl)-5-(2-cyclohexylethyl)-2-thiazolyl]amino]carbonyl]-5,7-dimethyl-[CAS]	221671-61-0	WO 9915525	Anorectic/ Antiobesity	Obesity
SR-271425	N-[1-[2-(diethylamino)ethylamino]-7-methoxy-9-oxo-9H-thioxanthen-4-ylmethyl]formamide			Anticancer, alkylating	Cancer, general
SR-27897	1H-Indole-1-acetic acid, 2-[[[4-(2-chlorophenyl)-2-thiazolyl]amino]carbonyl]-[CAS]	136381-85-6	EP 432040	Anticancer, other	Cancer, pancreatic
SR-31747	Cyclohexanamine, N-(3-(3-chloro-4-cyclohexylphenyl)-2-propenyl)-N-ethyl-, hydrochloride, (Z)-[CAS]	132173-07-0	EP 376850	Anticancer, other	Cancer, myeloma
SR-58611	Acetic acid, [[[7S)-7-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride [CAS]	121524-09-2	EP 303546	GI inflammatory/ bowel disorders	Irritable bowel syndrome

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
SS732			U.S. 5,385,900	Formulation, mucosal, topical	Infection, ocular
SS-750	(R)-(-)-2-(2,4-difluorophenyl)-1-(ethylsulfonyl)-1,1-difluoro-3-(1H-1,2,4-triazol-1-yl)-2-propanol		U.S. 6,083,968	Antifungal	Infection, fungal, general
β -alethine	Propanamide, N,N'(dithiodi-2,1-ethanedyl)bis(3-amino)-[CAS]	646-08-2		Anticancer, immunological	Cancer, myeloma
SSR-149415	(2S,4R)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-2-pyrrolidone carboxamide		WO 0155130	Antidepressant	Depression, general
SSR-180575	2-(7-chloro-5-methyl-4-oxo-3-phenyl-4,5-dihydro-3H-pyridazino[4,5-b]indol-1-yl)-N,N-dimethylacetamide			Neuroprotective	Unspecified
SSR-181507	(3-Exo)-8-benzoyl-N'-[[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-8-azabicyclo[3.2.1]octane-3-methanamine HCl		U.S. 6,221,879	Neuroleptic	Schizophrenia
SSR-591813	(5aS,8S,10aR)-5a,6,9,10-tetrahydro, 7H,11H-8,10a-methanopyrido[2',3':5,6]pyranol[2,3-d]azepine			Dependence treatment	Addiction, nicotine
SST-101	D-Glucitol, 1,4:3,6-dianhydro-, dinitrate [CAS]	87-33-2		Formulation, transdermal, other	Angina, general
SSV-726	(-)-(R)-3-Methyl-3-(methylsulfonyl)-1-(1,2,4-triazol-1-yl)-2-[4-(trifluoromethyl)-phenyl]-2-butanol		U.S. 5,147,886	Antifungal	Infection, fungal, general
ST-200	1-Propanaminium, 2-(acetyloxy)-3-carboxy-N,N,N-trimethyl-, chloride, (R)-[CAS]	5080-50-2	DE 3015635	Cognition enhancer	Dementia, senile, general
stachyflin			WO 9711947	Antiviral, other	Infection, influenza virus
Stallimycin		636-47-5	U.S. 6,350,736	Antiviral, anti-HIV	Infection, HIV/AIDS
Stanzipidine		15578-26-4			
Stannous Pyrophosphate stannosporfin	(OC-6-13)-Dihydrogen dichloro[7,12-diethyl-3,8,13,17-tetramethyl-21H,23H-porphine-2,18-dipropionate(4-)-N21,N22,N23,N24]stannate(2-)	106344-20-1		Hepatoprotective	Hyperbiliru- binaemia
Stanolone		521-18-6			
Stanozolol		10418-03-8 (2H form); 302-96-5 (1H form)			
Staph aureus ther			U.S. 6,376,652	Genomics-based drug discovery	Infection, MRSA

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
STAT4 inhibitors stavudine	Thymidine, 2',3'-didehydro-3'-deoxy-[CAS]	3056-17-5	WO 9629341 EP 501511	Immunosuppressant Antiviral, anti-HIV	Unspecified Infection, HIV/AIDS
Stenbolone streptonin	Glycine, N-[1-oxo-2-[(2- thienylcarbonyl)imino]propyl]-[CAS]	5197-58-0 72324-18-6	U.S. 4,242,354	Antitussive	Cough
Stibocaptate Stibophen Stilbamidine stripenitol	1-Penten-3-ol, 1-(1-(1,3-benzodioxol-5-yl)-4,4- dimethyl)-[CAS]	27279-76-1 15489-16-4 122-06-5 49763-96-4			
Streptodornase Streptonycin Streptonicozid Streptonigrin Streptozocin strontium ranelate	3-Thiopheneacetic acid, 5- [bis(carboxymethyl)amino]-2-carboxy-4- cyano-, strontium salt (1:2)-[CAS] Strontium chloride (89SrCl ₂) [CAS]	37340-82-2 57-92-1 5667-71-0 3930-19-6 18883-66-4 135459-87-9	EP 415850	Osteoporosis treatment	Osteoporosis
strontium-89 chloride Succimer Succinimide Succinylcholine Succinylcholine Succinylsulfathiazole Succisulfone Suclofenide sucralfate		38270-90-5 304-55-2 123-56-8 55-94-7 71-27-2 116-43-8 5934-14-5 30279-49-3 54182-58-0		Analgesic, other	Pain, cancer
sufentanil	Aluminium, hexadeca- μ - hydroxytetraacosahydroxy(μ 8-(1,3,4,6-tetra- O-sulfo- β -D-fructofuranosyl)- α -Alpha-D- glucopyranoside tetrakis(hydrogen sulfato(8-))hexadeca-[CAS] Propanamide, N-[4-(methoxymethyl)-1-[2- (2-thienyl)ethyl]-4-piperidinyl]-N-phenyl- [CAS]	56030-54-7	U.S. 3,998,834	Analgesic, other, formulation implant	Pain, general
subactam	4-Thia-1-azabicyclo[3.2.0]heptane-2- carboxylic acid, 3,3-dimethyl-7-oxo-, 4,4- dioxide, (2S-cis)-[CAS]	68373-14-8	GB 2000138	Antibiotic, other	Infection, general
subactam + ampicillin		117060-71-6	U.S. 4,234,579	Antibiotic, other	Infection, general
subamcillin	4-Thia-1-azabicyclo[3.2.0]heptane-2- carboxylic acid, 3,3-dimethyl-7-oxo-6- [(phenylsulfoacetyl)amino]-, [2S- [2Alpha,5Alpha,6(S*)]]-[CAS]	28002-18-8 41744-40-5	GB 1289358	Penicillin, injectable	Infection, pseudomonal
Sulbentine sulbutiamine	Propanoic acid, 2-methyl-, dithiobis[3-[1- [(4-amino-2-methyl-5- pyrimidinyl)methyl]formylamino]- ethylidene]-3,1-propanediyl] ester [CAS]	350-12-9 3286-46-2 67-16-3		Neurological	Unspecified

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
sulfonazole	1H-Imidazole, 1-[2-[[[4-(4-chlorophenyl)methyl]thio]-2-(2,4-dichlorophenyl)ethyl]-, (+/-)]-[CAS]	61318-90-9 61318-91-0	U.S. 4,055,652	Antifungal	Infection, fungal, general
Sulesomab		167747-19-5			
Sulfabenzamide		127-71-9			
Sulfacetamide		144-80-9			
Sulfachlorpyridazine		80-32-0			
Sulfachrysoidine		485-41-6			
Sulfacythine		17784-12-2			
Sulfadiazine		68-35-9			
Sulfadiazine		115-68-4			
Sulfadiazine		122-11-2			
Sulfadiazine		2447-57-6			
Sulfadiazine		94-19-9			
Sulfadiazine		57-67-0			
Sulfaguanidine		27031-08-9			
Sulfaguanole		152-47-6			
Sulfalene		14376-16-0			
Sulfaloxenic Acid		127-79-7			
Sulfamerazine		651-06-9			
Sulfameter		57-68-1			
Sulfamethazine		144-82-1			
Sulfamethazole		3772-76-7			
Sulfamethomidine		723-46-6			
Sulfamethoxazole		80-35-3			
Sulfamethoxy- pyridazine					
Sulfametrole		32909-92-5			
Sulfamidochrysoidine		103-12-8			
Sulfanoxole		729-99-7			
Sulfanilamide		63-74-1			
Sulfanilic Acid		121-57-3			
Sulfanilylurea		547-44-4			
Sulfaperine		599-88-2			
Sulfaphenazole		526-08-9			
Sulfaproxyline		116-42-7			
Sulfapyrazine		116-44-9			
Sulfapyridine		144-83-2			
Sulfarside		1134-98-1			
Sulfarsphenamine		618-82-6			
sulfasalazine	Benzoic acid, 2-hydroxy-5-[[4-[(2-pyridinylamino)sulfonyl]phenyl]azo]-[CAS]	599-79-1		Formulation, oral, enteric-coated	Arthritis, rheumatoid
Sulfasomizole		632-00-8			
Sulfasynazine		1984-94-7			
Sulfathiazole		72-14-0			
Sulfathiourea		515-49-1			
Sulfinalol		66264-77-5			
Sulfonpyrazone		57-96-5			
Sulfiram		95-05-6			
Sulfisomidine		515-64-0			
Sulfisoxazole		127-69-5			

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Sulfobromophthalicin		71-67-0			
Sulfonethymethane		76-20-0			
Sulfoniazide		3691-81-4			
Sulfonmethane		115-24-2			
Sulfordiazine		14759-06-9			
Sulfoxone		144-75-2			
sulindac	cis-5-fluoro-2-methyl-1-[(p-methylsulfinyl)benzylidene]indene-3-acetic acid	38194-50-2	U.S. 3,725,548	Anti-inflammatory	Inflammation, general
Sulisatin		54935-03-4			
Sulisobenzone		4065-45-6			
Sulmarin		29334-07-4			
Sulmazole		73384-60-8			
Sulocidil		54063-56-8			
Sulphan Blue		129-17-9			
supiride		15676-16-1			
silprostone	Benzamide, 5-(aminosulfonyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methoxy-[CAS]	60325-46-4	U.S. 4,024,179	Alimentary/ Metabolic, other Prostaglandin	Abortion
sultamicillin	5-Heptenamide, 7-[3-hydroxy-2-(3-hydroxy-4-phenoxy-1-butenyl)-5-oxocyclopentyl]-N-(methylsulfonyl)-, [1R-[1Alpha(Z),2[(1E,3R*),3Alpha]]-[CAS]	117060-71-6 76497-13-7	GB 2044255	Penicillin, oral	Infection, general
	4-Thia-1-azabicyclo(3.2.0)heptane-2-carboxylic acid, 6-((aminophenylacetyl)amino)-3,3-dimethyl-7-oxo-, (((3,3-dimethyl-7-oxo-4-thia-1-azabicyclo(3.2.0)hept-2-yl)carbonyl)oxy)methyl ester, S, S-dioxide, (2S-(2.alpha.(2R*,5S*),5.alpha.,6.beta.(S**)))-[CAS]				
Sulthiame		61-56-3			
sultopride	Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-5-(ethylsulfonyl)-2-methoxy-[CAS]	53583-79-2	FR M5916	Neuroleptic	Psychosis, general
Sultosilic Acid		57775-26-5			
sumatrirole	4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) [CAS]	179386-44-8	WO 9514020	Antiparkinsonian	Parkinson's disease
sumatriptan	1H-Indole-5-methanesulfonamide, 3-[2-(dimethylamino)ethyl]-N-methyl-, butanedioate (1:1)-[CAS]	103628-46-2 103628-48-4	EP 147107	Antimigraine	Migraine
SUN-N8075	1-(4-amino-2,3,5-trimethylphenoxy)-3-(4-(4-fluorobenzyl)phenyl)piperazin-1-yl)propan-2-ol, dimethanesulfonate			Neuroprotective	Infarction, cerebral
suptatst	Sulfonium, [3-[[4-(3-ethoxy-2-hydroxypropoxy)phenyl]amino]-3-oxopropyl]dimethyl-, [CAS]	94055-76-2	JP 59167564	Antiasthma	Asthma
Suprofen		40828-46-4			
Suramin		129-46-4			

API	Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication	
Surfactant TA		Beractant [CAS]	108778-82-1	WO 9117766	Lung Surfactant	Respiratory distress syndrome, general	
	Suriclone		53813-83-5				
	Suxibuzone		27470-51-5	U.S.	5,830,998	Antiepileptic	Epilepsy, general
	SYSM-1010						
	SYSM-2081						
SYSM-2207		L-Glutamic acid, 4-methyl-, (4R)-[CAS] 4-(Aminophenyl)-1-methyl-6,7-(methylendioxy)-N-butyl-1,2-dihydrophthalazine-2-carboxamide	31137-74-3		Analgesic, other Neuroprotective	Pain, general Ischaemia, cerebral	
Symcelosene			87-90-1				
Syn-1253		1-cyclopropyl-6-fluoro-8-methoxy-7-[3-(4-methyl-1,2,3-triazol-1-yl)pyrrolidin-1-yl]-4-oxo-1,4-dihydroquinoline 3-carboxylic acid			Quinolone antibacterial	Infection, peritoneum	
Syn-2190		1-Azetidinesulfonic acid, 3-[[[2E]-[(1,4-dihydro-1,5-dihydroxy-4-oxo-2-pyridinyl)methoxy]imino]-2-thienylacetyl]amino]-2-methyl-4-oxo, (2S,3S)-[CAS]	214963-75-4	WO 9847895	Antibacterial, other	Infection, general	
Syn-2869		3H-1,2,4-Triazol-3-one, 4-(4-(4-((1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-((1H-1,2,4-triazol-1-yl)propyl)-1-piperazinyl)phenyl)-2,4-dihydro-2((4-(trifluoromethoxy)phenyl)methyl)-[CAS]	210562-98-4	U.S. 6,153,616	Antifungal	Infection, Aspergillus	
Synephrine			94-07-5				
Syrosingopine			84-36-6				
T-1095		1-Propanone, 3-(5-benzofuranyl)-1-(2-hydroxy-6-((6-O-methoxycarbonyl)-β-D-glucopyranosyl)oxy)-4-methylphenyl-[CAS]	209746-59-8	EP 850948	Antidiabetic	Diabetes, general	
T-1249		L-Phenylalaninamide, N-acetyl-L-tryptophyl-L-glutaminy]-L-Alpha-glutamyl-L-tryptophyl-L-Alpha-glutamyl-L-glutaminy]-L-Lysyl-L-Alpha-glutamyl-L-alanyl-L-leucyl-L-isoleucyl-L-threonyl-glutamyl-L-glutaminy]-L-alanyl-L-glutaminy]-L-isoleucyl-L-glutaminy]-L-glutaminy]-L-Alfa-glutaminy]-L-Alfa-glutaminy]-L-tyrosyl-L-Alfa-glutamyl-L-leucyl-L-glutaminy]-L-lysyl-L-leucyl-L-Alfa-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-Alpha-glutamyl-L-tryptophyl-[CAS]	251562-00-2	WO 9959615	Antiviral, anti-HIV	Infection, HIV/AIDS	
T-3912		1-cyclopropyl-8-methyl-7-[5-methyl-6-(methylamino)-3-pyridinyl]-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid			Quinolone antibacterial	Infection, dermatological	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
T-588	Benzo(b)thiophene-5-methanol, Alpha-(2-(diethylamino)ethoxy)methyl)-, hydrochloride, (R)-[CAS]	142935-03-3	EP 565965	Cognition enhancer	Alzheimer's disease
T-67	Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-(3-fluoro-4-methoxyphenyl)-[CAS]	195533-53-0		Anticancer, other	Cancer, liver
T-82			U.S. 5,190,951	Cognition enhancer	Alzheimer's disease
TA-2005	2(1H)-Quinolone, 8-hydroxy-5-[1-hydroxy 2-[[2-(4-methoxyphenyl)-1-methyl-1-ethoxy]amino]ethyl]-, monohydrochloride, [R-(R*, R*)]-[CAS]	137888-11-0	U.S. 4,579,854	Antiasthma	Asthma
TA-2005	2(1H)-Quinolone, 8-hydroxy-5-[1-hydroxy 2-[[2-(4-methoxyphenyl)-1-methyl-1-ethoxy]amino]ethyl]-, monohydrochloride, [R-(R*, R*)]-[CAS]		WO 189480	Formulation, inhalable, solution	Asthma
TA-993	1,5-Benzothiazepin-4(5H)-one, 3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-8-methyl-2-(4-methylphenyl)-, (2R,3R)-rel-(+)-, (2Z)-2-butenedioate [CAS]	122024-98-0	JP 01045376	Antithrombotic	Peripheral vascular disease
tabimorelin	(R)-Alpha-[(E)-5-Amino-N,5-dimethyl-2-hexenamide]-N-methyl-N-[(R)-Alpha-(methylcarbamoyl)phenethyl]-2-naphthalenepropionamide	170851-70-4 193079-69-5		Releasing hormones	Growth hormone deficiency
tacalcitol	9,10-Secosteroid-5,7,10(19)-triene-1,3,24-triol, (1Alpha,3beta,5Z,7E,24R)-[CAS]	57333-96-7 93129-94-3 112522-64-2	EP 129003	Antipsoriasis	Keratosis
tacedinaline	Benzamide, 4-(acetylamino)-N-(2-aminophenyl)-[CAS]	DE 3613571		Anticancer, other	Cancer, pancreatic
tacrine	9-Acridanine, 1,2,3,4-tetrahydro-[CAS]	EP 332147		Cognition enhancer	Alzheimer's disease
Tacrolimus		U.S. 6,143,746		Male sexual dysfunction	Impotence
tadalafil	Pyrazino(1',2':1,6')pyrido(3,4-b)indole 1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R-trans) [CAS]	104987-11-3 171596-29-5			
tafenoquine	1,4-Pentanediamine, N4-[2,6-dimethoxy-4-methyl-5-[3-(trifluoromethyl)phenoxy]-8-quinolyl]-[CAS]	106635-80-7 106635-81-8 80065-55-0 179067-42-6	U.S. 4,617,394	Antimalarial	Infection, malaria
tafluposide		WO 9612727		Anticancer, other	Cancer, general
TAK-375	(S)-N-[2-(1,6,7,8-Tetrahydro-2H-indeno-[5,4-b]furan-8-yl)]propionamide			Hypnotic/Sedative	Insomnia
TAK-427	2-[6-[[3-[4-(Diophenylmethoxy)-piperidin-1-ylidene]1,2-bispyridazin-2-yl-2-methylpropionic acid dihydrate			Antipruritic/allergic	Eczema, atopic
TAK-559	(E)-4-[4-[5-Methyl-2-phenyl-1,3-oxazol-4-yl)methoxy]benzoyloxymino] 4-phenylbutyric acid			Antidiabetic	Diabetes, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Taka-Diastase talampanel	7H-1,3-Dioxolo[4,5- h][2,3]benzodiazepine, 7-acetyl-5-(4- aminophenyl)-8,9-dihydro-8-methyl-, (8R)- [CAS]	9001-19-8 161832-65-1	U.S. 5,639,751	Antiepileptic	Epilepsy, general
Talampicillin talapofin	N-[(2S,3S)-18-Carboxy-2-(2-carboxy- ethyl)-1,3-ethyl-2,3-dihydro-3,7,12,17- tetramethyl-8-vinyl porphyrin-20-yl]acetyl]- L-aspartic acid	47747-56-8 220201-34-3		Radio/ chemosensitizer	Cancer, lung, general
Talastine Talbutal		16188-61-7 115-44-6			
Talinolol		57460-41-0			
talipexole	4H-Thiazolo[4,5-d]azepin-2-amine, 5,6,7,8- tetrahydro-6-(2-propenyl)-[CAS]	101626-70-4	DE 3503963	Antiparkinsonian	Schizophrenia
talnetant	4-Quinolincarboxamide, 3-hydroxy-2- phenyl-N-[(1S)-1-phenylpropyl]-[CAS]	36085-73-1 174636-32-9	WO 9532948	GI inflammatory/ bowel disorders	Irritable bowel syndrome
talniflumate	3-Pyridinecarboxylic acid, 2-[[3-(trifluoromethyl)phenyl]amino]-, 1,3- dihydro-3-oxo-1-isobenzofuran-1-yl ester [CAS]	66898-62-2	BE 858864	Anti-inflammatory	Inflammation, ocular
talirelin	L-Prolinamide, N-[(hexahydro-1-methyl-2,6- dioxo-4-pyrimidinyl)carbonyl]-L-histidyl-, (S)-[CAS]	103300-74-9	JP 61033197	Neurological	Dyskinesia, general
tamoxifen	Ethanimine, 2-[4-(1,2-diphenyl-1- butenyl)phenoxy]-N,N-dimethyl-, (Z)- [CAS]	10540-29-1	U.S. 4,556,516	Anticancer, hormonal	
tamsulosin	Benzenesulfonamide, 5-[2-[2-(2- ethoxyphenoxy)ethyl]amino]propyl]-2- methoxy-, (R)-[CAS]	106133-20-4 80223-99-0	EP 34432	Prostate disorders	Benign prostatic hyperplasia
tandospirone	4,7-Methano-1H-isindole-1,3(2H)-dione, hexahydro-2-[4-[4-(2-pyrimidinyl)-1- piperazinyl]butyl]-, (3aAlpha,4ß,7ß,7aAlpha)-, 2-hydroxy- 1,2,3-propanetricarboxylate (1:1) [CAS]	112457-95-1 87760-53-0	EP 82402	Anxiolytic	Anxiety, general
Tannoform Taprostene tariquidar	3-Quinolincarboxamide, N-[2-[[[4-[2-(3,4- dihydro-6,7-dimethoxy-2(1H)- isoquinolinyl)ethyl]phenyl]amino]carbonyl]- 4,5-dimethoxyphenyl]-[CAS]	9010-29-1 108945-35-3 206873-63-4	WO 9817648	Radio/ chemosensitizer	Cancer, lung, non-small cell
TAS-103	6-[[2-(Dimethyl-amino)ethyl]amino]-3- hydroxy-7H-indeno[2,1-c]quinolin-7-one dihydrochloride	174634-09-4	WO 9532187	Anticancer, other	Cancer, lung, non-small cell
Tasosartan Taurocholic Acid Taurolidine tazanolast	Acetic acid, oxo[[3-(1H-tetrazol-5- yl)phenyl]amino]-, butyl ester [CAS]	145733-36-4 81-24-3 19388-87-5 82989-25-1	U.S. 4,778,816	Antiasthma	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
tazartene	3-Pyridinecarboxylic acid, 6-[(3,4-dihydro-4,4-dimethyl-2H-1-benzothiopyran-6-yl)ethynyl]-, ethyl ester [CAS]	118292-40-3	EP 284288	Antipsoriasis	Psoriasis
Tazobactam tazobactam + piperacillin TBC-3711 TCH-346	N-Methyl-N-propargyl-10-aminomethyl-dibenzo(b,f)oxepin	89786-04-9	JP 58225091	Antibiotic, other	Infection, general
tebipenem	5-Hexenoic acid, 4-hydroxy-, polymer with 4-ethenyl-1H-imidazole [CAS]	374680-51-0		Cardiovascular	Heart failure
tecadenoson	Adenosine, N-[(3R)-tetrahydro-3-furanyl]-[CAS]	204512-90-3	WO 9808855	Neuroprotective	Anyotrophic lateral sclerosis
tecasteremizole	1H-Benzimidazol-2-amine, 1-((4-fluorophenyl)methyl)-N-4-piperidinyl-[CAS]	82200-24-6	U.S. 4,219,559	Beta-lactam antibiotic	Infection, streptococcal
Technetium ^{99m} Tc Bicisate		75970-99-9		Antiarrhythmic	Tachycardia, supra-ventricular
Technetium ^{99m} Tc Mertiatide		121281-41-2		Antiallergic, non-asthma	Rhinitis, allergic, seasonal
Technetium ^{99m} Tc Sestamibi		125224-05-7; 104348-91-6 109581-73-9			
Technetium ^{99m} Tc Teboroxime		104716-22-5			
Teclothiazide		4267-5-4			
Teclozan		5560-78-1			
tedisamil	Spiro[cyclopentane-1,9'-[3,7]diazabicyclo[3.3.1]nonane], 3',7'-bis(cyclopropylmethyl)-[CAS]	90961-53-8	EP 102833	Antiarrhythmic	Fibrillation, atrial
Teflurane					
tegafur	2,4(1H,3H)-Pyrimidinone, 5-fluoro-1-(tetrahydro-2-furanyl)-[CAS]	124-72-1 17902-23-7	GB 1168391	Anticancer, antimetabolite	Cancer, general
tegafur + uracil	2,4(1H,3H)-Pyrimidinone, 5-fluoro-1-(tetrahydro-2-furanyl)-, mixt. with 2,4(1H,3H)-pyrimidinone-[CAS]	74578-38-4	EP 224885	Anticancer, antimetabolite	Cancer, breast
tegaserod	Hydrazinecarboximidamine, 2-(5-methoxy-1H-indol-3-yl)methylene)-N-pentyl-, (Z)-2-butenedioate [CAS]	189188-57-6 145158-71-0		GI inflammatory/bowel disorders	Irritable bowel syndrome
Teicoplanin		61036-64-4 3424-98-4		Antiviral, other	Infection, hepatitis-B virus
telbivudine	β -L-2'-deoxythymidine				
Telenzepine		80880-90-6			
telithromycin	3-De(2,6-dideoxy-3-C-methyl-3-O-methyl-Alpha-L-ribo-hexopyranosyl)oxy)-11,12-dideoxy-6-O-methyl-3-oxo-12,11-dioxycarbonyl((4-(4-(3-pyridinyl)-1H-imidazol-1-yl)butyl)imino)-[CAS]	191114-48-4	EP 680967	Macrolide antibiotic	Infection, respiratory tract, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
telmestaine	3,4-Thiazolidinedicarboxylic acid, 3-ethyl ester, (R)-[CAS]	122946-43-4		COPD treatment	Bronchitis, chronic
telmisartan	(1,1'-Biphenyl)-2-carboxylic acid, 4'-((1,4-dimethyl-2-propyl(2,6'-bi-1H-benzimidazol)-1'-yl)methyl)-[CAS]	144701-48-4	EP 502314	Antihypertensive, renin system	Hypertension, general
telomerase inhbs			WO 9941261	Anticancer, other	Cancer, general
temazepam	7-chloro-1,3-dihydro-3-hydroxy-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one	846-50-4	U.S. 3,197,467	Hypnotic/Sedative	Insomnia
temiverine	Benzeneacetic acid, Alpha-cyclohexyl-dimethyl-2-butynyl ester, [CAS]	129927-33-9	GB 2222828	Urological	Pollakisuria
temocapril	Alpha-hydroxy-, 4-(diethylamino)-1,1-dimethyl-2-butynyl ester, [CAS]				
	1,4-Thiazepine-4(5H)-acetic acid, 6-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]tetrahydro-5-oxo-2-(2-thienyl)-, [2S-[2Alpha,6R(*)]]-[CAS]	102090-90-4 110221-44-8 111902-57-9	U.S. 4,495,188	Antihypertensive, renin system	Hypertension, general
Temocillin					
temopofun	Phenol, 3,3',3'',3'''-(2,3-dihydro-21H,23H-porphine-5,10,15,20-tetrayl)tetrakis-[CAS]	66148-78-5 122341-38-2	EP 337601	Radio/chemosensitizer	Cancer, head and neck
temozolomide	Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide, 3,4-dihydro-3-methyl-4-oxo-[CAS]	85622-93-1	DE 3231255	Anticancer, alkylating	Cancer, brain, general
tenatoprazole	1H-Imidazo[4,5-b]pyridine, 5-methoxy-2-(((4-methoxy-3,5-dimethyl-2-pyridinyl)methyl)sulfonyl)-[CAS]	113712-98-4	U.S. 4,808,596	Antilulcer	Ulcer, gastric
Tenecteplase					
Tenidap					
teniposide	Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5H)-one, 5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-9-[[4,6-O-(2-thienyl)methyl(ene)-beta-D-glucopyranosyl]oxy]-, [5R-[5Alpha,5aB,8aAlpha,9R(R*)]]-[CAS]	191588-94-0 120210-48-2 29767-20-2	U.S. 3,524,844	Anticancer, other	Cancer, lymphoma, non-Hodgkin's
tenofovir	Phosphonic acid, (((1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy)methyl)-[CAS]	147127-20-6		Antiviral, anti-HIV	Infection, HIV/AIDS
tenofovir disoproxil	2,4,6,8-tetraoxa-5-phosphorane-3,4-dithioic acid, 5-(2-(6-amino-9H-purin-9-yl)-1-methylethoxymethyl) bis(1-methylethyl)ester, 5-oxide (R), (E)-2-butenedioate	202138-50-9		Antiviral, anti-HIV	Infection, HIV/AIDS
Tenonitroazole					
tenoxicam	2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-, 1,1-dioxide [CAS]	3810-35-3 59804-37-4	GB 1519811	Antiarthritic, other	Cancer, lymphoma, non-Hodgkin's
Tenuazonic Acid					
teptrenone	5,9,13,17-Nonadecatetraen-2-one, 6,10,14,18-tetramethyl-[CAS]	610-88-8 3796-63-2 6809-52-5		Antilulcer	
terazosin	Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(tetrahydro-2-furanyl)carbonyl]-[CAS]	63074-08-8 63590-64-7 70024-40-7	U.S. 4,112,097	Antihypertensive, adrenergic	Hypertension, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
terbinafine	1-Naphthalenemethanamine, N-(6,6-dimethyl-2-hepten-4-ynyl)-N-methyl-, (E)-[CAS]	78628-80-5 91191-71-6	EP 24587	Antifungal	Infection, derma- tological
terbutaline	1,3-Benzenediol, 5-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-[CAS]	23031-25-6		Formulation, mucosal, topical	Dysmen- orrhoea
terconazole	Piperazine, 1-[4-[[2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-4-(1-methylethyl)-, cis-[CAS]	67915-31-5	U.S. 4,358,449	Antifungal	Vaginitis
terfenadine	1-Piperidinedibutanol, Alpha-[4-(1,1-dimethylethyl)phenyl]-4-(hydroxydiphenylmethyl)-[CAS]	50679-08-8	U.S. 3,878,217	Antiallergic, non-asthma	
terguride	Urea, N,N-diethyl-N'-[(8Alpha)-6-methylergolin-8-yl]-[CAS]	37686-84-3	EP 159522	Antiprolactin	Hyperpro- lactinaemia
Terlipressin		14636-12-5			
Terodiline		15793-40-5			
Terofenamate		29098-15-5			
Terpin		80-53-5			
tertalolol		33580-30-2			
	2-Propanol, 1-[(3,4-dihydro-2H-1-benzothiopyran-8-yl)oxy]-3-[(1,1-dimethylethyl)amino]-, hydrochloride, (+/-)-[CAS]	83688-84-0 34784-64-0	GB 1308191	Antihypertensive, adrenergic	Hypertension, general
tert-Pentyl Alcohol		75-85-4			
tesaglitazar	(2S)-2-ethoxy-3-[4-[2-[4-[(methylsulfonyl)oxy]phenyl]ethoxy]phenyl]propanoic acid			Antidiabetic	Diabetes, Type II
tesmilifene	Ethanamine, N,N-Diethyl-2-(4-(phenylmethyl)phenoxy)-[CAS]	92981-78-7		Radio/chemosensitizer	Cancer, breast
Testolactone		968-93-4			
Testosterone	androst-4-en-3-one, 17-hydroxy-, (17b)-[CAS]	58-22-0 5949-44-0		Formulation, transdermal, systemic	Hormone replacement therapy
tetrabamate		60763-47-5	DE 2748794	Anxiolytic	Addiction, alcohol
Tetrabarbital		76-23-3			
Tetrabenazine		58-46-8			
Tetracaine		136-47-0			
Tetrachloroethylene		127-18-4			
tetracine		94-24-6			
tetracycline	Benzoic acid, 4-(butylamino)-, 2-(dimethylamino)ethyl ester [CAS]	60-54-8		Formulation, transdermal, systemic	Pain, general
	2-Naphthacene-carboxamide, 4-(dimethylamino)-1,4,4a,5a,6,11,12a-octahydro-3,6,10,11,12a-pentahydroxy-6-methyl-1,1,1-dioxo-, [4S-(4Alpha,4aAlpha,5aAlpha,6b,12aAlpha)]-[CAS]	84-22-0 518-34-3		Formulation, oral, other	Infection, oral
Tetrahydrozoline					
Tetrandrine					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Tetrautoin		52094-70-9			
Tetrazepam		10379-14-3			
Tetrofosmin		127502-06-1			
tetroxoprim	2,4-Pyrimidinediamine, 5-[[3,5-dimethoxy-4-(2-methoxyethoxy)phenyl]methyl]-[CAS]	53808-87-0	U.S. 3,992,379	Trimethoprim and analogues	Infection, general
Tevenel ®		74515-38-1			
tezacitabine		4302-95-8			
tezosentan	Cytidine, 2'-deoxy-2'-(fluoromethylene)-, (2E)-[CAS]	130306-02-4	U.S. 5,616,702	Anticancer, antimetabolite	Cancer, colorectal
	2-Pyridinesulfonamide, N-(6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2-(2-(1H-tetrazol-5-yl)-4-pyridinyl)-4-pyrimidinyl)-5-(1-methylethyl)-[CAS]	180384-57-0		Cardio stimulant	Oedema, general
thalidomide	1H-Isindole-1,3(2H)-dione, 2-(2,6-dioxo-3-piperidinyl)-[CAS]	50-35-1		Dermatological	Infection, dermatological
Thienaldine		86-12-4			
Thenyldiamine		91-79-2			
Theobromine		83-67-0			
Theofibrate		54504-70-0			
theophylline		58-55-9			
	1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-[CAS]	5967-84-0		Formulation, modified-release, other	Asthma
Thiabendazole		148-79-8			
Thiacetazone		104-06-3			
thiacynserine	Carbamic acid, [4-(1-methylethyl)phenyl]-, (3aS,8aS)-3,3a,8a-tetrahydro-3a,8-dimethyl-2H-thieno[2,3-b]indol-5-yl ester [CAS]	145209-51-4		Cognition enhancer	Alzheimer's disease
Thialbarbital		467-36-7			
Thiamine		59-43-8			
Thiamine		154-87-0			
Thiamine		67-16-3			
Thiamiprine		5381-52-2			
Thiamphenicol		15318-45-3			
Thianylal		77-27-0			
Thiazesim		5845-26-1			
Thiazinamium		58-34-4			
Thiazolinobutazone		54749-86-9			
Thiazolsulfone		473-30-3			
Thibenzazoline		6028-35-9			
Thiethylperazine		1420-55-9			
Thimerfonate		5964-24-9			
Thimerosal		54-64-8			
Thiobarbital		77-32-7			
Thiobutabarbital		2095-57-0			
Thiocarbamizine		91-71-4			
Thiocarbazon		120-02-5			
Thiocolchicine		2730-71-4			
Thiocresol		26445-03-4			
Thioctic Acid		62-46-4			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Thioglycerol	L-Thiolyrosinyl-glycyl-glycine	96-27-5		Anticancer, immunological	Cancer, general
Thioguanine		154-42-7			
Thioimrag					
Thiopental		71-73-8			
Thiopropazate		84-06-0			
Thiopropazine		316-81-4		Antiviral, anti-HIV	Infection, HIV/AIDS
Thiordazine		50-52-2			
Thiothixene		5591-45-7			
Thiovir	Thiophosphonoformic acid				
Thiophenamil		82-99-5	U.S. 6,245,750	Anticancer, other	Cancer, colorectal
Thiram		137-26-8			
Thionylamine		63-56-9			
Thiozalinone		655-05-0			
Thromboplastin		9035-58-9			
Thurfyl Nicotinate		70-19-9			
thymectacin					
Thymol		89-83-8			
Thymopentin		69558-55-0			
Thymyl N-		578-20-1			
Isoamylcarbamate		51-26-3	WO 8700171	Antiepileptic	Epilepsy, general
Thyrotropic Acid		51-48-9			
Thyroxine		6964-20-1			
Triadenol		115103-54-3			
tiagabine					
Tiamenidine		31428-61-2			
tianeptine		72797-41-2			
		66981-73-5			
tiapride		51012-32-9			
tiaprofenic acid		33005-95-7			
Tiaramide		32527-55-2	EP 54432	Anticancer, antimetabolite	Cancer, leukaemia, chronic myelogenous
tiazofurin		60084-10-8			
Tibezonium		54663-47-7			
tibolone		5630-53-5			
Ticarcillin		34787-01-4			
ticlopidine		53885-35-1			
		55142-85-3			
Ticrynafen		40180-04-9			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
tienonium	4-(3-hydroxy-3-phenyl-3-thien-2-yl-propyl)- 4-methylmorpholinium	6252-92-2 144-12-7		Antispasmodic	
tigecycline	2-Naphthacene-carboxamide, 4,7- bis(dimethylamino)-9-[[[(1,1- dimethylethyl)amino]acetyl]amino]- 1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)-[CAS]	220620-09-7	EP 582829	Tetracycline	Infection, general
Tigemonam		102507-71-1			
Tigloidine		495-83-0			
Tilidine		20380-58-9			
Tilisolol		85136-71-6			
tilmacoxilb		180200-68-4	WO 9619463	Alimentary/ Metabolic, other	Polyp
tiludronic acid	Benzenesulfonamide, 4-(4-cyclohexyl-2- methyl-5-oxazolyl)-2-fluoro-[CAS]	89987-06-4	EP 100718	Osteoporosis treatment	Paget's disease
Timentin	Phosphonic acid, [[(4- chlorophenyl)thio]methylene]bis-[CAS]	86482-18-0		Antibiotic, other	Infection, general
timepidium	Piperidinium, 3-(di-2-thienylmethylene)-5- methoxy-1,1-dimethyl-, [CAS]	35035-05-3	GB 1358446	Antispasmodic	
Timiperone		57648-21-2			
timolol	(-)-1-(t-butylamino)-3-[(4-morpholino-1,2,5- thiadiazol-3-yl)oxy]-2-propanolmaleate (1:1) salt	26839-75-8 26921-17-5	GB 1253709	Antihypertensive, adrenergic, antiglaucoma	
Timonacic		444-27-9			
Tin Ethyl Etiopurpurin		113471-15-1			
tinazoline	1H-Indole, 3-[[[4,5-dihydro-1H-imidazol-2- yl]thio]-[CAS]	62882-99-9	U.S. 3,376,311	Vasodilator, peripheral	
Tinidazole		19387-91-8			
Tinoridine		24237-54-5			
Tiocarlide		910-86-1			
Tioclomanol		22619-35-8			
tioconazole	1H-Imidazole, 1-[2-[(2-chloro-3- thienyl)methoxy]-2-(2,4- dichlorophenyl)ethyl]-[CAS]	61675-64-7 65899-73-2	U.S. 4,062,966	Antifungal	Infection, fungal, general
tiopronin	Glycine, N-(2-mercapto-1-oxopropyl)- [CAS]	1953-02-2	U.S. 3,246,025	Urological	Homo- cystinuria
tiotropium	3-Oxa-9-azoniatriacyclo(3.3.1.02,4)nonane, 7-((hydroxydi-2-thienylacetyl)oxy)-9- dimethyl-, [CAS]	136310-93-5	EP 418716	COPD treatment	Chronic obstructive pulmonary disease
Toxolone		4991-65-5			
Tipepidine		5169-78-8			
tipifarnib	2(1H)-Quinolone, 6-(amino(4- chlorophenyl)(1-methyl-1H-imidazol-5- yl)methyl)-4-(3-chlorophenyl)-1-methyl [CAS]	192185-68-5 192185-72-1	WO 9716443	Anticancer, other	Cancer, breast

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
tipranavir	N-[3-[(1R)-[4-Hydroxy-2-oxo-6(R)-(2-phenylethyl)-6-propyl-5,6-dihydro-2H-pyran-3-yl]propyl]phenyl]-5-(trifluoromethyl)pyridine-2-sulfonamide	174484-41-4		Antiviral, anti-HIV	Infection, HIV/AIDS
tiquizium	2H-Quinolizinium, 3-(di-2-thienylmethyl)eneoctahydro-5-methyl-, [CAS]	71731-58-3	U.S. 4,205,074	Antispasmodic	
tirapazamine	1,2,4-Benzotriazin-3-amine, 1,4-dioxide-, [CAS]	20028-80-2 27314-97-2 5424-06-6	DE 2204574	Radio/chemosensitizer	Cancer, lung, non-small cell
Tiratricol tirilazad	Pregna-1,4,9(11)-triene-3,20-dione, 21-[(4-(2,6-di-1-pyrrolidinyl)-4-pyrimidinyl)-1-piperazinyl]-16-methyl-, (16 α), [CAS]	110101-65-0 110101-67-2	WO 8701706	Neuroprotective	Haemorrhage, subarachnoid
tirofiban	L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-piperidinyl)butyl]-, [CAS]	110101-66-1 142373-60-2	EP 478363	Antithrombotic	Infarction, myocardial
tiropramide	Benzenepropionamide, α -[phenylamino]-4-[2-(diethylamino)ethoxy]-N,N-dipropyl-, (+/-)-[CAS]	144494-65-5 55837-29-1	DE 2503992	Antispasmodic	Muscle spasm, general
Titanium Sulfate tixocortol	Pregn-4-ene-3,20-dione, 21-[(2,2-dimethyl-1-oxopropyl)thio]-11,17-dihydroxy-, (11 β)-[CAS]	13825-74-6 55560-96-8 61951-99-3	GB 1475795	Anti-allergic, non-asthma, mucosal, topical	Rhinitis, allergic, general
tizanidine	2,1,3-Benzothiadiazol-4-amine, 5-chloro-N-(4,5-dihydro-1H-imidazol-2-yl)-[CAS]	51322-75-9	GB 1429926	Muscle relaxant	Spastic paralysis
TLK-199	Glycine, L-Gamma-glutamyl-S-(phenylmethyl)-L-cysteinyl-2-phenyl-, diethyl ester, (2R)-[CAS]	168682-53-9	U.S. 5,679,643	Immunostimulant, other	Myelo-dysplastic syndrome
TLK-286	Glycine, L-Gamma-glutamyl-3-[[2-(bis[bis(2-chloroethyl)amino]phosphoryl)oxyethyl]-sulfonyl]-L-alanyl-2-phenyl-, (2R)-[CAS]	158382-37-7	U.S. 5,545,621	Anticancer, other	Cancer, ovarian
TNF- β analogue			RU 2035185	Anticancer, immunological	Cancer, general
TNP-470 TO-186	Pregna-1,4-diene-3,20-dione, 9-fluoro-11 β ,17,21-trihydroxy-16 β ,beta.-methyl-, 17-butyrate 21-propionate [CAS]	129298-91-5 5534-02-1		Antipruritic/inflamm, allergic	
tobramycin	O-3-amino-3-deoxy- α -D-glucopyranosyl-(1,6)-O-(2,6-diamino-2,3,6-trideoxy- α -D-ribo-hexopyranosyl-(1-4)-2-deoxy-[CAS]	32986-56-4		Formulation, inhalable, topical	Infection, respiratory tract, general
tocainide	Propanamide, 2-amino-N-(2,6-dimethylphenyl)-[CAS]	41708-72-9	U.S. 4,218,477	Antiarrhythmic	Fibrillation, ventricular
Tocamphyl toeladessine	8-Chloroadenosine 3'5'-cyclic phosphate	5634-42-4 41941-56-4		Anticancer, other	Cancer, colorectal
Tocoretinate		40516-48-1			
Todalazine		14679-73-3			

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Tofenacin tofnilast	5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine-9-cyclopentyl-7-ethyl-6,9-dihydro-3-(2-thienyl)-	15301-93-6 185954-27-2		Antiasthma	Asthma
tofisopam	5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl-[CAS]	22345-47-7	GB 1334271	Anxiolytic	Anxiety, general
Tolazamid		1156-19-0			
Tolazolin		59-98-3			
Tolbutamide		64-77-7			
tolcapone		134308-13-7	EP 237929	Antiparkinsonian	Parkinson's disease
tolciclate	Methanone, (3,4-dihydroxy-5-nitrophenyl)(4-methylphenyl)-[CAS] Carbamothioic acid, methyl(3-methylphenyl)-, O-(1,2,3,4-tetrahydro-1,4-methanonaphthalen-6-yl) ester [CAS]	50838-36-3	GB 1364407	Antifungal	Infection, derma- tological
Tolcyclamide		664-95-9			
tolevamer	Benzenesulfonic acid, 4-ethenyl-, homopolymer	28038-50-8		Antibacterial, other	Infection, Clostridium, general
tolfenamic acid		13710-19-5	DE 1543295	Anti-inflammatory	Inflammation, general
Tolindate	Benzoic acid, 2[(3-chloro-2-methylphenyl)amino]-[CAS]	27877-51-6			
Toliprolol		2933-94-0			
Tolimetin		26171-23-3			
Tolnaftate		2398-96-1			
Tolonidine		4201-22-3			
Tolonium		92-31-9			
toloxatone	2-Oxazolidinone, 5-(hydroxymethyl)-3-(3-methylphenyl)-[CAS]	29218-27-7	GB 1250538	Antidepressant	
Tolperisone		728-88-1			
Tolpropanamine		5632-44-0			
Tolrestat		82964-04-3			
tolserine	Carbamic acid, (2-methylphenyl)-, (3aS,8aR)-1,2,3,3a,8a-hexahydro-1,3a,8-trimethylpyrrolo[2,3-b]indol-5-yl ester [CAS]	145209-30-9		Cognition enhancer	Alzheimer's disease
tolterodine	Phenol, 2-(3-(bis(1-methylethylamino)-1-phenylpropyl)-4-methyl-, (R)-[CAS]	124937-51-5	EP 325571	Urological	Incontinence
tolvaptan	Benзамide, N-[4-[(7-chloro-2,3,4,5-tetrahydro-5-hydroxy-1H-1-benzazepin-1-yl)carbonyl]-3-methylphenyl]-2-methyl-[CAS]	150683-30-0	EP 450097	Cardiovascular	Heart failure
Tolycaine		3686-58-6			
Topiramate	Beta-D-Fructopyranose, 2,3,4,5-bis-O-(1-methylethylidene)-, sulfamate [CAS]	97240-79-4	EP 533483	Antiepileptic	Epilepsy, generalized, tonic-clonic
topoisomerase inhibitors			U.S. 5,733,880	Anticancer, other	Cancer, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
topotecan	1H-Pyranol[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 9-[(dimethylamino)methyl]-4-ethyl-4,10-dihydroxy-, (S)-[CAS]	123948-87-8	EP 231122	Anticancer, other	Cancer, ovarian
torasemide	3-Pyridinesulfonamide, N-[[[1-(methylphenyl)amino]carbonyl]-4-[(3-methylphenyl)amino]-(CAS)]	56211-40-6	U.S. 4,018,929	Antihypertensive, diuretic	Hypertension, general
torcetapib	ethyl (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl]([methoxycarbonyl]amino)-2-ethyl-6-(trifluoromethyl)-3,4-dihydroquinoline-1(2H)-carboxylate	262352-17-0		Hypolipemic/Antiatherosclerosis	Athero-sclerosis
torcitabine	β -L-2'Deoxyuridine			Antiviral, other	Infection, hepatitis-B virus
toremifene	Erhanamine, 2-[4-(4-chloro-1,2-diphenyl-1-butenyl)phenoxy]-N,N-dimethyl-, (Z)-[CAS]	89778-26-7 89778-27-8 56211-40-6	EP 95875	Anticancer, hormonal	Cancer, breast
Tosemide Tositumomab tosulfloxacin	1,8-Naphthyridine-3-carboxylic acid, 7-(3-amino-1-pyrolidinyl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-, [CAS]	208921-02-2 100490-36-6 115964-29-9	U.S. 4,704,459	Quinolone antibacterial	Infection, urinary tract
tramadol	Cyclohexanol, 2-[(dimethylamino)methyl]-1-(3-methoxyphenyl)-, cis-(+)-[CAS]	27203-92-5 36282-47-0 1082-57-1		Analgesic, other	Pain, general
Tramazoline trandolapril	1H-Indole-2-carboxylic acid, 1-[2-[(1-carboxy-3-phenylpropyl)amino]-1-oxopropyl]octahydro-, [2S-oxopropyl]octahydro-, [2S-11[R*(R*)]2Alpha,3aAlpha,7aβ]]-[CAS]	87679-71-8 87679-37-6 52-53-9	DE 3151690	Antihypertensive, renin system	Hypertension, general
tranexamic acid	Cyclohexanecarboxylic acid, 4-(aminomethyl)-, trans-[CAS]	1197-18-8	U.S. 3,950,405	Antifibrinolytic	Menstrual disorder, general
tranilast	Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-[CAS]	53902-12-8	U.S. 3,940,422	Vulnery	Wound healing
trans-retinoic acid	Retinoic acid [CAS]	302-79-4		Anticancer, other	Cancer, general
Tranylcypromine trapidil	[1,2,4]Triazole[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl-[CAS]	155-09-9 15421-84-8	DD 55956	Vasodilator, coronary	Menstrual disorder, general
Trastuzumab travoprost	5-Heptenoic acid, 7-(3,5-dihydroxy-2-(3-hydroxy-4-(3-(trifluoromethyl)phenoxy)-1-butenyl)cyclopentyl)-, 1-methylethylester (1R,1Alpha(Z),2β(1E,3R*),3Alpha,5Alpha)[CAS]	180288-69-1 157283-68-6		Formulation, mucosal, topical	Glaucoma
Traxanox traxoprodil	1-Piperidineethanol, 4-hydroxy-Alpha-(4-hydroxyphenyl)-β-methyl-4-phenyl-, (AlphaS,βS)-[CAS]	58712-69-9 134234-12-1 188591-67-5		Analgesic, other	Pain, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
trazodone	1,2,4-Triazolo[4,3-a]pyridin-3-(2H)-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-[CAS]	19794-93-5 25332-39-2	U.S. 4,215,104	Antidepressant	
Trenacamra		155576-45-7			
Trenbolone		10161-33-8			
Trengestone		5192-84-7			
treosulfan		299-75-2	WO	Anticancer, alkylating	
trepibutone	1,2,3,4-Butanetetrol, 1,4-dimethanesulfonate, [S-(R*,R*)]-[CAS]	41826-92-0	GB	Antispasmodic	
treprostinol	Benzenebutanoic acid, 2,4,5-triethoxy-gamma-oxo-[CAS]	35121-78-9 61849-14-7	U.S. 6,054,486	Formulation, parenteral, other	Hypertension, pulmonary
tretinoin	Prosta-5,13-dien-1-oi acid, 6,9-epoxy-11,15-dihydroxy-, [5Z,9Alpha,11Alpha,13E,15S]-[CAS]	302-79-4		Formulation, dermal, topical	Acne
tretroquinol	Retinoic acid [CAS]			Antiasthma	
TRH	6,7-Isoquinolinediol, 1,2,3,4-tetrahydro-1-[3,4,5-trimethoxyphenyl]methyl]-, (S)-[CAS]	18559-59-8 30418-38-3 21650-42-0 24305-27-9	ZA		
TRI-50b	TRI 50b [CAS]	226214-49-9		Antithrombotic	Thrombosis, general
Triacetin		102-76-1			
Triamcinolone		76-25-5			
Acetonide					
Triamcinolone		31002-79-6			
Benetonide		5611-51-8			
Triamcinolone					
Hexacetoneide					
triamcinolone					
Triamterene					
triapine	Pregna-1,4-diene-3,20-dione, 9-fluoro-11,21-dihydroxy-16,17-[(1-methyl-ethylidene)bis(oxo)]-, (11β,16Alpha)-[CAS]	76-25-5 124-94-7		Formulation, inhalable, topical	Asthma
	Triapine [CAS]	396-01-0 236392-56-5	U.S. 6,458,816	Anticancer antimetabolite	Cancer, leukaemia, general
Triaziquone					
triazolan	8-chloro-6-(2-chlorophenyl)-1-methyl-4H-[1,2,4]-triazolo[4,3-a][1,4]benzodiazepine	68-76-8 28911-01-5	U.S. 3,980,790	Hypnotic/ Sedative	Insomnia
Tribenoside		10310-32-4			
Trichlorfon		52-68-6			
Trichloromethiazide		133-67-5			
Trichloromethine		555-77-1			
Trichloromethylene		79-01-6			
Triclobisomium		79-90-3			
Triclocarban		101-20-2			
Triclofenol		5714-82-9			
Piperazine		306-52-5			
Triclofos		3380-34-5			
Triclosan		85-90-5			
Tricromyl					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Tridihexethyl Iodide trentine	1,2-Ethanediamine, N,N2-bis(2-aminoethyl)-, [CAS]	125-99-5 38260-01-4 112-24-3 102-71-6 51-18-3 545-55-1		Metabolic and enzyme disorders	Wilson's disease
Triethanolamine		52-24-4			
Triethylenemelamine		117-89-5			
Triethylenephos- phoramide		749-13-3			
Triethylenethiophosphoramide		146-54-3			
Trifluoperazine		70-00-8	U.S. 3,201,387	Antiviral, other	Infection, herpes virus, general Thrombosis, general
Trifluoridine	Thymidine, Alpha, Alpha, Alpha-trifluoro- [CAS]				
triflusal	Benzoic acid, 2-(acetoxy)-4- (trifluoromethyl)-[CAS]	322-79-2	U.S. 4,096,252	Antithrombotic	
Trihexyphenidyl triolstane	Androst-2-ene-2-carbonitrile, 4,5-epoxy- 3,17-dihydroxy-, (4Alpha,5Alpha,17beta)- [CAS]	52-49-3 13647-35-3	U.S. 3,296,255	Anticancer, hormonal	Cancer, breast
Trimazosin timebutine	Benzoic acid, 3,4,5-trimethoxy-, 2- (dimethylamino)-2-phenylbutyl ester, (Z)-2- butenedioate (1:1) [CAS]	35795-16-5 34140-59-5 39133-31-8	DE 2151716	Antispasmodic	
Trimecaine		616-68-2			
Trimeprazine		84-96-8			
Trimetazidine		5011-34-7			
Trimethadione		127-48-0			
Trimethaphan		68-91-7			
Trimethobenzamide		138-56-7			
Trimethoprim		738-70-5			
Trimetozine		635-41-6			
trimetrexate	2,4-Quinazolinediamine, 5-methyl-6- [[[3,4,5-trimethoxyphenyl]amino]methyl]- [CAS]	52128-35-5 82952-64-5	U.S. 4,391,809	Antifungal	Infection, <i>Pneumocystis</i> <i>jiroveci</i>
trimipramine	5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,N,beta-trimethyl-, (Z)-2- butenedioate (1:1) [CAS]	521-78-8 739-71-9		Antidepressant	
Trinoprostil		69900-72-7			
Trioxsalen tripamide	Benzamide, 3-(aminosulfonyl)-4-chloro-N- (octahydro-4,7-methano-2H-isoindol-2-yl)-, (3aAlpha,4Alpha,7Alpha)-[CAS]	3902-71-4 73803-48-2	JP 7305585	Antihypertensive, diuretic	Hypertension, general
Triparanol		78-41-1			
Tripeleminamine		91-81-6			
Triprolidine		486-12-4			
triptorelin	Luteinizing hormone-releasing factor (pig), 6-D-tryptophan-[CAS]	124508-66-3 57773-63-4	U.S. 4,010,125	Releasing hormones	Cancer, prostate

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
trifluorine	Morpholine, 4-[thioxo(3,4,5-trimethoxyphenyl)methyl]-[CAS]	35619-65-9	U.S. 3,862,138	Antiulcer	
Trisqualine TRK-530	Phosphonic acid, [[4-(methylthio)phenyl]thio]methylene]bis-, disodium salt [CAS]	14504-73-5 151425-92-2	WO 9410181	Anti-arthritis, other	Arthritis, rheumatoid
TRK-820	2-Propenamide, N-[(5 α Pha,6 β)-17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxymorphinan-6-yl]-3-(3-furanyl)-N-methyl-, monohydrochloride, (2E)-[CAS]	152658-17-8	WO 9315081	Antipruritic/inflam., non-allergic	Pruritus
Troclorone trofoslamide	3-2-(chloroethyl)-2-[bis(2-chloroethyl)amino]tetrahydro-2H-1,3,2-oxazaphosphorin 2-oxide	2244-21-5 22089-22-1	GB 1188159	Anticancer, alkylating	
Troglitazone Troleanomycin Trolnitrate tromantadine	N-(1-adamantyl)-2-(2-dimethylaminoethoxy)acetamide	97322-87-7 2751-9-9 588-42-1 53783-83-8	DE 1941218	Antiviral, other	Infection, herpes simplex virus
Tromethamine Tropacine Tropesin Tropicamide tropine	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 2-carboxy-2-phenylethyl ester, (+/-)-[CAS]	77-86-1 6878-98-4 65189-78-8 1508-75-4 65189-78-8		Anti-arthritis, other	
tropisetron	1H-Indole-3-carboxylic acid, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo-[CAS]	89565-68-4	GB 2125398	Antiemetic	Chemotherapy-induced nausea and vomiting
Trospectomycin trospium	3 α Pha-Hydroxyispiro[1 α PhaH,5 α PhaH-norpropane-8,1'-pyrrolidinum] benzilate	88669-04-9 10405-02-4		Urological	Pollakisuria
trovafloxacin	1,8-Naphthyridine-3-carboxylic acid, 7-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-, (1 α Pha,5 α Pha,6 α Pha)-, [CAS]	147059-72-1 147059-75-4	U.S. 5,164,402	Quinolone antibacterial	Infection, respiratory tract, general
troxacitabine	2(1H)-Pyrimidinone, 4-amino-1-(2-(hydroxymethyl)-1,3-dioxolan-4-yl)-, (2S-cis)-[CAS]	145918-75-8		Anticancer, other	Cancer, leukaemia, acute myelogenous
Troxenitin troxipide	Benzamide, 3,4,5-trimethoxy-N-3-piperidinyl-, (+/-)-[CAS]	7085-55-4 30751-05-4 99777-81-8 574-64-1 554-72-3 73-22-3 9002-71-5	U.S. 3,647,805	Antiulcer	Ulcer, gastric
Trypan Red Trypsamide Tryptophan TSH					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
TSN-09	6,14-Ethenomorphinan-7-methanol, 17-(cyclopropylmethyl)-Alpha-(1,1-dimethyl)ethyl-, 5-epoxy-18,19-dihydro-3-hydroxy-6-methoxy-Alpha-methyl-, [5Alpha, 7Alpha, (S)]-[CAS]	52485-79-7		Formulation, transdermal, patch	Pain, cancer
TU-2100	Nonanedioic acid, bis[(2-(ethoxycarbonyl)phenyl)] ester	123-82-0 69-33-0 57-94-3 41570-61-0	U.S. 6,180,669	Antiacne	Acne
Tuaminoheptane Tubercidin					
Tubocurarine Chloride tulobuterol					
TV-3326	Benzenemethanol, 2-chloro-Alpha-[(1,1-dimethyl)ethyl]amino[methyl]-[CAS]		DE 2244737	Antiasthma	Asthma
TY-11223	N-(Propargyl-(3R)aminoindan-5-yl)-ethyl methyl carbamate			Cognition enhancer	Alzheimer's disease
	Acetic acid, [2-[2,3,3a,6,7,7a-hexahydro-2-hydroxy-1-(3-hydroxy-4,4-dimethyl-1,6-nonadienyl)-1H-inden-5-yl]ethoxy]-, [1S-[1Alpha(R*),2ß,3aAlpha,7aAlpha]]-[CAS]	140694-43-5	U.S. 4,837,342	Antithrombotic	Unspecified
TY-12533	6,7,8,9-Tetrahydro-2-methyl-5H-cyclohepta[b]pyridine-3-carbonylguanidine maleate				
	D-Glucitol, 1,4,3,6-dianhydro-, dinitrate [CAS]	87-33-2	U.S. 6,258,829	Antiarrhythmic	Unspecified
TYB-3215					
Tybamate tyloxapol		4268-36-4 25301-02-4		Formulation, modified-release, other	Angina, general
	4-(1,1,3,3-Tetramethylbutyl)phenol polymer with formaldehyde and oxirane [CAS]			Formulation, inhalable, topical	Cystic fibrosis
Tymazoline Tyramine Tyropanoate Ubenimex ufenamate		24243-97-8 51-67-2 7246-21-1 58970-76-6 67330-25-0			
	Benzoic acid, 2-[(3-(trifluoromethyl)phenyl)amino]-, butyl ester [CAS]		BE 861852	Antipruritic/inflam., non-allergic	
Undecylenic Acid Unoprostone UR-8880		112-38-9 12037-36-6			
	4-[4-Chloro-5-(3-fluoro-4-methoxyphenyl)imidazol-1-imidazol-1-yl]benzenesulfonamide-[CAS]			Anti-inflammatory	Inflammation, general
Uracil Mustard Uralyt-U		66-75-1 55049-48-4	U.S. 4,400,535	Urological	
	1,2,3-Propanetricarboxylic acid, 2-hydroxy-, potassium sodium salt (5:6:6), hydrate [CAS]				
urapidil	2,4(1H,3H)-Pyrimidin-6-one, 6-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]amino]-1,3-dimethyl-[CAS]	34661-75-1	GB 1309324	Antihypertensive, adrenergic	Hypertension, general
urea Uredepa	Urea [CAS]	57-13-6 302-49-8		Antipsoriasis	

TABLE IV-continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Urethan		51-79-6			
Uridine 5'- Triphosphate		63-39-8			
Urinastatin		80449-31-6			
ursodeoxycholic acid	3 α ,7 β -dihydroxy-5 β -cholan-24-oic acid [CAS]	128-13-2		Formulation, other, Cirrhosis, primary biliary, hepatic dysfunction, biliary calculus	Cirrhosis, primary biliary
Ursodiol		128-13-2	U.S. 6,063,773	Formulation, mucosal, topical	Contraceptive, female
Usherecl		20231-81-6			
Uzarin		124832-26-4	EP 308065	Antiviral, other	Infection, herpes simplex virus
valaciclovir	L-Valine, 2-[(2-amino-1,6-dihydro-6-oxo- 9H-purin-9-yl)methoxy]ethyl ester [CAS]				
Valacyclovir		124832-26-4			
valdecobix	Benzenesulfonamide, 4-(5-methyl-3- phenyl-4-isoxazolyl)-[CAS]	181695-72-7	U.S. 5,859,257	Antiartihritic, other	Arthritis, rheumatoid
Valdetamide		512-48-1			
Valethanate		90-22-2			
valganciclovir	L-Valine, 2-(2-amino-1,6-dihydro-6-oxo- 9H-purin-9-yl)methoxy)-3-hydroxypropyl ester [CAS]	175865-59-5 175865-60-8	EP 694547	Antiviral, other	Infection, cytomegalo- virus
Valnoctamide		4171-13-5		Antiviral, other	Infection, herpes simplex virus
valonaciclovir	L-Valine (3R)-3-((2-amino-1,6-dihydro-6- oxo-9H-purin-9-yl)methyl)-4-((1- oxooctadecyl)oxy)butyl ester [CAS]	195156-77-5			Epilepsy, generalized, tonic-clonic
valproate	Pentanoic acid, 2-propyl-, [CAS]	76584-70-8 1069-66-5	U.S. 4,988,731	Antiepileptic	
Valproic Acid		99-66-1			
Valpromide		2430-27-5			
valrocenide	Pentanamide, N-(2-amino-2-oxoethyl)-2- propyl-[CAS]	92262-58-3	U.S. 5,585,358	Antiepileptic	Epilepsy, general
valrubicin	Pentanoic acid, 2-(1,2,3,4,6,11-hexahydro- 2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4- (2,3,6-trideoxy-3-(trifluoroacetyl)amino)- Alpha-L-lyxo-hexopyranosyl)oxy)-2- naphthaceny)-2-oxoethyl ester (2S-cis)- [CAS]	56124-62-0	U.S. 4,035,566	Anticancer, antibiotic	Cancer, bladder
valsartan	L-Valine, N-(1-oxopentyl)-N'-[[2'-(1H- tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- [CAS]	137862-53-4	EP 443983	Antihypertensive, renin system	Hypertension, general
Valspodar		121584-18-7			
vardenafil	Piperazine, 1-(3-(1,4-dihydro-5-methyl(-4- oxo-7-propylimidazo(5,1-f)(1,2,4)-triazin-2- yl)-4-ethoxyphenyl)sulfonyl)-4-ethyl-[CAS]	224785-90-4		Male sexual dysfunction	Sexual dysfunction, male, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
varepsilon	Acetic acid, ((3-(aminooxocetyl)-2-ethyl-1-phenyl)methyl)-1H-indol-4-yloxy-[CAS]	172732-68-2 172733-42-5	EP 675110	Septic shock treatment	Sepsis
Varicella Virus					
Vaccine					
vinandipine	3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[4-[4-(diphenylmethyl)-1-piperazinyl]phenyl]ethyl methyl ester, [CAS]	116308-55-5 133743-71-2	EP 257616	Neuroprotective	Hypertension, general
VEA					
vecuronium	Piperidinium, 1-[(2,3,3Alpha,5Alpha,16beta,17beta)-3,17-bis(acetyloxy)-2-(1-piperidinyl)androstan-16-yl]-1-methyl-, [CAS]	50700-72-6	U.S. 6,007,817 U.S. 4,237,126	Radio/chemosensitizer Muscle relaxant	Cancer, general Anesthesia, adjunct
Velnacrine	Cyclohexanol, 1-[2-(dimethylamino)-1-(4-methoxyphenyl)ethyl]-, [CAS]	104675-29-8 93413-69-5 99300-78-4	GB 2227743	Antidepressant	Depression, general
venlafaxine		66644-81-3			
Veralipride	Benzeneacetoneitrile, Alpha-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-[CAS]	52-53-9		Formulation, modified-release, other	Hypertension, general
verapamil	3,4-dimethoxy-Alpha-(1-methyl)ethyl)-[CAS]				
verteporfin	23H,25H-Benzob[b]porphine-9,13-dipropionic acid, 18-ethenyl-4,4a-dihydro-3,4-bis(methoxycarbonyl)-4a,8,14,19-tetramethyl-, monomethyl ester, trans-[CAS]	129497-78-5	U.S. 5,238,940	Ophthalmological	Macular degeneration
vesnarinone	Piperazine, 1-(3,4-dimethoxybenzoyl)-4-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-[CAS]	81840-15-5	GB 2086896	Cardio stimulant	Heart failure
Verabutine					
VF-233	Benzene carboximidamide, N,3,4,5-tetrahydroxy-[CAS]	3735-45-3 95933-74-7	U.S. 4,623,659	Cardiovascular	Reperfusion, injury
VI-0134					
vidarabine	9H-Purin-6-amine, 9-beta-D-arabinofuranosyl-[CAS]	24356-66-9 5536-17-4	U.S. 6,403,597 GB 1159290	Male sexual dysfunction Antiviral, other	Premature ejaculation Infection, herpes
vigabatrin	5-Hexenoic acid, 4-amino-[CAS]	68506-86-5 60643-86-9	GB 1472525	Antiepileptic	virus, general Epilepsy, partial
vilazodone	2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-[CAS]	163521-12-8	EP 648767	Antidepressant	(focal, local) Depression, general
Viloxazine					
Viminol		46817-91-8 21363-18-8			
Vinbarbital		125-44-0			
Vinblastine		865-21-4			
vinburnine	Eburnamenin-14(15H)-one, (3Alpha,16Alpha)-[CAS]	474-00-0 4880-88-0	DE 1932245	Cognition enhancer	

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Vincamine		1617-90-9			
Vincamate		70704-03-9			
vincristine	Vincalutoblastine, 22-oxo-, sulfate (1:1) (salt)[CAS]	2068-78-2	EP	207831	Formulation, parenteral, other
vindesine	Vincalutoblastine, 3-(aminocarbonyl)-O4- deacetyl-3-de(methoxycarbonyl)-[CAS]	57-22-7 53643-48-4 59917-39-4	GB	1463575	Anticancer, other
vinflunine	Aspidospermidine-3-carboxylic acid, 4- (acetoxy)-6,7-didehydro-15- [(2R,4R,6S,8S)-4-(1,1-difluoroethyl)- 1,3,4,5,6,7,8,9-octahydro-8- (methoxycarbonyl)-2,6-methano-2H- azecino[4,3-b]indol-8-yl]-3-hydroxy-16- methoxy-1-methyl-, methyl ester, (2S,3R,4R,5A,12B,19A)phthalate-[CAS] C-1-Norvincalutoblastine, 3',4'-didehydro- 4'-deoxy-[CAS]	162652-95-1	FR	2707988	Anticancer, other
vinorelbine		71486-22-1	EP	10458	Anticancer, other
vinpocetine	Eburnamine-14-carboxylic acid, ethyl ester, (3A)phthalate-[CAS]	42971-09-5	GB	1405127	Cognition enhancer
Vinyl Ether		109-93-3			
Vinylbital		2430-49-1			
Viquidil		84-55-9			
Viridin		3306-52-3			
Vismadine		477-32-7			
Vitamin A		68-26-8			
vitamin B12	Vitamin B12 [CAS]	68-19-9			
vitamin C	L-Ascorbic acid [CAS]	50-81-7		Formulation, transmucosal, nasal Formulation, modified- release, <=24 hr	Anaemia, general Nutrition
Vitamin D ₂		50-14-6			
Vitamin D ₃		67-97-0			
Vitamin K ₅		83-70-5			
Vitamins, Prenatal					
VLA-4 antagonists					
VNP-4010M	((R,S)-4-(4-(Amino-imino-methyl)-phenyl)- 3-((4-biphenyl)-methyl)-4-methyl-2,5- dioximidazolidin-1-yl)-acetyl-L-N-methyl- aspartyl-L-phenylglycine 1,2-Bis(methylsulfonyl)-1-(2-chloroethyl)-2- (methylamino)carbonylhydrazine		EP	842943	Asthma
vogliboese	D-epi-Inositol, 3,4-dideoxy-4-[[2-hydroxy-1- (hydroxymethyl)ethyl]amino]-2-C- (hydroxymethyl)-[CAS]	83480-29-9	EP	56194	Anticancer, alkylating Antidiabetic
voriconazole	4-Pyrimidinethanol, Alpha-(2,4- difluorophenyl)-5-fluoro-β-methyl-Alpha- (1H-1,2,4-triazol-1-ylmethyl)-, (R-(R*,S*))- [CAS]	137234-62-9	EP	440372	Antifungal

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Vorazole VUF-K-8788	7-[3-[4-(2-Quinolinylmethyl)-1-piperazinyl]propoxy]-3,4-dihydro-2H-1,4-benzothiazine-3-one	129731-10-8		Antiasthma	Asthma
Warfarin WF-10	Tetrachlorodecaoxide [CAS]	81-81-2 92047-76-2		Radio/chemoprotective	Chemotherapy-induced injury, bone marrow, general Cancer, colorectal
WMC-79	2-(3-[4-(3-(6-oxo-6H-2, 10b-diazaceanthrylen-5-ylamino)propyl)-piperazin-1-yl]propyl)-5-nitro-2-azaphenalene-1,3-dione		U.S. 5,897,880	Anticancer, other	Ulcer, diabetic
wound healing matrix					
WP-170 xaliprodol	Pyridine, 1,2,3,6-tetrahydro-1-[2-(2-naphthalenyl)ethyl]-4-[3-(trifluoromethyl)phenyl]-, [CAS]	90494-79-4 135354-020-8	U.S. 6,531,121	Formulation, transdermal, patch Cytokine	Unspecified
xamoterol	4-Morpholinecarboxamide, N-[2-[[2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]ethyl]-, (+)- [CAS]	73210-73-8 81801-12-9	EP 101381	Neuroprotective	Atrophic lateral sclerosis Heart failure
Xanomeline		131986-45-3			
Xanthinol Niacinate		437-74-1			
Xenilofiban		149820-74-6			
Xenbucin		959-10-4			
Xibenolol		81584-06-7			
xibornol		13741-18-9	GB 1206774	Antibacterial, other	Infection, general
ximeLAGARAN	Phenol, 4,5-dimethyl-2-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)-, exo- [CAS]	192939-46-1		Antithrombotic	Thrombosis, venous
Xinoprofen	Glycine, N-(R)-cyclohexyl-2-((4S)-2-((4-(hydroxyamino)iminomethyl)phenyl)methyl)-amino)carbonyl)-1-azetidinyl)-2-oxoethyl ester [CAS]	56187-89-4 14293-44-8	U.S. 3,567,777	Antihypertensive, diuretic	
xipamide	Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2,6-dimethylphenyl)-2-hydroxy-[CAS]	77287-89-9		Analgesic, other	Pain, cancer
xorphanol	Morphinan-3-ol, 17-(cyclobutylmethyl)-8-methyl-6-methylene-, (8R)-[CAS]	174766-49-5	WO 9532190	Anticancer, other	Cancer, general
XR-5118	2,5-Piperazinedione, 3-[[5-[[2-(dimethylamino)ethyl]thio]-2-thienyl]methylene]-6-(phenylmethylene)-, monohydrochloride, (3Z,6Z)-[CAS]		EP 934278	Anticancer, other	Cancer, general
XR-5944	N,N'-(1,2-Ethanediy)bis(imino-2,1-ethanediy)bis(9-methylphenazine-1-carboxamide)	526-36-3 58-86-6			
Xylometazoline					
Xylose					

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
YH-1885	2-Pyrimidinamine, 4-(3,4-dihydro-1-methyl-2(1H)-isquinolinyl)-N-(4-fluorophenyl)-5,6-dimethyl-, monohydrochloride [CAS]	178307-42-1	WO 9605177	Antiulcer	Ulcer, GI, general
YM-511	Benzonitrile, 4-[[[4-bromophenyl]methyl]-4H-1,2,4-triazol-4-ylamino]-[CAS]	148869-05-0	WO 9305027	Anticancer, hormonal Anticancer, other	Cancer, breast Cancer, prostate
YM-598	potassium(E)-N-[6-methoxy-5-(2-methoxyphenoxy)-2-(pyrimidin-2-yl)pyrimidin-4-yl]-2-phenylethanesulfonamide	146-48-5			
Yohimbine YT-146	Adenosine, 2-(1-octynyl)-[CAS]	90596-75-1	U.S. 5,270,304	Anti-inflammatory	Inflammation, general
Z-321	Thiazolidine, 3-(2,3-dihydro-1H-inden-2-yl)acetyl)-4-(1-pyrrolidinylcarbonyl)-, (R)-[CAS]	130849-58-0	EP 372484	Cognition enhancer	Dementia, senile, general
Z-335	(1H)-Indene-5-acetic acid, 2[[[(4-chlorophenyl)sulfonyl]amino]methyl]-2,3-dihydro, monosodium salt [CAS]	146731-14-8	JP 92506077	Antithrombotic	Peripheral vascular disease
zafirlukast	Carbamin acid, [3-[[2-methoxy-4[[[(2-methylphenyl)sulfonyl]amino]carbonyl]-phenyl]methyl]-1-methyl-1H-indol-5-yl], cyclopentyl ester [CAS]	107753-78-6	EP 199543	Antiasthma	Asthma
zalcitabine	Cytidine, 2',3'-dideoxy-[CAS]	7481-89-2	U.S. 4,879,277	Antiviral, anti-HIV	Infection, HIV/AIDS
Zaldaride zaleplon	Acetamide, N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethyl-[CAS]	109826-26-8 151319-34-5	EP 776898	Hypnotic/ Sedative	Insomnia
zaltoprofen	Dibenzol[b,f]thiepin-2-acetic acid, 10,11-dihydro-Alpha-methyl-10-oxo-[CAS]	74711-43-6	JP 55053282	Anti-inflammatory	
zanamivir	5-Acetamido-2,6-anhydro-3,4,5-trideoxy-4-guanidino-D-glycero-D-galacto-non-2-enonic acid [CAS]	139110-80-8	WO 9116320	Antiviral, other	Infection, influenza virus
zanapexil	1-Propanone, 3-(1-(phenylmethyl)-4-piperidinyl)-1-(2,3,4,5-tetrahydro-1H-1-benzazepin-8-yl)-[CAS]	142852-50-4	EP 487071	Cognition enhancer	Alzheimer's disease
Zarebradine ZD-0473	Platinum, aminedichloro(2-methylpyridine)-(SP-4-3)-[CAS]	85175-67-3 181630-15-9	EP 727430	Anticancer, alkylating Urological	Cancer, ovarian Overactive bladder
ZD-0947	N-acetylcolchicol-O-phosphate	153537-73-6	WO 9528388	Anticancer, other	Cancer, general
ZD-6126	1H-Tetrazole-5-butanoic acid, Alpha-((4-(((1,4-dihydro-2,7-dimethyl-4-oxo-6-quinazolinyl)methyl)-2-propynylamino)-2-fluorobenzoyl)amino) (S)-[CAS]	3690-10-6	GB 2264946	Anticancer, antimetabolite	Cancer, pancreatic
zebularine	2(1H)-Pyrimidinone, 1-β-D-ribofuranosyl-[CAS]			Anticancer, other	Cancer, general

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
zalcitabine	7,8-Isosquinoxaline-2,4-bis(3,4-dihydroxyphenyl)-1,2,3,4-tetrahydro- [CAS]	138086-00-7	JP 03190818	Vasodilator, renal	Hypertension, general
Zenarestat		112733-06-9			
Ziconotide		107452-89-1			
zidovudine	Thymidine, 3'-azido-3'-deoxy-[CAS]	30516-87-1	U.S. 4,724,232	Antiviral, anti-HIV	Infection, HIV/AIDS
zileuton	Urea, N-(1-benzothien-2-ylthio)-N-hydroxy-[CAS]	111406-87-2	EP 279263	Antiasthma	Asthma
Zimeldine		56775-88-3			
zinc acetate	hexakis(m-acetato)-μ ₄ -oxotetrazine	12129-82-7		Antiviral, other	Infection, herpes simplex virus
zinc acexamate	Hexanoic acid, 6-(acetylamino)-, zinc salt (2:1)-[CAS]	70020-71-2	EP 369088	Antitumor	prophylaxis Ulcer, duodenal
zinc ibuprofenate		78416-80-5		Anti-inflammatory, topical	Inflammation, dermal
Zinc p-Phenolsulfonate		127-82-2			
Zinc Salicylate		16283-36-6			
Zinostatin		9014-2-2			
zinoastatin stimulaner		123760-07-6	EP 136791	Anticancer, antibiotic	Cancer, liver
Zipeprol		34758-83-3			
ziprasidone	2H-Indol-2-one, 5-(2-(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl)-6-chloro-1,3-dihydro-[CAS]	122883-93-6	EP 281309	Neuroleptic	Schizophrenia
zofenopril	L-Proline, 1-[3-(benzoylthio)-2-methyl-1-oxopropyl]-4-(phenylthio)-, [1(R*,2Alpha,4Alpha)-[CAS]	75176-37-3 81872-10-8 81972-10-8 81938-43-4	GB 2028327	Antihypertensive, renin system	Hypertension, general
zofenopril + HCTZ	L-Proline, 1-[3-(benzoylthio)-2-methyl-1-oxopropyl]-4-(phenylthio)-, [1(R*,2Alpha,4Alpha)- + 6-Chloro-3,4-dihydro-2H-1,2,4-benzothiazide-7-sulfonamide 1,1-dioxide [CAS]			Formulation, fixed-dose combinations	Hypertension, general
zoledronic acid	Phosphonic acid, [1-hydroxy-2-(1H-imidazol-1-yl)ethylidene]bis-[CAS]	118072-93-8 165800-06-6	EP 531253	Osteoporosis treatment	Hypercalcaemia of malignancy
zolimidine	2-(p-methylsulfonylphenyl)imidazo[1,2-a]pyridine	1222-57-7	U.S. 3,318,880	Antitumor	Gastritis
zolmitriptan	2-Oxazolidinone, 4-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)methyl-, (S)-[CAS]	139264-17-8	WO 9118897	Antimigraine	Migraine
zolpidem	Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)-(R-(R*,R*))-2,3-dihydroxybutanedioate (2:1) [CAS]	99294-93-6 82626-48-0	EP 50563	Hypnotic/ Sedative	Insomnia

TABLE IV -continued

API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
Zonepirac zonampanel	1-(2H)-Quinoxalineacetic acid, 3,4-dihydro- 7-(1H-imidazol-1-yl)-6-nitro-2,3-dioxo- [CAS]	33369-31-2 210245-80-0		Neuroprotective	Ischaemia, cerebral
zoniporide	1H-pyrazole-4-carboxamide,N- (aminoimino methyl)-5-cyclopropyl-1-(5- quinolinyl)-, [CAS]	249296-45-5	GB 2025931	Cardiovascular	Unspecified
zonisamide	1,2-Benzisoxazole-3-methanesulfonamide [CAS]	68291-97-4 68291-98-5	GB 1358680	Antiepileptic	Epilepsy, generalized, tonic-clonic Insomnia
zopiclone	1-Piperazinecarboxylic acid, 4-methyl-, 6- (5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H- pyrrolo[3,4-b]pyrazin-5-yl ester [CAS]	43200-80-2	GB	Hypnotic/ Sedative	
Zopolrestat Zoribicin zosuquidar	1-Piperazineethanol, 4-(1,1-difluoro- 1,1a,6,10b- tetrahydrodibenzof[a,e]cyclopropa[c]cyclo- hepten-6-yl)-Alpha-[(5-quinolinyl)oxy)methyl]-, [6R)-(1a)Alpha,6Alpha,10bAlpha)]-[CAS]	110703-94-1 54083-22-6 167465-36-3		Radio/ chemosensitizer	Cancer, leukaemia, acute myelogenous
zotepine	Ethanamine, 2-[(8- chlorodibenzol[b,f]thiepin-10-yl)oxy]-N,N- dimethyl-[CAS]	26615-21-4	GB 1247067	Neuroleptic	Schizophrenia
ZP-123			WO 0162775	Antiarrhythmic	Arrhythmia, general
Z-tamoxifen	Ethanamine, 2-[4-(1,2-diphenyl-1- butenyl)phenoxy]-N,N-dimethyl-, (Z)- [CAS]	10540-29-1		Anticancer, hormonal	Cancer, colorectal
zuclopenthixol	1-Piperazineethanol, 4-[3-(2-chloro-9H- thioxanthene-9-ylidene)propyl]-, (Z)-[CAS]	53772-83-1 982-24-1 85721-05-7 64053-00-5	EP 27082	Neuroleptic	Psychosis, general

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The invention claimed is:

1. A co-crystal comprising an API and a co-crystal former selected from:

- (a) carbamazepine and saccharin;
- (b) carbamazepine and nicotinamide;
- (c) carbamazepine and trimesic acid;
- (d) celecoxib and nicotinamide;
- (e) celecoxib and 18-crown-6;
- (f) 5-fluorouracil and urea;
- (g) acetaminophen and 4,4'-bipyridine;
- (h) phenytoin and pyridone;
- (i) aspirin and 4,4'-bipyridine;
- (j) ibuprofen and 4,4'-bipyridine;
- (k) flurbiprofen and 4,4'-bipyridine;
- (l) flurbiprofen and trans-1,2-bis(4-pyridyl) ethylene;
- (m) carbamazepine and p-phthalaldehyde;
- (n) carbamazepine and 2,6-pyridinecarboxylic acid;
- (o) carbamazepine and 5-nitroisophthalic acid;
- (p) carbamazepine and 1,3,5,7-adamantane tetracarboxylic acid; or
- (q) carbamazepine and benzoquinone, wherein the API and co-crystal former are hydrogen bonded to each other.

2. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and saccharin.

3. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and nicotinamide.

4. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and trimesic acid.

5. The co-crystal according to claim 1, wherein said co-crystal comprises celecoxib and nicotinamide.

6. The co-crystal according to claim 1, wherein said co-crystal comprises celecoxib and 18-crown-6.

7. The co-crystal according to claim 1, wherein said co-crystal comprises 5-fluorouracil and urea.

8. The co-crystal according to claim 1, wherein said co-crystal comprises acetaminophen and 4,4'-bipyridine.

9. The co-crystal according to claim 1, wherein said co-crystal comprises phenytoin and pyridine.

10. The co-crystal according to claim 1, wherein said co-crystal comprises aspirin and 4,4'-bipyridine.

11. The co-crystal according to claim 1, wherein said co-crystal comprises ibuprofen and 4,4'-bipyridine.

12. The co-crystal according to claim 1, wherein said co-crystal comprises flurbiprofen and 4,4'-bipyridine.

13. The co-crystal according to claim 1, wherein said co-crystal comprises flurbiprofen and trans-1,2-bis(4-pyridyl) ethylene.

14. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and p-phthalaldehyde.

15. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and 2,6-pyridinecarboxylic acid.

16. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and 5-nitroisophthalic acid.

17. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and 1,3,5,7-adamantane tetracarboxylic acid.

18. The co-crystal according to claim 1, wherein said co-crystal comprises carbamazepine and benzoquinone.

19. A pharmaceutical composition comprising a pharmaceutically acceptable diluent, excipient, or carrier and a co-crystal comprising an API and a co-crystal former selected from:

- (a) carbamazepine and saccharin;
- (b) carbamazepine and nicotinamide;
- (c) carbamazepine and trimesic acid;
- (d) celecoxib and nicotinamide;

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(e) celecoxib and 18-crown-6;

(f) 5-fluorouracil and urea;

(g) acetaminophen and 4,4'-bipyridine;

(h) phenytoin and pyridone;

(i) aspirin and 4,4'-bipyridine;

(j) ibuprofen and 4,4'-bipyridine;

(k) flurbiprofen and 4,4'-bipyridine;

(l) flurbiprofen and trans-1,2-bis(4-pyridyl)ethylene;

(m) carbamazepine and p-phthalaldehyde;

(n) carbamazepine and 2,6-pyridinecarboxylic acid;

(o) carbamazepine and 5-nitroisophthalic acid;

(p) carbamazepine and 1,3,5,7-adamantane tetracarboxylic acid; or

(q) carbamazepine and benzoquinone, wherein the API and co-crystal former hydrogen bonded to each other.

20. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and saccharin.

21. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and nicotinamide.

22. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and trimesic acid.

23. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises celecoxib and nicotinamide.

24. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises celecoxib and 18-crown-6.

25. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises 5-fluorouracil and urea.

26. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises acetaminophen and 4,4'-bipyridine.

27. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises phenytoin and pyridine.

28. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises aspirin and 4,4'-bipyridine.

29. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises ibuprofen and 4,4'-bipyridine.

30. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises flurbiprofen and 4,4'-bipyridine.

31. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises flurbiprofen and trans-1,2-bis(4-pyridyl)ethylene.

32. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and p-phthalaldehyde.

33. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and 2,6-pyridinecarboxylic acid.

34. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and 5-nitroisophthalic acid.

35. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and 1,3,5,7-adamantane tetracarboxylic acid.

36. The pharmaceutical composition according to claim 19, wherein said co-crystal comprises carbamazepine and benzoquinone.

* * * * *

UNITED STATES PATENT AND TRADEMARK OFFICE
CERTIFICATE OF CORRECTION

PATENT NO. : 7,927,613 B2
APPLICATION NO. : 10/660202
DATED : April 19, 2011
INVENTOR(S) : Orn Almarsson et al.

Page 1 of 13

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 6,

Lines 18-19, "Pure phenyloin and a co-crystal with phenyloin" should read
--Pure phenytoin and a co-crystal with phenytoin--.

Column 12,

Line 32, "200 150 100," should read --200, 150, 100,--.
Line 34, "200 150, 100 90," should read --200, 150, 100, 90,--.

Column 14,

Line 33, "Cmax" should read --C_{max}--.

Column 20,

Line 23, "AgF₃CSO₃" should read --AgF₃CSO₃--.

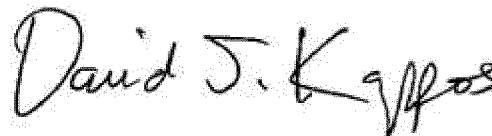
Column 30,

Line 6, "+/- 10 degrees" should read --+/- 1.0 degrees--.
Line 11, "(82001 TA" should read --(⁸2001 TA--.

Column 37,

Line 7, "β80.291(2)," should read --β=80.291(2),--.
Line 16, "by π-ν" should read --by π- π--.
Line 27, "Phenyloin:" should read --Phenytoin:--.
Line 28, "phenyloin and" should read --phenytoin and--.
Line 31, "phenyloin/" should read --phenytoin/--.
Line 46, "phenyloin-phenyloin" should read --phenytoin-phenytoin--.
Lines 56-57, "(phenyloin" should read --(phenytoin--.
Line 66, "0.02= 2θ" should read --0.02° 2θ--.

Signed and Sealed this
Twenty-second Day of May, 2012



David J. Kappos
Director of the United States Patent and Trademark Office

U.S. Pat. No. 7,927,613 B2

Column 38,

Lines 59-60, “ $\lambda=200$ (2) K” should read --T=200 (2) K--.

Column 40,

Line 23, “ $I>2\theta$ (I),” should read -- $I>2\sigma$ (I),--.

Column 41,

Line 52, “ $2\theta_{\max} = 56.600^\circ$,” should read -- $2\theta_{\max} = 56.60^\circ$ --.

Column 42,

Line 17, “(22.)” should read --(22.0)--.

Line 26, “cocrystal” should read --co-crystal--.

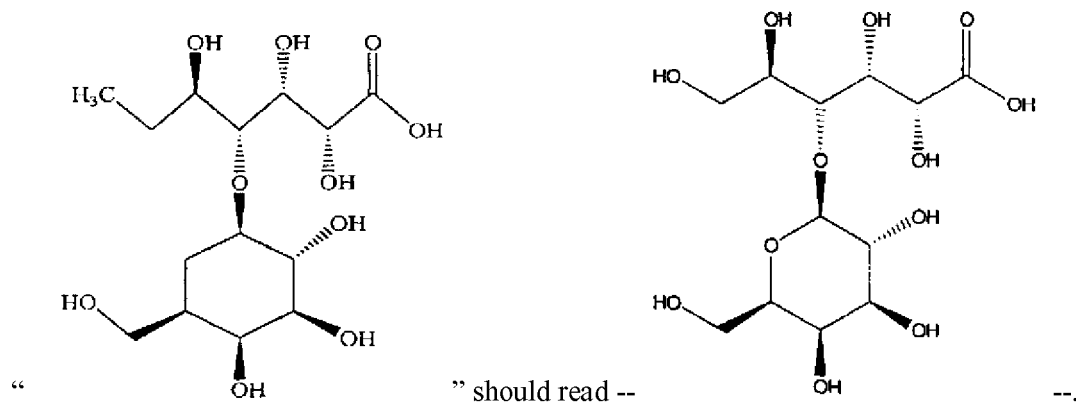
Column 51, Table 1,

Column “MP”, Row “Adenine”

“200 (sub.)” should read --220 (sub.)--.

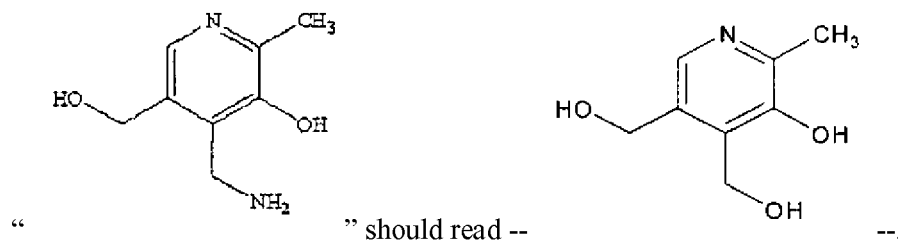
Column 58, Table 1,

Column “Molecular Structure”, Row “Lactobionic acid”



Column 62, Table 1,

Column “Molecular Structure”, Row “Pyridoxine”



Column 104, Table III, Column “Functional Group”:

“pyridine thiol n-heterocyclic ring thionedisulfide pyrrolidindione iodine hydrazone thiocyanate *bromine aromatic”

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should read:

--pyridine *chlorine alkyne thiol n-heterocyclic ring thionedisulfide
pyrrolidindione iodine hydrazone--.

Column 105, Table III,

Column “Functional Group”

“thio ster” should read --thioester--.

Column 107, Table III,

Column “Functional Group”

“nitrate est r alcohol ether acetate” should read

--nitrate ester bromine alcohol ether acetate--.

Column 108, Table III,

Column “Functional Group”

“nitrat ester” should read --nitrate ester--.

“st r-O” should read --ester-O--.

Column 110, Table III,

Column “Functional Group”

“Amin t rtiary” should read --Amine tertiary--.

Column 119, Table IV,

Column “API Chemical Name”, Row “(R)-salbutamol”

“1,3-Benzenedimethanol, Alpha 1-(((1,1-dimethylethyl)amino)methyl)-4-hydroxy-
[CAS]”

should read

--1,3-Benzenedimethanol, Alpha 1-(((1,1-dimithylethyl)amino)methyl)-4-
hydroxy-[CAS]--.

Column 125, Table IV,

Column “API Chemical Name”, Row “aceglutamide”

“Aluminum, pentakis(N2-acetyl-L-glutaminoato)tetrahydroxytri- [CAS]”

should read

--Aluminum, pentakis(N2-acetyl-L-glutaminato)tetrahydroxytri- [CAS]--.

Column 127, Table IV,

Column “CAS No.”, Row “ACTH”

“900-60-2” should read --9002-60-2--.

Column 130, Table IV,

Column “API Chemical Name”, Row “albaconazole”

“4(3H)-Quinazolinone, 7-chloro-3-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-
methyl-3-(1H01,2,4-triazol-1-yl)propyl]- [CAS]”

should read

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--4(3H)-Quinazolinone, 7-chloro-3-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]- [CAS]--.

Column 134, Table IV,

Column “API Chemical Name”, Row “alsactide”

“Alpha 1-17-Carticotropin, 1-β-alanine-17-[N-(4-aminobutyl)-L-lysineamide]-[CAS]”

should read

--Alpha 1-17-Corticotropin, 1-β-alanine-17-[N-(4-aminobutyl)-L-lysineamide]-[CAS]--.

Column “API Generic Name”

“Althiazid” should read --Althiazide--.

Column 136, Table IV,

Column “API Generic Name”

“Ambazon” should read --Ambazone--.

“Ambusid” should read --Ambuside--.

Column 141, Table IV,

Column “API Generic Name”

“amrinose” should read --amrinone--.

Column “Example of Indication”, Row “amtolmetin guacil”

“rheumatiod” should read --rheumatoid--.

Column 144, Table IV,

Column “Patent Reference Row”, Row “Antiprogesterin”

“19703061” should read --19706061--.

Column 148, Table IV,

Column “API Generic Name”

“Aesthinol” should read --Arsthinol--.

Column 149, Table IV,

Column “CAS No.”, Row “asoprisnil”

“199396-76-1” should read --199396-76-4--.

Column 151, Table IV,

Column “CAS No.”, Row “atomoxetine”

“83015-36-3” should read --83015-26-3--.

Column 153, Table IV,

Column “API Generic Name”

“azasertron” should read --azasetron--.

“azathiprine” should read --azathioprine--.

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Column 162, Table IV.

Column “API Chemical Name”, Row “bexarotene”

“Benzoic acid, 4-(1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)-[CAS]” should read
--Benzoic acid, 4-(1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl)- [CAS]--.

Column 164, Table IV.

Column “API Generic Name”

“birlcodar” should read --biricodar--.

Column 166, Table IV.

Column “API Generic Name”

“Bitoscanat” should read --Bitoscanate--.

Column 168, Table IV.

Column “API Generic Name”

“Brozuridine” should read --Broxuridine--.

Column 176, Table IV.

Column “CAS No.”, Row “calcium polycarbophil”

“9003-97-9” should read --9003-97-8--.

Column 180, Table IV.

Column “API Chemical Name”, Row “carboplatin”

“Platinum, diammine[1,1-cyclobutanedicarboxylate(2-)]-, (SP-4-2)- [CAS]”
should read
--Platinum, diammine[1,1-cyclobutanedicarboxylato(2-)]-, (SP-4-2)- [CAS]--.

Column 187, Table IV.

Column “API Generic Name”

“cefotazime” should read --cefotaxime--.

Column 189, Table IV.

Column “API Chemical Name”, Row “cefprozil”

“5-Thio-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[6Alpha,7β(R*)]]-[CAS]”
should read
--5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[6Alpha,7β(R*)]]-[CAS]--.

CERTIFICATE OF CORRECTION (continued)

Page 6 of 13

U.S. Pat. No. 7,927,613 B2Column 189, Table IV.

Column "CAS No.", Row "cefprozil"

"92665-29-7" should read --92665-29-7

121123-17-9--.

Column "Patent Reference", Row "cefsulodin"

"GB" should read --GB 1387656--.

Column 190, Table IV.

Column "Patent Reference", Row "ceftibuten"

"EP" should read --EP 136721--.

Column 191, Table IV.

Row "Cefuzonam"

"Patent Reference	Example of Therapeutic Use	Example of Indication
U.S. 5,760,068	Antiarthritic, other	Arthritis rheumatoid"

should read

--Patent Reference	Example of Therapeutic Use	Example of Indication--.
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Column 191, Table IV.

Row "celecoxib"

"Patent Reference	Example of Therapeutic Use	Example of Indication"
------------------------------	---------------------------------------	-----------------------------------

should read

--Patent Reference	Example of Therapeutic Use	Example of Indication
U.S. 5,760,068	Antiarthritic, other	Arthritis Rheumatoid--.

Row "Cellulose Ethyl Hydroxyethyl Ether"

"API	API	CAS No.
Generic Name	Chemical Name	
Cellulose Ethyl		
Hydroxyethyl Ether"		

should read

--API	API	CAS No.
Generic Name	Chemical Name	
Cellulose Ethyl		9004-58-4
Hydroxyethyl Ether--.		

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Column 191, Table IV.

“API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
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Cellulose Ethyl

Hydroxyethyl Ether

CEP-1347	9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-	156177-65-0	WO 9731002	Antiparkinsonian	Parkinson's disease"
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should read

--API Generic Name	API Chemical Name	CAS No.	Patent Reference	Example of Therapeutic Use	Example of Indication
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Cellulose Ethyl

Hydroxyethyl Ether

		9004-58-4			
		31477-60-8			
CEP-1347	9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-	156177-65-0	WO 9731002	Antiparkinsonian	Parkinson's disease--.

Column 193, Table IV.

Column “API Chemical Name”, Row “cethromycin”

“2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone 4-ethyloctahydro-3a,7,9,11,13,15-hexamethyl-11-((3-(3-quinolinyl)-2-propenyl)oxy)-10-((3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexapyranosyl)oxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- [CAS]”

should read
--2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone 4-ethyloctahydro-3a,7,9,11,13,15-hexamethyl-11-((3-(3-quinolinyl)-2-propenyl)oxy)-10-((3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexapyranosyl)oxy)-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- [CAS]--.

Column 195, Table IV.

Column “API Generic Name”,

“Chloriazepoxide” should read --Chlordiazepoxide--.

Column 197, Table IV.

Column “API Generic Name”,

“Chlorthenoxazine(e)” should read --Chlorthenoxazin(e)--.

Column 203, Table IV.

Column “API Generic Name”,

“Clemizol” should read --Clemizole--.

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Column 205, Table IV,

Column “API Generic Name”,

“Clofibrat” should read --Clofibrate--.

Column 207, Table IV,

Column “Patent Reference”, Row “cloxazolam”

“3,442,371” should read --3,772,371--.

Column 214, Table IV,

Column “API Generic Name”,

“Cycloniumelodide” should read --Cyclonium Iodide--.

Column 218, Table IV,

Column “API Generic Name”,

“DAX<SciClone” should read --DAX, SciClone--.

“d-Camphocarboxylic” should read --d-Camphocarboxylic Acid--.

Column 221, Table IV,

Column CAS No.”, Row “Deoxycorticosterone”

“64-85-7” should read --64-58-7

56-47-3--.

Column 236, Table IV,

Column CAS No.”, Row “doxylamine”

“469-21-4” should read --469-21-6--.

Column 239, Table IV,

Column “API Generic Name”,

“Dyphyllin” should read --Dyphylline--.

Column 248, Table IV,

Column “API Generic Name”,

“eoinephrine” should read --epinephrine--.

Column 253, Table IV,

Column “API Generic Name”,

“Ethadion” should read --Ethadione--.

Column 263, Table IV,

Column “CAS No.”, Row “fepradinol”

“36981-91-6

67704-50-1

63075-57-8” should read --36981-91-6

67704-50-1

63075-47-8--.

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Column “Patent Reference”, Row “fexofenadine”
“5,345,693” should read --5,375,693--.

Column 268, Table IV.

Column “API Generic Name”,
“Fluroxen” should read --Fluroxene--.

Column 273, Table IV.

Column “API Generic Name”,
“Gadobenat
Dimeglumine” should read --Gadobenate
Dimeglumine--.

Column 279, Table IV.

Column “API Generic Name”,
“Gold Sodium
Thiimalat” should read --Gold Sodium
Thiomalate--.

Column 291, Table IV.

Column “API Generic Name”,
“Indecainid” should read --Indecainide--.

Column 292, Table IV.

Column “API Generic Name”,
“Induclem” should read --Inducterm--.

Column 295, Table IV.

Column “API Generic Name”,
“Iproclozid” should read --Iproclozide--.

Column 295, Table IV.

Column “API Generic Name”,
“Ipsapiron” should read --Ipsapirone--.

Column 297, Table IV.

Column “API Generic Name”,
“Asocarboxazid” should read --Isocarboxazid--.

Column 299, Table IV.

Column “CAS No.”, Row “itraconazole”
“84625-61-8” should read --84625-61-6--.

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Column 303, Table IV,

Column “Patent Reference”, Row “KNI-272”

“5,466,028” should read --5,644,028--.

Column 304, Table IV,

Column “Example of Therapeutic Use”, Row “L-758298”

“Antimetic” should read --Antiemetic--.

Column 312, Table IV,

Column “CAS No.”, Row “LH”

“902-67-9” should read --9002-67-9--.

Column “CAS No.”, Row “LH-RH”

“934-40-6” should read --9034-40-6--.

Column 312, Table IV,

Column “API Generic Name”,

“liarozote” should read --liarozole--.

“Lindan” should read --Lindane--.

Column 313, Table IV,

Column “API Generic Name”,

“Lipo-dexamethasone” should read --Lipo-dexamethasone palmitate--.

“Lisofyllin” should read --Lisofylline--.

Column 325, Table IV,

Column “API Generic Name”,

“Meglumin” should read --Meglumine--.

Column 328, Table IV,

Column “Patent Reference”, Row “merimepodib”

“5,807,878” should read --5,807,876--.

Column 331, Table IV,

Column “API Generic Name”

“Methylhexaneamide” should read --Methylhexanamine--.

Column 336, Table IV,

Column “API Generic Name”

“,iltefosine” should read --miltefosine--.

Column 342, Table IV,

Column “API Generic Name”,

“Muscarin” should read --Muscarine--.

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Column 360, Table IV,

Column “API Generic Name”,

“loanzapine” should read --olanzapine--.

Column 366, Table IV,

Column “API Generic Name”,

“Oxetoron” should read --Oxetorone--.

“Oxolamin” should read --Oxolamine--.

“Oxolinix Acid” should read --Oxolinic Acid--.

Column 368, Table IV,

Column “API Generic Name”,

“pactitaxel” should read --paclitaxel--.

Column 369, Table IV,

Column “API Generic Name”

“pamicogral” should read --pamicogrel--.

Column 374, Table IV,

Column “API Generic Name”

“Pentam thonium” should read --Pentamethonium--.

Column 407, Table IV,

Column “Patent Reference”, Row “ribavirin”

“4,122,771” should read --4,211,771--.

Column 410, Table IV,

Column “Cas No.”, Row “Risedronic Acid”

“105492-24-6” should read --105462-24-6--.

Column 413, Table IV,

Column “API Generic Name”,

“Roxindol” should read --Roxindole--.

Column 415, Table IV,

Column “API Chemical Name”, Row “RWJ-54428”

“5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-5-chloro-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[(3-[[[(2-aminoethyl)thio]methyl]-4-pyridinyl]thio]-methyl]-4-pyridinyl]thio]-8-oxo-, (6R,7R)-[CAS]”
should read

--5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-5-chloro-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[(3-[[[(2-aminoethyl)thio]methyl]-4-pyridinyl]thio]-8-oxo-, (6R,7R)- [CAS]--.

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Column “Patent Reference”, Row “RWJ-54428”
“3,713,772” should read --9,713,772--.

Column 418, Table IV,

Column “API Generic Name”

“Salicylsilfuric Acid” should read --Salicylsulfuric Acid--.

Column 435, Table IV,

Column “API Generic Name”,

“stepronim” should read --stepronin--.

Column 439, Table IV,

Column “API Generic Name”,

“silprostone” should read --sulprostone--.

Column 451, Table IV,

Column “Cas No.”, Row “terbinafine”

“91191-71-6” should read --91161-71-6--.

Column 455, Table IV,

Column “API Generic Name”,

“Thioimrag” should read --Thioimreg--.

Column “API Chemical Name”, Row “Thioimrag”

“L-Thiolyrosinyl-glycinyl-glycine” should read
--L-Thiotyrosinyl-glycinyl-glycine--.

Column 461, Table IV,

Column “API Generic Name”,

“Tolazamid” should read --Tolazamide--.

Column 461, Table IV,

Column “API Generic Name”

“Tolazolin” should read --Tolazoline--.

Column 463, Table IV,

Column “Patent Reference”, Row “topotecan”

“231122” should read --321122--.

Column 465, Table IV,

Column “Cas No.”, Row “tretoquinol”

“18559-59-8” should read --18559-59-6--.

Column 466, Table IV,

Column “Cas No.”, Row “triapine”

“236392-56-5” should read --236392-56-6--.

Column 484, Table IV,

Column “Cas No.”, Row “zofenopril”

“75176-37-3

81872-10-8

81972-10-8

81938-43-4”

should read

--75176-37-3

81872-10-8

81938-43-4--.

Column 486, Table IV,

Column “Patent Reference”, Row “zuclopenthixol”

“27082” should read --270282--.