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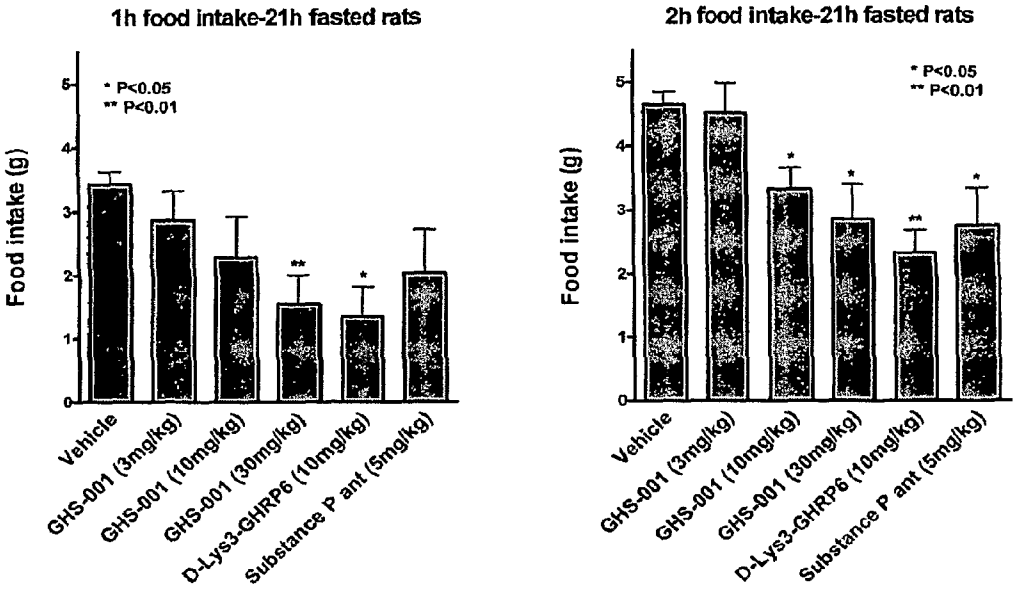
(54) Title: BICYCLIC NITROGEN COMPOUNDS AS MODULATORS OF GHRELIN RECEPTOR AND USES THEREOF



* GHS-001 is 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone

(57) Abstract: Disclosed herein are compounds of Formula I as defined herein, or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, that modulates the activity of a ghrelin receptor. Disclosed herein are also methods of treating diseases or conditions that comprise administering to a subject in need thereof a therapeutically effective amount of a compound of Formula I.

WO 2007/079239 A2

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BICYCLIC NITROGEN COMPOUNDS AS MODULATORS OF GHRELIN RECEPTOR AND USES THEREOF

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] This application claims priority to U.S. Provisional Application Nos. 60/755,714, entitled "INDOLE COMPOUNDS AS MODULATORS OF GHRELIN RECEPTOR AND USES THEREOF", filed December 30, 2005; and 60/835,241, entitled "INDOLE COMPOUNDS AS MODULATORS OF GHRELIN RECEPTOR AND USES THEREOF, filed August 2, 2006, both of which are incorporated by reference in their entireties.

BACKGROUND OF THE INVENTION

Field of the Invention

[0002] This invention relates to the fields of organic chemistry, pharmaceutical chemistry, biochemistry, molecular biology and medicine. In particular it relates to compounds that modulate the activity of the human Growth Hormone Secretagogue receptor (GHSR1a, Ghrelin receptor), and to the use of the compounds for the treatment and prevention of disorders or conditions such as obesity, eating disorders, hormone insufficiencies, dwarfism; somatopause, osteoporosis, wasting syndromes, catabolic states, cardiovascular diseases, gastrointestinal diseases, sleep disorders, cancers; for disorders of the pancreas, diabetes, anxiety disorders and cognitive deficits, and for diagnosing hormone insufficiencies.

Description of the Related Art

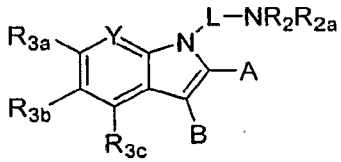
[0003] The physiological actions of the hormone/neurotransmitter ghrelin are mediated, in part, by the ghrelin receptor. The ghrelin receptor is expressed in a number of tissues including the pituitary and hypothalamus, as well as other brain regions such as hippocampus, as well as peripheral tissues such as heart, lung, pancreas, stomach, intestine, and adipose tissue and numerous other tissues where it is thought to regulate appetite, energy balance, cardiovascular function, gastrointestinal motility, hormone release, induction of slow wave sleep, and cellular proliferation (Inui A, et al. FASEB J. 2004 Mar;18(3):439-56.

Deghenghi R. et al. Endocrine. 2003 Oct; 22(1):13-8. Bona G et al. Panminerva Med. 2003 Sep;45(3):197-201. Broglio F. et al. Horm Res. 2003;59(3):109-17).

[0004] Compounds that stimulate ghrelin receptors have been shown to stimulate appetite and food intake, improve cardiac output and reduce cardiac afterload, stimulate gastric motility and emptying, facilitate induction of sleep, and inhibit cellular proliferation in cells derived from the lung, thyroid and breast. Compounds that block ghrelin receptor activity have been shown to facilitate weight loss, reduce appetite, reduce food intake, facilitate weight maintenance, treat obesity, treat diabetes and associated side effects, (including retinopathy and/or cardiovascular disorders), and reduce metabolism. (Inui A, et al. FASEB J. 2004 Mar;18(3):439-56. Deghenghi R. et al. Endocrine. 2003 Oct; 22(1):13-8. Bona G et al. Panminerva Med. 2003 Sep;45(3):197-201. Broglio F. et al. Horm Res. 2003;59(3):109-17).

SUMMARY OF THE INVENTION

[0005] One embodiment disclosed herein relates to a compound of Formula (I):



(I)

or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, wherein:

A can be selected from the group consisting of hydrogen, halogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$;

B can be selected from the group consisting of hydrogen; mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl,

cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(=Z)N(OR_{1a})R_{1b}$, $-C(=Z)N(R_1)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-C\equiv N$; $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-S(O)R_1$, $-S(O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$; or

A and B can be taken together to form an unsubstituted or substituted cycloalkyl, or unsubstituted or substituted heteroalicyclyl;

R_1, R_{1a} and R_{1b} can each independently selected from the group consisting of hydrogen, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl and haloalkyl;

R_2 and R_{2a} can each independently selected from the group consisting of hydrogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-(C_{1-4}alkyl)-Z-aryl$, $-(C_{1-4}alkyl)C(=O)R_1$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$; or

R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl;

R_3, R_{3a}, R_{3b}, and R_{3c} can each independently selected from the group consisting of hydrogen, halogen, cyano, nitro, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$;

R_3, R_{3a}, R_{3b}, and R_{3c} can be taken together with one or more adjacent members of the group consisting of R_3, R_{3a}, R_{3b}, and R_{3c} to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

R_{3c} can be taken together with B to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

L can be an unsubstituted or substituted lower alkylene group, wherein when L is substituted, it is substituted with one or more group(s) individually and independently selected from the group consisting of alkyl, alkenyl, halogen, haloalkyl, alkoxy, haloalkoxy, hydroxyl, and -CN;

L can be taken together with R_3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

Y can be C-R_3 or N; and

Z can be O or S.

[0006] Another embodiment disclosed herein relates to a pharmaceutical composition, comprising a therapeutically effective amount of a compound of Formula (I) and/or a compound described herein, and a pharmaceutically acceptable carrier, excipient, or diluent.

[0007] Still another embodiment disclosed herein relates to a method of treating or preventing a disorder or condition comprising administering to a subject a pharmaceutically effective amount of a compound of Formula (I) and/or a compound described herein. In some embodiment, the compound of Formula (I) and/or one of the compound described herein alleviates or treats a disorder or condition by modulating, agonizing, inverse agonizing, or antagonizing a ghrelin receptor.

BRIEF DESCRIPTION OF THE DRAWINGS

[0008] Figure 1 is a graph showing the inverse agonists activities of compounds at ghrelin receptors in R-SAT assays.

[0009] Figure 2 is a graph showing the inverse agonist and agonist activities of compounds at ghrelin receptors in phosphatidyl inositol assays

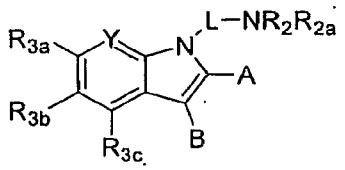
[0010] Figure 3 is a graph sho222wing the spontaneous feeding activity in freely moving, fasted, male Sprague-Dawley rats following intraperitoneal administration of ghrelin receptor antagonists/inverse agonists.

DETAILED DESCRIPTION OF THE PREFERRED EMBODIMENT

[0011] Small molecules with heretofore-unappreciated activities have been identified as ghrelin receptor antagonists/inverse agonists. We further demonstrate that these compounds suppress feeding in rats. These observations have practical applications that support the use of these compounds to alleviate or treat disorders or conditions affected directly or indirectly through ghrelin receptors.

[0012] A large number of compounds of Formula I were screened for functional activity at the Ghrelin receptor and display robust ghrelin receptor antagonist/inverse agonist activity.

[0013] One embodiment disclosed herein relates to a compound of Formula (I):



(I)

or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, wherein:

A can be selected from the group consisting of hydrogen, halogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$;

B can be selected from the group consisting of hydrogen; mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(=Z)N(OR_{1a})R_{1b}$, $-C(=Z)N(R_1)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-C\equiv N$; $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-S(O)R_1$, $-S(O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$; or

A and B can be taken together to form an unsubstituted or substituted cycloalkyl, or unsubstituted or substituted heteroalicyclyl;

R_1, R_{1a} and R_{1b} can each independently selected from the group consisting of hydrogen, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl and haloalkyl;

R_2 and R_{2a} can each independently selected from the group consisting of hydrogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-(C_{1-4}alkyl)$-Z-aryl, $-(C_{1-4}alkyl)C(=O)R_1$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$; or

R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl;

R_3, R_{3a}, R_{3b}, and R_{3c} can each independently selected from the group consisting of hydrogen, halogen, cyano, nitro, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$;

R_3, R_{3a}, R_{3b}, and R_{3c} can be taken together with one or more adjacent members of the group consisting of R_3, R_{3a}, R_{3b}, and R_{3c} to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

R_{3c} can be taken together with B to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

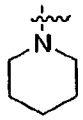
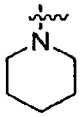
L can be an unsubstituted or substituted lower alkylene group, wherein when L is substituted, it is substituted with one or more group(s) individually and independently

selected from the group consisting of alkyl, alkenyl, halogen, haloalkyl, alkoxy, haloalkoxy, hydroxyl, and -CN;

L can be taken together with R_3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

Y can be C-R_3 or N; and

Z can be O or S.

[0014] In some embodiments, the compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, has the structure described herein provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with n-butyl at the para-position, then B cannot be selected from the group consisting of methyl, -C(=O)R_1, and –CH_2OH, wherein R_1 is hydrogen or methyl; or A cannot be methyl. In other embodiments, the compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, has the structure described herein provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with an alkyl, such as n-butyl, then A, R_3, R_{3a}, R_{3b}, and R_{3c} cannot all be hydrogen.

[0015] Another embodiment disclosed herein relates to a compound of Formula (I) that modulates, agonizes, inverse agonizes, or antagonizes a ghrelin receptor. In some embodiments, a compound of Formula (I) inverse agonizes or antagonizes a ghrelin receptor. In some embodiments, the compound of Formula (I) binds to a ghrelin receptor with an IC_{50} value in the range of about 9 to about 5. In certain embodiments, the compound of Formula (I) binds to a ghrelin receptor with an IC_{50} value in the range of about 9 to about 6. In some embodiments, the compound of Formula (I) binds to a ghrelin receptor with an IC_{50} value in the range of about 9 to about 7. In certain embodiments, the compound of Formula (I) binds to a ghrelin receptor with an IC_{50} value in the range of about 9 to about 8.

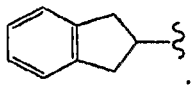
[0016] In some embodiments, Y can be C-R_3. In other embodiments, Y can be N (nitrogen).

[0017] With respect to R_2 and R_{2a}, in some embodiments, R_2, and/or R_{2a} can be hydrogen. In other embodiments, R_2, and/or R_{2a} can be cyano. In still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkyl. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted aryl. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -C(=Z)R_1. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -C(=Z)OR_1. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted-C(=Z)N$R_{1a}R_{1b}$. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -C(R_1)=NR_{1a}. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -N$R_{1a}R_{1b}$. In still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -N=C$R_{1a}R_{1b}$. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -(C_{1-4}alkyl)-Z-aryl. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -(C_{1-4}alkyl)C(=O). In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-

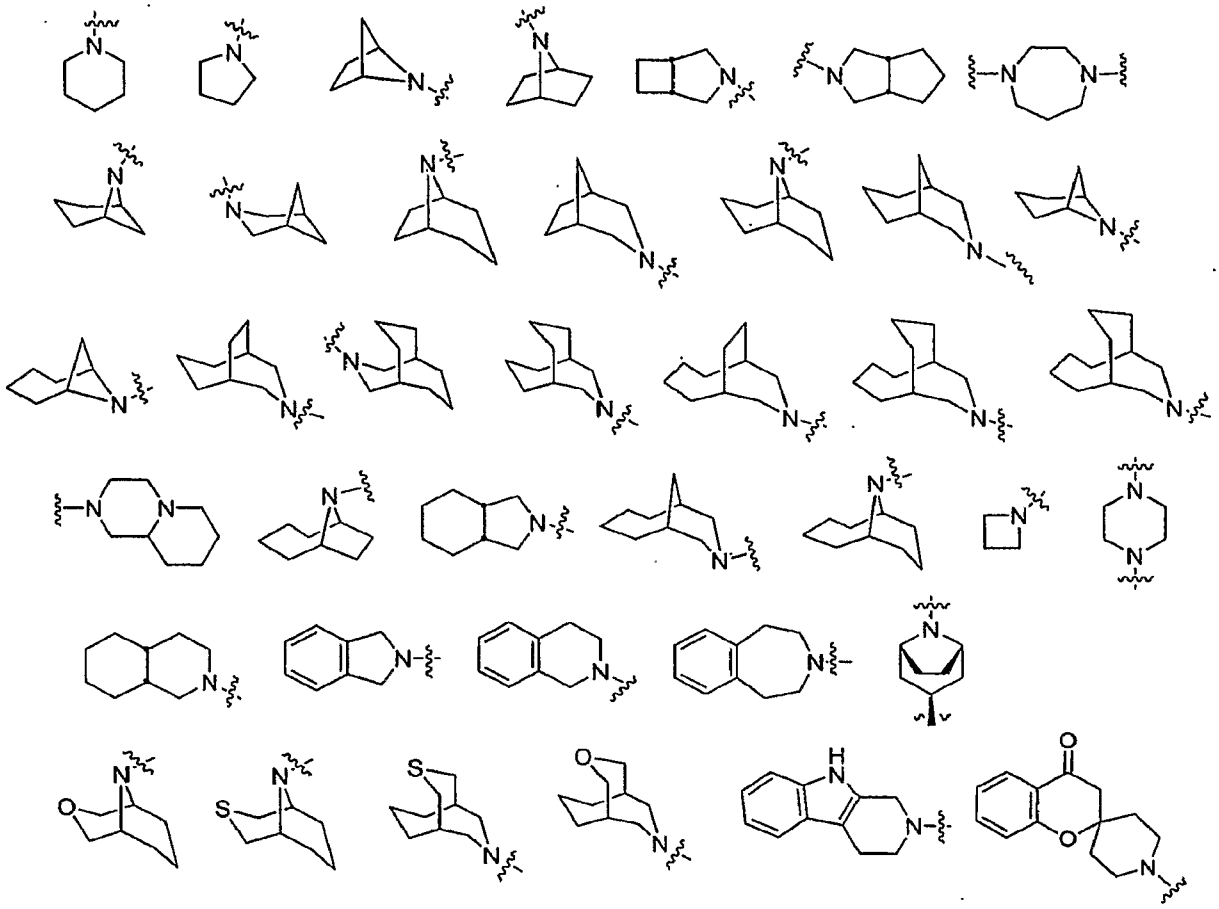
substituted or unsubstituted -$N(R_1)$-$C(=Z)R_1$. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$N(R_1)$-$C(=Z)NR_{1a}R_{1b}$. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$S(O)NR_{1a}R_{1b}$. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$S(O)_2NR_{1a}R_{1b}$. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$N(R_1)$-$S(=O)R_1$. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$N(R_1)$-$S(=O)_2R_1$. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -OR_1. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -SR_1. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted -$OC(=O)R_1$. In some embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted sulfinyl. In other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted sulfonyl. In yet other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted haloalkyl. In yet still other embodiments, R_2, and/or R_{2a} can be a mono-substituted, poly-substituted or unsubstituted haloalkoxy.

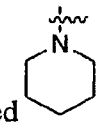
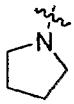
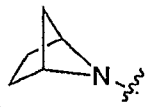
[0018] In some embodiments, R_{2a} can be selected from the group consisting mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl. aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, -(C_{1-4}alkyl)-Z-aryl, and -(C_{1-4}alkyl)$C(=O)$ R_1,. In one embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkyl. In another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In yet still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In one embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted aryl. In yet still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. (e.g., substituted or unsubsituted pyridine). In one embodiment, R_{2a} can be a mono-

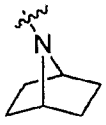
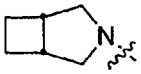
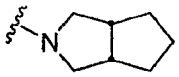
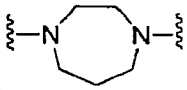
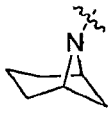
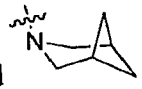
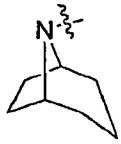
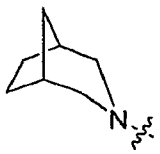
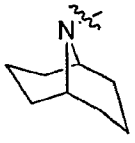
substituted, poly-substituted or unsubstituted heteroalicyclyl. In another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted aralkyl (e.g., substituted or unsubstitued phenyl(methyl), substituted or unsubstitued phenyl(ethyl)), substituted or unsubstitued phenyl(propyl)). In still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl (e.g., substituted or unsubsituted indole). In yet still another embodiment, R_{2a} can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In some embodiments, R_{2a} can be -(C_{1-4}alkyl)-Z-aryl. In other embodiments, R_{2a} can be (C_{1-4}alkyl)C(=O) R_1. In any of the embodiments of described in this paragraph, R_2 can be hydrogen. In any of the embodiments of described in this paragraph, R_2 can be an alkyl such as methyl.

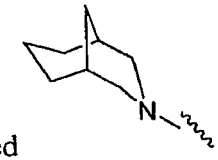
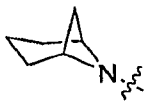
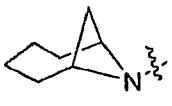
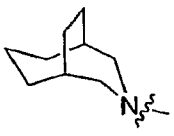
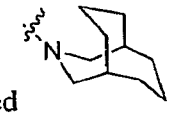
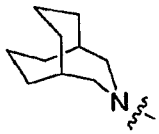
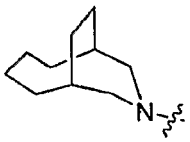
[0019] In certain embodiments, the cycloalkenyl can be  . In some embodiments, R_1 and R_{2a} cannot both be hydrogen. In other embodiments, R_2 cannot be hydrogen when R_{2a} is an alkyl. In other embodiments, R_2 and R_{2a} cannot both be a lower alkyl. In still other embodiments, R_2 and R_{2a} cannot be hydrogen or alkyl when B is –C(=0) $NR_{1a}R_{1b}$.

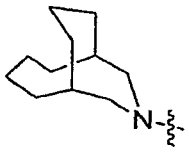
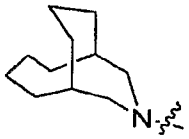
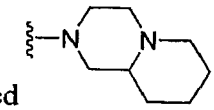
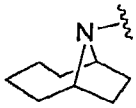
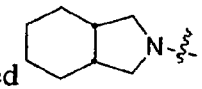
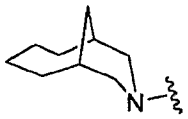
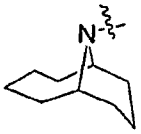
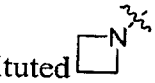
[0020] In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl. Examples wherein R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl include but are not limited to the following:

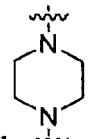


[0021] In certain embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an

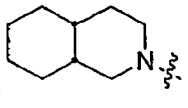
unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be

taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In certain embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  , In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or

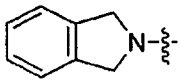
substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with



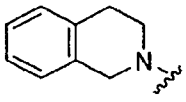
the nitrogen atom to which they are attached, to form an unsubstituted or substituted . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to



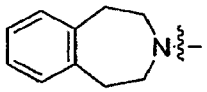
which they are attached, to form an unsubstituted or substituted . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they



are attached, to form an unsubstituted or substituted . In certain embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to

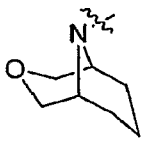


form an unsubstituted or substituted . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an

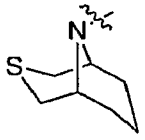


unsubstituted or substituted . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an

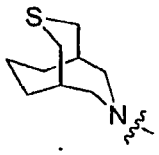
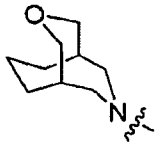
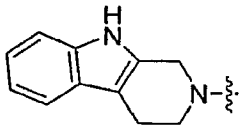
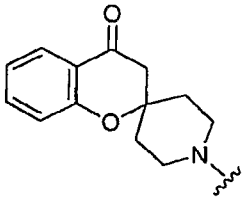
unsubstituted or substituted . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or



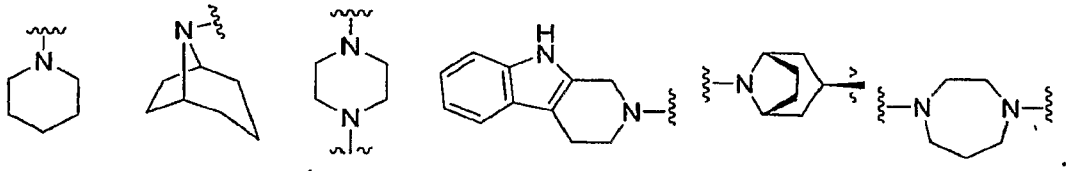
substituted . In other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or



substituted . In yet other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or

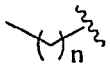
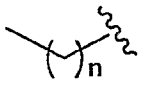
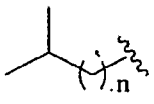
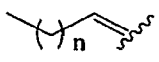
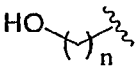
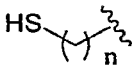
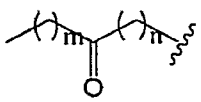
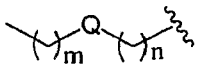
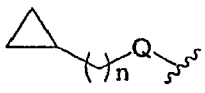
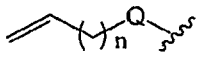
substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In still other embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  . In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  .

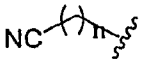
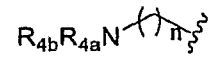
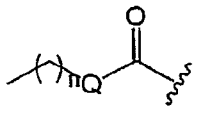
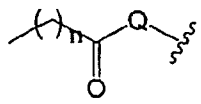
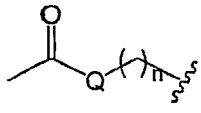
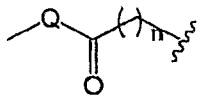
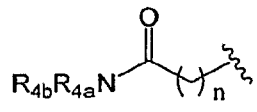
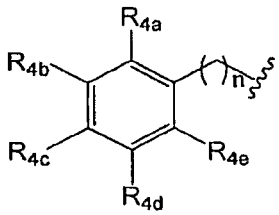
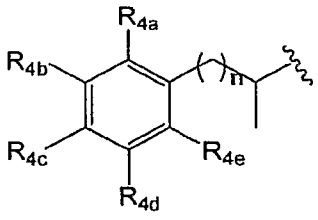
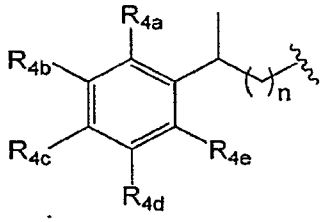
[0022] In some embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl selected from the group consisting of:

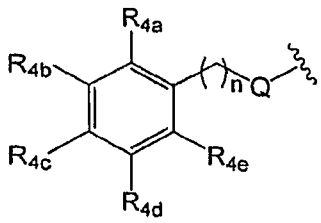
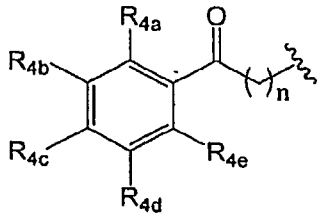
 . In certain embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted  .

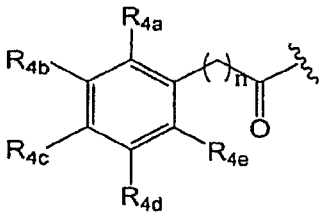
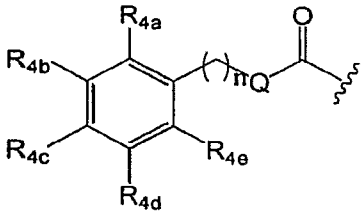
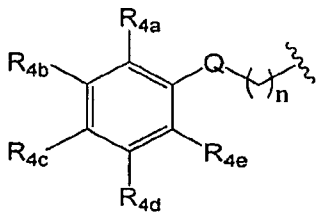
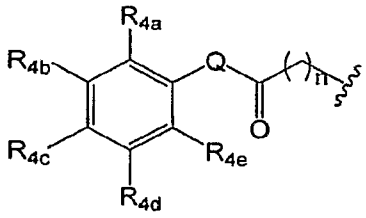
[0023] When R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form a substituted heteroalicyclyl such as those described herein, the substituted heteroalicyclyl can be substituted with one or more group(s) individually and independently selected from the group consisting of hydrogen, halogen, cyano, nitro,

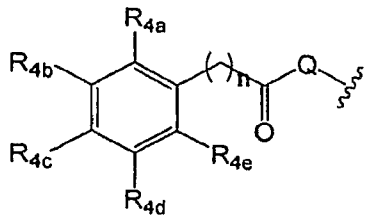
hydroxyl, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heteroalicyclyl, (heteroalicyclyl)alkyl, alkoxy, aryloxy, ester, mercapto, alkylthio, arylthio, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, isocyanato, thiocyanato, isothiocyanato, C-carboxy, O-carboxy, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino.

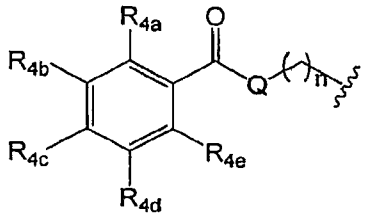
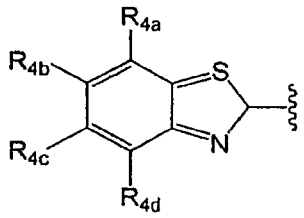
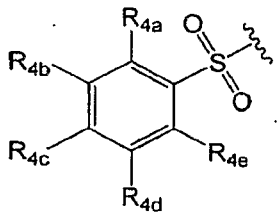
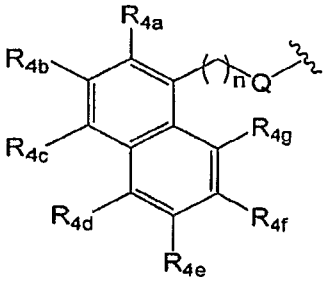
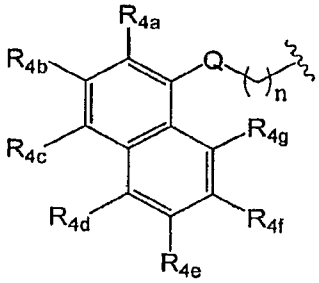
[0024] In certain embodiments, R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form a substituted heteroalicyclyl such as those described herein (e.g., paragraphs [0014] and [0015]), wherein the substituted heteroalicyclyl can be substituted with one or more group(s) suitable groups. In some embodiments, the substituted heteroalicyclyl is substituted with  . In certain embodiments,  can be n-butyl or n-pentyl. In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments,

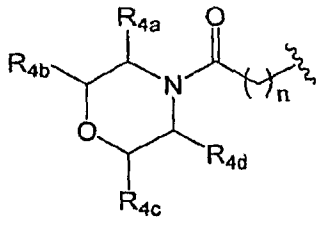
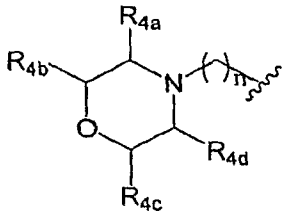
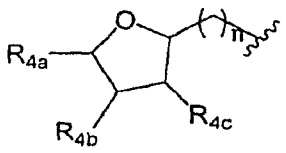
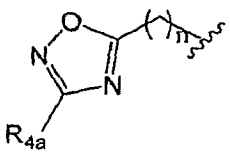
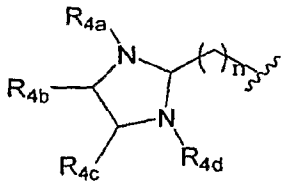
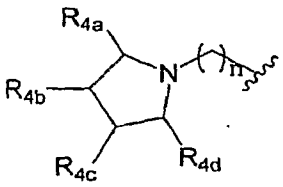
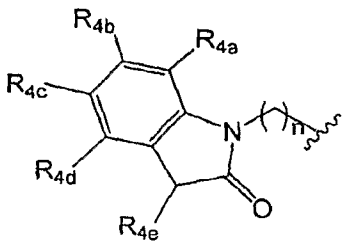
the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In certain embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments,

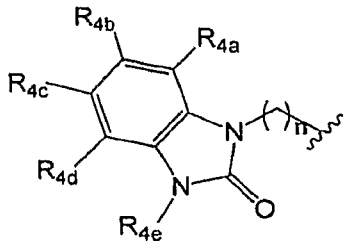
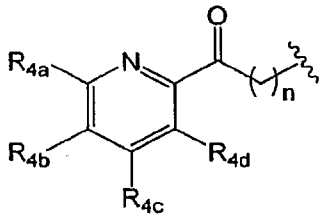
the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  .

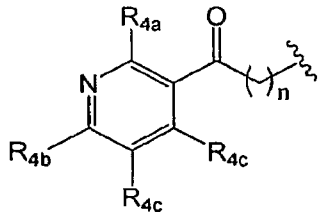
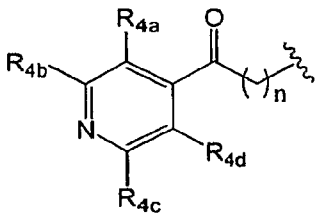
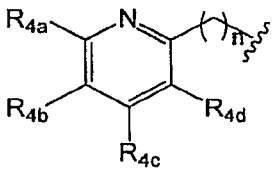
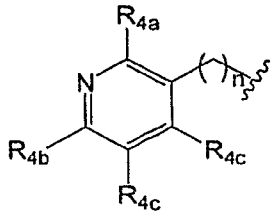
In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted

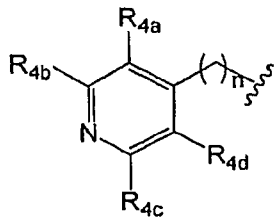


. In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the substituted

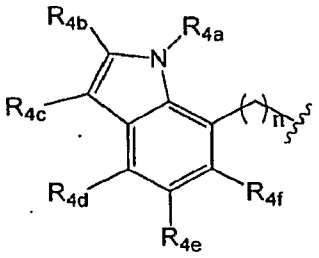
heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In some embodiments, the

substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  .

In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In other embodiments, the substituted heteroalicyclyl can be substituted with  . In yet other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other embodiments, the substituted heteroalicyclyl can be substituted with  . In still other



embodiments, the substituted heteroalicyclyl can be substituted with . In some embodiments, the substituted heteroalicyclyl can be substituted with



[0025] In certain embodiments, R_2 and R_{2a} cannot be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted N-morpholinyl, an unsubstituted or substituted piperidinyl, an unsubstituted or substituted piperiazinyl, an unsubstituted or substituted azetidinyl, or an N-oxide of an amine group when B is $-C(=O)R_1$, wherein R_1 is an unsubstituted or substituted phenyl, an unsubstituted or substituted C_{6-8} cycloalkyl, unsubstituted or substituted styryl, unsubstituted or substituted biphenyl, unsubstituted or substituted napthyl, unsubstituted or substituted anthryl, unsubstituted or substituted thienyl, unsubstitited furyl, unsubstituted or substituted quinolyl, or unsubstituted or substituted pyrrolyl. In some embodiments, R_2 and R_{2a} cannot be selected from the group consisting of hydrogen, C_{1-6}alkyl, C_{3-15}cycloalkyl, C_{3-15}heterocycloalkyl, heteroaryl and aryl. In some embodiments, R_2 and R_{2a} cannot be taken together along with the nitrogen atom to which they are attached, to form a heteroaryl.

[0026] In some of the embodiments described herein, n can be 0. In other embodiments described herein, n can be 1. In yet other embodiments described herein, n can be 2. In some embodiments described herein, n can be 3. In other embodiments described herein, n can be 4. In yet other embodiments described herein, n can be 5. In still other embodiments described herein, n can be 6.

[0027] In some of the embodiments described herein, m can be 0. In other embodiments described herein, m can be 1. In yet other embodiments described herein, m can

be 2. In some of the embodiments described herein, m can be 3. In other embodiments described herein, m can be 4. In yet other embodiments described herein, m can be 5. In still other embodiments described herein, m can be 6. Also, included herein are any combination of n and m (e.g., n is 0 and m is 2, n is 3 and m is 1, n is 2 and m is 0, etc.).

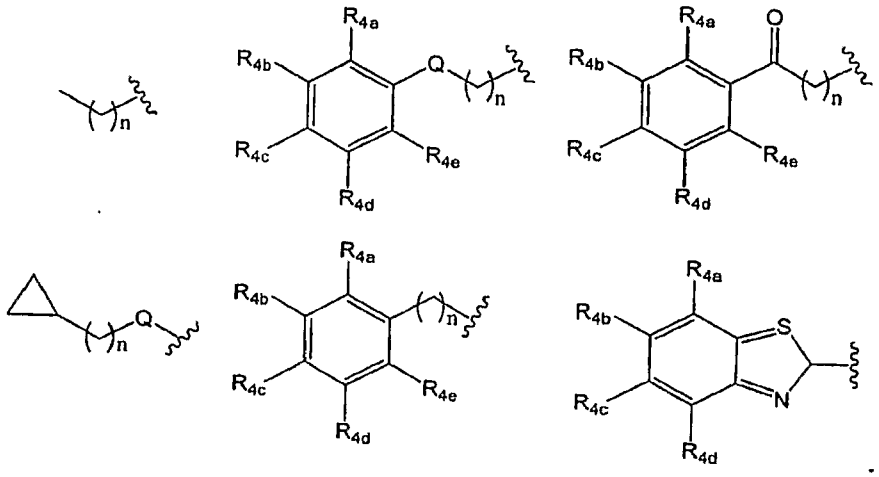
[0028] In some embodiments described herein, Q can be oxygen. In other embodiments described herein Q can be sulfur.

[0029] When R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form a substituted heteroalicyclyl, the group(s) substituents attached to the substituted heteroalicyclyl can be also be substituted. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be hydrogen. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be halogen. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be cyano. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be nitro. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be hydroxyl. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted alkyl. In still other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In still other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted aryl. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In certain embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-

substituted, poly-substituted or unsubstituted heteroaralkyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted alkoxy. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted aryloxy. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted ester. In certain embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted mercapto. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted alkylthio, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted arylthio. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted carbonyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted thiocarbonyl. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted O-carbamyl. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted N-carbamyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted O-thiocarbamyl, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted N-thiocarbamyl. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted C-amido. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted N-amido. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted S-sulfonamido. In certain embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d},

R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted N-carbamyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted N-sulfonamido, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted isocyanato. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted thiocyanato. In other embodiments any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted isothiocyanato. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted C-carboxy. In certain embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted O-carboxy. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted silyl, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted sulfenyl. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted sulfinyl. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted sulfonyl. In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted haloalkyl. In certain embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted haloalkoxy. In other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted trihalomethanesulfonyl, In yet other embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted trihalomethanesulfonamido. In some embodiments, any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can be a mono-substituted, poly-substituted or unsubstituted amino. Also, include herein are any combination of any one or more of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} as described in this paragraph (e.g., R_{4a} is H and R_{4d} is halogen, R_{4d} is alkyl and R_{4b} is haloalkyl, etc.).

[0030] In certain embodiments, R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl, which is unsubstituted or substituted with one or more group(s) individually and independently selected from the group consisting of:



[0031] In some embodiments, any one or more of R_{1b} R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f} and R_{4g} can each independently be selected from the group consisting of hydrogen, halogen, cyano, nitro, hydroxyl, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkoxy, aryl, alkylthio (e.g., H_3CS-), and haloalkyl. In one embodiment, at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be halogen. In another embodiment, more than one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be halogen. In another embodiment, at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be an alkoxy such as methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, and/or t-butoxy. Preferably, the alkoxy is methoxy. In still another embodiment at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be an alkyl. Exemplary alkyls include but are not limited to methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl. In preferred embodiments, the alkyl can be methyl and/or ethyl. In yet still another embodiment, at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be an aryl (e.g., pyridine). In one embodiment, at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_f and/or R_g can be a haloalkyl such as CF_3.

[0032] As to B, in some embodiments, B can be hydrogen. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted alkyl. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted alkenyl.

In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In still other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted aryl. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)R_1$. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)OR_1$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)NR_{1a}R_{1b}$. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)N(OR_{1a})R_{1b}$. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)N(R_1)NR_{1a}R_{1b}$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C(R_1)=NR_{1a}$. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-C\equiv N$. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-NR_{1a}R_{1b}$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-N=CR_{1a}R_{1b}$. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-C(=Z)R_1$. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-C(=Z)NR_{1a}R_{1b}$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-S(O)NR_{1a}R_{1b}$. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-S(O)_2NR_{1a}R_{1b}$. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted , $-N(R_1)-S(=O)R_1$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-S(=O)_2R_1$. In yet other embodiments, B can be a mono-substituted, poly-substituted or

unsubstituted -S(O)R_1. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted -S(O)$_2R_1$. In other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted -OR_1. In yet other embodiments, B can be a mono-substituted, poly-substituted or unsubstituted -SR_1. In some embodiments, B can be a mono-substituted, poly-substituted or unsubstituted , -OC(=O)R_1.

[0033] In some embodiments, any one or more of R_1, R_{1a} and R_{1b} can be hydrogen. In other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted alkyl. In certain embodiment, the alkyl can be methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, linear or branched pentyl, linear or branched hexyl, linear or branched heptyl, and/or linear or branched octyl. Preferably, the alkyl can be methyl, ethyl, n-butyl, isobutyl, linear hexyl, and/or branched octyl. In yet other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In some embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In a preferred embodiment, the cycloalkyl is cyclopropyl. In yet other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In still other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted aryl such as phenyl. In some embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl. In yet other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In certain embodiment, the aralkyl is an optionally substituted phenyl(C_{1-4}alkyl) such as optionally substituted phenyl(methyl). If substituted, the phenyl(C_{1-4}alkyl) can be substituted with one or more substituents including but not limited to alkyl (e.g., methyl) and/or halogen. In still other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl. In yet other embodiments, any one or more of R_1,

R_{1a} and R_{1b} can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In other embodiments, any one or more of R_1, R_{1a} and R_{1b} can be a haloalkyl, for example CF_3.

[0034] In some embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted variant of the following residues: alkyl, alkenyl, cycloalkyl, aryl, aralkyl, and/or haloalkyl. In other embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted alkyl. In still other embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In yet still other embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In some embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted aryl. In other embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments when B is $-C(=O)R_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted haloalkyl.

[0035] In some embodiments, when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted variant of the following residues: alkyl, alkenyl, cycloalkyl, aryl, aralkyl, and/or haloalkyl. In other embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted alkyl. In still other embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted alkenyl. In yet still other embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In some embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted aryl. In other embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments when B is $-C(=O)NR_{1a}NR_{1b}$, R_{1a} and/or R_{1b} can each be a mono-substituted, poly-substituted or unsubstituted haloalkyl.

[0036] In some embodiments, when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted variant of the following residues: alkyl, alkenyl, cycloalkyl, aryl, aralkyl, and/or haloalkyl. In other embodiments when B is $-C(=O)OR_1$, R_1,

can be a mono-substituted, poly-substituted or unsubstituted alkyl. In still other embodiments when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In yet still other embodiments when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In some embodiments when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted aryl. In other embodiments when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments when B is $-C(=O)OR_1$, R_1, can be a mono-substituted, poly-substituted or unsubstituted haloalkyl.

[0037] In some embodiments when B is $-C(=O)R_1$, $-C(=O)NR_{1a}NR_{1b}$, or $-C(=O)OR_1$, R_1, R_{1a} and/or R_{1b} can be an alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, linear or branched pentyl, linear or branched hexyl, linear or branched heptyl, and/or linear or branched octyl. Preferably, the alkyl can be methyl, ethyl, n-butyl, isobutyl, linear hexyl, and/or branched octyl. In other embodiments when B is $-C(=O)R_1$, $-C(=O)NR_{1a}NR_{1b}$, or $-C(=O)OR_1$, R_1, R_{1a} and/or R_{1b} can be a cycloalkyl such as cyclopropyl. In still other embodiments when B is $-C(=O)R_1$, $-C(=O)NR_{1a}NR_{1b}$, or $-C(=O)OR_1$, R_1, R_{1a} and/or R_{1b} can be an aralkyl. In certain embodiment, the aralkyl is an optionally substituted phenyl(C_{1-4}alkyl) such as optionally substituted phenyl(methyl). If substituted, the phenyl(C_{1-4}alkyl) can be substituted with one or more substituents including but not limited to alkyl (e.g., methyl) and/or halogen. In yet still other embodiments when B is $-C(=O)R_1$, $-C(=O)NR_{1a}NR_{1b}$, or $-C(=O)OR_1$, R_1, R_{1a} and/or R_{1b} can be a haloalkyl (e.g., CF_3).

[0038] With respect to R_3, R_{3a}, R_{3b}, and R_{3c}, in some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be hydrogen. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be halogen. In still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be cyano. . In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be nitro. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted alkyl. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted

cycloalkyl. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted aryl. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)R_1$. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-C(=Z)OR_1$. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted-$C(=Z)NR_{1a}R_{1b}$. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-C(R_1)=NR_{1a}$. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted-$NR_{1a}R_{1b}$. In still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-N=CR_{1a}R_{1b}$. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-C(=Z)R_1$. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and/or R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-C(=Z)NR_{1a}R_{1b}$. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-S(O)NR_{1a}R_{1b}$. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-S(O)_2NR_{1a}R_{1b}$. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-S(=O)R_1$. In other embodiments, any one or more of

R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-N(R_1)-S(=O)_2R_1$. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-OR_1$. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-SR_1$. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted $-OC(=O)R_1$. In some embodiments, R_{3c} can be taken together with B to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring. In other embodiments, R_{3c} cannot be taken together with B to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring. In some embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted sulfinyl. In other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted sulfonyl. In yet other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted haloalkyl. In yet still other embodiments, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be a mono-substituted, poly-substituted or unsubstituted haloalkoxy. In certain embodiment, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be an alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl. Preferably, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be methyl and/or ethyl. In certain embodiment, any one or more of R_3, R_{3a}, R_{3b}, and R_{3c} can be $-OR_1$, wherein R_1 can be selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl. In a preferred embodiment, R_1, can be selected from the group consisting of methyl and isopropyl.

[0039] In some embodiments, Y can be $C-R_3$, wherein R_3 can be selected from the group consisting of hydrogen, halogen, cyano, nitro, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$. In certain embodiments, Y can be $C-R_3$, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, $-C\equiv N$, and halogen. In some

embodiments, Y can be C-R_3, wherein R_3 can be an alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl. In an embodiment, the alkyl can be methyl or ethyl. In some embodiments, Y can be C-R_3, wherein R_3 can be an alkoxy such as methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, and t-butoxy. In other embodiments when R_3 is an alkoxy, the alkoxy can be methoxy. In some embodiments, Y can be C-R_3, wherein R_3 can be a -C≡N. In some embodiments, Y can be C-R_3, wherein R_3 can be a halogen. In some embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B can be -C(=O)R_1. In other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B can be -C(=O)OR_1. In still other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B can be -C(=Z)$NR_{1a}R_{1b}$. In yet still other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B can be -C(=Z)$N(OR_{1a})R_{1b}$. In some embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B can be -C≡N. In some embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl (e.g, methyl), alkoxy (e.g., methoxy), -C≡N, and halogen; B can be -C(=O)R_1; and R_1 can be a mono-substituted, poly-substituted or unsubstituted alkyl such as methyl. In other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl (e.g, methyl), alkoxy (e.g., methoxy), -C≡N, and halogen; B can be -C(=O)R_1; and R_1 can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl such as cyclopropyl. In some embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl (e.g, methyl), alkoxy (e.g., methoxy), -C≡N, and halogen; B can be -C(=O)R_1; and R_1 can be a mono-substituted, poly-substituted or unsubstituted aryl (e.g., phenyl). In other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl (e.g, methyl), alkoxy (e.g., methoxy), -C≡N, and halogen; B can be -C(=O)R_1; and R_1 can be a mono-substituted, poly-substituted or unsubstituted aralkyl such as a phenyl(C_{1-4}alkyl). In other embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl (e.g, methyl), alkoxy (e.g., methoxy), -C≡N, and halogen; B can be -C(=O)R_1; and R_1 can be a mono-substituted, poly-substituted or unsubstituted haloalkyl (e.g., CF_3). In some

embodiments, Y can be C-R_3, wherein R_3 can be selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; B can be selected from the group consisting of -C(=O)R_1, -C(=O)OR_1, -C(=Z)$NR_{1a}R_{1b}$, -C(=Z)$N(OR_{1a})R_{1b}$, and -C≡N; and R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl such as those described herein.

[0040] As to A, in some embodiments, A can be hydrogen. In other embodiments, A can be halogen. In still other embodiments, A can be cyano. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted alkyl. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted alkenyl. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted alkynyl. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted cycloalkyl. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted cycloalkenyl. In still other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted cycloalkynyl. In still other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted aryl. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted heteroaryl. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted heteroalicyclyl. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted aralkyl. In still other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted heteroaralkyl. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted (heteroalicyclyl)alkyl. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -C(=Z)R_1. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -C(=Z)OR_1. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -C(=Z)$NR_{1a}R_{1b}$. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -C(R_1)=NR_{1a}. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -$NR_{1a}R_{1b}$. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -N=C$R_{1a}R_{1b}$. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -N(R_1)-C(=Z)R_1. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -N(R_1)-C(=Z)$NR_{1a}R_{1b}$. In other embodiments,

A can be a mono-substituted, poly-substituted or unsubstituted -S(O)$NR_{1a}R_{1b}$. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -$S(O)_2NR_{1a}R_{1b}$. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted , -$N(R_1)$-$S(=O)R_1$. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -$N(R_1)$-$S(=O)_2R_1$. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -OR_1. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted -SR_1. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted , -$OC(=O)R_1$. In some embodiments, A can be a mono-substituted, poly-substituted or unsubstituted sulfinyl. In other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted sulfonyl. In yet other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted haloalkyl. In yet still other embodiments, A can be a mono-substituted, poly-substituted or unsubstituted haloalkoxy. In a preferred embodiment, A is hydrogen. In another preferred embodiment, A is an alkyl such as methyl.

[0041] In certain embodiments, A cannot be an aryl group. In some embodiments, A cannot be a heteroaryl group. In certain embodiments, A cannot be mono-substituted, poly-substituted or unsubtituted –$C(=O)NR_{1a}R_{1b}$, wherein R_{1a} is hydrogen and R_{1b} is heteroaryl or heteroalicyclyl. In certain embodiments, A cannot be mono-substituted, poly-substituted or unsubstituted –$C(=O)NR_{1a}R_{1b}$ wherein R_{1a} is hydrogen and R_{1b} is heteroaryl or heteroaliyclyl such as thiazolyl, oxazolyl, isoxazolyl, 1,3,4-thiadiazolyl 1,2,4-thiadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, pyrazolyl, 1,2,4-triazolyl, tetrazolyl, 3-oxo-pyrazolyl, 3-oxo-imidazolyl, 3- oxo-thiazolyl, thiazolidinyl, pyridyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-traizinyl, benyzlimidazolyl, 4-oxo-pyrimidyl, pyridazinyl and 2-oxo-pyrimidyl.

[0042] In certain embodiments when B is –$C(=O)NR_{1a}R_{1b}$, wherein R_{1a} and/or R_{1b} are hydrogen, a momo-substituted, poly-substituted or unsubstituted variant selected from the group consisting of alkyl, cycloalkyl, and aryl, R_2 and/or R_{2a} cannot be hydrogen, aminoalkyl, or alkylcarbonyl. In some embodiments when B is –$C(=O)NR_{1a}R_{1b}$, R_2 and R_{2a} cannot be taken together, along with the nitrogen atom to which they are attached, to form a N-morpholinyl group. In some embodiments when B is –$C(=O)NR_{1a}R_{1b}$, R_2 and R_{2a} cannot be taken together, along with the nitrogen atom to which they are attached, to form an

unsubstituted heteroalicyclyl. In still other embodiments, B cannot be $-C(=O)R_1$, wherein R_1 is a cycloalkyl such as a C_{3-8} cycloalkyl. In yet still other embodiments, B cannot be $-C(=O)R_1$, wherein R_1 is a cycloalkyl (e.g, a C_{3-8} cycloalkyl) when R_2 and R_{2a} are a mono- or di-substituted aminocarbonylalkyl, a mono- or di-substituted aminosulfonylalkyl, a mono- or di-substituted aminoalkyl. In certain embodiments, B cannot be mono-substituted, poly-substituted or unsubstituted $-C(=O)NR_{1a}R_{1b}$ wherein R_{1a} is hydrogen and R_{1b} is heteroaryl or heteroalicyclyl. In certain embodiments, B cannot be mono-substituted, poly-substituted or unsubstituted $-C(=O)NR_{1a}R_{1b}$ wherein R_{1a} is hydrogen and R_{1b} is heteroaryl or heteroalicyclyl such as thiazolyl, oxazolyl, isoxazolyl, 1,3,4-thiadiazolyl, tetrazolyl, 3-oxo-pyrazolyl, 3-oxo-imidazolyl, 3-oxo-thiazolyl, thiazolidinyl, pyridyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, benzylimidazolyl, 4-oxo-pyrimidyl, pyridazinyl and 2-oxo-pyrimidyl. In some embodiments, B cannot be $-C(=O)R_1$, wherein R_1 is an unsubstituted or substituted phenyl. In some embodiments, B cannot be $-C(=O)R_1$, wherein R_1 is an unsubstituted or substituted phenyl, when R_2 is hydrogen or C_{1-4}alkyl and R_{2a} is $-SO_2NR_{1a}R_{1b}$, wherein R_{1a} and R_{1b} are hydrogen or a C_{1-4}alkyl$_1$. In some embodiments, B cannot be $-C(=O)NR_{1a}R_{1b}$ wherein R_{1a} is hydrogen and R_{1b} is C_{3-10}alkyl, C_{5-10}carbocycle (e.g, unsubstituted C_{5-10}carbocycle or a C_{5-10}carbocycle substituted with methyl) when R_2 is hydrogen and R_{2a} is C_{1-4}alkylsulfonyl or haloalkylsulfonyl such as CF_3SO_2-. In some embodiments, B cannot be a heteroalicyclyl. In certain embodiments, B cannot be piperdinyl or 1,2,3,6-tetrahydropyridinyl.

[0043] In some embodiment, A and B can be taken together to form an unsubstituted or substituted cycloalkyl. In other embodiments, A and B can be taken together to form an unsubstituted or substituted heteroalicyclyl.

[0044] In some of the embodiments, L can be an unsubstituted or substituted lower alkylene group. Preferably, L is ethylene, propylene, or butylene. More preferably, L is propylene. If L is substituted, suitable substituents without limitation are alkyl (e.g., methyl), alkenyl, halogen, haloalkyl (e.g., CF_3) , alkoxy (e.g, methoxy), haloalkoxy, hydroxyl, and –CN. In some embodiments L cannot a monosubstituted lower alkylene group, wherein the lower alkylene is monosubstituted with a hydroxyl group, when R_2 and R_{2a} are both hydrogen or methyl. In certain embodiments, L cannot a monosubstituted lower alkylene group,

wherein the lower alkylene is monosubstituted with a branched alkyl group. In some embodiments, L can be taken together with R_3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring. In other embodiments, L cannot be taken together with R_3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl,or heteroalicyclyl ring.

[0045] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of A can be combined with any one or more embodiments of B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0046] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of B can be combined with any one or more embodiments of A, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0047] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_1 can be combined with any one or more embodiments of A, B, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0048] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{1a} can be combined with any one or more embodiments of A, B, R_1, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4c}, R_{4f}, and R_{4g}.

[0049] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{1b} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0050] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_2 can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0051] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{2a} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0052] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_3 can be combined with any one or more

embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_{3a}, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0053] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{3a} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0054] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{3b} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_{3a}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0055] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{3c} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_{3a}, R_{3b}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0056] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of L can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0057] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of Y can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0058] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of Z can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0059] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of Q can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0060] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of n can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0061] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of m can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0062] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4a} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4b}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0063] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4b} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4c}, R_{4d}, R_{4e}, R_{4f}, and R_{4g}.

[0064] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4c} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4d}, R_{4c}, R_{4f}, and R_{4g}.

[0065] Other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4d} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4e}, R_{4f}, and R_{4g}.

[0066] Still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4e} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4f}, and R_{4g}.

[0067] Yet still other embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4f} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, and R_{4g}.

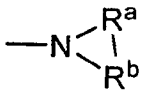
[0068] Some embodiments disclosed herein relate to a compound of Formula (I), in which any embodiment of R_{4g} can be combined with any one or more embodiments of A, B, R_1, R_{1a}, R_{1b}, R_2, R_{2a}, R_3, R_3a, R_{3b}, R_{3c}, L, Y, Z, Q, n, m, R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, and R_{4f}.

Definitions

[0069] Unless defined otherwise, all technical and scientific terms used herein have the same meaning as is commonly understood by one of ordinary skill in the art to

which this invention belongs. All patents, applications, published applications and other publications referenced herein are incorporated by reference in their entirety. In the event that there are plurality of definitions for a term herein, those in this section prevail unless stated otherwise

[0070] As used herein, any "R" group(s) such as, without limitation, R_1, R_{1a} and R_{1b}, represent substituents that can be attached to the indicated atom. A non-limiting list of R groups include hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, and heteroalicyclyl. An R group may be substituted or unsubstituted. If two "R" groups are covalently bonded to the same atom or to adjacent atoms, then they may be "taken together" as defined herein to form a cycloalkyl, aryl, heteroaryl or heteroalicyclyl group. For example, without limitation, if R_a and R_b of an NR_aR_b group are indicated to be "taken together", it means that they are covalently bonded to one another at their terminal atoms to form a ring that includes the nitrogen:



[0071] Whenever a group of this invention is described as being "optionally substituted" that group may be unsubstituted or substituted with one or more of the indicated substituents. Likewise, when a group is described as being "unsubstituted or substituted" if substituted, the substituent may be selected from one or mmore of the indicated substituents.

[0072] Unless otherwise indicated, when a substituent is deemed to be "optionally subsituted," or "substituted" it is meant that the subsitutent is a group that may be substituted with one or more group(s) individually and independently selected from alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, hydroxy, protected hydroxyl, alkoxy, aryloxy, acyl, ester, mercapto, alkylthio, arylthio, cyano, halogen, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, protected C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino, including mono- and di-substituted amino groups, and the protected derivatives thereof. The protecting groups

that may form the protective derivatives of the above substituents are known to those of skill in the art and may be found in references Greene and Wuts, Protective Groups in Organic Synthesis, 3rd Ed., John Wiley & Sons, New York, NY, 1999, which is hereby incorporated by reference in its entirety.

[0073] As used herein, "C_m to C_n" in which "m" and "n" are integers refers to the number of carbon atoms in an alkyl, alkenyl or alkynyl group or the number of carbon atoms in the ring of a cycloalkyl or cycloalkenyl group. That is, the alkyl, alkenyl, alkynyl, ring of the cycloalkyl or ring of the cycloalkenyl can contain from "m" to "n", inclusive, carbon atoms. Thus, for example, a "C_1 to C_4 alkyl" group refers to all alkyl groups having from 1 to 4 carbons, that is, CH_3-, CH_3CH_2-, $CH_3CH_2CH_2$-, $(CH_3)_2CH$-, $CH_3CH_2CH_2CH_2$-, $CH_3CH_2CH(CH_3)$- and $(CH_3)_3C$-. If no "m" and "n" are designated with regard to an alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl group, the broadest range described in these definitions is to be assumed.

[0074] As used herein, "alkyl" refers to a straight or branched hydrocarbon chain fully saturated (no double or triple bonds) hydrocarbon group. The alkyl group may have 1 to 20 carbon atoms (whenever it appears herein, a numerical range such as "1 to 20" refers to each integer in the given range; *e.g.*, "1 to 20 carbon atoms" means that the alkyl group may consist of 1 carbon atom, 2 carbon atoms, 3 carbon atoms, *etc.*, up to and including 20 carbon atoms, although the present definition also covers the occurrence of the term "alkyl" where no numerical range is designated). The alkyl group may also be a medium size alkyl having 1 to 10 carbon atoms. The alkyl group could also be a lower alkyl having 1 to 5 carbon atoms. The alkyl group of the compounds may be designated as "C_1-C_4 alkyl" or similar designations. By way of example only, "C_1-C_4 alkyl" indicates that there are one to four carbon atoms in the alkyl chain, i.e., the alkyl chain is selected from the group consisting of methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, and t-butyl. Typical alkyl groups include, but are in no way limited to, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tertiary butyl, pentyl, hexyl, ethenyl, propenyl, butenyl, and the like.

[0075] The alkyl group may be substituted or unsubstituted. When substituted, the substituent group(s) is(are) one or more group(s) individually and independently selected from alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl,

aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, hydroxy, protected hydroxyl, alkoxy, aryloxy, acyl, ester, mercapto, alkylthio, arylthio, cyano, halogen, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, protected C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino, including mono- and di-substituted amino groups, and the protected derivatives thereof. Wherever a substituent is described as being "optionally substituted" that substitutent may be substituted with one of the above substituents.

[0076] As used herein, "alkenyl" refers to an alkyl group that contains in the straight or branched hydrocarbon chain one or more double bonds. An alkenyl group of this invention may be unsubstituted or substituted. When substituted, the substituent(s) may be selected from the same groups disclosed above with regard to alkyl group substitution.

[0077] As used herein, "alkynyl" refers to an alkyl group that contains in the straight or branched hydrocarbon chain one or more triple bonds. An alkynyl group of this invention may be unsubstituted or substituted. When substituted, the substituent(s) may be selected from the same groups disclosed above with regard to alkyl group substitution.

[0078] As used herein, "aryl" refers to a carbocyclic (all carbon) ring or two or more fused rings (rings that share two adjacent carbon atoms) that have a fully delocalized pi-electron system. Examples of aryl groups include, but are not limited to, benzene, naphthalene and azulene. An aryl group of this invention may be substituted or unsubstituted. When substituted, hydrogen atoms are replaced by substituent group(s) that is(are) one or more group(s) independently selected from alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, hydroxy, protected hydroxyl, alkoxy, aryloxy, acyl, ester, mercapto, alkylthio, arylthio, cyano, halogen, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, protected C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and

amino, including mono- and di-substituted amino groups, and the protected derivatives thereof.

[0079] As used herein, "heteroaryl" refers to a monocyclic or multicyclic aromatic ring system (a ring system with fully delocalized pi-electron system), one or two or more fused rings that contain(s) one or more heteroatoms, that is, an element other than carbon, including but not limited to, nitrogen, oxygen and sulfur. Examples of heteroaryl rings include, but are not limited to, furan, thiophene, phthalazine, pyrrole, oxazole, thiazole, imidazole, pyrazole, isoxazole, isothiazole, triazole, thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine and triazine. A heteroaryl group of this invention may be substituted or unsubstituted. When substituted, hydrogen atoms are replaced by substituent group(s) that is(are) one or more group(s) independently selected from alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, hydroxy, protected hydroxyl, alkoxy, aryloxy, acyl, ester, mercapto, alkylthio, arylthio, cyano, halogen, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, protected C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino, including mono- and di-substituted amino groups, and the protected derivatives thereof.

[0080] An "aralkyl" is an aryl group connected, as a substituent, via a lower alkylene group. The lower alkylene and aryl group of an aralkyl may be substituted or unsubstituted. Examples include but are not limited to benzyl, substituted benzyl, 2-phenylethyl, 3-phenylpropyl, and naphtylalkyl.

[0081] A "heteroaralkyl" is heteroaryl group connected, as a substituent, via a lower alkylene group. The lower alkylene and heteroaryl group of heteroaralkyl may be substituted or unsubstituted. Examples include but are not limited to 2-thienylmethyl, 3-thienylmethyl, furylmethyl, thienylethyl, pyrrolylalkyl, pyridylalkyl, isoxazollylalkyl, and imidazolylalkyl, and their substituted as well as benzo-fused analogs.

[0082] "Lower alkylene groups" are straight-chained tethering groups, forming bonds to connect molecular fragments via their terminal carbon atoms. Examples include but

are not limited to methylene (-CH_2-), ethylene (-CH_2CH_2-), propylene (-$CH_2CH_2CH_2$-), and butylene (-$(CH_2)_4$-) groups. A lower alkylene group may be substituted or unsubstituted.

[0083] As used herein, "alkylidene" refers to a divalent group, such as =CR'R'', which is attached to one carbon of another group, forming a double bond, Alkylidene groups include, but are not limited to, methylidene (=CH_2) and ethylidene (=$CHCH_3$). As used herein, "arylalkylidene" refers to an alkylidene group in which either R' and R'' is an aryl group. An alkylidene group may be substituted or unsubstituted.

[0084] As used herein, "alkoxy" refers to the formula –OR wherein R is an alkyl is defined as above, e.g. methoxy, ethoxy, n-propoxy, 1-methylethoxy (isopropoxy), n-butoxy, iso-butoxy, sec-butoxy, tert-butoxy, amoxy, tert-amoxy and the like. An alkoxy may be substituted or unsubstituted.

[0085] As used herein, "alkylthio" refers to the formula –SR wherein R is an alkyl is defined as above, e.g. methylmercapto, ethylmercapto, n-propylmercapto, 1-methylethylmercapto (isopropylmercapto), n-butylmercapto, iso-butylmercapto, sec-butylmercapto, tert-butylmercapto, and the like. An alkylthio may be substituted or unsubstituted.

[0086] As used herein, "aryloxy" and "arylthio" refers to RO- and RS-, in which R is an aryl, such as but not limited to phenyl. Both an aryloxyl and arylthio may be substituted or unsubstituted.

[0087] As used herein, "acyl" refers to a hydrogen, alkyl, alkenyl, alkynyl, or aryl connected, as substituents, via a carbonyl group. Examples include formyl, acetyl, propanoyl, benzoyl, and acryl. An acyl may be substituted or unsubstituted. An acyl may be substituted or unsubstituted.

[0088] As used herein, "cycloalkyl" refers to a completely saturated (no double bonds) mono- or multi- cyclic hydrocarbon ring system. When composed of two or more rings, the rings may be joined together in a fused, bridged or spiro-connected fashion. Cycloalkyl groups of this invention may range from C_3 to C_{10}, in other embodiments it may range from C_3 to C_6. A cycloalkyl group may be unsubstituted or substituted. Typical cycloalkyl groups include, but are in no way limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like. If substituted, the substituent(s) may be an alkyl or selected from

those indicated above with regard to substitution of an alkyl group unless otherwise indicated.

[0089] As used herein, "cycloalkenyl" refers to a cycloalkyl group that contains one or more double bonds in the ring although, if there is more than one, they cannot form a fully delocalized pi-electron system in the ring (otherwise the group would be "aryl," as defined herein). When composed of two or more rings, the rings may be connetected together in a fused, bridged or spiro-connected fashion. A cycloalkenyl group of this invention may be unsubstituted or substituted. When substituted, the substituent(s) may be an alkyl or selected from the groups disclosed above with regard to alkyl group substitution unless otherwise indicated.

[0090] As used herein, "cycloalkynyl" refers to a cycloalkyl group that contains one or more triple bonds in the ring. When composed of two or more rings, the rings may be joined together in a fused, bridged or spiro-connected fashion. A cycloalkynyl group of this invention may be unsubstituted or substituted. When substituted, the substituent(s) may be an alkyl or selected from the groups disclosed above with regard to alkyl group substitution unless otherwise indicated.

[0091] A "(cycloalkyl)alkyl" is a cycloalkyl group connected, as a substituent, via a lower alkylene group. The lower alkylene and cycloalkyl of a (cycloalkyl)alkyl may be substituted or unsubstituted. Examples include but are not limited cyclopropylmethyl, cyclobutylmethyl, cyclopropylethyl, cyclopropylbutyl, cyclobutylethyl, cyclopropylisopropyl, cyclopentylmethyl, cyclopentylethyl, cyclohexylmethyl, cyclohexylethyl, cycloheptylmethyl, and the like.

[0092] A "(cycloalkenyl)alkyl" is a cycloalkenyl group connected, as a substituent, via a lower alkylene group. The lower alkylene and cycloalkenyl of a (cycloalkenyl)alkyl may be substituted or unsubstituted.

[0093] A "(cycloalkynyl)alkyl" is a cycloalkynyl group connected, as a substituent, via a lower alkylene group. The lower alkylene and cycloalkynyl of a (cycloalkynyl)alkyl may be substituted or unsubstituted.

[0094] As used herein, "heteroalicyclic" or "heteroalicyclyl" refers to a stable 3- to 18 membered ring which consists of carbon atoms and from one to five heteroatoms

selected from the group consisting of nitrogen, oxygen and sulfur. For the purpose of this invention, the "heteroalicyclic" or "heteroalicyclyl" may be monocyclic, bicyclic, tricyclic, or tetracyclic ring system, which may be joined together in a fused, bridged or spiro-connected fashion; and the nitrogen, carbon and sulfur atoms in the "heteroalicyclic" or "heteroalicyclyl" may be optionally oxidized; the nitrogen may be optionally quaternized; and the rings may also contain one or more double bonds provided that they do not form a fully delocalized pi-electron system throughout all the rings. Heteroalicyclyl groups of this invention may be unsubstituted or substituted. When substituted, the substituent(s) may be one or more groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, hydroxy, protected hydroxyl, alkoxy, aryloxy, acyl, ester, mercapto, alkylthio, arylthio, cyano, halogen, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, protected C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino, including mono- and di-substituted amino groups, and the protected derivatives thereof. Examples of such "heteroalicyclic" or "heteroalicyclyl" include but are not limited to, azepinyl, acridinyl, carbazolyl, cinnolinyl, dioxolanyl, imidazolinyl, morpholinyl, oxiranyl, piperidinyl *N*-Oxide, piperidinyl, piperazinyl, pyrrolidinyl, 4-piperidonyl, pyrazolidinyl, 2-oxopyrrolidinyl, thiamorpholinyl, thiamorpholinyl sulfoxide, and thiamorpholinyl sulfone.

[0095] An "(heteroalicyclyl)alkyl" is a heterocyclic or a heterocyclyl group connected, as a substituent, via a lower alkylene group. The lower alkylene and heterocyclic or a heterocyclyl of a (heteroalicyclyl)alkyl may be substituted or unsubstituted. Examples include but are not limited 4-methyltetrahydro-2H-pyran, substituted 4-methyltetrahydro-2H-pyran, 4-ethylpiperidine, 4-propylpiperidine, 4-methyltetrahydro-2H-thiopyran, and 4-methyl-1,3-thiazinane.

[0096] As used herein, "halo" or "halogen" refers to F (fluoro), Cl (chloro), Br (bromo) or I (iodo).

[0097] As used herein, "haloalkyl" refers to an alkyl group in which one or more of the hydrogen atoms are replaced by halogen. Such groups include but are not limited to, chloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl and 1-chloro-2-fluoromethyl, 2-fluoroisobutyl. A haloalkyl may be substituted or unsubstituted.

[0098] As used herein, "haloalkoxy" refers to an "RO-" group in which R is a haloalkyl group. Such groups include but are not limited to, chloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy and 1-chloro-2-fluoromethoxy, 2-fluoroisobutyoxy. A haloalkoxy may be substituted or unsubstituted.

[0099] An "O-carboxy" group refers to an "RC(=O)O-" group in which R can be hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, or (heteroalicyclyl)alkyl, as defined herein. An O-carboxy may be substituted or unsubstituted.

[0100] A "C-carboxy" group refers to a "-C(=O)R" group in which R can be the same as defined with respect to O-carboxy. A C-carboxy may be substituted or unsubstituted.

[0101] A "trihalomethanesulfonyl" group refers to an "X_3CSO_2-" group wherein X is a halogen.

[0102] A "cyano" group refers to a "-CN" group.

[0103] An "isocyanato" group refers to an "-NCO" group.

[0104] A "thiocyanato" group refers to a "-CNS" group.

[0105] An "isothiocyanato" group refers to an " -NCS" group.

[0106] A "sulfinyl" group refers to an "-S(=O)-R" group in which R can be the same as defined with respect to O-carboxy. A sulfinyl may be substituted or unsubstituted.

[0107] A "sulfonyl" group refers to an "SO_2R" group in which R can be the same as defined with respect to O-carboxy. A sulfonyl may be substituted or unsubstituted.

[0108] An "S-sulfonamido" group refers to an "-$SO_2NR_AR_B$" group in which R_A and R_B can be the same as defined with respect to O-carboxy. An S-sulfonamido may be substituted or unsubstituted.

[0109] An "N-sulfonamido" group refers to an "$RSO_2N(R_A)$-" group in which R and R_A can be the same as defined with respect to O-carboxy. A sulfonyl may be substituted or unsubstituted.

[0110] A "trihalomethanesulfonamido" group refers to an "$X_3CSO_2N(R)$-" group with X as halogen and R can be the same as defined with respect to O-carboxy. A trihalomethanesulfonamido may be substituted or unsubstituted.

[0111] An "O-carbamyl" group refers to an "-$OC(=O)NR_AR_B$" group in which R_A and R_B can be the same as defined with respect to O-carboxy. An O-carbamyl may be substituted or unsubstituted.

[0112] An "N-carbamyl" group refers to an "$ROC(=O)NR_A$ -" group in which R and R_A can be the same as defined with respect to O-carboxy. An N-carbamyl may be substituted or unsubstituted.

[0113] An "O-thiocarbamyl" group refers to an "-$OC(=S)$-NR_AR_B" group in which R_A and R_B can be the same as defined with respect to O-carboxy. An O-thiocarbamyl may be substituted or unsubstituted.

[0114] An "N-thiocarbamyl" group refers to an "$ROC(=S)NR_A$-" group in which R and R_A can be the same as defined with respect to O-carboxy. An N-thiocarbamyl may be substituted or unsubstituted.

[0115] A "C-amido" group refers to a "-$C(=O)NR_AR_B$" group in which R_A and R_B can be the same as defined with respect to O-carboxy. A C-amido may be substituted or unsubstituted.

[0116] An "N-amido" group refers to an "$RC(=O)NR_A$-" group in which R and R_A can be the same as defined with respect to O-carboxy. An N-amido may be substituted or unsubstituted.

[0117] An "ester" refers to a "–$C(=O)OR$" group in which R can be the same as defined with respect to O-carboxy. An ester may be substituted or unsubstituted.

[0118] As used herein, an "amide" refers to a "–$C(=O)NR_AR_B$" group in which R_A and R_B can be the same as R defined with respect to O-carboxy.

[0119] Any unsubstituted or monosubstituted amine group on a compound herein can be converted to an amide, any hydroxyl group can be converted to an ester and any carboxyl group can be converted to either an amide or ester using techniques well-known to those skilled in the art (see, for example, Greene and Wuts, Protective Groups in Organic Synthesis, 3rd Ed., John Wiley & Sons, New York, NY, 1999).

[0120] Where the numbers of substituents is not specified (e.g. haloalkyl), there may be one or more substituents present. For example "haloalkyl" may include one or more of the same or different halogens. As another example, "C1-C3 alkoxyphenyl" may include one or more of the same or different alkoxygroups containing one, two or three atoms.

[0121] As used herein, the abbreviations for any protective groups, amino acids and other compounds, are, unless indicated otherwise, in accord with their common usage, recognized abbreviations, or the IUPAC-IUB Commission on Biochemical Nomenclature (See, Biochem. 11:942-944 (1972)).

[0122] As employed herein, the following terms have their accepted meaning in the chemical literature.

AcOH	Acetic acid
anhyd	anhydrous
CDI	1,1'-carbonyldiimidazole
DCM	Dichloromethane
DMF	*N,N*-Dimethylformamide
DMAP	4-Dimethylaminopyridine
DMSO	Dimethyl sulfoxide
EDCI	1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride
Et_2O	Diethyl ether
EtOAc	Ethyl acetate
EtOH	Ethanol
MeOH	Methanol
MeCN	Acetonitrile
NH_4OAc	Ammonium acetate
NMM	*N*-Methylmorpholine
HOBt	1-Hodroxybenztriazole
Pd/C	Palladium on activated carbon
TEA	Triethylamine
THF	Tetrahydrofuran
uW, MW	Microwave reactor chemistry

[0123] It is understood that, in any compound of this invention having one or more chiral centers, if an absolute stereochemistry is not expressly indicated, then each center may independently be of R-configuration or S-configuration or a mixture thereof. Thus, the compounds provided herein may be enatiomerically pure or be stereoisomeric or diastereomeric mixtures. In addition it is understood that, in any compound of this invention having one or more double bond(s) generating geometrical isomers that can be defined as E or Z each double bond may independently be E or Z a mixture thereof. Likewise, all tautomeric forms are also intended to be included.

[0124] As used herein, "pharmaceutically acceptable salt" refers to a salt of a compound that does not abrogate the biological activity and properties of the compound. Pharmaceutical salts can be obtained by reaction of a compound disclosed herein with an acid or base. Base-formed salts include, without limitation, ammonium salt (NH_4^+); alkali metal, such as, without limitation, sodium or potassium, salts; alkaline earth, such as, without limitation, calcium or magnesium, salts; salts of organic bases such as, without limitation, dicyclohexylamine, N-methyl-D-glucamine, tris(hydroxymethyl)methylamine; and salts with the amino group of amino acids such as, without limitation, arginine and lysine. Useful acid-based salts include, without limitation, hydrochlorides, hydrobromides, sulfates, nitrates, phosphates, methanesulfonates, ethanesulfonates, p-toluenesulfonates and salicylates.

[0125] Pharmaceutically acceptable solvates and hydrates are complexes of a compound with one or more solvent of water molecules, or 1 to about 100, or 1 to about 10, or one to about 2, 3 or 4, solvent or water molecules.

[0126] As used herein, a "prodrug" refers to a compound that may not be pharmaceutically active but that is converted into an active drug upon *in vivo* administration. The prodrug may be designed to alter the metabolic stability or the transport characteristics of a drug, to mask side effects or toxicity, to improve the flavor of a drug or to alter other characteristics or properties of a drug. Prodrugs are often useful because they may be easier to administer than the parent drug. They may, for example, be bioavailable by oral administration whereas the parent drug is not. The prodrug may also have better solubility than the active parent drug in pharmaceutical compositions. An example, without limitation, of a prodrug would be a compound disclosed herein, which is administered as an ester (the

"prodrug") to facilitate absorption through a cell membrane where water solubility is detrimental to mobility but which then is metabolically hydrolyzed to a carboxylic acid (the active entity) once inside the cell where water-solubility is beneficial. A further example of a prodrug might be a short peptide (polyaminoacid) bonded to an acid group where the peptide is metabolized *in vivo* to release the active parent compound. By virtue of knowledge of pharmacodynamic processes and drug metabolism *in vivo*, those skilled in the art, once a pharmaceutically active compound is known, can design prodrugs of the compound (see, *e.g.* Nogrady (1985) *Medicinal Chemistry A Biochemical Approach*, Oxford University Press, New York, pages 388-392)

[0127] As used herein, the term "complement" refers to a oligonucleotide or polynucleotide that hybridizes by base-pairing, adenine to tyrosine and guanine to cytosine, to another oligonucleotide.

[0128] As used herein, to "modulate" the activity of a Ghrelin receptor means either to activate it, i.e., to increase its cellular function over the base level measured in the particular environment in which it is found, or deactivate it, i.e., decrease its cellular function to less than the measured base level in the environment in which it is found and/or render it unable to perform its cellular function at all, even in the presence of a natural binding partner. A natural binding partner is an endogenous molecule that is an agonist for the receptor.

[0129] As used herein, to "detect" changes in the activity of a Ghrelin receptor or of a Ghrelin receptor sub-type refers to the process of analyzing the result of an experiment using whatever analytical techniques are best suited to the particular situation. In some cases simple visual observation may suffice, in other cases the use of a microscope, visual or UV light analyzer or specific protein assays may be required. The proper selection of analytical tools and techniques to detect changes in the activity of a Ghrelin receptor or a Ghrelin receptor sub-type are well-known to those skilled in the art.

[0130] An "agonist" is defined as a compound that increases the basal activity of a receptor (i.e. signal transduction mediated by the receptor).

[0131] As used herein, "partial agonist" refers to a compound that has an affinity for a receptor but, unlike an agonist, when bound to the receptor it elicits only a fractional

degree of the pharmacological response normally associated with the receptor even if a large number of receptors are occupied by the compound.

[0132] An "inverse agonist" is defined as a compound, which reduces, or suppresses the basal activity of a receptor, such that the compound is not technically an antagonist but, rather, is an agonist with negative intrinsic activity.

[0133] As used herein, "antagonist" refers to a compound that binds to a receptor to form a complex that does not give rise to any response, as if the receptor was unoccupied. An antagonist attenuates the action of an agonist on a receptor. An antagonist may bind reversibly or irreversibly, effectively eliminating the activity of the receptor permanently or at least until the antagonist is metabolized or dissociates or is otherwise removed by a physical or biological process.

[0134] As used herein, "IC_{50}" refers to an amount, concentration of dosage of a particular test compound that achieves a 50% inhibition of a maximal response, such as modulation of GPCR, including Ghrelin receptor, activity, in an assay that measures such response in an assay that measures such response for example but not limited to R-SAT® described herein.

[0135] As used herein, "EC_{50}" refers to an dosage, concentration or amount of a particular test compound that elicits a dose-dependent respons at 50% of maximal expression of a particular response that is induced, provoked or potentiated by the particular test compound, in an assay that measures such response for example but not limited to R-SAT® described herein.

[0136] The term "therapeutically effective amount" is used to indicate an amount of an active compound, or pharmaceutical agent, that elicits the biological or medicinal response indicated. This response may occur in a tissue, system, animal or human that is being sought by a researcher, veterinarian, medical doctor or other clinician, and includes alleviation of the symptoms of the disease being treated.

[0137] As used herein, a "subject" refers to an animal that is the object of treatment, observation or experiment. "Animal" includes cold- and warm-blooded vertebrates and invertebrates such as fish, shellfish, reptiles and, in particular, mammals. "Mammal" includes, without limitation, mice; rats; rabbits; guinea pigs; dogs; cats; sheep;

goats; cows; horses; primates, such as monkeys, chimpanzees, and apes, and, in particular, humans.

[0138] As used herein, a "patient" refers to a subject that is being treated in order to attempt to cure, or at least ameliorate the effects of, a particular disease or disorder or to prevent the disease or disorder from occurring in the first place.

[0139] As used herein, the terms "treating," "treatment," "therapeutic," or "therapy" do not necessarily mean total cure or abolition of the disease or condition. Any alleviation of any undesired signs or symptoms of a disease or condition, to any extent can be considered treatment or therapy. Furthermore, treatment may include acts that may worsen the patient's overall feeling of well-being or appearance.

[0140] As used herein, a "carrier" refers to a compound that facilitates the incorporation of a compound into cells or tissues. For example, without limitation, dimethyl sulfoxide (DMSO) is a commonly utilized carrier that facilitates the uptake of many organic compounds into cells or tissues of a subject.

[0141] As used herein, a "diluent" refers to an ingredient in a pharmaceutical composition that lacks pharmacological activity but may be pharmaceutically necessary or desirable. For example, a diluent may be used to increase the bulk of a potent drug whose mass is too small for manufacture or administration. It may also be a liquid for the dissolution of a drug to be administered by injection, ingestion or inhalation. A common form of diluent in the art is a buffered aqueous solution such as, without limitation, phosphate buffered saline that mimics the composition of human blood.

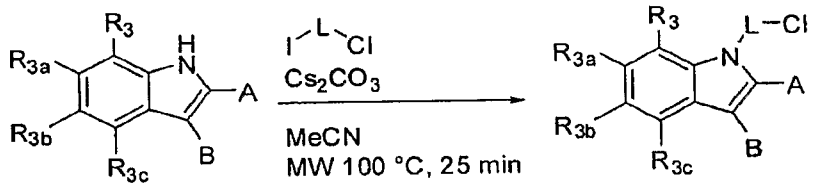
[0142] As used herein, an "excipient" refers to an inert substance that is added to a pharmaceutical composition to provide, without limitation, bulk, consistency, stability, binding ability, lubrication, disintegrating ability etc., to the composition. A "diluent" is a type of excipient.

Synthesis

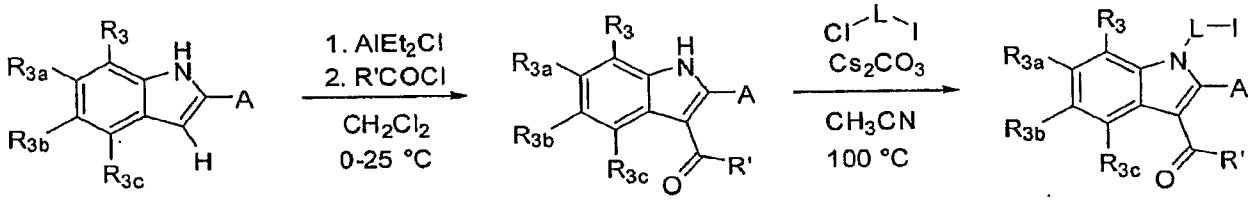
[0143] General synthetic routes to the compounds of this invention are shown in Scheme 1-11. The routes shown are illustrative only and are not intended, nor are they to be construed, to limit the scope of this invention in any manner whatsoever. Those skilled in the

art will be able to recognize modifications of the disclosed synthesis and to devise alternate routes based on the disclosures herein; all such modifications and alternate routes are within the scope of this invention.

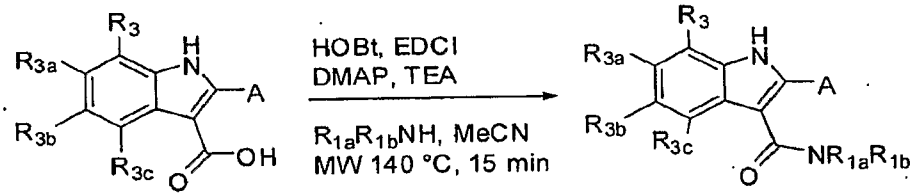
Scheme 1: Attachmet of a linker to the indole core



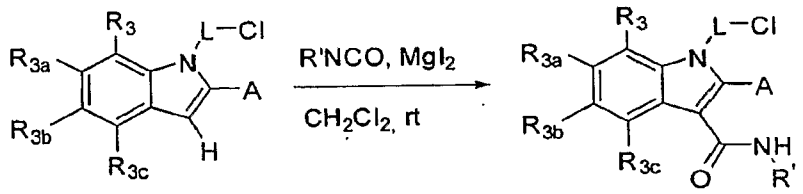
Scheme 2: Aluminium mediated 3-acylation on an indole



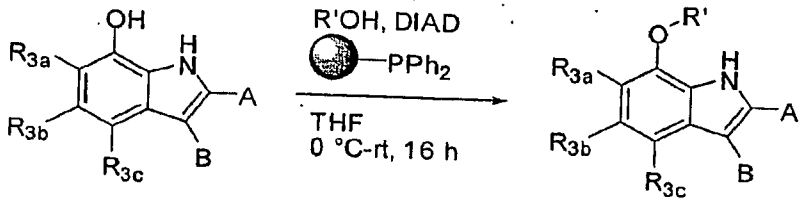
Scheme 3: Amide coupling on an indole



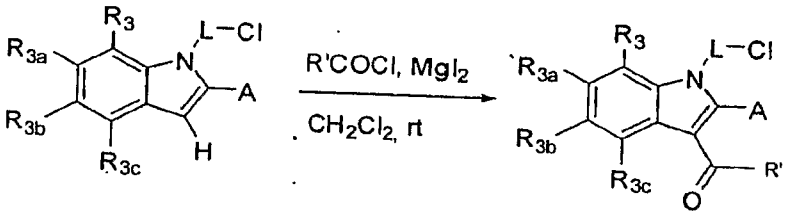
Scheme 4: Magnesium catalyzed 3-carboxyamination on an indole



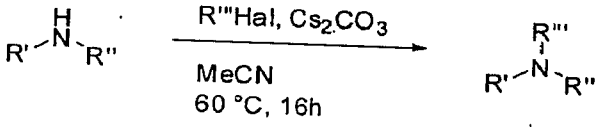
Scheme 5: Mitsunobu coupling of a phenol



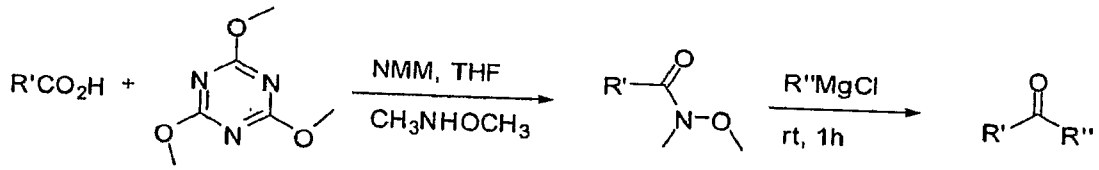
Scheme 6: Magnesium catalyzed 3-acylation on an indole



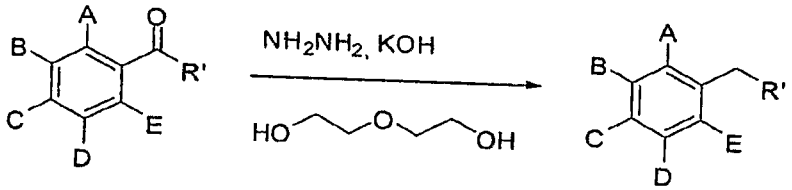
Scheme 7: N-alkylation of secondary amines



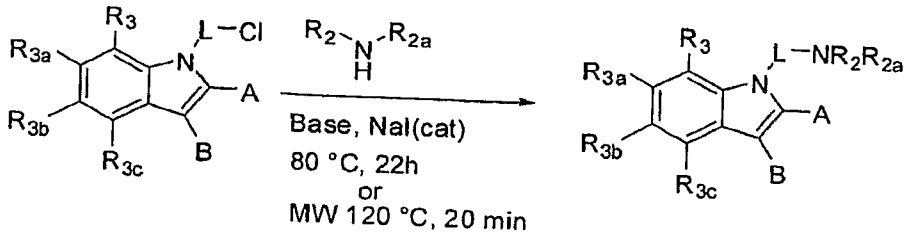
Scheme 8: Ketone synthesis via a Weinreb amide



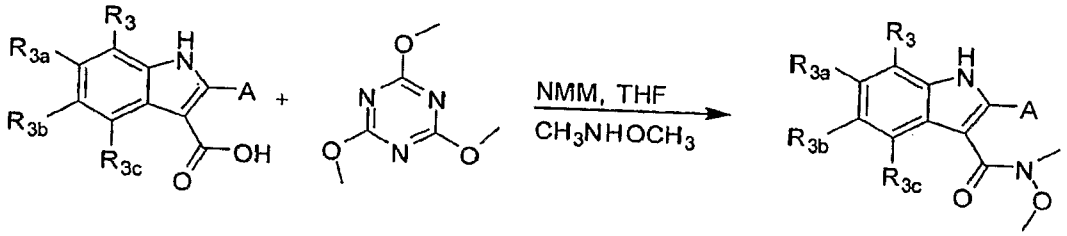
Scheme 9: Reduction of a aryl alkyl ketone



Scheme 10: General synthesis of examples and library compounds



Scheme 11: Formation of Weinrebamide on an indole



Methods of Use

[0144] Some embodiments disclosed herein relate to methods for treating or preventing diseases or conditions by administering one or more compounds of Formula I and/or a compound described herein. A non-limiting list of diseases or conditions include but are not limited to obesity, an obesity-associated disorder, a metabolic disorder, metabolic syndrome, an endocrine disorder, an appetite disorder, an eating disorder, an eating disorder requiring appetite control, atherosclerosis, diabetes, diabetes mellitus, high cholesterol, hyperlipidemia, cachexia, anorexia, bulimia, inflammation, a chronic inflammatory disorder, rheumatoid arthritis, asthma, psoriasis, a cardiovascular disorder, angina, cardiac ischemia, cardiac failure, heart disease, congestive heart failure, ischemic heart disease, chronic heart disease, hemorrhagic shock, septic shock, cirrhosis, a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, a cognitive disorder, a gastrointestinal disorder, reduced gastric motility, reduced gastric and intestinal motility, excessive gastric motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, diarrhea, gastroparesis, diabetic gastroparesis, opioid-induced bowel dysfunction, chronic intestinal pseudoobstruction, a sleep disorder, insomnia, a hyperproliferative disorder, cancer, cancer cachexia, dwarfism, osteoporosis, a

catabolic state, somatopause, osteopenia, a disorder of the pancreas, a hormone deficiency, gastrointestinal dumping syndrome, postgastroenterectomy syndrome, celiac disease, AIDS, wasting, age-related decline in body composition, hypertension, retinopathy, dyslipidemia, a gall stone, osteoarthritis, congestive heart failure, insulin resistance, burn, wound, protein loss, sexual dysfunction, a central nervous system disorder, a genetic disorder, irritable bowel syndrome (IBS), non-ulcer dyspepsia, Crohn's disease, a gastroesophogeal reflux disorder, constipation, ulcerative colitis, pancreatitis, infantile hypertrophic pyloric stenosis, carcinoid syndrome, malabsorption syndrome, atrophic colitis, gastritis, gastric stasis, frailty, acromegaly, and protein loss.

[0145] Other embodiments disclosed herein relate to methods for treating impaired or risk of impaired wound healing, impaired or risk of impaired recovery from burns, impaired or risk of impaired recovery from surgery, impaired or risk of impaired muscle strength, impaired or risk of impaired mobility, altered or risk of altered skin thickness, impaired or risk of impaired metabolic homeostasis, or impaired or risk of impaired renal homeostasis.

[0146] Still other embodiments disclosed herein relate to methods for facilitating neonatal development, stimulating growth hormone release in humans, maintaining muscle strength and function in humans, reversing or preventing of frailty in humans, preventing of catabolic side effects of glucocorticoids, treating osteoporosis, stimulating and increasing muscle mass and/or muscle strength, stimulating the immune system, attenuating protein catabolic response, accelerating wound healing, accelerating bone fracture repair, treating renal failure or insufficiencies resulting in growth retardation, treating short stature, treating obesity and growth retardation, accelerating the recovery and reducing hospitalization of burn patients, treating intrauterine growth retardation, treating skeletal dysphasia, treating hypercortisolism, treating Cushing's syndrome, inducing pulsatile growth hormone release, replacing growth hormone in stressed patients, treating osteochondrodysplasias, treating Noonans syndrome, treating schizophrenia, treating depression, treating Alzheimer's disease, treating emesis, treating memory loss, treating reproduction disorders, treating delayed wound healing, treating psychosocial deprivation, treating pulmonary dysfunction, treating ventilator dependency; attenuating protein catabolic response, reducing cachexia and protein

loss, treating hyperinsulinemia, improving ovulation induction, stimulating thymic development, preventing thymic function decline, treating immunosuppressed patients, improving muscle mobility, maintaining skin thickness, promoting metabolic homeostasis, promoting renal homeostasis, stimulating osteoblasts, stimulating bone remodeling, stimulating cartilage growth, stimulating the immune system in companion animals, treating disorders of aging in companion animals, promoting growth in livestock, and/or stimulating wool growth in sheep.

[0147] In one embodiment, a method of treating or preventing a disorder or condition comprises administering to a subject a therapeutically effective amount of a compound of Formula I and/or a compound described herein, for the purpose of alleviating and/or controlling the symptoms associated with these disorders or conditions.

[0148] In still another embodiment, the compound of Formula I and/or a compound described herein can modulate, agonize, inverse agonize, and/or antagonize a ghrelin receptor. In some embodiments, the compound of Formula I and/or a compound described herein can inverse agonize, and/or antagonize a ghrelin receptor.

[0149] Some embodiments described herein relate to the treatment of an eating disorder or condition related to an eating disorder. Treatment of eating disorders can include controlling the symptoms observed during these disorder or conditions, such as, for example, increased appetite and binge eating. In one embodiment, a method of treating an eating disorder or condition comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein, to a subject for the purpose of treating eating disorders requiring appetite control. In another embodiment, a method of treating an eating disorder or condition comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a subject suffering from a symptom of an eating disorder requiring appetite control. In still another embodiment, a method of treating an eating disorder or condition comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating obesity and disorders associated with obesity. A non-limiting list of eating disorders and conditions associated with eating disorders includes obesity, metabolic syndrome, appetite disorders,

cachexia, anorexia, bulemia, high cholesterol, hyperlipidemia, heart disease, atherosclerosis, and diabetes.

[0150] Other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of promoting weight loss in a subject in need thereof. Promotion of weight loss can include reversing anabolic states.

[0151] Still other embodiments described herein relate to a method of preventing weight gain or weight loss in a subject comprising administering to a subject a therapeutically effective amount of a compound of Formula 1 and/or a compound described herein. Weight gain can be caused from a medication the subject is taking such as insulin, thiazolidinedione, sulfonylurea, corticosteroid, progestational steroid, antihistamine, alpha-adrenergic blocker, beta-adrenergic blocker, an antidepressant (e.g., a tricyclic antidepressant, selective serotonin reuptake inhibitor, a monoamine inhibitor, lithium), antipsychotic, and anticonvulsant. Weight loss can be caused by chemotherapy, radiation therapy, temporary immobilization, permanent immobilization or dialysis. In one embodiment, the compound of Formula I and/or a compound described herein can be used to prevent weight gain following weight loss by a subject.

[0152] Yet still other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of maintaining the weight of a subject in need thereof.

[0153] Some embodiments disclosed herein relate to a method for the treatment of post-operative ileus and/or cachexia comprising comprise administering to the subject a pharmaceutically effective amount of a compound of Formula 1 and/or a compound described herein. Causes of post-operative ileus and/or cachexia include but are not limited to cancer, AIDS, cardiac disease and renal disease, gastroparesis, such as that resulting from type I or type II diabetes, other gastrointestinal disorders, growth hormone deficiency, bone loss, and other age-related disorders.

[0154] Other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a

compound described herein to a subject for the purpose of treating a gastrointestinal disorder. Treatment of gastrointestinal disorders can include reversing the symptoms observed with these syndromes. Such symptoms can include loss of gastric motility or excessive gastric motility. Gastrointestinal disorders treatable by the methods of the present invention include, but are not limited to, reduced gastric and intestinal motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, and/or diarrhea.

[0155] Yet other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a cardiovascular disorder. Cardiovascular disorders treatable by the methods of the present invention include, but are not limited to, angina, cardiac ischemia, cardiac failure, heart disease, and related vascular disorders like atherosclerosis. In another embodiment, the methods of the present invention can also be effective in reducing cardiac afterload and/or increasing cardiac output.

[0156] Yet still other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a sleep disorder such as insomnia or narcolepsy. In one embodiment, the methods of improving sleep architecture, facilitating induction of sleep, and/or improving the quality of sleep comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject. In another embodiment, the methods of improving sleep architecture, facilitating induction of sleep, and/or improving the quality of sleep comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein, and a sleep agent such as ambien®, lunesta®, doxepin, indiplon, gaboxadol, and N-(4-fluorobenzyl)-N-(1-methylpiperdin -4-yl)-N'-(4-(2-methylproploxy)phenylmethyl)carbamide. In still another embodiment, the method for maintaining the sleep of a subject comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein. In yet still another embodiment, the method for maintaining the sleep of a subject comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described

herein in combination with a sleep agent (e.g, ambien®, lunesta®, doxepin, indiplon, gaboxadol, and N-(4-fluorobenzyl)-N-(1-methylpiperdin -4-yl)-N'-(4-(2-methylproploxy)phenylmethyl)carbamide. In one embodiment, the method for facilitating alertness or awakefulness comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein. In another embodiment, the method for facilitating alertness or wakefulness comprises administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject, wherein the subject can be taking an agent that causes drowsiness or induces sleep (e.g., a sedative, ambien®, lunesta®, doxepin, or gaboxadol).

[0157] Some embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a hyperproliferative disorder such as a tumor, cancer, and a neoplastic disorder, as well as a premalignant and non-neoplastic or non-malignant hyperproliferative disorder. In another embodiment, the methods of the present invention can also be effective in controlling unwanted cellular proliferation associated with a cancer. A non-limiting list of hyperproliferative disorders include but are not limited to malignant disorders such as breast cancers, osteosarcomas, angiosarcomas, fibrosarcomas and other sarcomas, leukemias, lymphomas, sinus tumors, ovarian cancers, uretal cancers, bladder cancers, prostate cancers, other genitourinary cancers, colon cancers, esophageal cancers, stomach cancers, other gastrointestinal cancers, lung cancers, myelomas, pancreatic cancers, liver cancers, kidney cancers, endocrine cancers, gliomas, neuroblastomas, skin cancers, brain cancers, and central and peripheral nervous (CNS) system tumors.

[0158] Other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of diagnosing a hormone deficiency (e.g., production of a growth hormone, ACTH, cortisol, insulin-like growth factor 1 (IGF-1), and/or prolactin) In another embodiment, the methods of the present invention can also be effective in modulating hormone production.

[0159] Yet other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a hormone deficiency. Hormone deficiency disorders treatable by the methods of the present invention include, but are not limited to, deficiencies in producing growth hormone, ACTH, cortisol, insulin-like growth factor 1 (IGF-1), and/or prolactin.

[0160] Yet still other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating dwarfism, osteoporosis, a catabolic state, somatopause, and/or osteopenia.

[0161] Some embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a disorder of the pancreas.

[0162] Other embodiments described herein relates to a method of controlling the level of glucose in a subject comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject.

[0163] Yet other embodiments described herein relates to a method of treating diabetes in a subject comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject.

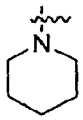
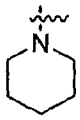
[0164] Yet still other embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a compound described herein to a subject for the purpose of treating a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, and/or a cognitive disorder. In another embodiment, the methods of the present invention can also be effective in relieving symptoms of anxiety and/or improving memory. In one embodiment, a compound of Formula I and/or a compound described herein can be used to alleviate or treat a symptom associated with a neurological disorder comprising administering to a subject with altered cognition a compound of Formula I and/or a compound described herein.

[0165] Some embodiments described herein relate to methods comprising administering a therapeutically effective amount of a compound of Formula I and/or a

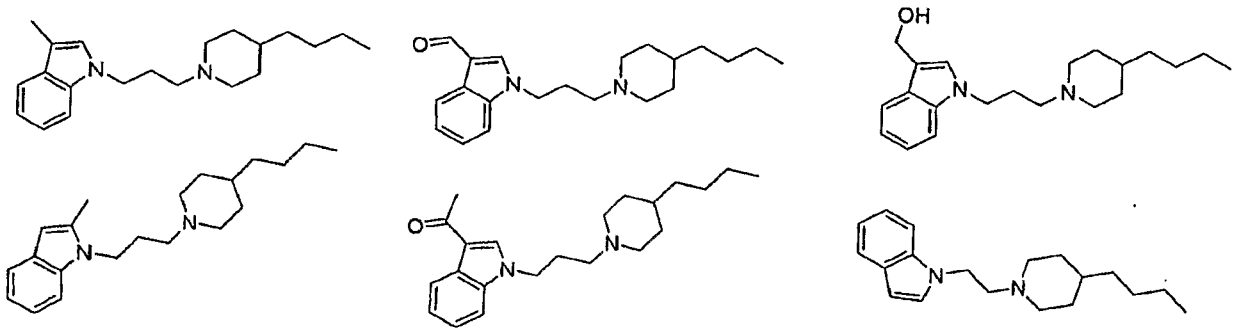
compound described herein to a subject for the purpose of treating inflammation. The causes of the inflammation include but are not limited to a chronic inflammatory disorder, rheumatoid arthritis, asthma, an allergy, and/or psoriasis.

[0166] Other embodiments disclosed herein relate to methods for treating diseases or conditions by administering one or more compounds of Formula I and/or a compound described herein comprising identifying a subject in need of treatment or prevention and administering to the subject a therapeutically effective amount of a compound of Formula I and/or a compound described herein.

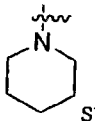
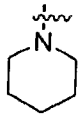
[0167] One embodiment described herein relates a method of identifying a compound which regulates activity of the Ghrelin receptor by culturing cells that express the Ghrelin receptor; incubating the cells with at least one compound of Formula I and/or a compound described herein as defined herein; and determining any change in activity of the Ghrelin receptor so as to identify a compound of Formula I and/or a compound described herein which regulates activity of the Ghrelin receptor.

[0168] In any of the methods described herein, in some embodiments, the compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, has the structure described herein provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with n-butyl at the para-position, then B cannot be selected from the group consisting of methyl, -C(=O)R_1, and $-CH_2OH$, wherein R_1 is hydrogen or methyl; or A cannot be methyl. In any of the methods described herein, in other embodiments, the compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, has the structure described herein provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with an alkyl, such as n-butyl, then A, R_3,

R_{3a}, R_{3b}, and R_{3c} cannot all be hydrogen. In any of the methods described herein, in some embodiments, the compound of formula I can be selected from the group consisting of:



Pharmaceutical Compositions

[0169] Another embodiment described herein relates to a pharmaceutical composition comprising a compound of Formula I and/or a compound described herein, and a physiologically acceptable carrier, diluent, or excipient, or a combination thereof. In some embodiments, a pharmaceutical composition comprises a compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with n-butyl at the para-position, then B cannot be selected from the group consisting of methyl, $-C(=O)R_1$, and $-CH_2OH$, wherein R_1 is hydrogen or methyl; or A cannot be methyl. In other embodiments, a pharmaceutical composition comprises a compound of Formula (I) or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, provided that when R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, form a substituted heteroalicyclyl, wherein the substituted heteroalicyclyl is  substituted with an alkyl, such as n-butyl, then A, R_3, R_{3a}, R_{3b}, and R_{3c} cannot all be hydrogen.

[0170] The term "pharmaceutical composition" refers to a mixture of a compound disclosed herein with other chemical components, such as diluents or carriers. The pharmaceutical composition facilitates administration of the compound to an organism. Multiple techniques of administering a compound exist in the art including, but not limited to, oral, intramuscular, intraocular, intranasal, intravenous, injection, aerosol, parenteral, and topical administration. Pharmaceutical compositions can also be obtained by reacting compounds with inorganic or organic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, methanesulfonic acid, ethanesulfonic acid, p-toluenesulfonic acid, salicylic acid and the like. Pharmaceutical compositions will generally be tailored to the specific intended route of administration.

[0171] The term "physiologically acceptable" defines a carrier or diluent that does not abrogate the biological activity and properties of the compound.

[0172] The pharmaceutical compositions described herein can be administered to a human patient *per se*, or in pharmaceutical compositions where they are mixed with other active ingredients, as in combination therapy, or suitable carriers or excipient(s). Techniques for formulation and administration of the compounds of the instant application may be found in "Remington's Pharmaceutical Sciences," Mack Publishing Co., Easton, PA, 18th edition, 1990, which is hereby incorporated by reference in its entirety.

[0173] Suitable routes of administration may, for example, include oral, rectal, transmucosal, or intestinal administration; parenteral delivery, including intramuscular, subcutaneous, intravenous, intramedullary injections, as well as intrathecal, direct intraventricular, intraperitoneal, intranasal, intraocular injections or as an aerosol inhalant.

[0174] Alternately, one may administer the compound in a local rather than systemic manner, for example, via injection of the compound directly into the area of pain or inflammation, often in a depot or sustained release formulation. Furthermore, one may administer the drug in a targeted drug delivery system, for example, in a liposome coated with a tissue-specific antibody. The liposomes will be targeted to and taken up selectively by the the targeted organ or tissue.

[0175] The pharmaceutical compositions disclosed herein may be manufactured in a manner that is itself known, *e.g.*, by means of conventional mixing, dissolving,

granulating, dragee-making, levigating, emulsifying, encapsulating, entrapping or tableting processes.

[0176] Pharmaceutical compositions for use in accordance with the present disclosure thus may be formulated in conventional manner using one or more physiologically acceptable carriers comprising excipients and auxiliaries, which facilitate processing of the active compounds into preparations, which can be used pharmaceutically. Proper formulation is dependent upon the route of administration chosen. Any of the well-known techniques, carriers, and excipients may be used as suitable and as understood in the art; *e.g.*, as disclosed in Remington's Pharmaceutical Sciences, cited above.

[0177] For injection, the agents disclosed herein may be formulated in aqueous solutions, preferably in physiologically compatible buffers such as Hank's solution, Ringer's solution, or physiological saline buffer. For transmucosal administration, penetrants appropriate to the barrier to be permeated are used in the formulation. Such penetrants are generally known in the art.

[0178] For oral administration, the compounds can be formulated readily by combining the active compounds with pharmaceutically acceptable carriers well known in the art. Such carriers enable the compounds disclosed herein to be formulated as tablets, pills, dragees, capsules, liquids, gels, syrups, slurries, suspensions and the like, for oral ingestion by a patient to be treated. Pharmaceutical preparations for oral use can be obtained by mixing one or more solid excipient with pharmaceutical combination disclosed herein, optionally grinding the resulting mixture, and processing the mixture of granules, after adding suitable auxiliaries, if desired, to obtain tablets or dragee cores. Suitable excipients are, in particular, fillers such as sugars, including lactose, sucrose, mannitol, or sorbitol; cellulose preparations such as, for example, maize starch, wheat starch, rice starch, potato starch, gelatin, gum tragacanth, methyl cellulose, hydroxypropylmethyl-cellulose, sodium carboxymethylcellulose, and/or polyvinylpyrrolidone (PVP). If desired, disintegrating agents may be added, such as the cross-linked polyvinyl pyrrolidone, agar, or alginic acid or a salt thereof such as sodium alginate.

[0179] Dragee cores are provided with suitable coatings. For this purpose, concentrated sugar solutions may be used, which may optionally contain gum arabic, talc,

polyvinyl pyrrolidone, carbopol gel, polyethylene glycol, and/or titanium dioxide, lacquer solutions, and suitable organic solvents or solvent mixtures. Dyestuffs or pigments may be added to the tablets or dragee coatings for identification or to characterize different combinations of active compound doses.

[0180] Pharmaceutical preparations, which can be used orally, include push-fit capsules made of gelatin, as well as soft, sealed capsules made of gelatin and a plasticizer, such as glycerol or sorbitol. The push-fit capsules can contain the active ingredients in admixture with filler such as lactose, binders such as starches, and/or lubricants such as talc or magnesium stearate and, optionally, stabilizers. In soft capsules, the active compounds may be dissolved or suspended in suitable liquids, such as fatty oils, liquid paraffin, or liquid polyethylene glycols. In addition, stabilizers may be added. All formulations for oral administration should be in dosages suitable for such administration.

[0181] For buccal administration, the compositions may take the form of tablets or lozenges formulated in conventional manner.

[0182] For administration by inhalation, the compounds for use according to the present disclosure are conveniently delivered in the form of an aerosol spray presentation from pressurized packs or a nebulizer, with the use of a suitable propellant, *e.g.*, dichlorodifluoromethane, trichlorofluoromethane, dichlorotetrafluoroethane, carbon dioxide or other suitable gas. In the case of a pressurized aerosol the dosage unit may be determined by providing a valve to deliver a metered amount. Capsules and cartridges of, *e.g.*, gelatin for use in an inhaler or insufflator may be formulated containing a powder mix of the compound and a suitable powder base such as lactose or starch.

[0183] The compounds may be formulated for parenteral administration by injection, *e.g.*, by bolus injection or continuous infusion. Formulations for injection may be presented in unit dosage form, *e.g.*, in ampoules or in multi-dose containers, with an added preservative. The compositions may take such forms as suspensions, solutions or emulsions in oily or aqueous vehicles, and may contain formulatory agents such as suspending, stabilizing and/or dispersing agents.

[0184] Pharmaceutical formulations for parenteral administration include aqueous solutions of the active compounds in water-soluble form. Additionally, suspensions of the

active compounds may be prepared as appropriate oily injection suspensions. Suitable lipophilic solvents or vehicles include fatty oils such as sesame oil, or synthetic fatty acid esters, such as ethyl oleate or triglycerides, or liposomes. Aqueous injection suspensions may contain substances, which increase the viscosity of the suspension, such as sodium carboxymethyl cellulose, sorbitol, or dextran. Optionally, the suspension may also contain suitable stabilizers or agents, which increase the solubility of the compounds to allow for the preparation of highly, concentrated solutions.

[0185] Alternatively, the active ingredient may be in powder form for constitution with a suitable vehicle, *e.g.*, sterile pyrogen-free water, before use.

[0186] The compounds may also be formulated in rectal compositions such as suppositories or retention enemas, *e.g.*, containing conventional suppository bases such as cocoa butter or other glycerides.

[0187] In addition to the formulations described previously, the compounds may also be formulated as a depot preparation. Such long acting formulations may be administered by implantation (for example subcutaneously or intramuscularly) or by intramuscular injection. Thus, for example, the compounds may be formulated with suitable polymeric or hydrophobic materials (for example as an emulsion in an acceptable oil) or ion exchange resins, or as sparingly soluble derivatives, for example, as a sparingly soluble salt.

[0188] A pharmaceutical carrier for the hydrophobic compounds disclosed herein is a co-solvent system comprising benzyl alcohol, a nonpolar surfactant, a water-miscible organic polymer, and an aqueous phase. A common co-solvent system used is the VPD co-solvent system, which is a solution of 3% w/v benzyl alcohol, 8% w/v of the nonpolar surfactant Polysorbate 80™, and 65% w/v polyethylene glycol 300, made up to volume in absolute ethanol. Naturally, the proportions of a co-solvent system may be varied considerably without destroying its solubility and toxicity characteristics. Furthermore, the identity of the co-solvent components may be varied: for example, other low-toxicity nonpolar surfactants may be used instead of Polysorbate 80™; the fraction size of polyethylene glycol may be varied; and other biocompatible polymers may replace polyethylene glycol, *e.g.*, polyvinyl pyrrolidone. Alternatively, other delivery systems for hydrophobic pharmaceutical compounds may be employed. Liposomes and emulsions are

well known examples of delivery vehicles or carriers for hydrophobic drugs. Certain organic solvents such as dimethylsulfoxide also may be employed, although usually at the cost of greater toxicity. Additionally, the compounds may be delivered using a sustained-release system, such as semipermeable matrices of solid hydrophobic polymers containing the therapeutic agent. Various sustained-release materials have been established and are well known by those skilled in the art. Sustained-release capsules may, depending on their chemical nature, release the compounds for a few weeks up to over 100 days. Depending on the chemical nature and the biological stability of the therapeutic reagent, additional strategies for protein stabilization may be employed.

[0189] Many of the compounds used in the pharmaceutical combinations disclosed herein may be provided as salts with pharmaceutically compatible counterions. Pharmaceutically compatible salts may be formed with many acids, including but not limited to hydrochloric, sulfuric, acetic, lactic, tartaric, malic, succinic, *etc.* Salts tend to be more soluble in aqueous or other protonic solvents than are the corresponding free acids or base forms.

[0190] Pharmaceutical compositions suitable for use in the methods disclosed herein include compositions where the active ingredients are contained in an amount effective to achieve its intended purpose. More specifically, a therapeutically effective amount means an amount of compound effective to prevent, alleviate or ameliorate symptoms of disease or prolong the survival of the subject being treated. Determination of a therapeutically effective amount is well within the capability of those skilled in the art, especially in light of the detailed disclosure provided herein.

[0191] The exact formulation, route of administration and dosage for the pharmaceutical compositions disclosed herein can be chosen by the individual physician in view of the patient's condition. (See *e.g.*, Fingl *et al.* 1975, in "The Pharmacological Basis of Therapeutics", Chapter 1, which is hereby incorporated by reference in its entirety). Typically, the dose range of the composition administered to the patient can be from about 0.5 to 1000 mg/kg of the patient's body weight, or 1 to 500 mg/kg, or 10 to 500 mg/kg, or 50 to 100 mg/kg of the patient's body weight. The dosage may be a single one or a series of two or more given in the course of one or more days, as is needed by the patient. Where no

human dosage is established, a suitable human dosage can be inferred from ED_{50} or ID_{50} values, or other appropriate values derived from *in vitro* or *in vivo* studies, as qualified by toxicity studies and efficacy studies in animals.

[0192] Although the exact dosage will be determined on a drug-by-drug basis, in most cases, some generalizations regarding the dosage can be made. The daily dosage regimen for an adult human patient may be, for example, an oral dose of between 0.1 mg and 500 mg of each ingredient, preferably between 1 mg and 250 mg, e.g. 5 to 200 mg or an intravenous, subcutaneous, or intramuscular dose of each ingredient between 0.01 mg and 100 mg, preferably between 0.1 mg and 60 mg, e.g. 1 to 40 mg of each ingredient of the pharmaceutical compositions disclosed herein or a pharmaceutically acceptable salt thereof calculated as the free base, the composition being administered 1 to 4 times per day. Alternatively the compositions disclosed herein may be administered by continuous intravenous infusion, preferably at a dose of each ingredient up to 400 mg per day. Thus, the total daily dosage by oral administration of each ingredient will typically be in the range 1 to 2000 mg and the total daily dosage by parenteral administration will typically be in the range 0.1 to 400 mg. In some embodiments, the compounds will be administered for a period of continuous therapy, for example for a week or more, or for months or years.

[0193] Dosage amount and interval may be adjusted individually to provide plasma levels of the active moiety, which are sufficient to maintain the modulating effects, or minimal effective concentration (MEC). The MEC will vary for each compound but can be estimated from in vitro data. Dosages necessary to achieve the MEC will depend on individual characteristics and route of administration. However, HPLC assays or bioassays can be used to determine plasma concentrations.

[0194] Dosage intervals can also be determined using MEC value. Compositions should be administered using a regimen, which maintains plasma levels above the MEC for 10-90% of the time, preferably between 30-90% and most preferably between 50-90%.

[0195] In cases of local administration or selective uptake, the effective local concentration of the drug may not be related to plasma concentration.

[0196] The amount of composition administered will, of course, be dependent on the subject being treated, on the subject's weight, the severity of the affliction, the manner of administration and the judgment of the prescribing physician.

[0197] The compositions may, if desired, be presented in a pack or dispenser device, which may contain one or more unit dosage forms containing the active ingredient. The pack may for example comprise metal or plastic foil, such as a blister pack. The pack or dispenser device may be accompanied by instructions for administration. The pack or dispenser may also be accompanied with a notice associated with the container in form prescribed by a governmental agency regulating the manufacture, use, or sale of pharmaceuticals, which notice is reflective of approval by the agency of the form of the drug for human or veterinary administration. Such notice, for example, may be the labeling approved by the U.S. Food and Drug Administration for prescription drugs, or the approved product insert. Compositions comprising a compound disclosed herein formulated in a compatible pharmaceutical carrier may also be prepared, placed in an appropriate container, and labeled for treatment of an indicated condition.

[0198] It will be understood by those of skill in the art that numerous and various modifications can be made without departing from the spirit of the present disclosure. Therefore, it should be clearly understood that the forms disclosed herein are illustrative only and are not intended to limit the scope of the present disclosure.

EXAMPLES

[0199] Embodiments of the present invention are disclosed in further detail in the following examples, which are not in any way intended to limit the scope of the invention.

General analytical LC-MS procedure

[0200] Procedure 1 (AP1): The analysis was performed on a combined prep/analytical Waters/Micromass system consisting of a ZMD single quadropole mass spectrometer equipped with electro-spray ionization interface. The HPLC system consisted of a Waters 600 gradient pump with on-line degassing, a 2700 sample manager and a 996 PDA detector.

[0201] Separation was performed on an X-Terra MS C18, 5 μm 4.6x50mm column. Buffer A: 10mM ammonium acetate in water, buffer B: 10mM ammonium acetate in acetonitrile/water 95/5. A gradient was run from 30%B to 100%B in 10 min, dwelling at 100%B for 1 min, and re-equilibrating for 6 min. The system was operated at 1 ml/min.

[0202] Procedure 2 (AP2): The analysis was performed on a combined prep/analytical Waters/Micromass system consisting of a ZMD single quadropole mass spectrometer equipped with electro-spray ionization interface. The HPLC system consisted of a Waters 600 gradient pump with on-line degassing, a 2700 sample manager and a 996 PDA detector.

[0203] Separation was performed on an X-Terra MS C18, 5 μm 4.6x50mm column. Buffer A: 10mM ammonium acetate in water, buffer B: 10mM ammonium acetate in acetonitrile/water 95/5. A gradient was run from 30%B to 100%B in 7 min, dwelling at 100%B for 1 min, and re-equilibrating for 5.5 min. The system was operated at 1 ml/min.

Analytical HPLC/MS, Ammonium Acetate (AP)

[0204] System: Waters/Micromass ZQ2000 LC/MS system consisting of a ZQ single quadropole mass spectrometer equipped with an electrospray ionization interface, and a Waters Alliance HT with a 2795 Separation Module and 996 Photodiode Array Detector.

[0205] Column: Reversed phase column (Waters Xterra® MS C_{18} 3.5μm, 30x4.6mm ID) with a guard column cartridge system.

[0206] Mobile Phase: A: 10mM aqueous Ammonium Acetate; B: 10mM aqueous Ammonium Acetate Acetonitrile/Water (95:5).

[0207] Program: 10 min. gradient program starting at 30%B (initial hold for 0.5 min.), over 5 min. to 100%B, hold for 1.5 min., over 0.5 min. to 30%B, hold for 2.5 min. The flow rate was 1 mL/min.

Preparative HPLC/MS, Ammonium Acetate (PP)

[0208] System: Waters/Micromass LC/ZMD Autopurification system consisting of a ZMD single quadropole mass spectrometer equipped with an electrospray ionization interface, and a Waters 600E Gradient Pump with in-line degassing, 2700 Sample Manager and 996 Photodiode Array Detector.

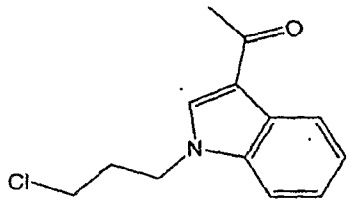
[0209] Column: Reversed phase column (Waters Xterra® Prep MS C_{18} 5µm, 19x100mm).

[0210] Mobile Phase: A: 10mM aqueous Ammonium Acetate; B: 10mM aqueous Ammonium Acetate Acetonitrile/Water (95:5).

[0211] Program: 12 min. gradient program starting at 30%B (initial hold for 2.5 min.), over 8.5 min. to 100%B, over 0.5 min. to 30%B, hold for 0.5 min. The flow rate was 17 mL/min.

Typical procedure 1 (See Scheme 1) (TP1):

1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone

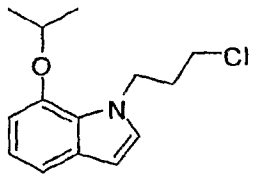


[0212] 3-Acetylindole (795 mg, 5 mmol), cesium carbonate (3.25 g, 10 mmol) and 1-chloro-3-iodopropane (3.06 g, 15 mmol) were weighed into a MW vial and dry MeCN (15 mL) was added. The vial was capped and heated in the MW at 100 °C for 25 min. The reaction was filtrated and concentrated onto celite and purified by flash chromatography 0-30 % EtOAc in heptane. Yield: 971 mg (83%).

[0213] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40-8.37 (m, 1H), 7.75 (s, 1H), 7.37-7.28 (m, 3H), 4.36 (t, J = 6.0 Hz, 2H), 3.46 (t, J = 6.0 Hz, 2H), 2.51 (s, 3H), 2.30 (pentet, J = 6.0 Hz, 2H).

Typical procedure 2 (See Scheme 1) (TP2):

1-(3-chloropropyl)-7-isopropoxy-1H-indole



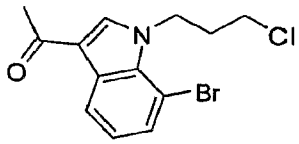
[0214] 7-isopropoxy-1H-indole (736 mg, 4.2 mmol), cesium carbonate (2.73 g, 8.4 mmol) and 1-chloro-3-iodopropane (2.57 g, 12.6 mmol) were weighed into a vial and dry

MeCN (20 mL) was added. The vial was sealed and heated on a shaker at 50 °C for 24 h. The reaction was filtrated and concentrated onto celite and purified by flash chromatography 0-20 % EtOAc in heptane. Yield: 750 mg (71%).

[0215] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.2 (d, J = 7.8 Hz, 1 H), 7.04 (d, J = 3.1 Hz, 1 H), 6.99 (t, J = 7.8 Hz, 1 H), 6.62 (d, J = 7.8 Hz, 1 H), 6.43 (d, J = 3.1 Hz, 1 H), 4.80 – 4.73 (m, 1 H), 4.58 – 4.49 (m, 2H), 3.49 – 3.46 (m, 2H), 2.37 – 2.35 (m, 2H), 1.45 (d, J = 5.8 Hz, 6H).

Typical procedure 3 (See Scheme 2) (TP3):

1-(7-bromo-1-(3-chloropropyl)-1H-indol-3-yl)ethanone



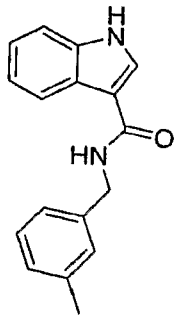
[0216] To a solution of 7-bromo-1*H*-indole (442 mg, 2.25 mmol) in dry CH_2Cl_2 (10 mL) at 0 °C was added Et_2AlCl (3.4 mL, 1.0 M, 3.4 mmol) dropwise. The mixture was stirred at 0 °C for 25 min. A solution of AcCl (0.24 mL, 3.36 mmol) in CH_2Cl_2 (5 mL) was added dropwise and the mixture was stirred at 0 °C until TLC showed complete conversion of the indole (1-3 h). Saturated aqueous $NaHCO_3$ (10 mL) was added slowly and the mixture was allowed to reach room temperature. The mixture was diluted with CH_2Cl_2 (60 mL) and the mixture was cautiously acidified to pH 4-5 with 2 M HCl (approx. 10 mL) to facilitate phase separation. The aqueous layer was extracted with CH_2Cl_2 (2x 10 mL) and the combined organic layers where washed with saturated aqueous $NaHCO_3$ and evaporated to dryness to give the acetylated compound (496 mg, 93%). This acetylated crude product was dissolved in CH_3CN (10 mL). To this solution was added Cs_2CO_3 (1.55 g, 4.76 mmol) and 1-chloro-3-iodopropane (0.70 mL, 6.52 mmol) and the mixture was stirred at 50 °C overnight. The suspension was diluted with CH_2Cl_2 (70 mL), filtered and adsorbed onto celite. After purification by flash chromatography (heptanes → heptanes:EtOAc 3:2) the title product was obtained (611 mg, 86% over two steps.

[0217] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J = 8.0, 1.1 Hz, 1H), 7.75 (s, 1H), 7.44 (dd, J = 7.7, 1.1 Hz, 1H), 7.12-7.08 (m, 1H), 4.73 (t, J = 6.7 Hz, 2H), 3.50-3.47 (m, 2H), 2.50 (s, 3H), 2.39-2.33 (m, 2H).

[0218] ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.5, 137.7, 132.8, 129.7, 128.7, 123.7, 122.1, 116.5, 103.5, 45.8, 41.3, 34.1, 27.5.

Typical procedure (See Scheme 3) (TP4):

N-(3-methylbenzyl)-1H-indole-3-carboxamide

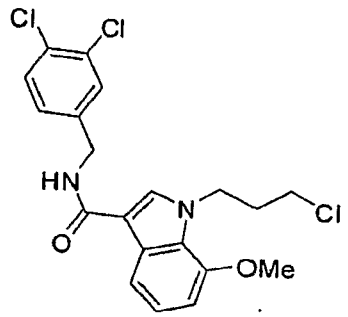


[0219] Indole-3-carboxylic acid (644 mg, 4 mmol), 1-hydroxybenzotriazole (810 mg, 6 mmol), EDCI (1.15 g, 6 mmol), TEA (1.82 g, 18 mmol) and 3-methylbenzylamine (485 mg, 4 mmol) were weighed into a MW vial and dry MeCN (10 mL) was added. The vial was capped and heated in the MW at 140 °C for 15 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated *in vacuo*. The product was purified by recrystalization from MeOH. Yield: 411 mg (39%).

[0220] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.67 (bs, 1H), 7.78 (d, J = 2.8 Hz, 1H), 7.48 (d, J = 8.0 Hz, 1H), 7.25-7.13 (m, 6H), 6.70 (d, J = 8.0 Hz, 1H), 6.23 (bt, 1H), 4.68 (d, J = 5.6 Hz, 2H), 2.35 (s, 3H).

Typical procedure 5 (See Scheme 4) (TP5):

1-(3-chloropropyl)-*N*-(3,4-dichlorobenzyl)-7-methoxy-1*H*-indole-3-carboxamide



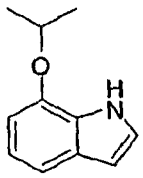
[0221] To a stirring suspension of MgI_2 (706 mg, 2.54 mmol) in DCE (4 mL) was added 1,2-dichloro-4-(isocyanatomethyl)benzene (0.39 mL, 2.65 mmol) and 1-(3-chloropropyl)-7-methoxy-1*H*-indole (567 mg, 2.53 mmol). The mixture was stirred at 80 °C for 2 h at which point full conversion was observed by TLC (prolonged stirring at room temperature also led to full conversion). The mixture was diluted with EtOAc (200 mL) and the organic layer was washed with saturated aqueous $NaHCO_3$, H_2O, 10% aqueous Na_2SO_3, brine, dried over Na_2SO_4 and evaporated to dryness. After washing the resulting crystals with diethyl ether (3x 10 mL) the title compound was obtained as pinkish crystals (788 mg, 73%) which was used without further purification. 0-15% of the corresponding alkyl iodide was occasionally observed in these reactions, in particular when the reaction was performed at 80 °C.

[0222] ^1H NMR (400 MHz, dmso-d_6) δ 8.48-8.45 (m, 1H), 7.93 (s, 1H), 7.75 (dd, J = 8.1, 0.8 Hz, 1H), 7.59-7.56 (m, 2H), 7.33 (dd, J = 8.3, 2.0 Hz, 1H), 7.04 (t, J = 7.9 Hz, 1H), 6.75 (d, J = 7.5 Hz, 1H), 4.51-4.43 (m, 4H), 3.90 (s, 3H), 3.60 (t, J = 6.3 Hz, 2H), 2.28-2.18 (m, 2H).

[0223] ^{13}C NMR (100 MHz, dmso-d_6) δ 164.1, 146.9, 141.6, 131.8, 130.6, 130.3, 129.1, 129.0, 128.8, 127.5, 125.2, 121.5, 113.8, 109.6, 103.5, 55.4, 46.6, 42.2, 40.9, 34.0.

Typical procedure 6 (See Scheme 5) (TP6):

7-isopropoxy-1H-indole

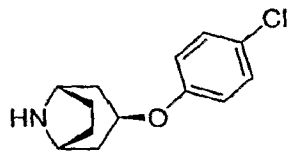


[0224] 7-hydrozyindol (1.00 g, 7.5 mmol) and resin bound triphenylphosphine (4.25 g, 13 mmol, 3 mmol/g) was taken up in THF (30 mL) and cooled to 0 °C, before drop wise addition of diisopropylazodicarboxylate (787 mg, 3.9 mmol). After 40 min at 0 °C isopropanol (1.80 g, 30 mmol) in THF (15 mL) was added slowly. The reaction was left for further 2 h at 0 °C, then the cooling was removed and the reaction left at room temperature over night. The reaction mixture was filtered and concentrated onto celite, then purified by flash chromatography 0-20% EtOAc in heptane. Yield: 736 mg (56 %).

[0225] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26 (dd, J = 0.8 and 7.4 Hz, 1 H), 7.17 (t, J = 2.8 Hz, 1 H), 7.06 (dt, J = 0.8 and 7.4 Hz, 1 H), 6.60 (d, J = 7.4 Hz, 1 H), 6.54 (dt, J = 0.8 and 2.8 Hz, 1 H), 4.76 (hept, J = 6.2 Hz, 1 H), 1.44 (d, J = 6.2 Hz, 6 H).

Typical procedure 7 (TP7):

3α-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octane



[0226] 4-Chlorophenol (395 mg, 3 mmol) and resin bound triphenylphosphine (1.20 g, 3.75 mmol, 3 mmol/g) was taken up in THF (10 mL) and cooled to 0 °C, before drop wise addition of diisopropylazodicarboxylate (787 mg, 3.9 mmol). After 40 min at 0 °C tert-butyl 3β-hydroxy-8-azabicyclo[3.2.1]octane-8-carboxylate (500 mg, 2.2 mmol) in THF (5 mL) was added slowly. The reaction was continued for 2 h at 0 °C, then the cooling was removed and the reaction left at room temperature over night. The reaction mixture was filtered and concentrated onto celite, then purified by flash chromatography 0-30% EtOAc in heptane. The product was taken up in DCM (5 mL), TFA (5 mL) was added and the reaction

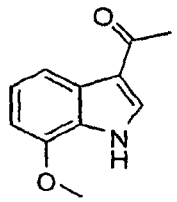
mixture left stirring for 1 h and concentrated *in vacuo*. The product was taken up in EtOAc, then washed with NaOH (2 N), dried over sodium sulphate, filtered and concentrated *in vacuo*. Yield: 370 mg (71 % over two steps).

[0227] ^{1}H NMR (400 MHz, CD_3OD) δ: 7.29-7.26 (m, 2H), 6.90-6.88 (m, 2H), 4.67 (bt, J = 4.4 Hz, 1H), 3.92-3.91 (m, 2H), 2.39-2.00 (m, 7H).

[0228] ^{13}C NMR (100 MHz, CD_3OD) δ: 159.7, 133.5, 129.9, 120.8, 72.4, 58.0, 37.0, 30.2.

Typical procedure 8 (See Scheme 6) (TP8):

1-(7-Methoxy-1*H*-indol-3-yl)ethanone

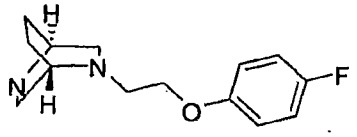


[0229] MeMgBr (3 ml, 3M in ether, 9 mmol) was added to 7-methoxy-1*H*-indole dissolved in dry CH_2Cl_2 (6 ml) at 0-5 °C. The resulting red solution was stirred for 1 h at room temperature. Freshly distilled acetyl chloride (353 mg, 4.5 mmol) was then added at 0-5 °C and the resulting brown solution was stirred for 1 h at room temperature. Aqueous HCl (2M) was added to the reaction mixture and the organic phase was separated. The aqueous phase was extracted with CH_2Cl_2 and the combined organic phases were washed with water, brine and dried with Na_2SO_4. The filtrate was concentrated at reduced pressure and the crude product was purified by crystallization (heptane/ethyl acetate 3:1), which gave 345 mg (70%) of the title compound as brown crystals.

[0230] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (br s, 1H), 7.93 (d, 1H, J = 8.0 Hz), 7.81 (d, 1H, J = 2.9 Hz), 7.20 (t, 1H, J = 8 Hz), 6.73 (d, 1H J = 7.9 Hz), 3.96 (s, 3H), 2.55 (s, 3H).

Typical procedure 9 (See Scheme 7) (TP9):

(1*S*,4*S*)-2-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octane



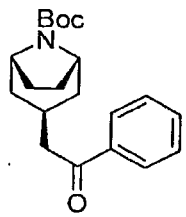
[0231] A 4 mL disposable vial was charged with (1S,4S)-*tert*-butyl 2,5-diazabicyclo[2.2.2]octane-2-carboxylate hydrochloride (252 mg, 1.02 mmol), 1-(2-bromoethoxy)-4-fluorobenzene (362 mg, 1.65 mmol), Cs_2CO_3 (576 mg, 1.77 mmol) and CH_3CN (2 mL). The mixture was sealed and stirred at 60 °C overnight. The suspension was disluted with CH_2Cl_2 (25 mL), filtered and evaporated to dryness. The resulting crude product was dissolved in CH_2Cl_2 (10 mL) and TFA (5 mL) was cautiously added. After stirring at room temperature for 3 h the mixture was evaporated to dryness. The crude product was dissolved in a minimal amount of MeOH and purified by solid phase extraction using a SCX cartridge eluding with NH_3(MeOH) to give the title compound (191 mg, 75% over two steps).

[0232] ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.98-6.93 (m, 2H), 6.85-6.82 (m, 2H), 4.04-4.00 (m, 2H), 3.44-3.41 (m, 1H), 3.10-3.08 (m, 1H), 3.00-2.97 (m, 4H), 2.73-2.70 (m, 3H), 2.10-2.02 (m, 1H), 1.95-1.88 (m, 1H), 1.80-1.70 (m, 2H).

[0233] ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.5 (d, J = 237 Hz), 155.2, 116.0 (d, J = 29 Hz), 115.9 (d, J = 1.4 Hz), 67.9, 57.8, 55.5, 50.2, 45.6, 45.0, 25.8, 24.2.

Typical procedure 10 (See Scheme 8) (TP10):

Tert-butyl (1R,5S)-3 α -(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate



[0234] To a solution of [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (807 mg, 3 mmol) in THF (10 mL) was added CDMT (624 mg, 3.6 mmol) and NMM (0.99 mL, 9 mmol). A white precipitate was formed during stirring for 1 hour,

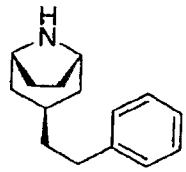
then N,O-dimethylhydroxylamine hydrochloride (291 mg, 3 mmol) was added and the reaction mixture left stirring for 16 h, quenched with water (20 mL) and extracted with ether. The ether phase was washed with sat. aq. Na_2CO_3 and HCl (1 N), then dried over Na_2SO_4 and concentrated *in vacuo*. Yield: 894 mg (95%).

[0235] The crude product was taken up in THF and cooled to 0 °C, then phenyl grignard (3 mL, 2 M, 6 mmol) was added and the ice bath removed. After 1 h at rt the reaction mixture was quenched with sat. aq. NH_4Cl. The product was extracted with EtOAc and the organic phase was washed with Na_2CO_3, then HCl (1 N), dried over Na_2SO_4 and concentrated *in vacuo*. Crude yield: 847 mg (90 %). UV/MS: 84/62.

[0236] ^{1}H NMR ($CDCl_3$) δ: 7.93-7.90 (m, 2H), 7.58-7.55 (m, 1H), 7.47-7.43 (m, 2H), 4.24-4.12 (m, 2H), 3.12-3.11 (m, 2H), 2.04-2.00 (m, 1H), 1.73-1.71 (m, 2H), 1.44 (s, 9H), 1.30-1.26 (m, 4H), 0.89-0.86 (m, 2H).

Typical procedure 11 (See Scheme 9) (TP11):

(1R,5S)-3α-(2-phenylethyl)-8-azabicyclo[3.2.1]octane



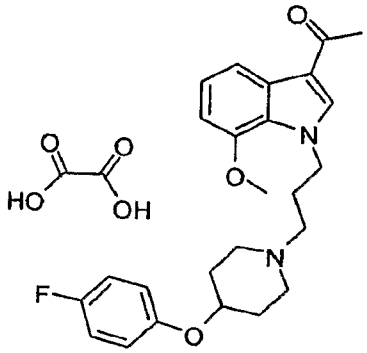
[0237] Tert-butyl (1R,5S)-3 α -(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate (847 mg, 2.5 mmol) and KOH (540 mg, 9.6 mmol) was taken up in diethyleneglycol (5 mL) then hydrazine monohydrate (401 µL, 8.3 mmol) was added and the RM was heated to 140 °C on an oil bath for 16 h. Cooled on an ice bath and quenched with HCl (2 N). Extracted with EtOAc, then dried over Na_2SO_4 and concentrated *in vacuo* onto celite. The crude product was purified by flash chromatography 0-20 % EtOAc in heptane. Yield: 234 mg (30 %). The isolated product was taken up in a mixture of TFA (2 mL) and DCM (2 mL) and stirred for 1 h at rt,concentrated *in vacuo*, then taken up in EtOAc, washed with NaOH (2 N), dried over Na_2SO_4 and concentrated *in vacuo*. Yield: 160 mg (96 %).

[0238] ^{1}H NMR (400 MHZ, $CDCl_3$): δ 7.30-7.14 (m, 5H), 3.89-3.83 (m, 2H), 2.63-2.59 (m, 2H), 2.33-2.26 (m, 2H), 2.13-2.10 (m, 2H), 1.91-1.68 (m, 5H), 1.59-1.55 (m, 2H).

[0239] ^{13}C NMR (100 MHz, $CDCl_3$) – major isomer: δ 142.0, 128.7, 128.5, 126.2, 54.3, 39.7, 35.0, 33.6, 27.5, 27.4.

Typical procedure 12 (See Scheme 10) (TP12):

1-(1-(3-(4-(4-Fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1*H*-indol-3-yl)ethanone oxalate **C658b**

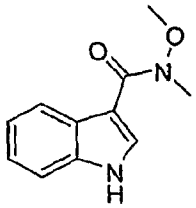


[0240] 4-(4-fluorophenoxy)piperidine hydrochloride (163 mg, 0.70 mmol) was added to 1-(1-(3-Chloropropyl)-7-methoxy-1*H*-indol-3-yl)ethanone (93 mg, 0.35 mmol), triethylamine (99 µl, 0.70 mmol) and NaI (cat) in dry DMF (4 ml). The reaction mixture was shaken at 80 °C for 15 h and at 120 °C for 1 h. Ethyl acetate and water was then added to the reaction mixture and the organic phase was washed repeatedly with water and finally with brine. Celite was added to the filtrate and the volatile material was removed at reduced pressure. The crude product on celite was purified by column chromatography (heptane/ethyl acetate 1:1 to 100% ethyl acetate and then ethyl acetate/methanol 9:1 to 4:1), which gave 90 mg (61%) of 1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone compound as a clear oil.

[0241] ^1H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 1H, J = 8.0 Hz), 7.70 (s, 1H), 7.17 (t, 1H, J = 8 Hz), 6.95 (m, 2H), 6.84 (m, 2H), 6.71 (d, 1H J = 7.8 Hz), 4.47 (t, 2H, J = 6.4 Hz), 4.24 (m, 1H), 3.94 (s, 3H), 2.73 (m, 1H), 2.49 (s, 3H), 2.32 (m, 4H), 2.05 (m, 4H), 1.84 (m, 2H). Oxalic acid (1.1 eq) dissolved in acetone (1 ml) was added to the clear oil dissolved in acetone (1 ml). The precipitant was filtered off and dried to yield 90 mg of the title compound as white crystals. MS (ES^+, M+1) = 425.

Typical procedure 13 (See Scheme 11) (TP13):

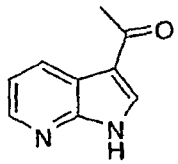
N-methoxy-N-methyl-1H-indole-3-carboxamide



[0242] To a solution of 1*H*-indole-3-carboxylic acid (650 mg, 4 mmol) in DCM (10 mL) in a MW vial was added N,O-dimethylhydroxylamine hydrochloride (423 mg, 4.4 mmol), PPh_3 (800 mg, 8 mmol), CCl_4 (4 mL) and MMP (0.98 mL, 8.9 mmol). The vial was capped and heated in the MW at 100 °C for 12 min, the reaction mixture was subsequently stirred at rt over night. The resulting mixture was filtered, diluted with DCM, washedwith HCl (0.5 N) and sat. aq. Na_2CO_3, then dried over Na_2SO_4 and concentrated *in vacuo*. Yield: 219 mg (27%).

[0243] ^{1}H NMR (400 MHZ, $CDCl_3$): δ 8.85 (bs, 1H), 8.4 (d, J = 7.6 Hz, 1H), 7.97 (d, J = 2.1 Hz, 1H), 7.40.7.22 (m, 3H), 3.71 (s, 3H), 3.42 (s, 3H).

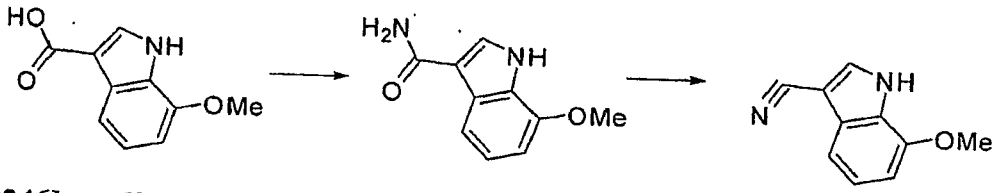
1-(1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)ethanone



[0244] To a suspension of $AlCl_3$ (4.91 g, 36.8 mmol) in CH_2Cl_2 (100 mL) at rt was added 1*H*-pyrrolo[2,3-*b*]pyridine (899 mg, 7.61 mmol). After 60 min AcCl (2.7 mL, 37.8 mmol) was added dropwise and the mixture was stirred overnight. The reaction was quenched by carefull addition of MeOH (35 mL) and evaporated to dryness. Saturated aqueous $NaHCO_3$ (150 mL) and EtOAc (100 mL) were added to the residue followed by vigorous stirring. The aqueous layer was extracted with EtOAc (2x 100 mL), and the combined organic layers were dried over Na_2SO_4 and evaporated to dryness to give the title compound as colorless crystals (803 mg, 66%).

[0245] ^{1}H NMR (400 MHz, CD_3OD) δ 8.70 (dd, J = 7.9, 1.6 Hz, 1H), 8.37-8.35 (m, 2H), 7.37 (dd, J = 7.9, 5.0 Hz, 1H), 2.58 (s, 3H).

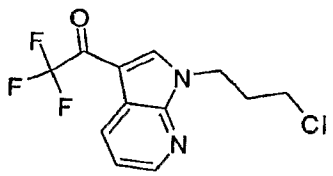
7-methoxy-1*H*-indole-3-carbonitrile



[0246] To a solution of 7-methoxy-1*H*-indole-3-carboxylic acid (363 mg, 1.90 mmol) in DMF (10 mL) was added carbonyl diimidazole (382 mg, 2.36 mmol) in one portion. After stirring at room temperature for 1h 30 min 28% aqueous NH_3 (0.50 mL, approx. 7 mmol) was added, and the mixture was left stirring overnight. After evaporation to dryness the remanens was taken up in EtOAc (200 mL) and the organic layer was washed with H_2O (2x 10 mL), saturated aqueous $NaHCO_3$ (10 mL), brine, dried over Na_2SO_4 and evaporated to dryness to give the intermediate amide (527 mg). This amide was dissolved in a mixture of CH_3CN (15 mL) and H_2O (15 mL). The mixture was heated to 50 °C and $Pd(OAc)_2$ (408 mg, 1.81 mmol) was added in portions over 24 h. The black reaction mixture was quenched with saturated aqueous $NaHCO_3$ (25 mL) and the CH_3CN was removed by rotary evaporation. The remaining aqueous layer was extracted with EtOAc (3x 25 mL). The combined organic layers washed with brine, dried over Na_2SO_4 and evaporated to dryness to give the pure title compound (134 mg, 41% overall yield.).

[0247] One peak GC-MS m/z (relative intensity) 172(100), 157(67), 129(60), 102(11).

1-(1-(3-chloropropyl)-1*H*-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone

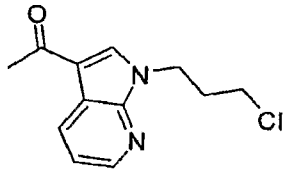


[0248] Prepared according to TP2, see also Scheme 1, using 2,2,2-trifluoro-1-(1*H*-pyrrolo[2,3-b]pyridin-3-yl)ethanone (253 mg, 1.18 mmol), Cs_2CO_3 (810 mg, 2.49 mmol) and 1-chloro-3-iodopropane (0.40 mL, 3.7 mmol) in CH_3CN (10 mL). Purification was by flash chromatography (heptanes → heptanes:EtOAc 3:2) to give the title compound (241 mg, 70%).

[0249] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (dd, J = 7.9, 1.6 Hz, 1H), 8.43 (dd, J = 4.7, 1.6 Hz, 1H), 8.11 (d, J = 1.7 Hz, 1H), 7.29 (dd, J = 7.9, 4.7 Hz, 1H), 4.58-4.54 (m, 2H), 3.53-3.50 (m, 2H), 2.46-2.39 (m, 2H).

[0250] ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.9 (q, J = 35.4 Hz), 147.8, 145.6, 137.5 (q, J = 4.8 Hz), 130.9, 119.7, 119.4, 116.8 (q, J = 290.8 Hz), 108.0, 43.2, 41.3, 31.8.

1-(1-(3-chloropropyl)-1*H*-pyrrolo[2,3-b]pyridin-3-yl)ethanone

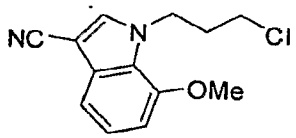


[0251] Prepared according to TP2, see also Scheme 1, by using 1-(1*H*-pyrrolo[2,3-*b*]pyridin-3-yl)ethanone (492 mg, 3.07 mmol), Cs_2CO_3 (1.53 g, 4.70 mmol), 1-chloro-3-iodopropane (0.90 mL, 8.4 mmol) in CH_3CN (15 mL) to give the title compound (338 mg, 47%).

[0252] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (dd, J = 8.0, 1.6 Hz, 1H), 8.29 (dd, J = 4.8, 1.6 Hz, 1H), 7.82 (s, 1H), 7.14 (dd, J = 8.0, 4.8 Hz, 1H), 4.44-4.40 (m, 2H), 3.45-3.42 (m, 2H), 2.43 (s, 3H), 2.35-2.28 (m, 2H).

[0253] ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.4, 147.6, 144.2, 134.5, 130.7, 118.5, 118.3, 115.2, 42.3, 41.4, 31.9, 26.9.

1-(3-chloropropyl)-7-methoxy-1H-indole-3-carbonitrile

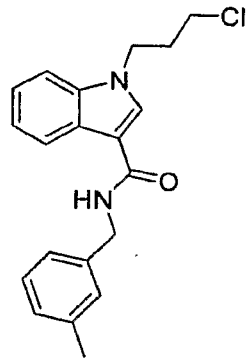


[0254] Prepared according to TP2, see also Scheme 1, by using 7-methoxy-1*H*-indole-3-carbonitrile (134 mg, 0.78 mmol), Cs_2CO_3 (809 mg, 2.48 mmol), 1-chloro-3-iodopropane (0.45 mL, 4.2 mmol) in CH_3CN (6 mL) to give the title compound (138 mg, 71%).

[0255] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.32-7.30 (m, 1H), 7.18-7.14 (m, 1H), 6.73-6.71 (m, 1H), 4.57-4.54 (m, 2H), 3.94 (s, 3H), 3.45-3.42 (m, 2H), 2.31-2.25 (m, 2H).

[0256] ^{13}C NMR (100 MHz, $CDCl_3$) δ 147.6, 135.7, 130.3, 124.7, 122.9, 115.6, 112.2, 104.3, 85.7, 55.4, 47.1, 41.3, 33.8.

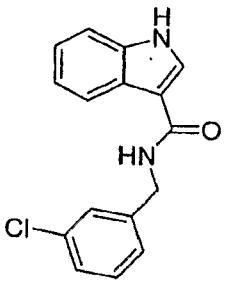
1-(3-chloropropyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide



[0257] Prepared according to TP1, see also Scheme 1, using *N*-(3-methylbenzyl)-1*H*-indole-3-carboxamide (264 mg, 1 mmol), cesium carbonate (650 mg, 2 mmol) and 1-chloro-3-iodopropane (612 mg, 3 mmol) in MeCN (4 mL). The product was purified by flash chromatography 0-30 % EtOAc in heptane. Yield: 280 mg (82%).

[0258] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60 (s, 1H), 7.54 (dd, J = 8.0 and 0.8 Hz, 1H), 7.26-7.10 (m, 6H), 6.68 (d, J = 8.0 Hz, 1H), 6.15 (bt, 1H), 4.66 (d, J = 5.6 Hz, 2H), 4.56 (t, J = 6.6 Hz, 2H), 3.47 (t, J = 6.6 Hz, 2H), 2.35 (s, 3H), 2.29 (pentet, J = 6.6 Hz, 2H).

N-(3-chlorobenzyl)-1H-indole-3-carboxamide

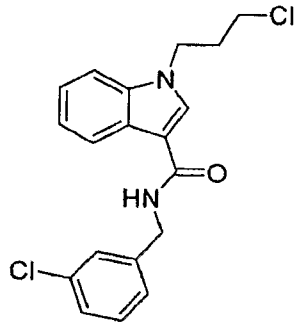


[0259] Prepared according to TP4, see also Scheme 3, using indole-3-carboxylic acid (644 mg, 4 mmol), 1-hydroxybenzotriazole (810 mg, 6 mmol), EDCI (1.15 g, 6 mmol),

TEA (1.82 g, 18 mmol) and 3-chlorobenzylamine (566 mg, 4 mmol) in MeCN (10 mL). The product was purified by recrystalization from MeOH. Yield: 540 mg (48%).

[0260] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.58 (bs, 1H), 7.96-7.94 (m, 1H), 7.82 (d, J = 2.4 Hz, 1H), 7.45-7.44 (m, 1H), 7.39 (s, 1H), 7.30-7.26 (m, 5H), 6.26 (bt, 1H), 4.70 (d, J = 5.6 Hz, 2H).

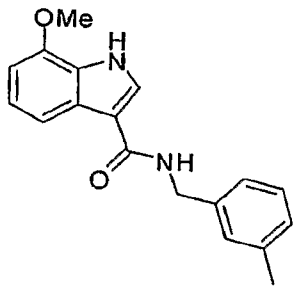
1-(3-chloropropyl)-N-(3-chlorobenzyl)-1H-indole-3-carboxamide



[0261] Prepared according to TP4, see also Scheme 3, using *N*-(3-chlorobenzyl)-1H-indole-3-carboxamide (284 mg, 1 mmol), cesium carbonate (650 mg, 2 mmol) and 1-chloro-3-iodopropane (612 mg, 3 mmol) in MeCN (4 mL). The product was purified by flash chromatography 0-30 % EtOAc in heptane. Yield: 170 mg (48%).

[0262] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.98-7.96 (m, 1H), 7.77-7.72 (m, 1H), 7.44-7.24 (m, 7H), 6.28 (bt, 1H), 4.68 (d, J = 6.0 Hz, 2H), 4.36 (t, J = 6.4 Hz, 2H), 3.47 (t, J = 6.4 Hz, 2H), 2.30 (pentet, J = 6.4 Hz, 2H).

7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide

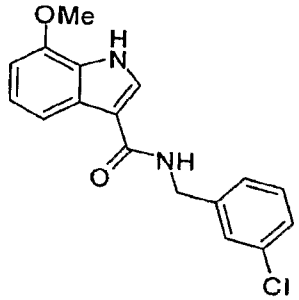


[0263] Prepared according to TP4, see also Scheme 3, using 7-methoxyindole-3-carboxylic acid (764 mg, 4 mmol), 1-hydroxybenzotriazole (810 mg, 6 mmol), EDCI (1.15 g,

6 mmol), TEA (1.82 g, 18 mmol) and 3-methylbenzylamine (485 mg, 4 mmol) in MeCN (10 mL). The product was purified by recrystalization from MeOH. Yield: 466 mg (40%).

[0264] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.81 – 7.79 (m, 1H), 7.49 (d, J = 6.4 Hz, 1H), 7.33 – 7.10 (m, 5H), 6.72 (d, J = 6.4 Hz, 1H), 4.70 – 4.68 (m, 2H), 3.97 (s, 3H), 2.38 (s, 3H).

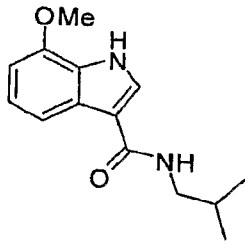
N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide



[0265] Prepared according to TP4, see also Scheme 3, from 7-methoxyindole-3-carboxylic acid (764 mg, 4 mmol) and 3-chlorobenzylamine (564 mg, 4 mmol) to yield the title compound. Yield: 478 mg (38%).

[0266] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, J = 2.7 Hz, 1H), 7.47 (d, J = 8.2 Hz, 1H), 7.28-7.20 (m, 4H), 7.16 (t, J = 8.2 Hz, 1H), 6.70 (d, J = 7.4 Hz, 1H), 4.68 (d, J = 5.8 Hz, 2H), 3.96 (s, 3H).

N-isobutyl-7-methoxy-1H-indole-3-carboxamide

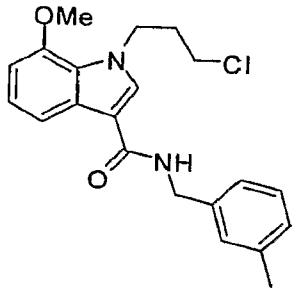


[0267] Prepared according to TP4, see also Scheme 3, from 7-methoxyindole-3-carboxylic acid (764 mg, 4 mmol) and isobutyl amine (292mg, 4 mmol) to yield the title compound. Yield: 422 mg (43%).

[0268] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (d, J = 2.7 Hz, 1H), 7.45 (d, J = 7.8 Hz, 1H), 7.17 (t, J = 7.8 Hz, 1H), 6.70 (d, J = 7.8 Hz, 1H), 3.96 (s, 3H), 3.34 (t, J = 6.6 Hz, 2H), 1.95-1.92 (m, 1H), 1.02-1.00 (m, 6H).

[0269] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.5, 146.7, 127.7, 126.1, 122.4, 114.7, 112.3, 102.8, 102.3, 55.6, 47.1, 29.0, 20.5.

1-(3-chloropropyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide

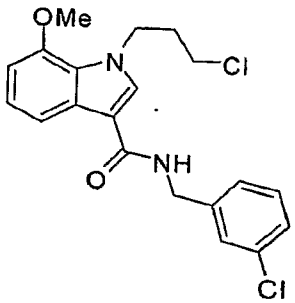


[0270] Prepared according to TP2, see also Scheme 1, using 7-methoxy-*N*-(3-methylbenzyl)-1*H*-indole-3-carboxamide (441 mg, 1.5 mmol), cesium carbonate (975 mg, 3 mmol) and 1-chloro-3-iodopropane (918 mg, 4.5 mmol) in MeCN (10 mL) was added. Yield: 438 mg (78%).

[0271] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60 (s, 1H), 7.54 (dd, J = 0.8 and 7.8 Hz, 1H), 7.26 –7.10 (m, 5H), 6.68 (d, J = 7.8 Hz, 1H), 4.65 (d, J = 5.6 Hz, 2H), 4.56 (t, J = 6.6 Hz, 2H), 3.94 (s, 3H), 3.47 (t, J = 6.4 Hz, 2H), 2.35 (s, 3H), 2.31 – 2.27 (m, 2H).

[0272] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 173.1, 148.2, 139.2, 132.6, 128.8, 128.3, 127.8, 126.3, 125.0, 122.4, 113.1, 113.1, 111.8, 111.2, 103.5, 55.5, 47.2, 43.7, 41.9, 34.5, 34.4.

N-(3-chlorobenzyl)-1-(3-chloropropyl)-7-methoxy-1H-indole-3-carboxamide

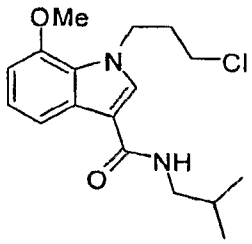


[0273] Prepared according to TP2, see also Scheme 1, from N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide (471 mg, 1.5 mmol) to yield the title compound. Yield: 418 mg (72%).

[0274] ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.61 (s, 1H), 7.53 (d, J = 8.2 Hz, 1H), 7.28 – 7.24 (m, 4H), 7.14 (t, J = 7.8 Hz, 1H), 6.69 (d, J = 7.8 Hz, 1H), 4.66 (d, J = 5.8 Hz, 2H), 4.56 (t, J = 6.7 Hz, 2H), 3.95 (s, 3H), 3.47 (t, J = 6.7 Hz, 2H), 2.29 (pent, J = 6.7 Hz, 2H).

[0275] ^{13}C-NMR (100 MHz, $CDCl_3$) δ: 165.2, 147.9, 141.3, 132.7, 130.2, 128.3, 128.0, 127.8, 126.2, 126.1, 122.6, 113.2, 113.1, 111.0, 103.7, 76.7, 55.6, 47.2, 43.1, 41.9, 34.5.

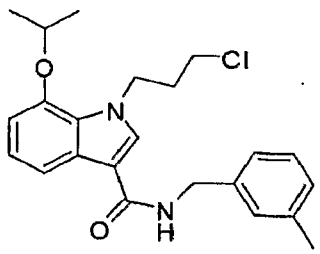
1-(3-chloropropyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide



[0276] Prepared according to TP2, see also Scheme 1, from N-isobutyl-7-methoxy-1H-indole-3-carboxamide (369 mg, 1.5 mmol) to yield the title compound. Yield: 342 mg (70%).

[0277] ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.61 (s, 1H), 7.55 (d, J = 7.8 Hz, 1H), 7.14 (t, J = 7.8 Hz, 1H), 6.69 (d, J = 7.8 Hz, 1H), 4.56 (t, J = 6.4 Hz, 2H), 3.95 (s, 3H), 3.47 (t, J = 5.8 Hz, 2H), 3.32 (t, J = 5.8 Hz, 2H), 2.30 – 2.27 (m, 2H), 1.93 (hept, J = 6.4 Hz, 1H), 1.00 (d, J = 6.4 Hz, 6H).

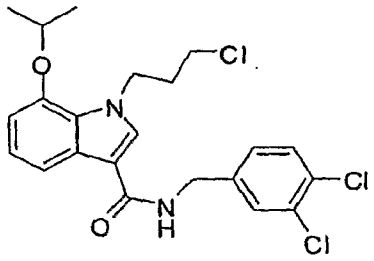
1-(3-chloropropyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide



[0278] Prepared according to TP5 from 1-(3-chloropropyl)-7-isopropoxy-1*H*-indole (251 mg, 1 mmol) and 1-(isocyanatomethyl)-3-methylbenzene (154 mg, 1.05 mmol). The obtained solid was washed with MeOH. Yield 269 mg (67%).

[0279] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.59 (t, J = 7.6 Hz, 1H), 7.51 – 7.46 (m, 1H), 7.26 – 7.17 (m, 3H), 7.11 – 7.06 (m, 2H), 6.66 (d, J = 7.8 Hz, 1H), 4.75 (hept, J = 6.3 Hz, 1H), 4.65 (d, J = 5.5 Hz, 2H), 4.57 (t, J = 6.7 Hz, 2H), 3.48 (t, J = 6.3 Hz, 2H), 2.30 (pent, J = 6.2 Hz, 2H), 1.56 (s, 3H), 1.42 (d, J = 5.5 Hz, 6H).

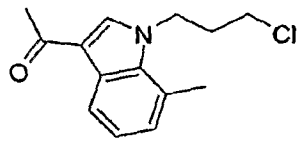
1-(3-chloropropyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide



[0280] Prepared according to TP5, see also Scheme 4, from 1-(3-chloropropyl)-7-isopropoxy-1H-indole (251 mg, 1 mmol) and 1,2-dichloro-4-(isocyanatomethyl)benzene (212 mg, 1.05 mmol). The obtained solid was washed with MeOH. Yield 270 mg (61%).

[0281] ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.64 – 7.60 (m, 1H), 7.49 – 7.38 (m, 3H), 7.26 – 7.21 (m, 1H), 7.11 – 7.09 (m, 1H), 6.69 – 6.66 (m, 1H), 4.76 – 4.49 (m, 4H), 3.49 – 3.46 (m, 1H), 2.32 – 2.29 (m, 2H), 1.57 – 1.56 (m, 2H), 1.43 – 1.39 (m, 6H).

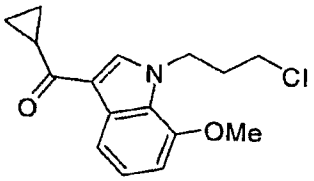
1-(1-(3-chloropropyl)-7-methyl-1*H*-indol-3-yl)ethanone



[0282] Acetylation was carried out according to TP8, see also Scheme 6, using 7-methyl-1*H*-indole (369 mg, 2.81 mmol), MeMgBr (2.8 mL, 1M, 2.8 mmol) in CH_2Cl_2 (6 mL). Subsequent alkylation of the crude product was carried out according to TP1 using 3-iodo-1-chloropropane (0.45 mL, 4.2 mmol) and Cs_2CO_3 (1.19 g, 3.7 mmol) in a mixture of CH_3CN (3 mL) and DMF (2 mL) to give the title compound. Yield: 248 mg (35%).

[0283] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31-8.29 (m, 1H), 7.73 (s, 1H), 7.19-7.15 (m, 1H), 7.04-7.02 (m, 1H), 4.57 (t, J = 6.7 Hz, 2H), 3.52-3.50 (m, 2H), 2.72 (s, 3H), 2.52 (s, 3H), 2.32-2.25 (m, 2H).

(1-(3-chloropropyl)-7-methoxy-1*H*-indol-3-yl)(cyclopropyl)methanone

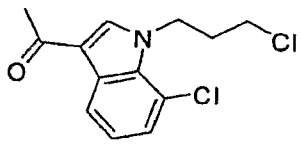


[0284] Prepared according to TP3, see also Scheme 2, by using 7-methoxy-1*H*-indole (365 mg, 2.48 mmol), Et_2AlCl (3.5 mL, 1.0 M, 3.5 mmol), cyclopropanecarbonyl chloride (0.31 mL, 3.4 mmol) in CH_2Cl_2 (6 mL) and Cs_2CO_3 (2.38 g, 7.2 mmol), 1-chloro-3-iodopropane (0.70 mL, 6.52 mmol) in CH_3CN (10 mL) to give the title compound (401 mg, 55% over two steps).

[0285] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (dd, J = 8.1, 0.9 Hz, 1H), 7.79 (s, 1H), 7.13-7.12 (m, 1H), 6.70 (d, J = 7.8 Hz, 1H), 4.56 (t, J = 6.5 Hz, 2H), 3.92 (s, 3H), 3.48-3.45 (m, 2H), 2.44-2.38 (m, 1H), 2.33-2.27 (m, 2H), 1.23-1.20 (m, 2H), 0.93-0.89 (m, 2H).

[0286] ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.7, 146.9, 135.4, 128.7, 125.8, 123.0, 117.1, 115.0, 104.0, 55.1, 47.0, 41.6, 33.8, 17.9, 9.6.

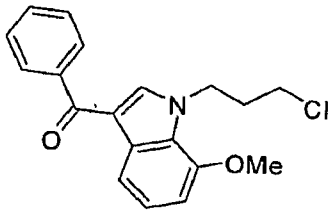
1-(7-chloro-1-(3-chloropropyl)-1*H*-indol-3-yl)ethanone



[0287] Prepared according to TP3, see also Scheme 2, by using 7-chloro-1*H*-indole (310 mg, 2.04 mmol), Et_2AlCl (3.0 mL, 1.0 M, 3.0 mmol), AcCl (0.21 mL, 2.9 mmol) in CH_2Cl_2 (9 mL) and Cs_2CO_3 (1.32 g, 4.1 mmol), 1-chloro-3-iodopropane (0.65 mL, 6.1 mmol) in CH_3CN (10 mL) to give the title compound (438 mg, 79% over two steps).

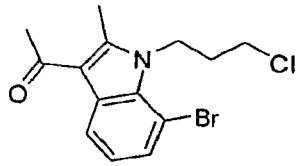
[0288] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (dd, J = 7.9, 1.2 Hz, 1H), 7.69 (s, 1H), 7.21-7.11 (m, 2H), 4.63 (t, J = 6.7 Hz, 2H), 3.45 (t, J = 5.9 Hz, 2H), 2.46 (s, 3H), 2.34-2.28 (m, 2H).

(1-(3-chloropropyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone



[0289] Prepared according to TP3, see also Scheme 2, by using 7-methoxy-1*H*-indole (318 mg, 2.16 mmol), Et_2AlCl (3.2 mL, 1.0 M, 3.2 mmol), benzoyl chloride (0.39 mL, 3.4 mmol) in CH_2Cl_2 (6 mL) and Cs_2CO_3 (1.67 g, 5.1 mmol), 1-chloro-3-iodopropane (0.70 mL, 6.5 mmol) in CH_3CN (10 mL) to give the title compound (430 mg, 61% over two steps). ^1H NMR (400 MHz, $CDCl_3$) δ 8.04 (dd, J = 8.1, 0.9 Hz, 1H), 7.82-7.80 (m, 2H), 7.56-7.46 (m, 4H), 7.25-7.21 (m, 1H), 6.77 (d, J = 7.9 Hz, 1H), 4.57 (t, J = 6.6 Hz, 2H), 3.96 (s, 3H), 3.48-3.45 (m, 2H), 2.34-2.28 (m, 2H).

1-(7-bromo-1-(3-chloropropyl)-2-methyl-1H-indol-3-yl)ethanone

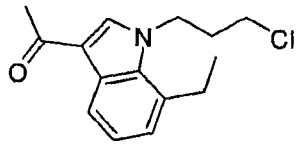


[0290] Prepared according to TP3, see also Scheme 2, by using 7-bromo-2-methyl-1*H*-indole (425 mg, 2.02 mmol), Et_2AlCl (3.0 mL, 1.0 M, 3.0 mmol), AcCl (0.21 mL, 2.9 mmol) in CH_2Cl_2 (10 mL) and Cs_2CO_3 (1.61 g, 4.94 mmol), 1-chloro-3-iodopropane (0.68 mL, 6.3 mmol) in CH_3CN (10 mL) to give the title compound (449 mg, 68% over two steps).

[0291] ^1H NMR (400 MHz, $CDCl_3$) δ 7. 98 (dd, J = 8.1, 1.0 Hz, 1H), 7.36 (dd, J = 7.7, 1.0 Hz, 1H), 7.02 (dd, J = 8.1, 7.7 Hz, 1H), 4.66-4.63 (m, 2H), 3.59 (t, J = 6.1 Hz, 2H), 2.72 (s, 3H), 2.60 (s, 3H), 2.24-2.17 (m, 2H).

[0292] ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.0, 145.4, 131.9, 129.7, 127.9, 122.6, 120.1, 114.9, 103.2, 41.4, 41.3, 33.8, 31.7, 12.5.

1-(1-(3-chloropropyl)-7-ethyl-1*H*-indol-3-yl)ethanone

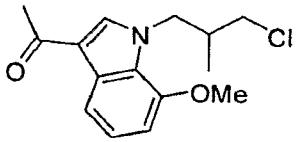


[0293] Prepared according to TP3, see also Scheme 2, by using 7-ethyl-1*H*-indole (307 mg, 2.11 mmol), Et_2AlCl (3.2 mL, 1.0 M, 3.2 mmol), AcCl (0.23 mL, 3.2 mmol) in CH_2Cl_2 (10 mL) and Cs_2CO_3 (1.38 g, 4.26 mmol), 1-chloro-3-iodopropane (0.68 mL, 6.3 mmol) in CH_3CN (10 mL) to give the title compound (334 mg, 60% over two steps).

[0294] ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (dd, J = 8.0, 1.3 Hz, 1H), 7.73 (s, 1H), 7.23-7.19 (m, 1H), 7.10-7.08 (m, 1H), 4.49 (t, J = 6.8 Hz, 2H), 3.49-3.46 (m, 2H), 3.04-2.98 (m, 2H), 2.49 (s, 3H), 2.27-2.21 (m, 2H), 1.34 (t, J = 7.5 Hz, 3H).

[0295] ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.6, 136.7, 134.1, 127.7, 127.3, 124.4, 122.7, 120.4, 116.8, 46.2, 41.2, 33.8, 27.3, 25.1, 15.8.

1-(1-(3-chloro-2-methylpropyl)-7-methoxy-1*H*-indol-3-yl)ethanone

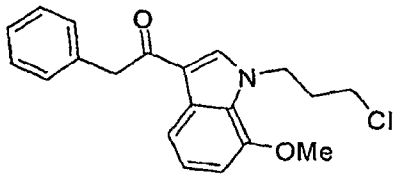


[0296] Prepared according to TP3, see also Scheme 2, by using 7-methoxy-1*H*-indole (669 mg, 4.55 mmol), Et_2AlCl (6.8 mL, 1.0 M, 6.8 mmol), AcCl (0.49 mL, 6.8 mmol) in CH_2Cl_2 (15 mL) and Cs_2CO_3 (1.99 g, 6.13 mmol), 1-chloro-3-iodo-2-methylpropane (0.94 mL, 8.0 mmol) in CH_3CN (20 mL) to give the title compound (589 mg, 70% over two steps).

[0297] ^1H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J = 8.1, 0.9 Hz, 1H), 7.61 (s, 1H), 7.17-7.12 (m, 1H), 6.69-6.67 (m, 1H), 4.38-4.24 (m, 2H), 3.90 (s, 3H), 3.46-3.34 (m, 2H), 2.51-2.46 (m, 4H), 1.02 (d, J = 6.8 Hz, 3H).

[0298] ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.6, 146.9, 136.1, 128.6, 126.0, 123.0, 116.5, 114.8, 104.0, 55.1, 52.5, 47.8, 36.7, 27.3, 15.0.

1-(1-(3-chloropropyl)-7-methoxy-1*H*-indol-3-yl)-2-phenylethanone

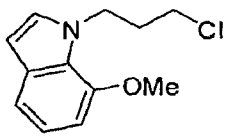


[0299] Prepared according to TP3, see also Scheme 2, by using 7-methoxy-1*H*-indole (286 mg, 1.94 mmol), Et_2AlCl (3.0 mL, 1.0 M, 3.0 mmol), 2-phenylacetyl chloride (0.39 mL, 3.0 mmol) in CH_2Cl_2 (10 mL) and Cs_2CO_3 (1.50 g, 4.63 mmol), 1-chloro-3-iodopropane (0.70 mL, 6.5 mmol) in CH_3CN (10 mL) to give the title compound (332 mg, 50% over two steps).

[0300] ^1H NMR (400 MHz, $CDCl_3$) δ 8.06 (dd, J = 8.1, 0.9 Hz, 1H), 7.72 (s, 1H), 7.36-7.16 (m, 6H), 6.72-6.70 (m, 1H), 4.55 (t, J = 6.4 Hz, 2H), 4.11 (s, 2H), 3.92 (s, 3H), 3.41-3.38 (m, 2H), 2.31-2.24 (m, 2H).

[0301] ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.5, 146.9, 136.2, 135.8, 129.2, 129.2, 128.4, 126.4, 125.8, 123.3, 115.9, 115.2, 104.2, 55.2, 47.0, 46.9, 41.5, 33.6.

1-(3-chloropropyl)-7-methoxy-1*H*-indole

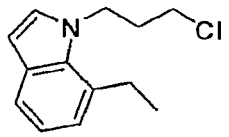


[0302] A dry round bottomed flask was charged with KOH (574 mg, 10.2 mmol) which was finely ground under Ar. To this powder was added DMSO (15 mL) and 7-methoxy-1*H*-indole (0.90 mL, 6.89 mmol). The suspension was submitted to ultrasound irradiation for 30 min and cooled to 0 °C. To this mixture was added 1-bromo-3-chloropropane (2.00 mL, 20.3 mmol) and the mixture was left with stirring overnight. The solution was poured into ice-water (25 mL) and extracted with EtOAc (4x 50 mL). The combined organic layers were washed with H_2O, brine, dried over Na_2SO_4 and adsorbed onto celite. Purification was by flash chromatography (heptanes → heptanes:EtOAc 4:1) to give the title compound (1.40 g, 91%).

[0303] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.20 (dd, J = 8.0, 0.9 Hz, 1H), 7.03-6.97 (m, 2H), 6.63-6.61 (m, 1H), 6.43 (d, J = 3.1 Hz, 1H), 4.54 (t, J = 6.4 Hz, 2H), 3.93 (s, 3H), 3.46-3.43 (m, 2H), 2.30-2.24 (m, 2H).

[0304] ^{13}C NMR (100 MHz, $CDCl_3$) δ 147.4, 131.2, 129.3, 119.9, 113.8, 113.8, 102.2, 101.3, 55.2, 46.1, 42.1, 34.6.

1-(3-chloropropyl)-7-ethyl-1*H*-indole

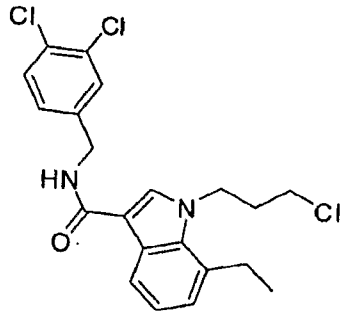


[0305] A dry round bottomed flask was charged with KOH (1.10 g, 19.7 mmol) which was finely ground under Ar. To this powder was added DMSO (30 mL) and 7-ethyl-1*H*-indole (2.00 mL, 14.6 mmol). The suspension was submitted to ultrasound irradiation for 30 min and cooled to 0 °C. To this mixture was added 1-bromo-3-chloropropane (4.30 mL, 43.7 mmol) and the mixture was left with stirring overnight. The solution was poured into ice-water (25 mL) and extracted with EtOAc (4x 50 mL). The combined organic layers were washed with H_2O, brine, dried over Na_2SO_4 and adsorbed onto celite. Purification was by flash chromatography (heptanes → heptanes:EtOAc 10:1) to give the title compound (875 mg, 20%).

[0306] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (dd, J = 7.6, 1.5 Hz, 1H), 7.08-6.99 (m, 3H), 6.50 (d, J = 6.5 Hz, 1H), 4.48 (t, J = 6.7 Hz, 2H), 3.48-3.45 (m, 2H), 3.07-3.01 (m, 2H), 2.25-2.17 (m, 2H), 1.36 (t, J = 7.5 Hz, 3H).

[0307] ^{13}C NMR (100 MHz, $CDCl_3$) δ 130.3, 129.8, 127.2, 122.7, 119.9, 119.1, 102.1, 45.5, 41.8, 34.5, 25.6, 15.9. (signal for C_{7b} was not observed).

1-(3-chloropropyl)-*N*-(3,4-dichlorobenzyl)-7-ethyl-1*H*-indole-3-carboxamide

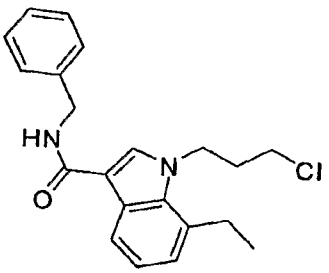


[0308] Prepared according to TP5, see also Scheme 4, by using MgI_2 (559 mg, 2.01 mmol), 1-(3-chloropropyl)-7-ethyl-1*H*-indole (430 mg, 1.94 mmol), 1,2-dichloro-4-(isocyanatomethyl)benzene (0.30 mL, 2.0 mmol) in DCE (4 mL) to give the title compound as off-white crystals (564 mg, 69%).

[0309] ^{1}H NMR (400 MHz, dmso-d_6) δ 8.05-8.47 (m, 1H), 8.51-8.03 (m, 1H), 7.99 (s, 1H), 7.57-7.57-7.54 (m, 2H), 7.33-7.30 (m, 1H), 7.07-6.98 (m, 2H), 4.46-4.42 (m, 4H), 3.68-3.65 (m, 2H), 3.03-2.99 (m, 2H), 2.23-2.18 (m, 2H), 1.27-1.23 (m, 3H).

[0310] ^{13}C NMR (100 MHz, dmso-d_6) δ 165.0, 142.4, 134.3, 133.1, 131.5, 131.1, 129.9, 129.8, 128.7, 128.3, 128.2, 123.9, 121.8, 120.0, 110.4, 46.7, 43.1, 41.7, 34.8, 25.4, 16.7.

N-benzyl-1-(3-chloropropyl)-7-ethyl-1*H*-indole-3-carboxamide

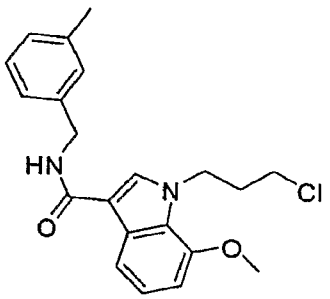


[0311] Prepared according to TP5, see also Scheme 4, by using MgI_2 (570 mg, 2.05 mmol), 1-(3-chloropropyl)-7-ethyl-1*H*-indole (430 mg, 1.94 mmol), (isocyanatomethyl)benzene (0.27 mL, 2.2 mmol) in DCE (4 mL) to give the title compound as off-white crystals (445 mg, 65%).

[0312] ^{1}H NMR (400 MHz, dmso-d_6) δ 8.42-8.39 (m, 1H), 8.08-8.06 (m, 1H), 8.00 (s, 1H), 7.33-7.28 (m, 4H), 7.23-7.19 (m, 1H), 7.06-6.97 (m, 2H), 4.46-4.42 (m, 4H), 3.68-3.65 (m, 2H), 3.03-2.99 (m, 2H), 2.23-2.18 (m, 2H), 1.27-1.23 (m, 3H).

[0313] ^{13}C NMR (100 MHz, dmso-d_6) δ 164.9, 141.0, 134.3, 133.0, 128.9, 128.8, 128.1, 127.9, 127.3, 123.9, 121.6, 120.1, 110.7, 46.7, 43.1, 42.6, 34.8, 25.4, 16.7.

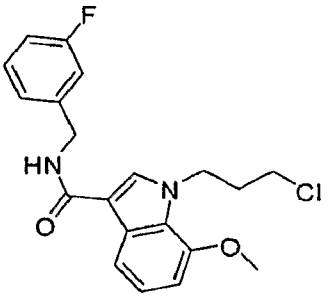
1-(3-chloropropyl)-7-methoxy-*N*-(3-methylbenzyl)-1*H*-indole-3-carboxamide



[0314] Prepared according to TP5, see also Scheme 4, by using MgI_2 (681 mg, 2.45 mmol), 1-(3-chloropropyl)-7-methoxy-1*H*-indole (538 mg, 2.40 mmol), 1-(isocyanatomethyl)-3-methylbenzene (0.34 mL, 2.45 mmol) in DCE (5 mL) to give the title compound as colorless crystals after flash chromatography (heptanes → heptanes:EtOAc 7:3) followed by recrystallization from EtOAc / heptanes (438 mg, 49%).

[0315] ^{1}H NMR (400 MHz, dmso-d_6) δ 8.35-8.32 (m, 1H), 7.93 (s, 1H), 7.76-7.73 (m, 1H), 7.20-7.17 (m, 1H), 7.12-7.10 (m, 2H), 7.04-7.00 (m, 2H), 6.72 (d, J = 7.6 Hz, 1H), 4.49-4.40 (m, 4H), 3.88 (s, 3H), 3.60-3.57 (m, 2H), 2.27 (s, 3H), 2.23-2.18 (m, 2H).

1-(3-chloropropyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide

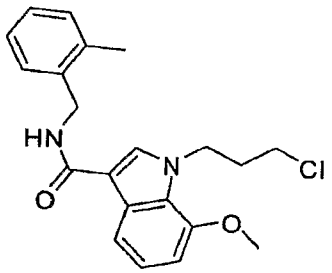


[0316] Prepared according to TP5, see also Scheme 4, by using MgI_2 (745 mg, 2.68 mmol), 1-(3-chloropropyl)-7-methoxy-1*H*-indole (600 mg, 2.68 mmol), 1-fluoro-3-

(isocyanatomethyl)benzene (0.34 mL, 2.68 mmol) in DCE (5 mL) to give the title compound as colorless crystals after flash chromatography (heptanes → heptanes:EtOAc 7:3) followed by recrystallization from EtOAc / heptanes (531 mg, 53%) as a 1:1 mixture of the chloride and the iodide.

[0317] ^{1}H NMR (400 MHz, dmso-d_6) δ 8.44-8.41 (m, 1H), 7.94 (s, 1H), 7.75-7.72 (m, 1H), 7.37-7.32 (m, 1H), 7.17-7.10 (m, 2H), 7.06-7.00 (m, 2H), 6.73 (d, J = 7.6 Hz, 1H), 4.50-4.40 (m, 4H), 3.89 (s, 3H), 3.59 (t, J = 6.2 Hz, 2H), 2.26-2.19 (m, 2H).

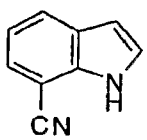
1-(3-chloropropyl)-7-methoxy-*N*-(2-methylbenzyl)-1*H*-indole-3-carboxamide



[0318] Prepared according to TP5, see also Scheme 4, by using MgI_2 (745 mg, 2.68 mmol), 1-(3-chloropropyl)-7-methoxy-1*H*-indole (600 mg, 2.68 mmol), 1-(isocyanatomethyl)-2-methylbenzene (0.37 mL, 2.68 mmol) in DCE (5 mL) to give the title compound as colorless crystals after flash chromatography (heptanes → heptanes:EtOAc 7:3) followed by recrystallization from EtOAc / heptanes (390 mg, 39%).

[0319] ^{1}H NMR (400 MHz, dmso-d_6) δ 8.23-8.20 (m, 1H), 7.96 (s, 1H), 7.76-7.73 (m, 1H), 7.28-7.26 (m, 1H), 7.14-7.11 (3H), 7.03-6.99 (m, 1H), 6.72 (d, J = 7.6 Hz, 1H), 4.49-4.39 (m, 4H), 3.88 (s, 3H), 3.60-3.57 (m, 2H), 2.31 (s, 3H), 2.26-2.20 (m, 2H).

7-Cyano-1*H*-indole

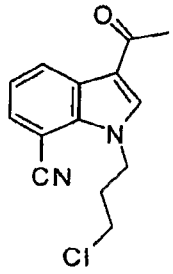


[0320] $Pd(PPh_3)_4$ (103 mg, 0.09 mmol) was added to 7-bromo-1*H*-indole (588 mg, 3 mmol) and $Zn(CN)_2$ in degassed DMF (10 ml). The vial was capped and heated in the MW at 170 °C for 15 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated *in vacuo*. The product

was purified by column chromatography (heptane 100% to heptane/EtOAc 9:1) followed by recrystallization from heptane. Yield: 350 mg (82%).

[0321] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (br s, 1H), 7.86 (d, 1H, J = 8.0 Hz), 7.52 (d, 1H, J = 7.6 Hz), 7.34 (t, 1H, J = 2.6 Hz), 7.17 (t, 1H, J = 8.0 Hz), 6.65 (m, 1H).

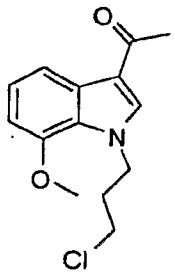
3-acetyl-1-(3-chloropropyl)- 7-cyano-indole



[0322] Preperad accordingly to TP3, see also Scheme 2, using 7-cyano-1*H*-indole (350 mg, 2.45 mmol), Et_2AlCl (3.7 mL, 1.0 M, 3.7 mmol), acetyl chloride (0.22 mL, 3.7 mmol) in CH_2Cl_2 (10 mL) and Cs_2CO_3 (0.88 g, 2.7 mmol), NaI (10 mg), 1-chloro-3-iodopropane (0.43 mL, 4.1 mmol) in DMF (10 mL), 45 min at 100 °C to give the title compound (280 mg, 43% over two steps).

[0323] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (m, 1H), 7.84 (s, 1H), 7.62 (m, 1H), 7.33 (t, 1H, J = 8 Hz), 4.73 (t, 2H, J = 6.8 Hz), 3.55 (t, 2H, J = 5.6 Hz), 2.54 (s, 3H), 2.42 (m, 2H).

1-(1-(3-Chloropropyl)-7-methoxy-1*H*-indol-3-yl)ethanone

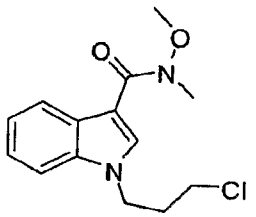


[0324] Prepared according to TP1, see also Scheme 1, using 1-(7-methoxy-1*H*-indol-3-yl)ethanone (500 mg, 2.65 mmol), Cs_2CO_3 (1.73 g, 5.3 mmol), NaI (cat) and 1-chloro-3-iodopropane (827 µmol, 7.94) in dry CH_3CN (10 ml). Purification by flash

chromatography (heptane/ethyl acetate 99:1-1:1) gave 675 mg (97%) of title compound as white crystals.

[0325] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 1H, J = 8.0 Hz), 7.67 (s, 1H), 7.18 (t, 1H, J = 8 Hz), 6.72 (d, 1H J = 7.8 Hz), 4.58 (t, 2H, J = 6.4 Hz), 3.94 (s, 3H), 3.47 (t, 2 H, J = 6.0 Hz), 2.51 (s, 3H), 2.32 (m, 2H).

1-(3-chloropropyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide

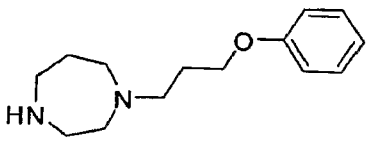


[0326] Prepared according to TP3, see also Scheme 2, from N-methoxy-N-methyl-1H-indole-3-carboxamide (865 mg, 4.24 mmol). The product was purified by flash chromatography 0-40 % EtOAc in heptane to yield the title compound: 886 mg (75%).

[0327] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (d, J = 8.0 Hz, 1H), 7.96 (s, 1H), 7.41-7.25 (m, 3H), 4.41 (t, J = 6.7 Hz, 2H), 3.77 (s, 3H), 3.45 (d, J = 6.7 Hz, 2H), 3.40 (s, 3H), 2.31 (pent, J = 6.7 Hz, 2H).

Amines

1-(3-phenoxypropyl)-1,4-diazepane

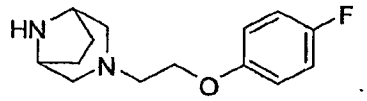


[0328] Prepared according to TP9, see also Scheme 7, by using *tert*-butyl 1,4-diazepane-1-carboxylate (390 mg, 1.95 mmol), (3-bromopropoxy)benzene (598 mg, 2.78 mmol), Cs_2CO_3 (1.03 g, 3.17 mmol) to give the title compound (343 mg, 75%).

[0329] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29-7.25 (m, 2H), 6.94-6.89 (m, 3H), 4.03-4.00 (m, 2H), 3.01-2.95 (m, 4H), 2.75-2.68 (m, 6H), 1.96-1.91 (m, 2H), 1.85-1.79 (m, 2H).

[0330] ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.3, 129.6, 120.8, 114.8, 66.3, 57.4, 55.1, 54.6, 48.8, 47.1, 29.9, 27.8.

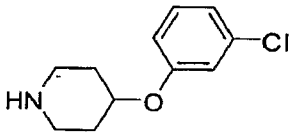
3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octane



[0331] Prepared according to TP9, see also Scheme 7, by using *tert*-butyl 3,8-diazabicyclo[3.2.1]octane-8-carboxylate (248 mg, 1.17 mmol), 1-(2-bromoethoxy)-4-fluorobenzene (384 mg, 1.75 mmol), Cs_2CO_3 (571 mg, 1.75 mmol) in CH_3CN (10 mL) to give the title compound (188 mg, 64%).

[0332] ^1H NMR (400 MHz, $CDCl_3$) δ 6.89-6.84 (m, 2H), 6.75-6.72 (m, 2H), 3.92-3.89 (m, 2H), 3.33-3.30 (m, 2H), 2.64-2.60 (m, 4H), 2.55 (br s, 1H), 2.25-2.23 (m, 2H), 1.77-1.75 (m, 2H), 1.63-1.59 (m, 2H).

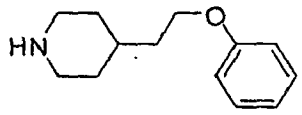
4-(3-chlorophenoxy)piperidine



[0333] Prepared according to TP6, see also Scheme 5, from tert-butyl 4-hydroxypiperidine-1-carboxylate (400 mg, 2 mmol) and 3-chlorophenol (358 mg, 2.8 mmol). The crude product was purified by flash chromatography 0-20 % EtOAc in heptane. The resulting product was dissolved in CH_2Cl_2 (10 mL) and TFA (5 mL) was cautiously added. After stirring at room temperature for 3 h the mixture was evaporated to dryness. The crude product was dissolved in a minimal amount of MeOH and purified by solid phase extraction using a SCX cartridge eluding with NH_3(MeOH) to give the title compound 304 mg (72% over two steps).

[0334] ^1H NMR (400 MHz, $CDCl_3$) δ: 7.11 – 7.06 (m, 1H), 6.97 – 6.85 (m, 2H), 6.71 – 6.69 (m, 1H), 4.44 – 4.40 (m, 1H), 3.71 – 3.63 (m, 2H), 3.42 – 3.37 (m, 2H), 1.95 – 1.88 (m, 2H), 1.79 – 1.72 (m, 2H).

4-(2-phenoxyethyl)piperidine

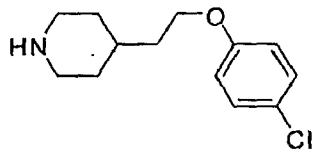


[0335] Prepared according to TP6, see also Scheme 5, using tert-butyl 4-(2-hydroxyethyl)piperidine-1-carboxylate (458 mg, 2 mmol) and phenol (263 mg, 2.8 mmol). The crude product was purified by flash chromatography 0-20 % EtOAc in heptane. The resulting product was dissolved in CH_2Cl_2 (10 mL) and TFA (5 mL) was cautiously added. After stirring at room temperature for 3 h the mixture was evaporated to dryness. The crude product was dissolved in a minimal amount of MeOH and purified by solid phase extraction using a SCX cartridge eluding with NH_3(MeOH) to give the title compound 293 mg (56% over two steps).

[0336] ^{1}H NMR (400 MHz, $CDCl_3$) δ:7.31 –7.25 (m, 2H), 6.98 – 6.88 (m, 2H), 4.13 – 4.06 (m, 2H), 4.00 (t, J = 7.2 Hz, 2H), 2.78 – 2.69 (m, 2H), 1.78 – 1.65 (m, 5H), 1.23 – 1.19 (m, 2H).

[0337] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 159.2, 129.6, 120.8, 114.7, 65.5, 46.6, 36.5, 33.3., 31.7.

4-(2-(4-chlorophenoxy)ethyl)piperidine



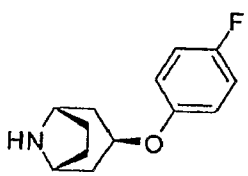
[0338] Prepared according to TP6, see also Scheme 5, from tert-butyl 4-(2-hydroxyethyl)piperidine-1-carboxylate (458 mg, 2 mmol) and 4-chlorophenol (361 mg, 2.8 mmol). The crude product was purified by flash chromatography 0-20 % EtOAc in heptane. The resulting product was dissolved in CH_2Cl_2 (10 mL) and TFA (5 mL) was cautiously added. After stirring at room temperature for 3 h the mixture was evaporated to dryness. The crude product was dissolved in a minimal amount of MeOH and purified by solid phase extraction using a SCX cartridge eluding with NH_3(MeOH) to give the title compound 406 mg (86% over two steps).

[0339] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.20 – 7.18 (m, 2H), 6.81 – 6.78 (m, 2H), 4.16 – 4.07 (m, 2H), 3.97 (t, J = 7.2 Hz, 2H), 2.74 – 2.67 (m, 2H), 1.77 – 1.60 (m, 5H), 1.20 – 1.11 (m, 2H).

tert-butyl 3β-hydroxy-8-azabicyclo[3.2.1]octane-8-carboxylate

[0340] A reaction flask was charged with 3α-hydroxy-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester (3.94 g, 17.3 mmol), 4-nitrobenzoic acid (11.3 g, 67.8 mmol), PPh_3 (18.8 g, 68.6 mmol) in dry THF (140 mL) and cooled to 0 °C. Diisopropylazodicarboxylate (13.8 mL, 70.1 mmol) was added drop wise over 15 min. After 1 h cooling was removed and the mixture stirred at rt overnight. The mixture was then stirred at 45 °C for 5 h followed by cooling to rt and the mixture was diluted with diethylether and washed with several portions of saturated aqueous $NaHCO_3$. The combined aqueous layers were extracted with diethyl ether and the combined organic layers dried over Na_2SO_4 and evaporated to dryness. The resulting gum was stirred with diethyl ether (80 mL) while n-heptane (40 mL) was added slowly to cause crystallization. The mixture was filtered and the filter cake extracted with diethyl ether:n-heptane 1:1 (300 mL). The filtrate was adsorbed onto celite and purified by flash column chromatography (SiO_2; n-heptane → n-heptane/ethyl acetate 7:3) to give the intermediate benzoic acid ester. The ester was dissolved in THF (40 mL) and $LiOH \cdot H_2O$ (0.60 g, 14.3 mmol) in water (7 mL) was added. After stirring at rt for 5 h saturated aqueous $NaHCO_3$ was added and the mixture extracted with diethyl ether. The combined organic layers were washed with saturated aqueous $NaHCO_3$, brine, dried over Na_2SO_4 and evaporated to dryness to give the title compound as colorless crystals (3.33 g, 82%).

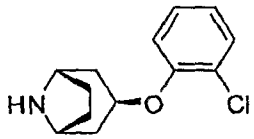
(1R,3r,5S)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octane



[0341] Prepared according to TP7, see also Scheme 5, from 4-fluorophenol (313 mg, 2.8 mmol) and tert-butyl 3β-hydroxy-8-azabicyclo[3.2.1]octane-8-carboxylate (451 mg, 2.0 mmol). Yield: 130 mg (29 % over two steps).

[0342] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 6.95 – 6.90 (m, 2H), 6.78 – 6.72 (m, 2H), 4.53 – 4.49 (m, 1H), 4.23 – 4.11 (m, 2H), 2.17 – 1.90 (m, 8H).

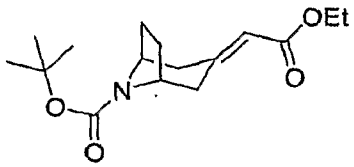
(1R,3r,5S)-3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octane



[0343] Prepared according to TP7, see also Scheme 5, from 2-chlorophenol (358 mg, 2.8 mmol) and tert-butyl 3β-hydroxy-8-azabicyclo[3.2.1]octane-8-carboxylate (451 mg, 2.0 mmol). Yield: 263 mg (58 % over two steps).

[0344] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.34 (d, J = 6.6 Hz, 1H), 7.18 (t, J = 6.6 Hz, 1H), 6.83 (t, J = 6.6 Hz, 1H), 6.79 (d, J = 6.6 Hz, 1H), 4.64 – 4.60 (m, 1H), 4.23 – 4.18 (m, 2H), 2.32 – 1.95 (m, 8H).

3-Ethoxycarbonylmethylene-8-azabicyclo[3.2.1]octane-8-carboxylic acid t-butyl ester



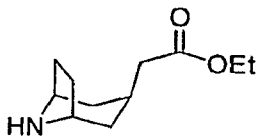
[0345] A reaction flask was charged with triethyl phosphonoacetate (7.458 g, 33.3 mmol) in dry THF (20 mL) under Argon. NaH (60% in mineral oil, 1.33 g, 33.3 mmol) was added in portions and the mixture was stirred at rt for 1 h. The clear solution was cooled to <10 ^{0}C with an icebath followed by dropwise addition of 3-oxo-8-azabicyclo[3.2.1]octane-8-carboxylic acid *t*-butyl ester (4.977 g, 22.2 mmol) dissolved in THF (5 mL) over 45 min. The temperature was slowly raised to rt and the reaction was stirred for another 20 h. The reaction mixture was quenched with water and the product extracted into ethyl acetate. The combined organic phases were dried over sodium sulfate, filtered, and concentrated. The product was purified by flash column chromatography (SiO_2; n-heptane/ethyl acetate 4:1) to give the title compound: 5.416 g (82%).

[0346] ^{1}H NMR ($CDCl_3$) δ 5.76 – 5.74 (m, 1H), 4.28 (br s, 2H), 4.19 – 4.07 (m 2), 3.66 – 3.59 (m, 1H), 2.76 – 2.20 (m, 2H), 2.11 – 2.06 (m, 1H), 1.93 – 1.87 (m, 2H), 1.58 – 1.54 (m, 2H), 1.46 (m, 9H), 1.26 (t, 3H).

(8-Azabicyclo[3.2.1]oct-3-ylidene)acetic acid ethyl ester

[0347] To 3-ethoxycarbonylmethylene-8-azabicyclo[3.2.1]octane-8-carboxylic acid t-butyl ester (12.3 g, 41.8 mmol) in dichloromethane was added TFA (10 mL) and the reaction was stirred for 8 h. The solution was concentrated under reduced pressure, diluted with dichloromethane, and washed with 2 M NaOH followed by brine. The water phases were thereafter back-extracted with ethyl acetate and the combined organic phases were dried over sodium sulfate, filtered, and concentrated. The crude product: 7.04 g (91%) was used without further purification.

(8-Azabicyclo[3.2.1]oct-3α-yl)acetic acid ethyl ester

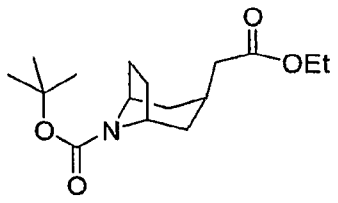


[0348] A 250 mL reaction flask was charged with (8-azabicyclo[3.2.1]oct-3-ylidene)acetic acid ethyl ester (3.7 g, 19 mmol), ammonium formiate (14 g, 190 mmol), and Pd/C (0.32 g) in 150 mL MeOH. When all of the ammonium formiate was dissolved the mixture was degassed for 15 min. The reaction was stirred on under an inert atmosphere (N_2) over night at rt. The mixture was filtered through celite, concentrated, diluted with 2 M NaOH (ca pH 10), and extracted with ethyl acetate. The combined organic phases were washed with brine, dried over sodium sulfate, filtered, and concentrated to give the crude product 3.1 g (83%; 85:15 α:β) that was used without further purification. Major isomer:

[0349] ^{1}H NMR ($CDCl_3$) δ 4.08 (q, J = 7.2 Hz, 2 H), 3.45 - 3.41 (m, 2 H), 2.40 (d, J = 8.0 Hz, 2 H), 2.25 - 2.18 (m, 1 H), 2.06 - 1.96 (m, 2 H), 1.82 - 1.55 (m, 5 H), 1.31 - 1.23 (m, 2 H), 1.21 (t, J = 7.2 Hz, 3 H).

[0350] ^{13}C NMR ($CDCl_3$) δ 173.3, 60.4, 53.6, 42.6, 36.7, 30.4, 25.4, 14.4.

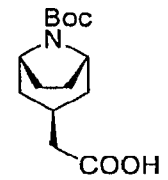
3α-Ethoxycarbonylmethyl-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester



[0351] A solution of di-*tert*-butyldicarbonate (4.3 g, 20 mmol) in THF (10 mL) was added to a cooled (ice water bath) solution of (8-azabicyclo[3.2.1]oct-3α-yl)acetic acid ethyl ester (2.8 g, 14 mmol) in THF (40 mL). The reaction was stirred at rt for 14 h and then concentrated. The semi-solid residue was diluted with ethyl acetate, washed with brine, dried over sodium sulfate, filtered, and concentrated. The oily residue was purified by flash column chromatography (SiO_2; heptane/ethyl acetate 7:3) to yield the title compound: 3.8 g (73%) as an oil. Major isomer:

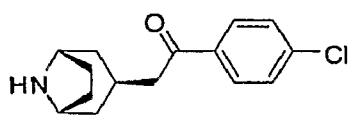
[0352] ^{1}H NMR ($CDCl_3$) δ 4.16 (vbr s, 2 H), 4.11 (q, J = 6.8 Hz, 2 H), 2.43 (d, J = 7.6 Hz, 2 H), 2.24 - 2.12 (m, 3 H), 2.00 - 1.92 (m, 2 H), 1.70 - 1.61 (M, 2 H), 1.44 (s, 9 H), 1.23 (t, J = 6.8 Hz, 3 H).

[(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid



[0353] 3α-Ethoxycarbonylmethyl-8-azabicyclo[3.2.1]octane-8-carboxylic acid tert-butyl ester (5.38 g, 18 mmol) was taken up in THF (30 mL) and NaOH (2M, 20 mL) and the reaction mixture was stirred at rt for 48 h. The THF was distilled off and the aq. phase made acidic with HCl (pH=2) before extraction of the product with ether. The organic phase was dried over Na_2SO_4 and concentrated *in vacuo*. Yield: 4.87 g (99%).

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone

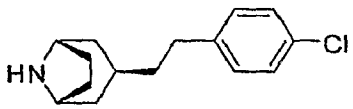


[0354] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (1.34 g, 5 mmol) and 4-chlorophenylmagnesium chloride (10 mL, 1 M, 10 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. Yield 1.48 g (82 %). The product (386 mg, 1 mmol) was taken up in a mixture of TFA (2 mL) and DCM (2 mL) and and stirred for 1 h at rt,concentrated *in vacuo*, then taken up in EtOAc, washed with NaOH (2 N), dried over Na_2SO_4 and concentrated *in vacuo*. Yield: 278 mg (97 %).

[0355] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.84 (d, J = 6.8 Hz, 2H), 7.45 (d, J = 6.8 Hz, 2H), 4.21 – 4.11 (m, 2H), 3.09 – 3.02 (m, 2H), 2.38 – 1.93 (m, 4H), 1.71 – 1.63 (m, 3H), 1.24 – 1.19 (m, 2H).

[0356] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 198.8, 139.7, 135.6, 129.7, 129.2, 56.5, 53.6, 36.9, 30.5, 24.5.

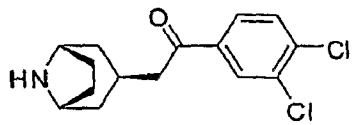
(1R,3r,5S)-3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octane



[0357] Prepared according to TP11, see also Scheme 9, from (1R,3r,5S)-tert-butyl 3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate (928 mg, 2.6 mmol). After extractive workup, the product was purified by SCX. Yield: 310 mg (48%).

[0358] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.24 – 7.20 (m, 2H), 7.07 – 7.01 (m, 2H), 3.52 – 3.43 (m, 2H), 2.55 – 2.50 (m, 1H), 2.07 – 1.98 (m, 2H), 1.80 – 1.66 (m, 6H), 1.32 – 1.27 (m, 2H).

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(3,4-dichlorophenyl)ethanone



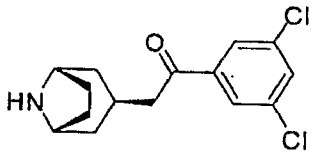
[0359] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (468 mg, 1.5 mmol) and 3,4-dichlorophenylmagnesium chloride (6 mL, 0.5 M, 3 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. The product was

taken up in a mixture of TFA (2 mL) and DCM (2 mL), stirred for 1 h at rt and purified by SCX. Yield: 300 mg (68 %).

[0360] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.97 (d, J = 2.0 Hz, 1H), 7.72 (dd, J = 2.0 and 8.6 Hz, 1H), 7.52 (d, J = 8.6 Hz, 1H), 3.56 – 3.54 (m, 2H), 3.04 (d, J = 7.5 Hz, 2H), 2.50 – 2.45 (m, 1H), 2.18 – 2.11 (m, 2H), 1.92 – 1.89 (m, 2H), 1.77 – 1.74 (m, 2H), 1.34 – 1.29 (m, 2H).

[0361] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 197.6, 137.8, 136.8, 133.6, 131.0, 130.3, 127.3, 53.5, 46.4, 36.7, 30.3, 24.3.

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(3,5-dichlorophenyl)ethanone

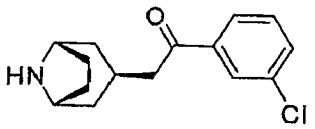


[0362] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (468 mg, 1.5 mmol) and 3,5-dichlorophenylmagnesium chloride (6 mL, 0.5 M, 3 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. The product was taken up in a mixture of TFA (2 mL) and DCM (2 mL), stirred for 1 h at rt and purified by SCX. Yield: 260 mg (58 %).

[0363] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.75 (d, J = 1.5 Hz, 2H), 7.58 (t, J = 1.5 Hz, 1H), 4.05 – 3.98 (m, 2H), 4.36 (d, J = 7.8 Hz, 2H), 2.68 – 2.62 (m, 1H), 2.53 – 2.45 (m, 2H), 2.34 – 2.29 (m, 2H), 2.05 – 1.97 (m, 2H), 1.67 – 1.60 (m, 2H).

[0364] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 195.8, 139.0, 136.2, 133.4, 126.6, 54.2, 45.8, 33.0, 26.9, 22.8.

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(3-chlorophenyl)ethanone



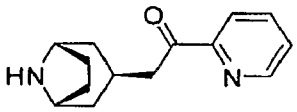
[0365] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (468 mg, 1.5 mmol) and 3-

chlorophenylmagnesium chloride (6 mL, 0.5 M, 3 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. The product was taken up in a mixture of TFA (2 mL) and DCM (2 mL), stirred for 1 h at rt and purified by SCX. Yield: 290 mg (66 %).

[0366] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.91 – 7.86 (m, 1H), 7.82 – 7.76 (m, 1H), 7.57 – 7.52 (m, 1H), 7.44 – 7.38 (m, 1H), 4.02 – 3.98 (m, 2H), 3.22 – 3.18 (m, 2H), 2.27 – 2.65 (m, 1H), 2.54 – 2.46 (m, 2H), 2.33 – 2.21 (m, 2H), 2.02 – 1.97 (m, 2H), 1.85 – 1.80 (m, 2H).

[0367] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 196.5, 138.2, 135.1, 134.7, 131.9, 128.2, 126.3, 55.4, 46.0, 32.2, 26.4, 23.4.

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(pyridin-2-yl)ethanone

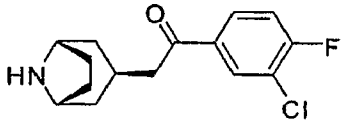


[0368] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (468 mg, 1.5 mmol) and 2-pyridininmagnesium chloride (12 mL, 0.25 M, 3 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. The product was taken up in a mixture of TFA (2 mL) and DCM (2 mL), stirred for 1 h at rt andpurified by SCX. Yield: 172 mg (50 %).

[0369] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.66 – 8.65 (m, 1H), 8.01 – 7.99 (m, 1H), 7.83 – 7.79 (m, 1H), 7.46 – 7.43 (m, 1H), 3.59 – 3.53 (m, 2H), 3.39 – 3.37 (m, 2H), 2.59 – 2.50 (m, 1H), 2.17 – 2.10 (m, 2H), 1.93 – 1.86 (m, 4H), 1.42, - 1.38 (m, 2H).

[0370] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 201.8, 153.8, 149.2, 137.1, 127.3, 122.1, 54.9, 45.2, 36.5, 29.8, 29.0, 28.9, 24.3.

2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-(3-chloro-4-fluorophenyl)ethanone

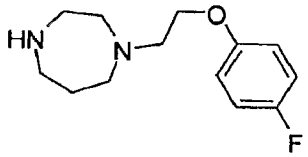


[0371] Prepared according to TP10, see also Scheme 8, from [(1R,5S)-8-(tert-butoxycarbonyl)-8-azabicyclo[3.2.1]oct-3 α -yl]acetic acid (468 mg, 1.5 mmol) and 3-chloro-4-fluorophenylmagnesium chloride (6 mL, 0.5 M, 3 mmol). After extractive work up the product was purified by flash chromatography 0-20 % EtOAc in heptane. The product was taken up in a mixture of TFA (2 mL) and DCM (2 mL), stirred for 1 h at rt and purified by SCX. Yield: 122 mg (21 %).

[0372] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.00 – 7.97 (m, 1H), 7.84 – 7.80 (m, 1H), 7.26 – 7.19 (m, 1H), 3.57 – 3.55 (m, 2H), 3.10 – 3.04 (m, 2H), 2.48 – 2.46 (m, 1H), 2.19 – 2.17 (m, 2H), 1.93 – 1.89 (m, 2H), 1.79 – 1.77 (m, 2H), 1.35 – 1.31 (m, 2H).

[0373] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 198.0, 134.3, 131.2, 128.6, 128.5, 117.2, 116.9, 53.5, 46.4, 36.7, 30.4, 24.3.

1-(2-(4-fluorophenoxy)ethyl)-1,4-diazepane

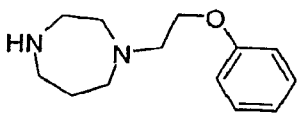


[0374] Prepared according to TP9, see also Scheme 7, from *tert*-butyl 1,4-diazepane-1-carboxylate (400 mg, 2 mmol), 1-(2-bromoethoxy)-4-fluorobenzene (569 mg, 2.6 mmol). Yield: 450 mg (94 %).

[0375] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 6.96 –6.91 (m, 2H), 6.82 – 6.79 (m, 2H), 4.38 – 3.34 (m, 2H), 4.00 (t, J =5.4 Hz, 2H), 3.05 – 3.00 (m, 2H), 2.95 – 2.80 (m, 4H), 1.86 – 1.83 (m, 2H).

[0376] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.5, 158.7, 156.3, 155.1, 116.1, 115.9, 115.8, 115.7, 67.3, 56.7, 55.8, 54.9, 47.8, 46.3, 28.6.

1-(2-phenoxyethyl)-1,4-diazepane

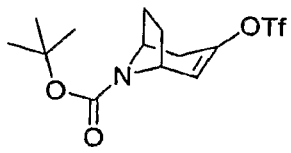


[0377] Prepared according to TP9, see also Scheme 7 from *tert*-butyl 1,4-diazepane-1-carboxylate (400 mg, 2 mmol), (2-bromoethoxy)benzene (569 mg, 2.6 mmol). Yield: 377 mg (86 %).

[0378] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28 – 7.24 (m, 2H), 6.95 – 6.86 (m, 3H), 5.22 – 5.20 (m, 2H), 4.06 – 4.03 (m, 2H), 3.09 – 2.82 (8H), 1.91 – 1.85 (m, 2H).

[0379] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 158.9, 129.7, 121.1, 114.8, 66.6, 57.0, 55.0, 54.8, 47.8, 46.1, 28.2.

3-Trifluorosulfonyl-8-tertButyloxycarbonyl-8-azabicyclo[3.2.1]-oct-2-ene (N-Boc-nortropanone enol triflate)

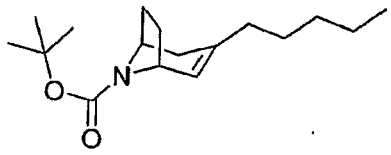


[0380] LDA was generated by adding BuLi (20 mL, 1.68M, 32.6 mmol) to a solution of diisopropylamine (2.38 g, 32.6 mmol) in dry THF (10mL) at -78°C under argon. The mixture was kept at that temperature for 30 min followed by the addition of a solution of N-Bocnortropinone (5.27 g, 23.4 mmol) in dry THF (20 mL). The mixture was then left stirring for 1h while maintaining the temperature at 78°C. Then a solution of 2-[N,N-Bis(trifluoromethylsulfonyl)amino]-5-chloropyridine (10.08 g, 25.7 mmol) in dry THF (20 mL) was added and the mixture was slowly allowed to reach room temperature overnight and subsequently concentrated and purified by flash chromatography (EtOAc/heptane 1:6,) to give the title compound 6.68 g (80%) which on prolonged standing crystallised into a white solid.

[0381] ^{1}H NMR ($CDCl_3$) δ 6.10 (bs, 1H), 4.42 (m, 2H), 3.05 (bs, 1H), 2.23 (m, 1H), 2.07 (d, J=16.6Hz, 1H), 1.93-2.03 (m, 2H), 1.72 (m, 1H), 1.43 (s, 9H).

[0382] ^{13}C NMR ($CDCl_3$) δ 153.9, 148.0, 124.0, 118.7, 80.5, 51.9, 36.5, 34.7, 30.1, 28.4.

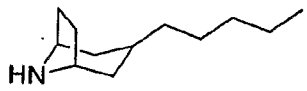
tert-butyl (1R,5S)-3-pentyl-8-azabicyclo[3.2.1]octane-8-carboxylate



[0383] In a dry argon flushed schlenk flask palladium acetate (220 mg, 0.98 mmol) and tricyclohexyl phosphine (549 mg, 1.96 mmol) were dissolved in THF (40 mL) and NMP (20 mL). After 5 min 3-trifluorosulfonyl-8-tertButyloxycarbonyl-8-azabicyclo[3.2.1]-oct-2-ene (N-Boc-nortropanone enol triflate) (7.0 g, 19.6 mmol) and NMI (1.72 g, 21 mmol) were added. In a second dry argon flushed flask pentyl magnesium bromide (2M, 14 mL, 28 mmol) was transmetallated to the corresponding zinc reagent with $ZnBr_2$ (1.5 M, 18.6 mL, 28 mmol) at rt. The formed pentyl zinc reagent was added to the reaction mixture (exothermic). The reaction was heated to 80 °C for 16 h, then quenched with MeOH (10 mL) and filtered through celite. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % EtOAc in heptane. Yield: 1.92 g (73%).

[0384] ^1H NMR (400 MHz, $CDCl_3$) δ: 5.67 (bs, 1H), 4.38-4.17 (m, 2H), 2.78-2.60 (m, 1H), 2.19-2.06 (m, 1H), 1.98-1.55 (m, 6H), 1.43 (s, 9H), 1.38-1.17 (m, 6H), 0.87 (t, J=7.2 Hz, 3H).

(1R,5S)-3α-pentyl-8-azabicyclo[3.2.1]octane



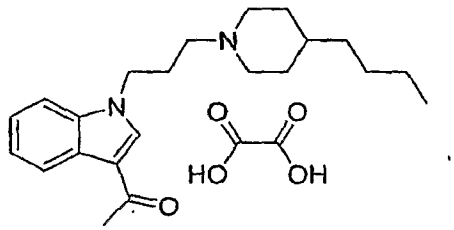
[0385] tert-butyl (1R,5S)-3-pentyl-8-azabicyclo[3.2.1]octane-8-carboxylate (450 mg, 1.7 mmol) was dissolved in DCM (2 mL) and TFA (2mL) and left stirring for 1 h, concentrated *in vacuo*. The crude product was redissolved in EtOAc and washed with NaOH (2 M, aq.), dried over sodium sulphate, filtered and concentrated *in vacuo*. Platinum oxide (34 mg), acetic acid (100 mg, 1.7 mmol) and MeOH were added, the flask was evacuated and flushed with H_2. The reaction was stirred for 2 h at rt then filtered through celite and

concentrated *in vacuo*. The concentrate was taken up in DCM and washed with NaOH (2 M, aq.) dried over sodium sulphate, filtered and concentrated *in vacuo*. Yield: 272 mg (88%).

[0386] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 3.41 (bs, 2H), 1.95 (pentet, J = 6.9 Hz, 2H), 1.74-1.21 (m, 15H), 0.84 (t, J = 7.0 Hz, 3H).

[0387] ^{13}C NMR (100 MHZ, $CDCl_3$) – major isomer: δ 53.7, 38.0, 37.4, 32.1, 30.9, 28.5, 28.3, 22.8, 14.2.

1-[1-[3-(4-butyl-1-piperidinyl)propyl]-1H-indol-3-yl]-ethanone **C900b**

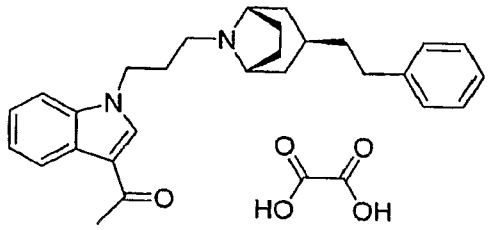


[0388] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (235 mg, 1 mmol), cesium carbonate (650 mg, 2 mmol), potassium iodide (166 mg, 1 mmol) and 4-*n*-butylpiperidine (134 mg, 0.95 mmol) were weighed into a MW vial and dry MeCN (4 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction was repeated 4 times. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-10 % MeOH in DCM. Yield: 1.07 g (78%). LC/MS purity: UV/MS 100/100.

[0389] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.38-8.36 (m, 1H), 7.82 (s, 1H), 7.40-7.26 (m, 3H), 4.26 (t, J = 6.4 Hz, 2H), 2.88 (bd, 2H), 2.52 (s, 3H), 2.29 (t, J = 6.8 Hz, 2H), 2.08 (pentet, J = 6.8 Hz, 2H), 1.95 (bt, 2H), 1.71 (bd, 2H), 1.30-1.24 (m, 9H), 0.89 (t, J = 6.8 Hz, 3H).

[0390] ^{13}C NMR (100 MHz, $CDCl_3$); δ 193.1, 137.0, 135.6, 126.6, 123.4, 122.9, 122.7, 117.2, 110.0, 54.9, 54.1, 44.7, 36.4, 35.9, 32.4, 29.2, 27.8, 26.8, 23.1, 14.3.

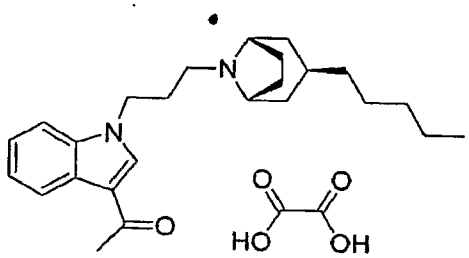
1-(1-{3-[(1R,5S)-3 α -(2-phenylethyl)-8-azabicyclo[3.2.1]oct-8-yl]propyl}-1H-indol-3-yl)ethanone **C616b**



[0391] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and (1R,5S)-3-(2-phenylethyl)-8-azabicyclo[3.2.1]octane (80 mg, 0.35 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 88 mg (57%). LC/MS purity: UV/MS 99/98.

[0392] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.39-8.37 (m, 1H), 7.85 (s, 1H), 7.42-7.16 (m, 8H), 4.33 (t, J = 6.6 Hz, 2H), 3.20 (bs, 2H), 2.62 (t, J = 7.2 Hz, 2H), 2.52 (s, 3H), 2.32 (t, J = 6.6 Hz, 2H), 2.25-2.17 (m, 2H), 2.03 (pentet, J = 6.6 Hz, 2H), 1.94-1.90 (m, 2H), 1.78 (t, J = 5.8 Hz, 2H), 1.68-1.38 (m, 5H).

1-(1-{3-[(1R,5S)-3 α -pentyl-8-azabicyclo[3.2.1]oct-8-yl]propyl}-1H-indol-3-yl)ethanone **C615b**



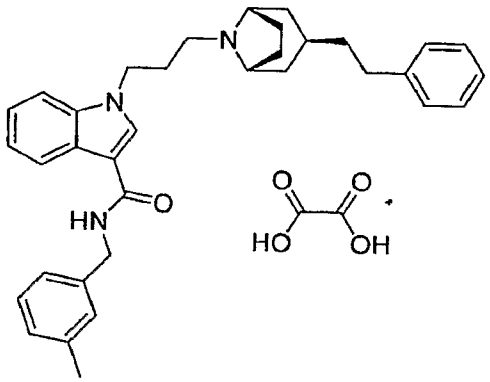
[0393] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and (1*R*,5*S*)-3-pentyl-8-azabicyclo[3.2.1]octane (81 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min.

The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 123 mg (72%). LC/MS purity: UV/MS 100/92.

[0394] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.39-8.36 (m, 1H), 7.81 (s, 1H), 7.43-7.26 (m, 3H), 4.33 (t, J = 6.6 Hz, 2H), 3.12-3.09 (m, 2H), 2.52 (s, 3H), 2.24 (t, J = 6.6 Hz, 2H), 2.15-2.09 (m, 2H), 1.96 (pentet, J = 6.6 Hz, 2H), 1.90-1.87 (m, 2H), 1.74-1.22 (m, 13H), 0.89 (t, J = 7.0 Hz, 3H).

[0395] ^{13}C NMR (100 MHz, $CDCl_3$); δ 193.1, 137.1, 135.8, 126.6, 123.3, 122.8, 122.6, 117.0, 110.2, 58.8, 48.2, 44.6, 38.6, 36.1, 32.2, 28.6, 28.6, 28.5, 27.7, 27.4, 22.9, 14.3.

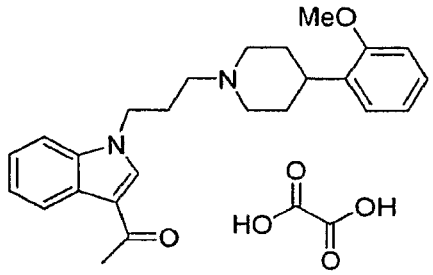
N-(3-methylbenzyl)-1-{3-[(1R,5S)-3 α -(2-phenylethyl)-8-azabicyclo[3.2.1]oct-8-yl]propyl}-1H-indole-3-carboxamide **C296b**



[0396] 1-(3-chloropropyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and (1*R*,5*S*)-3-pentyl-8-azabicyclo[3.2.1]octane (80 mg, 0.35 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 74 mg (41%). LC/MS purity: UV/MS 100/92.

[0397] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.98-7.81 (m, 2H), 7.44-7.42 (m, 1H), 7.29-7.10 (m, 11H), 6.15 (bt, 1H), 4.66 (d, J = 5.6 Hz, 2H), 4.28 (t, J = 6.6 Hz, 2H), 3.22 (bs, 2H), 2.89 (t, J = 7.2 Hz, 2H), 2.62-2.58 (m, 2H), 2.37-1.36 (m, 14H), 1.27 (t, J = 7.2 Hz, 2H).

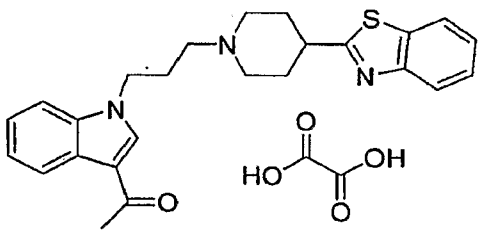
1-(1-{3-[4-(2-methoxyphenyl)-1-piperidinyl]propyl}-1H-indol-3-yl)ethanone **C639b**



[0398] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 4-(2-methoxyphenyl)piperidine (86 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 125 mg (71%). LC/MS purity: UV/MS 99/86.

[0399] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.28-8.26 (m, 2H), 7.59 (dt, J = 8.0 and 1.0 Hz, 1H), 7.34-7.13 (m, 4H), 6.94-6.88 (m, 2H), 4.40 (t, J = 6.8 Hz, 2H), 3.81 (s, 3H), 3.43-3.40 (m, 2H), 3.18-3.10 (m, 1H), 2.97-2.93 (m, 2H), 2.78-2.75 (m, 2H), 2.54 (s, 3H), 2.34-2.30 (m, 2H), 1.95-1.89 (m, 4H).

1-(1-{3-[4-(1,3-benzothiazol-2-yl)-1-piperidinyl]propyl}-1H-indol-3-yl)ethanone **C667b**

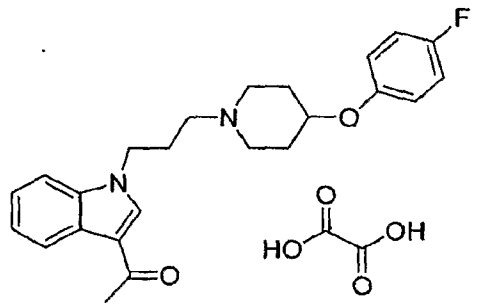


[0400] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 2-(4-piperidinyl)-1,3-benzothiazole (98 mg, 0.45 mmol) were weighed into a MW vial and dry

MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 100 mg (53%). LC/MS purity: UV/MS 98/84.

[0401] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.26-8.24 (m, 2H), 7.96-7.90 (m, 2H), 7.58-7.22 (m, 5H), 4.39 (t, J = 6.8 Hz, 2H), 3.34-3.24 (m, 5H), 2.76-2.72 (m, 2H), 2.61-2.57 (m, 2H), 2.53 (s, 3H), 2.28-2.22 (m, 4H).

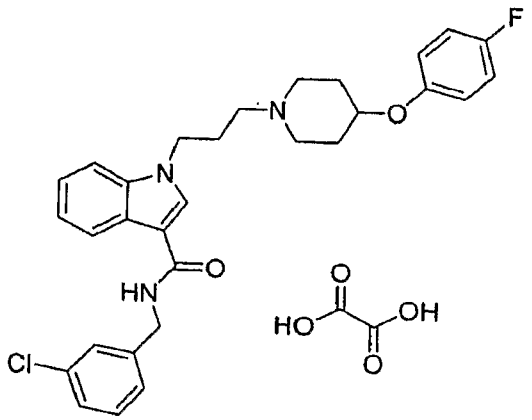
1-(1-{3-[4-(4-fluorophenoxy)-1-piperidinyl]propyl}-1H-indol-3-yl)ethanone **C656b**



[0402] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 4-(4-fluorophenoxy)piperidine (104 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 111 mg (63%). LC/MS purity: UV/MS 98/82.

[0403] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.25-8.22 (m, 2H), 7.55-7.53 (m, 1H), 7.35-7.21 (m, 2H), 7.00-6.91 (m, 4H), 4.40-4.34 (m, 3H), 2.99-2.95 (m, 2H), 2.70-2.66 (m, 4H), 2.52 (s, 3H), 2.22-2.18 (m, 2H), 2.04-2.00 (m, 2H), 1.88-1.82 (m, 2H).

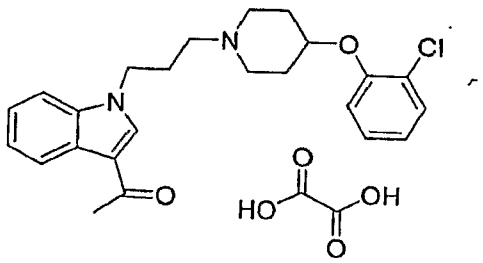
N-(3-chlorobenzyl)-1-{3-[4-(4-fluorophenoxy)-1-piperidinyl]propyl}-1H-indole-3-carboxamide **C292b**



[0404] 1-(3-chloropropyl)-N-(3-chlorobenzyl)-1H-indole-3-carboxamide (180 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 4-(4-fluorophenoxy)piperidine (104 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 80 mg (34%). LC/MS purity: UV/MS 99/84.

[0405] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.14 (dt, J = 8.0 and 0.6 Hz, 1H), 7.88 (s, 1H), 7.43 (dt, J = 8.4 and 1.0 Hz, 1H), 7.37-7.35 (m, 1H),7.26-7.16 (m, 5H), 6.96-6.92 (m, 2H), 6.85-6.82 (m, 2H), 4.53 (s, 2H), 4.21-4.18 (m, 3H), 2.63-2.59 (m, 2H), 2.25 (t, J = 7.4 Hz, 2H), 2.21-2.13 (m, 2H), 1.98 (pentet, J = 7.4 Hz, 2H), 1.91-1.86 (m, 2H), 1.71-1.63 (m, 2H).

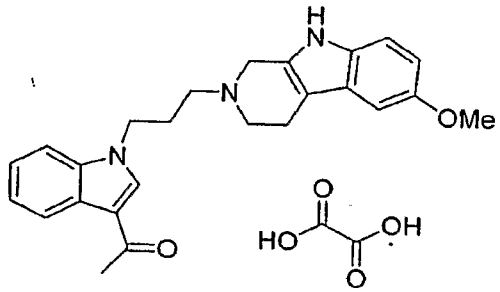
1-(1-{3-[4-(2-chlorophenoxy)-1-piperidinyl]propyl}-1H-indol-3-yl)ethanone **C627b**



[0406] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 4-(2-chlorophenoxy)piperidine (111 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 181 mg (98%). LC/MS purity: UV/MS 99/95.

[0407] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.28 (s, 1H), 8.24-8.22 (m, 1H), 7.59-7.57 (m, 1H), 7.35 (dd, J = 8.0 and 1.6 Hz, 1H), 7.31-7.21 (m, 3H), 7.12 (dd, J = 8.0 and 1.6 Hz, 1H), 6.95 (dt, J = 7.2 and 1.2 Hz, 1H), 4.73.4.69 (m, 1H), 4.39 (t, J = 7.2 Hz, 2H), 3.36-3.17 (m, 6H), 2.51 (s, 3H), 2.40-2.36 (m, 2H), 2.20-2.05 (m, 4H).

1-{1-[3-(6-methoxy-1,3,4,9-tetrahydro-2H-β-carbolin-2-yl)propyl]-1H-indol-3-yl}ethanone **C901b**



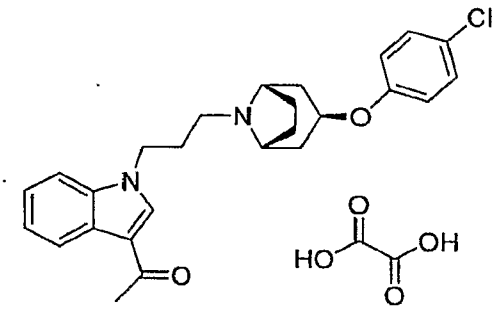
[0408] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 6-methoxy-1,2,3,4-tetrahydro-β-carboline (91 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 66 mg (37%). LC/MS purity: UV/MS 97/58.

[0409] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.25-8.23 (m, 1H), 8.10 (s, 1H), 7.47 (d, J = 8.4 Hz, 1H), 7.28-7.19 (m, 2H), 7.14 (d, J = 8.8 Hz, 1H), 6.87 (d, J = 2.4 Hz, 1H), 6.70 (dd, J = 8.4 and 2.4 Hz, 1H), 4.26 (t, J = 6.8 Hz, 2H), 3.76 (s, 3H), 3.69 (s, 2H), 2.88 (t, J = 6.0

Hz, 2H), 2.76 (t, J = 6.0 Hz, 2H), 2.64 (t, J = 7.9 Hz, 2H), 2.43 (s, 3H), 2.15 (pentet, J = 7.9 Hz, 2H).

1-(1-{3α -[3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]propyl}-1H-indol-3-yl)ethanone

C390b

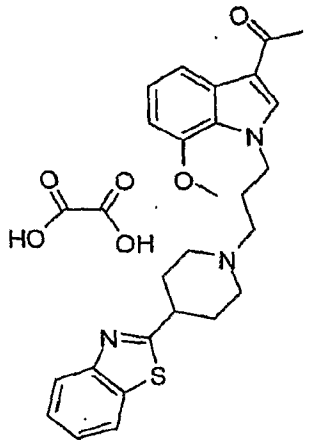


[0410] 1-[1-(3-chloropropyl)-1H-indol-3-yl]-ethanone (117 mg, 0.5 mmol), cesium carbonate (325 mg, 1 mmol), potassium iodide (83 mg, 0.5 mmol) and 3α-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octane (106 mg, 0.45 mmol) were weighed into a MW vial and dry MeCN (2 mL) was added. The vial was capped and heated in the MW at 120 °C for 20 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-5 % MeOH in DCM. Yield: 90 mg (46%). LC/MS purity: UV/MS 99/96.

[0411] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.39-8.36 (m, 1H), 7.81 (s, 1H), 7.42-7.40 (m, 1H), 7.30-7.21 (m, 4H), 6.76-6.73 (m, 2H), 4.50 (t, J = 5.6 Hz, 2H), 4.32 (t, J = 6.6 Hz, 2H), 3.13-3.12 (m, 2H), 2.52 (s, 3H), 2.29 (t, J = 6.6 Hz, 2H), 2.13-1.85 (m, 10 H).

[0412] ^{13}C NMR (100 MHz, $CDCl_3$) δ: 193.1, 156.2, 137.1, 135.5, 129.7, 126.6, 125.5, 123.3, 122.8, 122.7, 117.2, 116.9, 110.1, 70.5, 58.4, 48.7, 44.6, 35.8, 28.7, 27.8, 26.3.

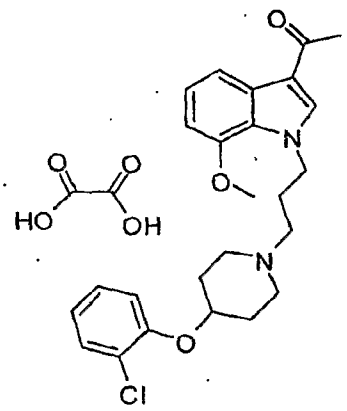
1-(1-(3-(4-(Benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-methoxy-1*H*-indol-3-yl)ethanone oxalate **C669b**



[0413] The title compound was synthesized according to TP12 at 0.35 mmol scale using 2-(piperidin-4-yl)benzo[d]thiazole (153 mg, 0.70 mmol) and no triethylamine. This gave 90 mg (58%) of the free base.

[0414] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (m, 2H), 7.86 (d, 1H, J = 8.0 Hz), 7.71 (s, 1H), 7.45 (m, 1H), 7.35 (m, 1H), 7.17 (t, 1H, J = 8 Hz), 6.71 (d, 1H J = 7.8 Hz), 4.49 (t, 2H, J = 6.6 Hz), 3.94 (s, 3H), 3.13 (m, 1H), 3.03 (m, 2H), 2.49 (s, 3H), 2.32 (t, 2H, J = 6.8 Hz), 2.23-1.98 (m, 8H). The title compound (89 mg) was isolated as white crystals. MS (ES^+, M+1) = 448.

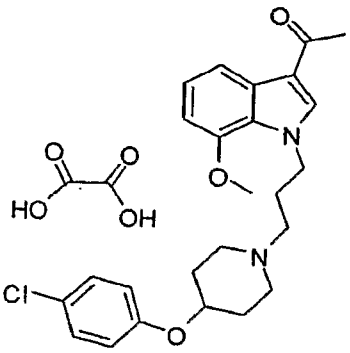
1-(1-(3-(4-(2-Chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1*H*-indol-3-yl)ethanone oxalate **C629b**



[0415] The title compound was synthesized according to TP12 at 0.35 mmol scale using 4-(2-chlorophenoxy)piperidine hydrochloride (174 mg, 0.70 mmol). This gave 105 mg (68%) of the free base.

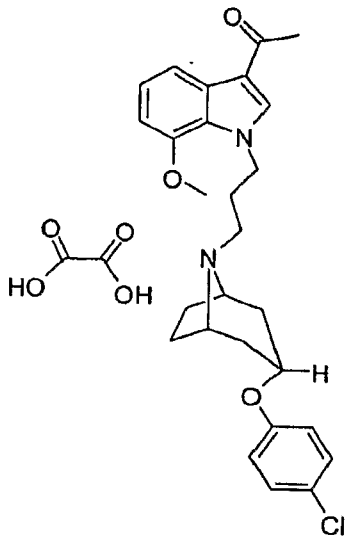
[0416] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, 1H, J = 8.0 Hz), 7.70 (s, 1H), 7.37 (dd, 1H, J = 7.8, 1.6 Hz), 7.20 (m, 1H), 7.17 (t, 1H, J = 8 Hz), 6.95 (dd, 1H, J = 8.2, 1.4 Hz), 6.90 (dt, 1H, J = 7.4, 1.4 Hz), 6.71 (d, 1H J = 7.8 Hz), 4.47 (t, 2H, J = 6.4 Hz), 4.40 (m, 1H), 3.94 (s, 3H), 2.73 (m, 1H), 2.49 (s, 3H), 2.32 (m, 4H), 2.05 (m, 4H), 1.90 (m, 2H). The title compound (96 mg) was isolated as white crystals. MS (ES^+, M+1) = 441.

1-(1-(3-(4-(4-Chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1*H*-indol-3-yl)ethanone oxalate **C645b**



[0417] The title compound was synthesized according to TP12 at 0.35 mmol scale using 4-(4-chlorophenoxy)piperidine (115 mg, 0.55 mmol). This gave 60 mg (39%) of the free base. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 1H, J = 8.0 Hz), 7.70 (s, 1H), 7.22 (m, 2H), 7.17 (t, 1H, J = 8 Hz), 6.82 (m, 2H), 6.71 (d, 1H J = 7.8 Hz), 4.47 (t, 2H, J = 6.4 Hz), 4.29 (m, 1H), 3.94 (s, 3H), 2.71 (m, 1H), 2.49 (s, 3H), 2.32 (m, 4H), 2.05 (m, 4H), 1.82 (m, 2H). The title compound (60 mg) was isolated as white crystals. MS (ES^+, M+1) = 441.

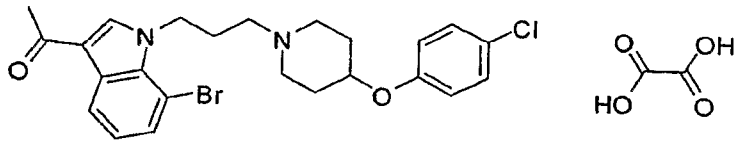
1-(1-(3-(3 α -(4-Chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1*H*-indol-3-yl)ethanone oxalate **C598b**



[0418] The title compound was synthesized according to TP12 at 0.35 mmol scale using 3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octane (166 mg, 0.7 mmol) and no triethylamine. This gave 115 mg (70%) of the free base.

[0419] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 1H, J = 8.0 Hz), 7.70 (s, 1H), 7.20 (m, 2H), 7.15 (t, 1H, J = 8 Hz), 6.72 (m, 2H), 6.69 (d, 1H J = 7.8 Hz), 4.49 (m 3H), 3.92 (s, 3H), 3.28 (m, 2H), 2.49 (s, 3H), 2.42 (t, 2H, J = 7.2 Hz), 2.21 (m, 2H), 2.10-1.87 (m, 8H). The title compound (58 mg) was isolated as white crystals. MS (ES^+, M) = 467.

1-(7-bromo-1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1*H*-indol-3-yl)ethanone oxalate **C468b**



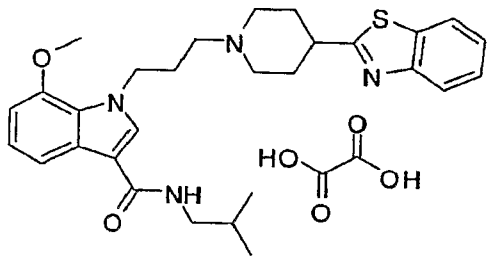
[0420] A 4 mL vial was charged with 1-(7-bromo-1-(3-chloropropyl)-1*H*-indol-3-yl)ethanone (139 mg, 0.44 mmol), 4-(4-chlorophenoxy)piperidine (87 mg, 0.41 mmol), Cs_2CO_3 (232 mg, 0.71 mmol), NaI (62 mg, 0.41 mmol) and CH_3CN (2 mL). The mixture was stirred at 50 °C overnight and then at 80 °C for 6 h. To the resulting suspension was added H_2O (1 mL), EtOAc (2 mL) and the organic layer was applied to a SCX ion exchange

column. The cartridge was washed with MeOH, and the crude product was eluded with NH_3 (MeOH). The resulting crude amine was purified by flash chromatography (EtOAc → EtOAc:MeOH 4:1) to give the free base (62 mg, 31%). Oxalic acid (1.1 eq) dissolved in acetone (0.3 ml) was added to the clear oil dissolved in acetone (0.5 ml). The precipitant was filtered off and dried to yield 62 mg of the title compound as white crystals.

[0421] ^{1}H NMR (400 MHz, $CDCl_3$) (of free base) δ 8.43 (dd, J = 8.0, 1.1 Hz, 1H), 7.83 (s, 1H), 7.45 (dd, J = 7.7, 1.0 Hz, 1H), 7.24-7.20 (m, 2H), 7.12-7.08 (m, 1H), 6.84-6.80 (m, 2H), 4.65 (t, J = 6.7 Hz, 2H), 4.32-4.26 (m, 1H), 2.76-2.68 (m, 2H), 2.50 (s, 3H), 2.36-2.27 (m, 4H), 2.15-1.98 (m, 4H), 1.89-1.79 (m, 2H).

[0422] ^{13}C NMR (100 MHz, $CDCl_3$) (of free base) δ 192.4, 155.9, 138.4, 133.1, 129.7, 129.4, 128.6, 125.7, 123.5, 122.1, 117.3, 116.3, 103.7, 72.7, 54.1, 50.3, 46.6, 30.7, 28.6, 27.5.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C184b**



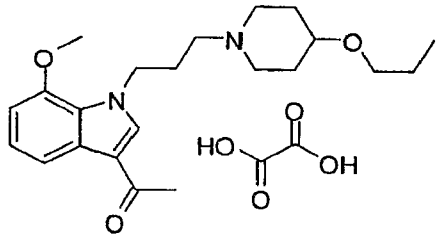
[0423] 1-(3-chloropropyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide (25 mg, 0.08 mmol), potassium iodide (2 mg, 0.01 mmol), DIPEA (21 mg, 0.16 mmol) and 2-(piperidin-4-yl)benzo[d]thiazole (34 mg, 0.16 mmol) were weighed into a vial and dry DMF (1 mL) was added. The vial was sealed and heated on a shaker at 80 °C for 24 h. The reaction mixture was diluted with EtOAc and washed with $MgSO_4$ (4% aq.), water and brine, dried over sodium sulphate, filtered and concentrated onto celite. The product was purified by flash chromatography 0-10 % MeOH in DCM. Yield: 31 mg (78%).

[0424] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.96 (d, J = 6.5 Hz, 1H), 7.85 (d, J = 6.5 Hz, 1H), 7.54 (s, 1H), 7.49 (d, J = 8.0 Hz, 1H), 7.45 (t, J = 8.4 Hz, 1H), 7.34 (t, J = 8.4 Hz, 1H), 7.12 (t, J = 8.4 Hz, 1H), 6.67 (d, J = 8.0 Hz, 1H), 4.45 (t, J = 6.8 Hz, 2H), 3.94 (s, 3H),

3.32 (t, J = 6.0 Hz, 1H), 3.11-2.98 (m, 3H), 2.33 (t, J = 6.8 Hz, 1H), 2.07-1.90 (m, 9H), 1.00 (d, J = 7.6 Hz, 1H).

[0425] ^{13}C NMR (400 MHz, $CDCl_3$) δ: 177.1, 166.4, 154.2, 148.9, 135.7, 133.9, 128.9, 127.2, 127.0, 125.8, 123.7, 123.0, 122.7, 113.6, 112.1, 104.2, 56.5, 56.2, 54.4, 49.1, 47.9, 42.7, 33.6, 30.0, 29.9, 21.4.

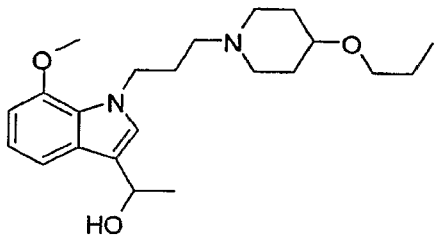
1-(7-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C730b**



[0426] Prepared according to TP12 from 1-(1-(3-chloropropyl)-7-methoxy-1H-indol-3-yl)ethanone (199 mg. 0.75 mmol) and 4-propoxypiperidine (214 mg, 1.5 mmol). Yield of the title compound: 247 mg (89%).

[0427] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.97 (d, J = 8.0 Hz, 1H), 7.694 (s, 1H), 7.161 (t, J = 8.0 Hz, 1H), 6.70 (d, J = 8.0 Hz, 1H), 4.44 (t, J = 6.8 Hz, 2H), 3.92 (s, 3H), 3.396(t, J = 6.8 Hz, 2H), 3.31-3.26 (m, 1H), 2.76-2.67 (m, 2H), 2.48 (s, 3H), 2.21 (t, J = 6.4Hz, 2H), 2.09-2.89 (m, 6H), 1.65-1.55 (m, 4H), 0.92 (t, J = 7.2 Hz, 2H).

1-(7-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanol **C902**

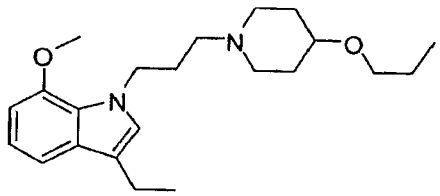


[0428] $LiAlH_4$ (3.8 mg, 0.1 mmol) was weighed into a dry, argon flushed vial, dry THF (1 mL) was added, followed by 1-(7-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (20 mg, 0.05 mmol) in THF (1 mL). The reaction mixture was left for 1 h at rt followed by 1 h at 80 °C. Quenched with water and NaOH (2 N) and extracted with EtOAc. The combined organic phases was dried over Na_2SO_4 and concentrated *in*

vacuo. The product was purified by flash chromatography 0-2 % MeOH in DCM. Yield: 7 mg (50%).

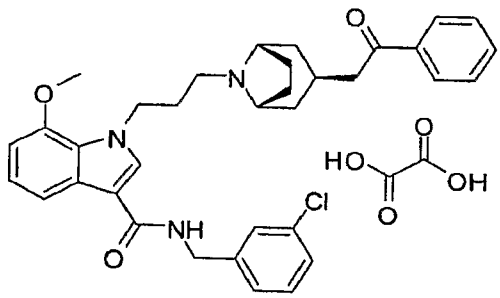
[0429] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.32 (d, J = 7.2 Hz, 1H), 7.01-6.97 (m, 2H), , 6.61 (d, J = 8.0 Hz, 1H), 5.17 (q, J = 5.6 Hz, 1H), 4.35 (t, J = 7.2 Hz, 2H), 3.90 (S, 1H), 3.37 (t, J = 6.8 Hz, 1H), 3.29-3.24 (m, 1H), 2.74-2.70 (m, 2H), 2.26 (t, J = 6.8 Hz, 2H), 2.14-1.86 (m, 8H), 1.64-1.54 (m, 6H), 0.90 (t, J = 7.2 Hz, 3H).

3-ethyl-7-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indole **C903**



[0430] 1-(7-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (20 mg, 0.05 mmol) was taken up in DCM in an argon flushed vial and cooled on an ice bath before slowly adding $TiCl_4$ (10 mg, 0.05 mmol, neat), after 10 min BH_3·$NHMe_2$ (6 mg, 0.1 mmol) was added in DCM (1 mL) and the reaction mixture was allowed to warm to rt, left for an additional 2 h before the reaction was quenched with HCl (2N). The crude product was taken up in EtOAc and washed with water, dried over Na_2SO_4 and concentrated *in vacuo*. The product was purified by prep TLC from 2 % MeOH in DCM. Yield: 0.9 mg (8%). UV/MS: 95/90.

N-(3-chlorobenzyl)-7-methoxy-1-(3-((1R,3r,5S)-3-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C221b**

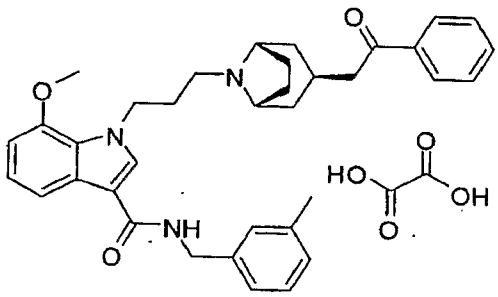


[0431] Prepared according to TP12 from N-(3-chlorobenzyl)-1-(3-chloropropyl)-7-methoxy-1H-indole-3-carboxamide (98 mg. 0.25 mmol) and 2-((1R,3r,5S)-8-

azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone (115 mg, 0.5 mmol). Yield of the title compound: 113 mg (77%).

[0432] ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.91 – 7.89 (m, 2H), 7.72 (d, J = 0.8 Hz, 1H), 7.64 (d, J = 8.0 Hz, 1H), 7.57 – 7.53 (m, 1H), 7.46 – 7.43 (m, 2H), 7.34 (s, 1H), 7.26 – 7.19 (m, 3H), 7.10 (dt, J = 1.2 and 8.0 Hz, 1H), 6.65 (d, J = 8.0 Hz, 1H), 4.64 (d, J = 6.0 Hz, 2H), 4.47 (t, J = 6.8 Hz, 2H), 3.92 (s, 3H), 3.17 – 3.12 (m, 2H), 3.05 (d, J = 7.2 Hz, 2H), 2.56 – 2.49 (m, 1H), 2.22 – 2.15 (m, 4H), 1.99 – 1.87 (m, 4H), 1.68 – 1.57 (m, 2H),1.25 – 1.17 (m, 2H).

7-methoxy-N-(3-methylbenzyl)-1-(3-((1R,3r,5S)-3-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C193b**



[0433] Prepared according to TP12 from 1-(3-chloropropyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide (98 mg. 0.25 mmol) and 2-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone (115 mg, 0.5 mmol). Yield of the title compound: 111 mg (46%).

[0434] ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.93 – 7.89 (m, 2H), 7.75 – 7.53 (m, 3H), 7.46 – 7.40 (m, 2H), 7.33 – 7.06 (m, 5H), 4.68 – 4.63 (m, 2H), 4.50 – 4.43 (m, 2H), 3.97 (s, 3H), 3.17 – 3.05 (m, 4H), 2.53 – 2.45 (m, 1H), 2.33 (s, 3H), 2.27 – 2.15 (m, 4H), 1.98 – 1.90 (m, 4H), 1.67 – 1.60 (m, 2H), 1.22 – 1.17 (m, 2H).

[0435] ^{13}C NMR (400 MHz, $CDCl_3$) δ: 200.3, 147.8, 138.9, 138.5, 133.3, 133.2, 132.8, 131.1, 129.0, 129.0, 128.8, 128.7, 128.7, 128.2, 126.3, 124.9, 122.1, 113.1, 103.4, 58.3, 55.5, 50.6, 50.6, 48.1, 46.9, 43.6, 35.1, 30.2, 27.1, 23.9.

Synthesis of libraries

[0436] Alkyl halides (0.03 mmol/reaction) were dissolved in DMF (0.5 mL/reaction). Secondary amines (0.06 mmol/reaction) and DIEA (0.06 mmol/reaction) were dissolved in DMF (0.3 mL/reaction). NaI (cat) was added to a microtiter plate. The microtiter plate was moved to liquid handler and the solutions containing alkyl halides and amines were dispensed. The microtiter plate was shaken at 80 °C for 22 hours. Volatile materials were then removed at reduced pressure. The remaining crude products were dissolved in DMF (0.32 mL) and filtered using a 96-position filter plate (0.8 mL, 0.4 micrometer) into microtiter plates. The crude products were purified by preparative LC/MS according to **PP** (analytical methods). Purity analyses of the purified products were performed according to **AP** (analytical methods).

[0437] The following compounds were prepared using the library procedure:

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C001**

[0438] Amount made: 1.6 mg. LCMS *m/z* 651 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C002**

[0439] Amount made: 3.3 mg. LCMS *m/z* 629 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C003**

[0440] Amount made: 3.3 mg. LCMS *m/z* 593 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C004**

[0441] Amount made: 6.3 mg. LCMS *m/z* 591 $[M+H]^+$, purity (UV/MS) 96/80.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C005**

[0442] Amount made: 5.6 mg. LCMS *m/z* 595 $[M+H]^+$, purity (UV/MS) 85/70.

1-(3-((1R,3r,5S)-3-(2-(3,4-dichlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C006**

[0443] Amount made: 1.1 mg. LCMS *m/z* 660 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C007**

[0444] Amount made: 5.0 mg. LCMS *m/z* 626 $[M+H]^+$, purity (UV/MS) 99/80.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C008**

[0445] Amount made: 1.8 mg. LCMS *m/z* 593 $[M+H]^+$, purity (UV/MS) 100/70.

1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-ethyl-1H-indole-3-carboxamide **C009**

[0446] Amount made: 7.3 mg. LCMS *m/z* 629 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-((1R,3r,5S)-3-(2-(3-chloro-4-fluorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C010**

[0447] Amount made: 3.3 mg. LCMS *m/z* 644 $[M+H]^+$, purity (UV/MS) 97/70.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C011**

[0448] Amount made: 0.9 mg. LCMS *m/z* 568 $[M+H]^+$, purity (UV/MS) 73/60.

1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C012**

[0449] Amount made: 1.4 mg. LCMS *m/z* 601 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C013**

[0450] Amount made: 6.0 mg. LCMS *m/z* 613 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C014**

[0451] Amount made: 2.6 mg. LCMS *m/z* 667 $[M+H]^+$, purity (UV/MS) 90/70.

N-benzyl-7-ethyl-1-(3-(4-(2-phenoxyethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C015**

[0452] Amount made: 1.5 mg. LCMS *m/z* 539 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3,4-dichlorobenzyl)-1-(3-((1R,3r,5S)-3-(2-(3,4-dichlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C016**

[0453] Amount made: 2.3 mg. LCMS *m/z* 714 $[M+H]^+$, purity (UV/MS) 96/60.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide **C017**

[0454] Amount made: 2.1 mg. LCMS *m/z* 680 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-((1R,3r,5S)-3-(2-(3-chloro-4-fluorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide **C018**

[0455] Amount made: 6.6 mg. LCMS *m/z* 698 $[M+H]^+$, purity (UV/MS) 87/70.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C019**

[0456] Amount made: 3.9 mg. LCMS *m/z* 622 $[M+H]^+$, purity (UV/MS) 85/50.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-ethyl-1H-indole-3-carboxamide **C020**

[0457] Amount made: 6.8 mg. LCMS *m/z* 677 $[M+H]^+$, purity (UV/MS) 100/80.

N-(3,4-dichlorobenzyl)-1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C021**

[0458] Amount made: 3.2 mg. LCMS *m/z* 655 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(2-phenoxyethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C022**

[0459] Amount made: 1.1 mg. LCMS *m/z* 637 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C023**

[0460] Amount made: 2.5 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C024**

[0461] Amount made: 2.1 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 100/80.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C025**

[0462] Amount made: 8.2 mg. LCMS *m/z* 607 $[M+H]^+$, purity (UV/MS) 99/50.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C026**

[0463] Amount made: 1.2 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 98/90.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C027**

[0464] Amount made: 3.1 mg. LCMS *m/z* 598 $[M+H]^+$, purity (UV/MS) 99/70.

N-(3,4-dichlorobenzyl)-1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C028**

[0465] Amount made: 3.2 mg. LCMS *m/z* 667 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C029**

[0466] Amount made: 0.3 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C030**

[0467] Amount made: 6.3 mg. LCMS *m/z* 575 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-1H-indole-3-carboxamide **C031**

[0468] Amount made: 1.6 mg. LCMS *m/z* 637 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C032**

[0469] Amount made: 2.6 mg. LCMS *m/z* 637 $[M+H]^+$, purity (UV/MS) 100/100.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C033**

[0470] Amount made: 2.5 mg. LCMS *m/z* 597 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3,4-dichlorobenzyl)-7-ethyl-1H-indole-3-carboxamide **C034**

[0471] Amount made: 4.0 mg. LCMS *m/z* 650 $[M+H]^+$, purity (UV/MS) 100/80.

N-benzyl-1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-7-ethyl-1H-indole-3-carboxamide **C035**

[0472] Amount made: 5.2 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 98/60.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C036**

[0473] Amount made: 4.9 mg. LCMS *m/z* 592 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C037**

[0474] Amount made: 8.3 mg. LCMS *m/z* 548 $[M+H]^+$, purity (UV/MS) 98/80.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C038**

[0475] Amount made: 5.2 mg. LCMS *m/z* 625 $[M+H]^+$, purity (UV/MS) 97/80.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(2-phenoxyethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C039**

[0476] Amount made: 2.0 mg. LCMS *m/z* 607 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C040**

[0477] Amount made: 5.1 mg. LCMS *m/z* 548 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C041**

[0478] Amount made: 3.2 mg. LCMS *m/z* 613 $[M+H]^+$, purity (UV/MS) 85/50.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C042**

[0479] Amount made: 5.9 mg. LCMS *m/z* 539 $[M+H]^+$, purity (UV/MS) 99/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C043**

[0480] Amount made: 1.9 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 100/70.

N-benzyl-7-ethyl-1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-1H-indole-3-carboxamide **C044**

[0481] Amount made: 1.5 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 100/100.

N-benzyl-7-ethyl-1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C045**

[0482] Amount made: 4.2 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C046**

[0483] Amount made: 4.1 mg. LCMS *m/z* 577 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C047**

[0484] Amount made: 4.1 mg. LCMS *m/z* 581 $[M+H]^+$, purity (UV/MS) 97/70.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C048**

[0485] Amount made: 3.1 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C049**

[0486] Amount made: 6.2 mg. LCMS *m/z* 525 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-N-(3,4-dichlorobenzyl)-7-ethyl-1H-indole-3-carboxamide **C050**

[0487] Amount made: 1.0 mg. LCMS *m/z* 563 $[M+H]^+$, purity (UV/MS) 97/80.

N-benzyl-7-ethyl-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C051**

[0488] Amount made: 1.8 mg. LCMS *m/z* 524 $[M+H]^+$, purity (UV/MS) 100/100.

N-benzyl-7-ethyl-1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C052**

[0489] Amount made: 4.8 mg. LCMS *m/z* 557 $[M+H]^+$, purity (UV/MS) 96/80.

N-(3-fluorobenzyl)-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C053**

[0490] Amount made: 1.0 mg. LCMS *m/z* 547 $[M+H]^+$, purity (UV/MS) 99/90.

N-(3,4-dichlorobenzyl)-1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C054**

[0491] Amount made: 7.2 mg. LCMS *m/z* 600 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C055**

[0492] Amount made: 5.9 mg. LCMS *m/z* 600 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C056**

[0493] Amount made: 1.9 mg. LCMS *m/z* 541 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C057**

[0494] Amount made: 7.1 mg. LCMS *m/z* 576 $[M+H]^+$, purity (UV/MS) 98/70.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C058**

[0495] Amount made: 5.3 mg. LCMS *m/z* 621 $[M+H]^+$, purity (UV/MS) 99/80.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C059**

[0496] Amount made: 4.0 mg. LCMS *m/z* 623 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C060**

[0497] Amount made: 2.3 mg. LCMS *m/z* 630 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C061**

[0498] Amount made: 3.9 mg. LCMS *m/z* 630 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide **C062**

[0499] Amount made: 6.4 mg. LCMS *m/z* 657 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide **C063**

[0500] Amount made: 2.6 mg. LCMS *m/z* 593 $[M+H]^+$, purity (UV/MS) 94/80.

1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C064**

[0501] Amount made: 2.9 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/100.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C065**

[0502] Amount made: 4.6 mg. LCMS *m/z* 553 $[M+H]^+$, purity (UV/MS) 85/60.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C066**

[0503] Amount made: 3.0 mg. LCMS *m/z* 583 $[M+H]^+$, purity (UV/MS) 99/70.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C067**

[0504] Amount made: 2.9 mg. LCMS *m/z* 653 $[M+H]^+$, purity (UV/MS) 100/90.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C068**

[0505] Amount made: 1.5 mg. LCMS *m/z* 567 $[M+H]^+$, purity (UV/MS) 99/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C069**

[0506] Amount made: 1.3 mg. LCMS *m/z* 565 $[M+H]^+$, purity (UV/MS) 97/80.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C070**

[0507] Amount made: 5.8 mg. LCMS *m/z* 576 $[M+H]^+$, purity (UV/MS) 100/80.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C071**

[0508] Amount made: 3.6 mg. LCMS *m/z* 607 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C072**

[0509] Amount made: 3.1 mg. LCMS *m/z* 603 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-7-isopropoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C073**

[0510] Amount made: 3.5 mg. LCMS *m/z* 539 $[M+H]^+$, purity (UV/MS) 98/80.

N-benzyl-1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C074**

[0511] Amount made: 3.9 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 100/100.

N-benzyl-7-ethyl-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C075**

[0512] Amount made: 2.2 mg. LCMS *m/z* 539 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C076**

[0513] Amount made: 9.0 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 81/60.

N-benzyl-1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C077**

[0514] Amount made: 3.6 mg. LCMS *m/z* 561 $[M+H]^+$, purity (UV/MS) 100/90.

N-benzyl-7-ethyl-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C078**

[0515] Amount made: 5.1 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 90/60.

N-(3-fluorobenzyl)-7-methoxy-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C079**

[0516] Amount made: 9.4 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 98/80.

N-(3-fluorobenzyl)-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C080**

[0517] Amount made: 6.3 mg. LCMS *m/z* 545 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-fluorobenzyl)-7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C081**

[0518] Amount made: 6.0 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 98/80.

N-benzyl-1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C082**

[0519] Amount made: 6.7 mg. LCMS *m/z* 532 $[M+H]^+$, purity (UV/MS) 99/80.

N-benzyl-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C083**

[0520] Amount made: 8.9 mg. LCMS *m/z* 559 $[M+H]^+$, purity (UV/MS) 100/90.

7-isopropoxy-N-(3-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C084**

[0521] Amount made: 4.8 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C085**

[0522] Amount made: 2.1 mg. LCMS *m/z* 579 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C086**

[0523] Amount made: 1.8 mg. LCMS *m/z* 621 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C087**

[0524] Amount made: 2.4 mg. LCMS *m/z* 573 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C088**

[0525] Amount made: 1.0 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-N-(3,4-dichlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C089**

[0526] Amount made: 3.4 mg. LCMS *m/z* 565 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C090**

[0527] Amount made: 8.1 mg. LCMS *m/z* 629 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C091**

[0528] Amount made: 6.9 mg. LCMS *m/z* 602 $[M+H]^+$, purity (UV/MS) 99/90.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C092**

[0529] Amount made: 8.5 mg. LCMS *m/z* 602 $[M+H]^+$, purity (UV/MS) 100/80.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C093**

[0530] Amount made: 6.9 mg. LCMS *m/z* 595 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C094**

[0531] Amount made: 8.0 mg. LCMS *m/z* 593 $[M+H]^+$, purity (UV/MS) 99/80.

N-(3,4-dichlorobenzyl)-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C095**

[0532] Amount made: 1.7 mg. LCMS *m/z* 597 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C096**

[0533] Amount made: 4.7 mg. LCMS *m/z* 631 $[M+H]^+$, purity (UV/MS) 89/60.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C097**

[0534] Amount made: 4.0 mg. LCMS *m/z* 679 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-isopropoxy-1H-indole-3-carboxamide **C098**

[0535] Amount made: 4.3 mg. LCMS *m/z* 707 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C099**

[0536] Amount made: 9.5 mg. LCMS *m/z* 577 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C100**

[0537] Amount made: 4.0 mg. LCMS *m/z* 637 $[M+H]^+$, purity (UV/MS) 84/40.

N-(3,4-dichlorobenzyl)-1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C101**

[0538] Amount made: 1.8 mg. LCMS *m/z* 529 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C102**

[0539] Amount made: 2.1 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 98/80.

N-(3,4-dichlorobenzyl)-1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C103**

[0540] Amount made: 3.3 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 99/100.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C104**

[0541] Amount made: 8.1 mg. LCMS *m/z* 579 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-phenethylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C105**

[0542] Amount made: 7.4 mg. LCMS *m/z* 525 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C106**

[0543] Amount made: 6.6 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 81/60.

1-(3-(4-(2-chloro-6-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C107**

[0544] Amount made: 4.7 mg. LCMS *m/z* 577 $[M+H]^+$, purity (UV/MS) 100/80.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C108**

[0545] Amount made: 5.6 mg. LCMS *m/z* 548 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C109**

[0546] Amount made: 7.2 mg. LCMS *m/z* 548 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C110**

[0547] Amount made: 3.8 mg. LCMS *m/z* 511 $[M+H]^+$, purity (UV/MS) 99/70.

N-(3,4-dichlorobenzyl)-1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-7-isopropoxy-1H-indole-3-carboxamide **C111**

[0548] Amount made: 4.0 mg. LCMS *m/z* 557 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-((1R,3r,5S)-3-(2-(3-chloro-4-fluorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C112**

[0549] Amount made: 7.6 mg. LCMS *m/z* 616 $[M+H]^+$, purity (UV/MS) 95/70.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-(3-phenoxypropyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C113**

[0550] Amount made: 6.9 mg. LCMS *m/z* 609 $[M+H]^+$, purity (UV/MS) 98/40.

N-(3-fluorobenzyl)-1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C114**

[0551] Amount made: 6.8 mg. LCMS *m/z* 589 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-fluorobenzyl)-1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C115**

[0552] Amount made: 3.9 mg. LCMS *m/z* 589 $[M+H]^+$, purity (UV/MS) 99/70.

N-(3,4-dichlorobenzyl)-1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C116**

[0553] Amount made: 1.7 mg. LCMS *m/z* 639 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C117**

[0554] Amount made: 5.2 mg. LCMS *m/z* 639 $[M+H]^+$, purity (UV/MS) 93/80.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C118**

[0555] Amount made: 9.6 mg. LCMS *m/z* 623 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3,4-dichlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C119**

[0556] Amount made: 1.7 mg. LCMS *m/z* 652 $[M+H]^+$, purity (UV/MS) 91/60.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C120**

[0557] Amount made: 4.0 mg. LCMS *m/z* 619 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-((1S,4S)-5-(2-(4-fluorophenoxy)ethyl)-2,5-diazabicyclo[2.2.2]octan-2-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C121**

[0558] Amount made: 2.8 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C122**

[0559] Amount made: 3.4 mg. LCMS *m/z* 627 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-((1R,5S)-3-(2-(4-fluorophenoxy)ethyl)-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C123**

[0560] Amount made: 5.1 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 100/70.

N-(3-fluorobenzyl)-1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C124**

[0561] Amount made: 1.8 mg. LCMS *m/z* 577 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-fluorobenzyl)-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C125**

[0562] Amount made: 2.9 mg. LCMS *m/z* 544 $[M+H]^+$, purity (UV/MS) 100/70.

N-(3-fluorobenzyl)-7-methoxy-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C126**

[0563] Amount made: 1.2 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 92/70.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C127**

[0564] Amount made: 5.3 mg. LCMS *m/z* 602 $[M+H]^+$, purity (UV/MS) 100/70.

1-(3-((1R,3r,5S)-3-(2-(3,4-dichlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C128**

[0565] Amount made: 5.6 mg. LCMS *m/z* 636 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-fluorophenoxy)ethyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C129**

[0566] Amount made: 3.1 mg. LCMS *m/z* 573 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-fluorobenzyl)-7-methoxy-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C130**

[0567] Amount made: 2.4 mg. LCMS *m/z* 573 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C131**

[0568] Amount made: 3.2 mg. LCMS *m/z* 594 $[M+H]^+$, purity (UV/MS) 90/70.

N-(3,4-dichlorobenzyl)-7-ethyl-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C132**

[0569] Amount made: 1.8 mg. LCMS *m/z* 617 $[M+H]^+$, purity (UV/MS) 99/80.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C133**

[0570] Amount made: 4.5 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 99/60.

1-(3-(1-benzylpyrrolidin-3-ylamino)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C134**

[0571] Amount made: 2.9 mg. LCMS *m/z* 511 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-((1R,3r,5S)-3-(2-(3-chloro-4-fluorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C135**

[0572] Amount made: 1.5 mg. LCMS *m/z* 616 $[M+H]^+$, purity (UV/MS) 83/50.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C136**

[0573] Amount made: 2.2 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 98/80.

7-methoxy-N-(2-methylbenzyl)-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C137**

[0574] Amount made: 3.8 mg. LCMS *m/z* 565 $[M+H]^+$, purity (UV/MS) 96/80.

1-(3-(dihydro-1H-pyrido[1,2-a]pyrazin-2(6H,7H,8H,9H,9aH)-yl)propyl)-N-(3-fluorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C138**

[0575] Amount made: 3.0 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C139**

[0576] Amount made: 1.2 mg. LCMS *m/z* 598 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-((1R,3r,5S)-3-(2-(3,4-dichlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C140**

[0577] Amount made: 2.7 mg. LCMS *m/z* 632 $[M+H]^+$, purity (UV/MS) 100/80.

7-methoxy-N-(2-methylbenzyl)-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C141**

[0578] Amount made: 5.0 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C142**

[0579] Amount made: 4.6 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 89/70.

1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C143**

[0580] Amount made: 5.2 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C144**

[0581] Amount made: 7.6 mg. LCMS *m/z* 598 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C145**

[0582] Amount made: 6.4 mg. LCMS *m/z* 550 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C146**

[0583] Amount made: 4.3 mg. LCMS *m/z* 544 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C147**

[0584] Amount made: 5.4 mg. LCMS *m/z* 572 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C148**

[0585] Amount made: 4.6 mg. LCMS *m/z* 524 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C149**

[0586] Amount made: 6.9 mg. LCMS *m/z* 584 $[M+H]^+$, purity (UV/MS) 94/60.

1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C150**

[0587] Amount made: 5.8 mg. LCMS *m/z* 536 $[M+H]^+$, purity (UV/MS) 97/70.

1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C151**

[0588] Amount made: 4.4 mg. LCMS *m/z* 572 $[M+H]^+$, purity (UV/MS) 87/70.

1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C152**

[0589] Amount made: 3.0 mg. LCMS *m/z* 524 $[M+H]^+$, purity (UV/MS) 94/70.

1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C153**

[0590] Amount made: 4.3 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C154**

[0591] Amount made: 5.8 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C155**

[0592] Amount made: 5.6 mg. LCMS *m/z* 556 $[M+H]^+$, purity (UV/MS) 92/60.

1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C156**

[0593] Amount made: 4.2 mg. LCMS *m/z* 508 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(2-methylbenzyl)-1H-indole-3-carboxamide **C157**

[0594] Amount made: 2.1 mg. LCMS *m/z* 625 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C158**

[0595] Amount made: 0.9 mg. LCMS *m/z* 625 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C159**

[0596] Amount made: 0.8 mg. LCMS *m/z* 577 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C160**

[0597] Amount made: 6.6 mg. LCMS *m/z* 575 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-N-(3,4-dichlorobenzyl)-7-ethyl-1H-indole-3-carboxamide **C161**

[0598] Amount made: 8.8 mg. LCMS *m/z* 627 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C162**

[0599] Amount made: 7.8 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C163**

[0600] Amount made: 3.1 mg. LCMS *m/z* 574 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C164**

[0601] Amount made: 0.6 mg. LCMS *m/z* 526 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C165**

[0602] Amount made: 2.4 mg. LCMS *m/z* 579 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C166**

[0603] Amount made: 7.3 mg. LCMS *m/z* 531 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C167**

[0604] Amount made: 4.3 mg. LCMS *m/z* 559 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C168**

[0605] Amount made: 4.1 mg. LCMS *m/z* 511 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C169**

[0606] Amount made: 9.8 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 89/50.

1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C170**

[0607] Amount made: 8.5 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 94/70.

1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C171**

[0608] Amount made: 9.4 mg. LCMS *m/z* 600 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C172**

[0609] Amount made: 2.4 mg. LCMS *m/z* 620 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C173**

[0610] Amount made: 8.2 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C174**

[0611] Amount made: 4.9 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C175**

[0612] Amount made: 5.8 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C176**

[0613] Amount made: 6.6 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 78/50.

[0614] ^1H NMR (400 MHz, $CDCl_3$) δ: 7.71 – 7.55 (m, 2H), 7.34 – 7.09 (m, 7H), 6.81 – 6.78 (m, 2H), 6.72 – 6.69 (m, 1H), 4.63 – 4.55 (m, 2H), 4.47 – 4.40 (m, 2H), 3.97 (s, 3H), 3.63 – 2.89 (m, 9H), 2.37 (s, 3H), 2,35 – 2.09 (m, 4H).

1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C177**

[0615] Amount made: 3.5 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 88/60.

1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C178**

[0616] Amount made: 6.5 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C179**

[0617] Amount made: 5.6 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C180**

[0618] Amount made: 2.5 mg. LCMS *m/z* 530 $[M+H]^+$, purity (UV/MS) 94/70.

1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C181**

[0619] Amount made: 2.3 mg. LCMS *m/z* 482 $[M+H]^+$, purity (UV/MS) 96/80.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C182**

[0620] Amount made: 6.3 mg. LCMS *m/z* 553 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C183**

[0621] Amount made: 3.3 mg. LCMS *m/z* 573 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C184**

[0622] Amount made: 6.9 mg. LCMS *m/z* 505 $[M+H]^+$, purity (UV/MS) 97/70.

1-(3-(4-benzoylpiperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C185**

[0623] Amount made: 3.8 mg. LCMS *m/z* 524 $[M+H]^+$, purity (UV/MS) 95/60.

1-(3-(4-benzoylpiperidin-1-yl)propyl)-N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C186**

[0624] Amount made: 1.1 mg. LCMS *m/z* 544 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(4-benzoylpiperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C187**

[0625] Amount made: 5.4 mg. LCMS *m/z* 476 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-butylpiperidin-1-yl)propyl)-7-methoxy-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C188**

[0626] Amount made: 5.3 mg. LCMS *m/z* 476 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(3-chlorobenzyl)-7-methoxy-1H-indole-3-carboxamide **C189**

[0627] Amount made: 5.8 mg. LCMS *m/z* 496 $[M+H]^+$, purity (UV/MS) 99/90.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-isobutyl-7-methoxy-1H-indole-3-carboxamide **C190**

[0628] Amount made: 2.8 mg. LCMS *m/z* 428 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C191**

[0629] Amount made: 9.7 mg. LCMS *m/z* 526 $[M+H]^+$, purity (UV/MS) 96/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-(2-phenoxyethylamino)propyl)-1H-indole-3-carboxamide **C192**

[0630] Amount made: 4.8 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 91/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-(3-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C193**

[0631] Amount made: 4.2 mg. LCMS *m/z* 564 $[M+H]^+$, purity (UV/MS) 96/80.

7-methoxy-N-(3-methylbenzyl)-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C194**

[0632] Amount made: 2.8 mg. LCMS *m/z* 516 $[M+H]^+$, purity (UV/MS) 97/90.

7-methoxy-N-(3-methylbenzyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C195**

[0633] Amount made: 5.0 mg. LCMS *m/z* 550 $[M+H]^+$, purity (UV/MS) 91/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(2-oxoindolin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C196**

[0634] Amount made: 0.9 mg. LCMS *m/z* 551 $[M+H]^+$, purity (UV/MS) 94/80.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C197**

[0635] Amount made: 8.1 mg. LCMS *m/z* 541 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C198**

[0636] Amount made: 6.9 mg. LCMS *m/z* 565 $[M+H]^+$, purity (UV/MS) 98/70.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C199**

[0637] Amount made: 8.0 mg. LCMS *m/z* 565 $[M+H]^+$, purity (UV/MS) 98/60.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-oxospiro[chroman-2,4'-piperidine]-1'-yl)propyl)-1H-indole-3-carboxamide **C200**

[0638] Amount made: 6.2 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 99/90.

7-methoxy-N-(3-methylbenzyl)-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C201**

[0639] Amount made: 6.3 mg. LCMS *m/z* 539 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3,4-dichlorobenzyl)-7-isopropoxy-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C202**

[0640] Amount made: 4.3 mg. LCMS *m/z* 647 $[M+H]^+$, purity (UV/MS) 98/80.

N-(3-chlorobenzyl)-1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methoxy-1H-indole-3-carboxamide **C203**

[0641] Amount made: 5.7 mg. LCMS *m/z* 488 $[M+H]^+$, purity (UV/MS) 94/90.

N-(3-chlorobenzyl)-1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C204**

[0642] Amount made: 7.7 mg. LCMS *m/z* 618 $[M+H]^+$, purity (UV/MS) 98/60.

N-(3-chlorobenzyl)-1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C205**

[0643] Amount made: 4.2 mg. LCMS *m/z* 564 $[M+H]^+$, purity (UV/MS) 98/80.

N-(3-chlorobenzyl)-1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C206**

[0644] Amount made: 4.5 mg. LCMS *m/z* 592 $[M+H]^+$, purity (UV/MS) 100/80.

N-(3-chlorobenzyl)-1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C207**

[0645] Amount made: 6.4 mg. LCMS *m/z* 604 $[M+H]^+$, purity (UV/MS) 97/60.

N-(3-chlorobenzyl)-1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C208**

[0646] Amount made: 3.4 mg. LCMS *m/z* 592 $[M+H]^+$, purity (UV/MS) 87/70.

N-(3-chlorobenzyl)-1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C209**

[0647] Amount made: 7.2 mg. LCMS *m/z* 566 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-chlorobenzyl)-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C210**

[0648] Amount made: 4.5 mg. LCMS *m/z* 576 $[M+H]^+$, purity (UV/MS) 97/70.

N-(3-chlorobenzyl)-1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C211**

[0649] Amount made: 1.9 mg. LCMS *m/z* 645 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3-chlorobenzyl)-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C212**

[0650] Amount made: 6.7 mg. LCMS *m/z* 595 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3-chlorobenzyl)-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C213**

[0651] Amount made: 4.2 mg. LCMS *m/z* 594 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-chlorobenzyl)-1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C214**

[0652] Amount made: 4.0 mg. LCMS *m/z* 579 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-chlorobenzyl)-1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C215**

[0653] Amount made: 5.5 mg. LCMS *m/z* 566 $[M+H]^+$, purity (UV/MS) 93/70.

N-(3-chlorobenzyl)-1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C216**

[0654] Amount made: 5.5 mg. LCMS *m/z* 566 $[M+H]^+$, purity (UV/MS) 98/90.

N-(3-chlorobenzyl)-1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C217**

[0655] Amount made: 4.2 mg. LCMS *m/z* 566 $[M+H]^+$, purity (UV/MS) 79/60.

[0656] ^1H NMR (400 MHz, $CDCl_3$) δ: 7.71 –7.61 (m, 2H), 7.39 – 7.13 (m, 7H), 6.80 – 6.67 (m, 3H), 4.73 – 4.48 (m, 4H), 3.98 (s, 3H), 3.57 – 3.39 (m, 2H), 3.01 – 2.93 (m, 3H), 2.72 – 2.04 (m, 8H).

N-(3-chlorobenzyl)-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C218**

[0657] Amount made: 5.9 mg. LCMS *m/z* 563 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-chlorobenzyl)-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carboxamide **C219**

[0658] Amount made: 3.1 mg. LCMS *m/z* 550 $[M+H]^+$, purity (UV/MS) 95/70.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(2-phenoxyethylamino)propyl)-1H-indole-3-carboxamide **C220**

[0659] Amount made: 3.7 mg. LCMS *m/z* 492 $[M+H]^+$, purity (UV/MS) 96/70.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(3-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C221**

[0660] Amount made: 3.5 mg. LCMS *m/z* 584 $[M+H]^+$, purity (UV/MS) 95/80.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C222**

[0661] Amount made: 3.5 mg. LCMS *m/z* 536 $[M+H]^+$, purity (UV/MS) 95/90.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C223**

[0662] Amount made: 5.5 mg. LCMS *m/z* 570 $[M+H]^+$, purity (UV/MS) 93/80.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C224**

[0663] Amount made: 4.0 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 96/80.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-(2-oxoindolin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C225**

[0664] Amount made: 1.1 mg. LCMS *m/z* 571 $[M+H]^+$, purity (UV/MS) 97/90.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C226**

[0665] Amount made: 7.6 mg. LCMS *m/z* 561 $[M+H]^+$, purity (UV/MS) 100/100.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C227**

[0666] Amount made: 5.6 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 98/60.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C228**

[0667] Amount made: 6.8 mg. LCMS *m/z* 585 $[M+H]^+$, purity (UV/MS) 98/60.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-oxospiro[chroman-2,4'-piperidine]-1'-yl)propyl)-1H-indole-3-carboxamide **C229**

[0668] Amount made: 6.1 mg. LCMS *m/z* 572 $[M+H]^+$, purity (UV/MS) 100/90.

N-(3-chlorobenzyl)-7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C230**

[0669] Amount made: 6.3 mg. LCMS *m/z* 559 $[M+H]^+$, purity (UV/MS) 100/90.

N-benzyl-1-(3-((1R,3r,5S)-3-(2-(3,4-dichlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C231**

[0670] Amount made: 4.8 mg. LCMS *m/z* 616 $[M+H]^+$, purity (UV/MS) 93/40.

N-benzyl-1-(3-((1R,3r,5S)-3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C232**

[0671] Amount made: 4.5 mg. LCMS *m/z* 582 $[M+H]^+$, purity (UV/MS) 99/80.

N-benzyl-1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indole-3-carboxamide **C233**

[0672] Amount made: 4.4 mg. LCMS *m/z* 609 $[M+H]^+$, purity (UV/MS) 100/90.

N-benzyl-7-ethyl-1-(3-((1R,3r,5S)-3-(2-oxo-2-(pyridin-2-yl)ethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C234**

[0673] Amount made: 2.4 mg. LCMS *m/z* 549 $[M+H]^+$, purity (UV/MS) 100/100.

N-benzyl-7-ethyl-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C235**

[0674] Amount made: 9.8 mg. LCMS *m/z* 532 $[M+H]^+$, purity (UV/MS) 100/80.

N-benzyl-7-ethyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C236**

[0675] Amount made: 6.1 mg. LCMS *m/z* 525 $[M+H]^+$, purity (UV/MS) 100/90.

N-benzyl-7-ethyl-1-(3-(4-(3-phenoxypropyl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C237**

[0676] Amount made: 3.0 mg. LCMS *m/z* 553 $[M+H]^+$, purity (UV/MS) 100/100.

N-benzyl-7-ethyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C238**

[0677] Amount made: 5.3 mg. LCMS *m/z* 523 $[M+H]^+$, purity (UV/MS) 98/80.

N-isobutyl-7-methoxy-1-(3-(2-phenoxyethylamino)propyl)-1H-indole-3-carboxamide **C239**

[0678] Amount made: 4.7 mg. LCMS *m/z* 424 $[M+H]^+$, purity (UV/MS) 95/80.

N-isobutyl-7-methoxy-1-(3-(3-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C240**

[0679] Amount made: 4.0 mg. LCMS *m/z* 516 $[M+H]^+$, purity (UV/MS) 98/80.

N-isobutyl-7-methoxy-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C241**

[0680] Amount made: 2.1 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 87/80.

N-isobutyl-7-methoxy-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C242**

[0681] Amount made: 4.1 mg. LCMS *m/z* 502 $[M+H]^+$, purity (UV/MS) 90/70.

N-isobutyl-7-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C243**

[0682] Amount made: 2.2 mg. LCMS *m/z* 478 $[M+H]^+$, purity (UV/MS) 96/70.

N-isobutyl-7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C244**

[0683] Amount made: 9.0 mg. LCMS *m/z* 493 $[M+H]^+$, purity (UV/MS) 94/70.

N-isobutyl-7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C245**

[0684] Amount made: 6.0 mg. LCMS *m/z* 517 $[M+H]^+$, purity (UV/MS) 99/70.

N-isobutyl-7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C246**

[0685] Amount made: 6.9 mg. LCMS *m/z* 517 $[M+H]^+$, purity (UV/MS) 97/60.

N-isobutyl-7-methoxy-1-(3-(4-oxospiro[chroman-2,4'-piperidine]-1'-yl)propyl)-1H-indole-3-carboxamide **C247**

[0686] Amount made: 6.3 mg. LCMS *m/z* 504 $[M+H]^+$, purity (UV/MS) 100/90.

N-isobutyl-7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C248**

[0687] Amount made: 6.8 mg. LCMS *m/z* 491 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-N-(2-ethylhexyl)-1H-indole-3-carboxamide **C249**

[0688] Amount made: 9.3 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 97/75.

1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C250**

[0689] Amount made: 7.5 mg. LCMS *m/z* 507 $[M+H]^+$, purity (UV/MS) 95/66.

1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide **C251**

[0690] Amount made: 5.1 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 96/77.

1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-N-(2-ethylhexyl)-1H-indole-3-carboxamide hydrochloride **C252**

[0691] Amount made: 4.3 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 90/60.

1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C253**

[0692] Amount made: 10.2 mg. LCMS *m/z* 532 $[M+H]^+$, purity (UV/MS) 100/63.

1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide hydrochloride **C254**

[0693] Amount made: 1.3 mg. LCMS *m/z* 484 $[M+H]^+$, purity (UV/MS) 75/54.

1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C255**

[0694] Amount made: 7.9 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 95/100.

1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide hydrochloride **C256**

[0695] Amount made: 3.9 mg. LCMS *m/z* 452 $[M+H]^+$, purity (UV/MS) 81/58.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(2-chlorobenzyl)-1H-indole-3-carboxamide **C257**

[0696] Amount made: 5.3 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 96/66.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(2-ethylhexyl)-1H-indole-3-carboxamide **C258**

[0697] Amount made: 7.2 mg. LCMS *m/z* 531 $[M+H]^+$, purity (UV/MS) 91/62.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(3-chlorobenzyl)-1H-indole-3-carboxamide **C259**

[0698] Amount made: 5.0 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 100/93.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C260**

[0699] Amount made: 4.9 mg. LCMS *m/z* 523 $[M+H]^+$, purity (UV/MS) 91/66.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-(4-chlorobenzyl)-1H-indole-3-carboxamide **C261**

[0700] Amount made: 5.0 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 87/78.

1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide **C262**

[0701] Amount made: 4.8 mg. LCMS *m/z* 475 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-(2-chlorobenzyl)-1H-indole-3-carboxamide **C263**

[0702] Amount made: 8.7 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 96/63.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-(2-ethylhexyl)-1H-indole-3-carboxamide **C264**

[0703] Amount made: 8.3 mg. LCMS *m/z* 488 $[M+H]^+$, purity (UV/MS) 92/70.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-(3-chlorobenzyl)-1H-indole-3-carboxamide **C265**

[0704] Amount made: 7.2 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 100/80.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C266**

[0705] Amount made: 6.1 mg. LCMS *m/z* 480 $[M+H]^+$, purity (UV/MS) 100/94.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-(4-chlorobenzyl)-1H-indole-3-carboxamide **C267**

[0706] Amount made: 8.2 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 98/67.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide **C268**

[0707] Amount made: 5.3 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 95/89.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(2-chlorobenzyl)-1H-indole-3-carboxamide **C269**

[0708] Amount made: 8.5 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 66/57.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(2-ethylhexyl)-1H-indole-3-carboxamide **C270**

[0709] Amount made: 11.1 mg. LCMS *m/z* 454 $[M+H]^+$, purity (UV/MS) 97/85.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(3-chlorobenzyl)-1H-indole-3-carboxamide **C271**

[0710] Amount made: 6.1 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C272**

[0711] Amount made: 10.2 mg. LCMS *m/z* 446 $[M+H]^+$, purity (UV/MS) 100/89.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-(4-chlorobenzyl)-1H-indole-3-carboxamide **C273**

[0712] Amount made: 6.1 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 98/44.

1-(3-(4-butylpiperidin-1-yl)propyl)-N-isobutyl-1H-indole-3-carboxamide **C274**

[0713] Amount made: 5.4 mg. LCMS *m/z* 398 $[M+H]^+$, purity (UV/MS) 100/83.

1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-N-(3-methylbenzyl)-1H-indole-3-carboxamide **C275**

[0714] Amount made: 9.3 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 95/82.

N-(2-chlorobenzyl)-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C276**

[0715] Amount made: 4.2 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 98/94.

N-(2-chlorobenzyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C277**

[0716] Amount made: 8.6 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 85/30.

N-(2-chlorobenzyl)-1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C278**

[0717] Amount made: 9.1 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 96/63.

N-(2-chlorobenzyl)-1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C279**

[0718] Amount made: 6.9 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 87/81.

N-(2-chlorobenzyl)-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C280**

[0719] Amount made: 9.2 mg. LCMS *m/z* 520 $[M+H]^+$, purity (UV/MS) 94/69.

N-(2-chlorobenzyl)-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C281**

[0720] Amount made: 4.4 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 70/93.

N-(2-chlorobenzyl)-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C282**

[0721] Amount made: 15.0 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 86/82.

N-(2-ethylhexyl)-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C283**

[0722] Amount made: 9.8 mg. LCMS *m/z* 448 $[M+H]^+$, purity (UV/MS) 98/80.

N-(2-ethylhexyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C284**

[0723] Amount made: 4.3 mg. LCMS *m/z* 528 $[M+H]^+$, purity (UV/MS) 98/41.

N-(2-ethylhexyl)-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indole-3-carboxamide hydrochloride **C285**

[0724] Amount made: 5.8 mg. LCMS *m/z* 508 $[M+H]^+$, purity (UV/MS) 86/59.

N-(2-ethylhexyl)-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C286**

[0725] Amount made: 8.3 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 87/27.

N-(2-ethylhexyl)-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C287**

[0726] Amount made: 8.5 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 93/80.

N-(3-chlorobenzyl)-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C288**

[0727] Amount made: 1.5 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 100/96.

N-(3-chlorobenzyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C289**

[0728] Amount made: 4.3 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 94/82.

N-(3-chlorobenzyl)-1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C290**

[0729] Amount made: 5.5 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 99/74.

N-(3-chlorobenzyl)-1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C291**

[0730] Amount made: 2.6 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 91/72.

N-(3-chlorobenzyl)-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C292**

[0731] Amount made: 5.1 mg. LCMS *m/z* 520 $[M+H]^+$, purity (UV/MS) 88/64.

N-(3-chlorobenzyl)-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C293**

[0732] Amount made: 5.2 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 94/88.

N-(3-chlorobenzyl)-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C294**

[0733] Amount made: 8.2 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 88/68.

N-(3-methylbenzyl)-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C295**

[0734] Amount made: 3.1 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 99/94.

N-(3-methylbenzyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C296**

[0735] Amount made: 8.6 mg. LCMS *m/z* 520 $[M+H]^+$, purity (UV/MS) 93/80.

N-(3-methylbenzyl)-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C297**

[0736] Amount made: 13.2 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 100/85.

N-(4-chlorobenzyl)-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C298**

[0737] Amount made: 2.9 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 100/97.

N-(4-chlorobenzyl)-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C299**

[0738] Amount made: 6.8 mg. LCMS *m/z* 540 $[M+H]^+$, purity (UV/MS) 98/85.

N-(4-chlorobenzyl)-1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxamide **C300**

[0739] Amount made: 8.2 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 73/64.

N-(4-chlorobenzyl)-1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C301**

[0740] Amount made: 6.5 mg. LCMS *m/z* 552 $[M+H]^+$, purity (UV/MS) 80/68.

N-(4-chlorobenzyl)-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C302**

[0741] Amount made: 8.8 mg. LCMS *m/z* 520 $[M+H]^+$, purity (UV/MS) 100/100.

N-(4-chlorobenzyl)-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C303**

[0742] Amount made: 5.1 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 100/100.

N-(4-chlorobenzyl)-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C304**

[0743] Amount made: 6.0 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 94/80.

N-isobutyl-1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxamide **C305**

[0744] Amount made: 3.6 mg. LCMS *m/z* 392 $[M+H]^+$, purity (UV/MS) 100/100.

N-isobutyl-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carboxamide **C306**

[0745] Amount made: 4.8 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 85/75.

N-isobutyl-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C307**

[0746] Amount made: 4.4 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 93/30.

N-isobutyl-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C308**

[0747] Amount made: 6.8 mg. LCMS *m/z* 393 $[M+H]^+$, purity (UV/MS) 91/66.

(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C309**

[0748] Amount made: 4.6 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 98/90.

(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C310**

[0749] Amount made: 13.2 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C311**

[0750] Amount made: 3.2 mg. LCMS *m/z* 501 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C312**

[0751] Amount made: 3.9 mg. LCMS *m/z* 493 $[M+H]^+$, purity (UV/MS) 99/80.

(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C313**

[0752] Amount made: 6.1 mg. LCMS *m/z* 505 $[M+H]^+$, purity (UV/MS) 98/90.

(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C314**

[0753] Amount made: 1.1 mg. LCMS *m/z* 541 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C315**

[0754] Amount made: 5.9 mg. LCMS *m/z* 493 $[M+H]^+$, purity (UV/MS) 99/90.

(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C316**

[0755] Amount made: 5.4 mg. LCMS *m/z* 529 $[M+H]^+$, purity (UV/MS) 80/60.

[0756] ^1H NMR (400 MHz, $CDCl_3$) δ: 8.04 – 7.98 (m, 1H), 7.80 – 7.77 (m, 2H), 7.58 – 7.42 (m, 4H), 7.23 – 7.19 (m, 4H), 6.83 – 6.83 (m, 3H), 4.61 – 4.57 (m, 3H), 3.99 (s, 3H), 3.78 – 3.61 (m, 2H), 2.91 – 2.67 (m, 3H), 2.43 – 2.33 (m, 4H), 2.21 – 1.83 (m, 6H).

(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C317**

[0757] Amount made: 5.5 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C318**

[0758] Amount made: 4.1 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C319**

[0759] Amount made: 5.9 mg. LCMS *m/z* 513 $[M+H]^+$, purity (UV/MS) 86/60.

(1-(3-(3-benzoyl-7-methoxy-1H-indol-1-yl)propyl)piperidin-4-yl)(phenyl)methanone **C320**

[0760] Amount made: 2.1 mg. LCMS *m/z* 481 $[M+H]^+$, purity (UV/MS) 100/70.

(1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C321**

[0761] Amount made: 1.4 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 100/100.

(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C322**

[0762] Amount made: 8.2 mg. LCMS *m/z* 496 $[M+H]^+$, purity (UV/MS) 97/80.

(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C323**

[0763] Amount made: 8.0 mg. LCMS *m/z* 532 $[M+H]^+$, purity (UV/MS) 100/100.

(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C324**

[0764] Amount made: 3.9 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C325**

[0765] Amount made: 3.8 mg. LCMS *m/z* 480 $[M+H]^+$, purity (UV/MS) 98/80.

(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C326**

[0766] Amount made: 5.9 mg. LCMS *m/z* 516 $[M+H]^+$, purity (UV/MS) 98/90.

(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C327**

[0767] Amount made: 3.0 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 94/70.

(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C328**

[0768] Amount made: 8.6 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 92/50.

(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C329**

[0769] Amount made: 6.6 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C330**

[0770] Amount made: 6.7 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C331**

[0771] Amount made: 4.4 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 97/80.

(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C332**

[0772] Amount made: 6.3 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 80/50.

(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C333**

[0773] Amount made: 6.4 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C334**

[0774] Amount made: 4.5 mg. LCMS *m/z* 487 $[M+H]^+$, purity (UV/MS) 96/60.

(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C335**

[0775] Amount made: 3.7 mg. LCMS *m/z* 474 $[M+H]^+$, purity (UV/MS) 95/70.

(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C336**

[0776] Amount made: 7.6 mg. LCMS *m/z* 510 $[M+H]^+$, purity (UV/MS) 99/70.

(1-(3-(4-benzoylpiperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C337**

[0777] Amount made: 3.7 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 97/70.

(1-(3-(4-butylpiperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(cyclopropyl)methanone **C338**

[0778] Amount made: 5.1 mg. LCMS *m/z* 397 $[M+H]^+$, purity (UV/MS) 100/90.

(1-(3-(4-butylpiperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)(phenyl)methanone **C339**

[0779] Amount made: 8.5 mg. LCMS *m/z* 433 $[M+H]^+$, purity (UV/MS) 99/90.

(1R,5S)-8-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl 3,4-dimethoxybenzoate **C340**

[0780] Amount made: 9.3 mg. LCMS *m/z* 521 $[M+H]^+$, purity (UV/MS) 95/70.

(7-methoxy-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)(phenyl)methanone **C341**

[0781] Amount made: 2.6 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 98/80.

(7-methoxy-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C342**

[0782] Amount made: 3.1 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 92/92.

(7-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C343**

[0783] Amount made: 7.7 mg. LCMS *m/z* 483 $[M+H]^+$, purity (UV/MS) 95/70.

(7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C344**

[0784] Amount made: 7.5 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 100/90.

(7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C345**

[0785] Amount made: 6.1 mg. LCMS *m/z* 522 $[M+H]^+$, purity (UV/MS) 97/70.

(7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C346**

[0786] Amount made: 8.4 mg. LCMS *m/z* 522 $[M+H]^+$, purity (UV/MS) 95/60.

(7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)(phenyl)methanone **C347**

[0787] Amount made: 7.0 mg. LCMS *m/z* 496 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C348**

[0788] Amount made: 2.3 mg. LCMS *m/z* 361 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C349**

[0789] Amount made: 1.8 mg. LCMS *m/z* 439 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methyl-1H-indol-3-yl)ethanone **C350**

[0790] Amount made: 3.0 mg. LCMS *m/z* 347 $[M+H]^+$, purity (UV/MS) 93/80.

1-(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C351**

[0791] Amount made: 2.4 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C352**

[0792] Amount made: 2.1 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C353**

[0793] Amount made: 3.2 mg. LCMS *m/z* 423 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(3-(2-chlorobenzyl)pyrrolidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C354**

[0794] Amount made: 0.4 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C355**

[0795] Amount made: 2.0 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 97/80.

1-(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C356**

[0796] Amount made: 3.1 mg. LCMS *m/z* 543 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C357**

[0797] Amount made: 0.3 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C358**

[0798] Amount made: 4.3 mg. LCMS *m/z* 477 $[M+H]^+$, purity (UV/MS) 93/70.

1-(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C359**

[0799] Amount made: 2.8 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C360**

[0800] Amount made: 0.8 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C361**

[0801] Amount made: 1.5 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C362**

[0802] Amount made: 3.7 mg. LCMS *m/z* 439 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C363**

[0803] Amount made: 2.7 mg. LCMS *m/z* 517 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C364**

[0804] Amount made: 2.2 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C365**

[0805] Amount made: 0.6 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 79/60.

1-(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C366**

[0806] Amount made: 5.2 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 92/80.

1-(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C367**

[0807] Amount made: 4.1 mg. LCMS *m/z* 435 $[M+H]^+$, purity (UV/MS) 98/70.

1-(1-(3-(3-(4-fluorophenyl)-3-hydroxy-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C368**

[0808] Amount made: 8.9 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 98/70.

1-(1-(3-(3-(cyclopropanecarbonyl)-7-methoxy-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C369**

[0809] Amount made: 1.6 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 97/90.

1-(1-(3-(3-acetyl-7-bromo-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C370**

[0810] Amount made: 2.8 mg. LCMS *m/z* 494 $[M+H]^+$, purity (UV/MS) 96/90.

1-(1-(3-(3-acetyl-7-bromo-2-methyl-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C371**

[0811] Amount made: 0.6 mg. LCMS *m/z* 508 $[M+H]^+$, purity (UV/MS) 94/90.

1-(1-(3-(3-acetyl-7-chloro-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C372**

[0812] Amount made: 1.4 mg. LCMS *m/z* 450 $[M+H]^+$, purity (UV/MS) 93/90.

1-(1-(3-(3-acetyl-7-ethyl-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C373**

[0813] Amount made: 0.5 mg. LCMS *m/z* 444 $[M+H]^+$, purity (UV/MS) 90/90.

1-(1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)piperidin-4-yl)-1H-benzo[d]imidazol-2(3H)-one **C374**

[0814] Amount made: 8.4 mg. LCMS *m/z* 447 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(3-acetyl-7-methyl-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C375**

[0815] Amount made: 1.9 mg. LCMS *m/z* 430 $[M+H]^+$, purity (UV/MS) 87/90.

1-(1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C376**

[0816] Amount made: 0.2 mg. LCMS *m/z* 534 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C377**

[0817] Amount made: 1.6 mg. LCMS *m/z* 518 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C378**

[0818] Amount made: 0.7 mg. LCMS *m/z* 596 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C379**

[0819] Amount made: 1.1 mg. LCMS *m/z* 504 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C380**

[0820] Amount made: 5.4 mg. LCMS *m/z* 484 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C381**

[0821] Amount made: 7.9 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C382**

[0822] Amount made: 5.6 mg. LCMS *m/z* 546 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C383**

[0823] Amount made: 5.3 mg. LCMS *m/z* 454 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C384**

[0824] Amount made: 1.7 mg. LCMS *m/z* 483 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C385**

[0825] Amount made: 2.9 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C386**

[0826] Amount made: 0.9 mg. LCMS *m/z* 545 $[M+H]^+$, purity (UV/MS) 97/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C387**

[0827] Amount made: 2.9 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2,3-dichlorophenyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C388**

[0828] Amount made: 1.8 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C389**

[0829] Amount made: 6.5 mg. LCMS *m/z* 488 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C390**

[0830] Amount made: 2.5 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C391**

[0831] Amount made: 6.4 mg. LCMS *m/z* 550 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C392**

[0832] Amount made: 3.4 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(2,6-dimethylphenyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C393**

[0833] Amount made: 9.2 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 97/60.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C394**

[0834] Amount made: 4.0 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C395**

[0835] Amount made: 3.4 mg. LCMS *m/z* 452 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C396**

[0836] Amount made: 5.5 mg. LCMS *m/z* 530 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C397**

[0837] Amount made: 2.5 mg. LCMS *m/z* 438 $[M+H]^+$, purity (UV/MS) 97/50.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C398**

[0838] Amount made: 6.2 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-chlorophenyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C399**

[0839] Amount made: 2.2 mg. LCMS *m/z* 426 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C400**

[0840] Amount made: 8.6 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C401**

[0841] Amount made: 5.4 mg. LCMS *m/z* 509 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C402**

[0842] Amount made: 4.7 mg. LCMS *m/z* 493 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C403**

[0843] Amount made: 6.9 mg. LCMS *m/z* 571 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C404**

[0844] Amount made: 8.0 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C405**

[0845] Amount made: 6.2 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)-2-methylpropyl)-7-methoxy-1H-indol-3-yl)ethanone **C406**

[0846] Amount made: 2.7 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-ethyl-1H-indol-3-yl)ethanone **C407**

[0847] Amount made: 6.5 mg. LCMS *m/z* 439 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C408**

[0848] Amount made: 4.6 mg. LCMS *m/z* 517 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C409**

[0849] Amount made: 4.5 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-(4-chlorobenzyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C410**

[0850] Amount made: 9.8 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 100/70.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C411**

[0851] Amount made: 5.5 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(4-(4-chlorophenylsulfonyl)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C412**

[0852] Amount made: 3.6 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)-2-phenylethanone **C413**

[0853] Amount made: 3.4 mg. LCMS *m/z* 514 $[M+H]^+$, purity (UV/MS) 92/80.

1-(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C414**

[0854] Amount made: 3.5 mg. LCMS *m/z* 422 $[M+H]^+$, purity (UV/MS) 98/70.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C415**

[0855] Amount made: 6.3 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 100/90.

[0856] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 1H, J = 8.0 Hz), 7.70 (s, 1H), 7.17 (t, 1H, J = 8 Hz), 6.95 (m, 2H), 6.84 (m, 2H), 6.71 (d, 1H J = 7.8 Hz), 4.47 (t, 2H, J = 6.4 Hz), 4.24 (m, 1H), 3.94 (s, 3H), 2.73 (m, 1H), 2.49 (s, 3H), 2.32 (m, 4H), 2.05 (m, 4H), 1.84 (m, 2H).

1-(1-(3-(4-(4-fluorophenyl)piperazin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C416**

[0857] Amount made: 7.4 mg. LCMS *m/z* 410 $[M+H]^+$, purity (UV/MS) 98/60.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-bromo-1H-indol-3-yl)ethanone **C417**

[0858] Amount made: 2.4 mg. LCMS *m/z* 496 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-7-chloro-1H-indol-3-yl)ethanone **C418**

[0859] Amount made: 3.9 mg. LCMS *m/z* 452 $[M+H]^+$, purity (UV/MS) 92/80.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-7-bromo-1H-indol-3-yl)ethanone **C419**

[0860] Amount made: 6.8 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-7-chloro-1H-indol-3-yl)ethanone **C420**

[0861] Amount made: 3.6 mg. LCMS *m/z* 423 $[M+H]^+$, purity (UV/MS) 96/70.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C421**

[0862] Amount made: 1.9 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 93/70.

1-(1-(3-(4-benzyl-4-hydroxypiperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C422**

[0863] Amount made: 8.4 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-benzylpiperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)ethanone **C423**

[0864] Amount made: 7.4 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-7-chloro-1H-indol-3-yl)ethanone **C424**

[0865] Amount made: 2.0 mg. LCMS *m/z* 375 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-7-methyl-1H-indol-3-yl)ethanone **C425**

[0866] Amount made: 5.0 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(7-methoxy-3-(2-phenylacetyl)-1H-indol-1-yl)propyl)piperidin-4-yl)indolin-2-one **C426**

[0867] Amount made: 1.4 mg. LCMS *m/z* 522 $[M+H]^+$, purity (UV/MS) 96/90.

1'-(3-(3-(cyclopropanecarbonyl)-7-methoxy-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C427**

[0868] Amount made: 5.6 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 97/90.

1'-(3-(3-acetyl-7-bromo-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C428**

[0869] Amount made: 2.1 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(3-acetyl-7-bromo-2-methyl-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C429**

[0870] Amount made: 1.3 mg. LCMS *m/z* 509 $[M+H]^+$, purity (UV/MS) 100/100.

1'-(3-(3-acetyl-7-chloro-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C430**

[0871] Amount made: 5.4 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(3-acetyl-7-ethyl-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C431**

[0872] Amount made: 4.6 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)-2-methylpropyl)spiro[chroman-2,4'-piperidin]-4-one **C432**

[0873] Amount made: 0.4 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 98/90.

1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)-4-phenylpiperidine-4-carbonitrile **C433**

[0874] Amount made: 3.4 mg. LCMS *m/z* 416 $[M+H]^+$, purity (UV/MS) 96/80.

1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)-N,N-diethylpiperidine-3-carboxamide **C434**

[0875] Amount made: 7.7 mg. LCMS *m/z* 414 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(3-acetyl-7-methyl-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C435**

[0876] Amount made: 2.8 mg. LCMS *m/z* 431 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(3-benzoyl-7-methoxy-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C436**

[0877] Amount made: 3.7 mg. LCMS *m/z* 509 $[M+H]^+$, purity (UV/MS) 100/90.

1'-(3-(7-methoxy-3-(2-phenylacetyl)-1H-indol-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C437**

[0878] Amount made: 1.9 mg. LCMS *m/z* 523 $[M+H]^+$, purity (UV/MS) 100/90.

1-(4-chlorophenyl)-2-(8-(3-(3-(cyclopropanecarbonyl)-7-methoxy-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)ethanone **C438**

[0879] Amount made: 6.0 mg. LCMS *m/z* 519 $[M+H]^+$, purity (UV/MS) 98/80.

1-(4-chlorophenyl)-2-(8-(3-(7-methoxy-3-(2-phenylacetyl)-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)ethanone **C439**

[0880] Amount made: 6.2 mg. LCMS *m/z* 569 $[M+H]^+$, purity (UV/MS) 92/80.

1-(7-bromo-1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-1H-indol-3-yl)ethanone **C440**

[0881] Amount made: 4.1 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-2-methyl-1H-indol-3-yl)ethanone **C441**

[0882] Amount made: 2.1 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C442**

[0883] Amount made: 3.7 mg. LCMS *m/z* 415 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C443**

[0884] Amount made: 2.8 mg. LCMS *m/z* 487 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-bromo-1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C444**

[0885] Amount made: 0.9 mg. LCMS *m/z* 501 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-bromo-1-(3-(3-(2-chlorobenzyl)pyrrolidin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C445**

[0886] Amount made: 0.8 mg. LCMS *m/z* 487 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-bromo-1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C446**

[0887] Amount made: 1.6 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 98/80.

1-(7-bromo-1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C447**

[0888] Amount made: 1.6 mg. LCMS *m/z* 529 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-bromo-1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C448**

[0889] Amount made: 5.0 mg. LCMS *m/z* 527 $[M+H]^+$, purity (UV/MS) 97/80.

1-(7-bromo-1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C449**

[0890] Amount made: 3.5 mg. LCMS *m/z* 541 $[M+H]^+$, purity (UV/MS) 97/80.

1-(7-bromo-1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C450**

[0891] Amount made: 3.1 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C451**

[0892] Amount made: 0.4 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/70.

1-(7-bromo-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C452**

[0893] Amount made: 3.7 mg. LCMS *m/z* 499 $[M+H]^+$, purity (UV/MS) 87/60.

1-(7-bromo-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C453**

[0894] Amount made: 1.7 mg. LCMS *m/z* 513 $[M+H]^+$, purity (UV/MS) 94/90.

1-(7-bromo-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C454**

[0895] Amount made: 2.6 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 91/80.

1-(7-bromo-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C455**

[0896] Amount made: 3.9 mg. LCMS *m/z* 493 $[M+H]^+$, purity (UV/MS) 85/70.

1-(7-bromo-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C456**

[0897] Amount made: 3.2 mg. LCMS *m/z* 518 $[M+H]^+$, purity (UV/MS) 100/100.

1-(7-bromo-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C457**

[0898] Amount made: 5.7 mg. LCMS *m/z* 532 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C458**

[0899] Amount made: 3.1 mg. LCMS *m/z* 531 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-bromo-1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C459**

[0900] Amount made: 3.4 mg. LCMS *m/z* 502 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-bromo-1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C460**

[0901] Amount made: 3.3 mg. LCMS *m/z* 516 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-bromo-1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C461**

[0902] Amount made: 3.3 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 96/80.

1-(7-bromo-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C462**

[0903] Amount made: 5.4 mg. LCMS *m/z* 469 $[M+H]^+$, purity (UV/MS) 95/60.

1-(7-bromo-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C463**

[0904] Amount made: 8.8 mg. LCMS *m/z* 484 $[M+H]^+$, purity (UV/MS) 100/100.

1-(7-bromo-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C464**

[0905] Amount made: 8.0 mg. LCMS *m/z* 508 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C465**

[0906] Amount made: 0.6 mg. LCMS *m/z* 508 $[M+H]^+$, purity (UV/MS) 100/70.

1-(7-bromo-1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C466**

[0907] Amount made: 5.9 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C467**

[0908] Amount made: 4.9 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C468**

[0909] Amount made: 4.6 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 94/80.

1-(7-bromo-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C469**

[0910] Amount made: 4.4 mg. LCMS *m/z* 486 $[M+H]^+$, purity (UV/MS) 96/70.

1-(7-bromo-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-2-methyl-1H-indol-3-yl)ethanone **C470**

[0911] Amount made: 3.3 mg. LCMS *m/z* 500 $[M+H]^+$, purity (UV/MS) 98/80.

1-(7-bromo-1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C471**

[0912] Amount made: 4.9 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C472**

[0913] Amount made: 6.2 mg. LCMS *m/z* 482 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-2-methyl-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C473**

[0914] Amount made: 2.3 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-2-methyl-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C474**

[0915] Amount made: 3.0 mg. LCMS *m/z* 507 $[M+H]^+$, purity (UV/MS) 95/80.

1-(7-bromo-2-methyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C475**

[0916] Amount made: 6.4 mg. LCMS *m/z* 498 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-bromo-2-methyl-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C476**

[0917] Amount made: 4.6 mg. LCMS *m/z* 522 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-bromo-2-methyl-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C477**

[0918] Amount made: 5.7 mg. LCMS *m/z* 522 $[M+H]^+$, purity (UV/MS) 99/80.

1-(7-bromo-2-methyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C478**

[0919] Amount made: 3.9 mg. LCMS *m/z* 496 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-1H-indol-3-yl)ethanone **C479**

[0920] Amount made: 3.0 mg. LCMS *m/z* 367 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C480**

[0921] Amount made: 2.5 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C481**

[0922] Amount made: 3.6 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 100/70.

1-(7-chloro-1-(3-(3-(2-chlorobenzyl)pyrrolidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C482**

[0923] Amount made: 1.0 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C483**

[0924] Amount made: 2.3 mg. LCMS *m/z* 471 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-chloro-1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C484**

[0925] Amount made: 4.5 mg. LCMS *m/z* 483 $[M+H]^+$, purity (UV/MS) 97/80.

1-(7-chloro-1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C485**

[0926] Amount made: 2.0 mg. LCMS *m/z* 471 $[M+H]^+$, purity (UV/MS) 95/90.

1-(7-chloro-1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C486**

[0927] Amount made: 4.0 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 100/100.

1-(7-chloro-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C487**

[0928] Amount made: 2.8 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 80/50.

1-(7-chloro-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C488**

[0929] Amount made: 2.2 mg. LCMS *m/z* 415 $[M+H]^+$, purity (UV/MS) 98/96.

1-(7-chloro-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C489**

[0930] Amount made: 3.4 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-chloro-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C490**

[0931] Amount made: 6.1 mg. LCMS *m/z* 474 $[M+H]^+$, purity (UV/MS) 100/100.

1-(7-chloro-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C491**

[0932] Amount made: 2.4 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 98/80.

1-(7-chloro-1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C492**

[0933] Amount made: 3.4 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 98/80.

1-(7-chloro-1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C493**

[0934] Amount made: 2.2 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 91/70.

1-(7-chloro-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C494**

[0935] Amount made: 3.1 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 95/70.

1-(7-chloro-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C495**

[0936] Amount made: 7.5 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C496**

[0937] Amount made: 6.0 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 98/80.

1-(7-chloro-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C497**

[0938] Amount made: 8.3 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-chloro-1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C498**

[0939] Amount made: 8.3 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-chloro-1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C499**

[0940] Amount made: 1.0 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 88/80.

1-(7-chloro-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C500**

[0941] Amount made: 3.6 mg. LCMS *m/z* 442 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-chloro-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C501**

[0942] Amount made: 3.7 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 90/80.

1-(7-chloro-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C502**

[0943] Amount made: 4.9 mg. LCMS *m/z* 438 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-ethyl-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C503**

[0944] Amount made: 2.3 mg. LCMS *m/z* 365 $[M+H]^+$, purity (UV/MS) 99/90.

1-(7-ethyl-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C504**

[0945] Amount made: 1.9 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 98/70.

1-(7-ethyl-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C505**

[0946] Amount made: 3.4 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 92/80.

1-(7-ethyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C506**

[0947] Amount made: 8.8 mg. LCMS *m/z* 434 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-ethyl-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C507**

[0948] Amount made: 2.4 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-ethyl-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C508**

[0949] Amount made: 4.8 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-ethyl-1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C509**

[0950] Amount made: 2.6 mg. LCMS *m/z* 436 $[M+H]^+$, purity (UV/MS) 94/80.

1-(7-ethyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C510**

[0951] Amount made: 4.2 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 97/80.

1-(7-methoxy-1-(2-methyl-3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C511**

[0952] Amount made: 0.3 mg. LCMS *m/z* 381 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-methoxy-1-(2-methyl-3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C512**

[0953] Amount made: 1.0 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 80/50.

1-(7-methoxy-1-(2-methyl-3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C513**

[0954] Amount made: 5.8 mg. LCMS *m/z* 450 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methoxy-1-(2-methyl-3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C514**

[0955] Amount made: 4.6 mg. LCMS *m/z* 474 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methoxy-1-(2-methyl-3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C515**

[0956] Amount made: 6.1 mg. LCMS *m/z* 474 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-methoxy-1-(2-methyl-3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C516**

[0957] Amount made: 3.5 mg. LCMS *m/z* 448 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methoxy-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)-2-phenylethanone **C517**

[0958] Amount made: 2.9 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 99/70.

1-(7-methoxy-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C518**

[0959] Amount made: 7.1 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 97/80.

1-(7-methoxy-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)-2-phenylethanone **C519**

[0960] Amount made: 3.6 mg. LCMS *m/z* 521 $[M+H]^+$, purity (UV/MS) 96/90.

1-(7-methoxy-1-(3-(4-((tetrahydrofuran-2-yl)methyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C520**

[0961] Amount made: 5.4 mg. LCMS *m/z* 400 $[M+H]^+$, purity (UV/MS) 81/50.

1-(7-methoxy-1-(3-(4-(2-nitro-4-(trifluoromethyl)phenyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C521**

[0962] Amount made: 8.6 mg. LCMS *m/z* 505 $[M+H]^+$, purity (UV/MS) 84/80.

1-(7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)-2-phenylethanone **C522**

[0963] Amount made: 3.4 mg. LCMS *m/z* 512 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)-2-phenylethanone **C523**

[0964] Amount made: 6.3 mg. LCMS *m/z* 536 $[M+H]^+$, purity (UV/MS) 100/80.

1-(7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)-2-phenylethanone **C524**

[0965] Amount made: 6.8 mg. LCMS *m/z* 536 $[M+H]^+$, purity (UV/MS) 99/80.

1-(7-methoxy-1-(3-(4-(4-(trifluoromethyl)phenyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C525**

[0966] Amount made: 7.4 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 95/90.

1-(7-methoxy-1-(3-(4-morpholinopiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C526**

[0967] Amount made: 2.4 mg. LCMS *m/z* 400 $[M+H]^+$, purity (UV/MS) 89/60.

1-(7-methoxy-1-(3-(octahydroisoquinolin-2(1H)-yl)propyl)-1H-indol-3-yl)ethanone **C527**

[0968] Amount made: 8.4 mg. LCMS *m/z* 369 $[M+H]^+$, purity (UV/MS) 99/90.

1-(7-methyl-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)ethanone **C528**

[0969] Amount made: 3.3 mg. LCMS *m/z* 351 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methyl-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C529**

[0970] Amount made: 3.0 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 82/70.

1-(7-methyl-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C530**

[0971] Amount made: 3.5 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 98/90.

1-(7-methyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C531**

[0972] Amount made: 4.8 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methyl-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C532**

[0973] Amount made: 4.7 mg. LCMS *m/z* 444 $[M+H]^+$, purity (UV/MS) 100/100.

1-(7-methyl-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C533**

[0974] Amount made: 5.3 mg. LCMS *m/z* 444 $[M+H]^+$, purity (UV/MS) 100/90.

1-(7-methyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)ethanone **C534**

[0975] Amount made: 5.1 mg. LCMS *m/z* 418 $[M+H]^+$, purity (UV/MS) 97/70.

2-(4-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)piperazin-1-yl)-1-morpholinoethanone **C535**

[0976] Amount made: 8.5 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 93/70.

2-(8-(3-(3-(cyclopropanecarbonyl)-7-methoxy-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone **C536**

[0977] Amount made: 4.6 mg. LCMS *m/z* 485 $[M+H]^+$, purity (UV/MS) 94/70.

2-(8-(3-(3-acetyl-7-bromo-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C537**

[0978] Amount made: 5.5 mg. LCMS *m/z* 541 $[M+H]^+$, purity (UV/MS) 98/90.

2-(8-(3-(3-acetyl-7-bromo-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone **C538**

[0979] Amount made: 4.2 mg. LCMS *m/z* 507 $[M+H]^+$, purity (UV/MS) 91/60.

2-(8-(3-(3-acetyl-7-bromo-2-methyl-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C539**

[0980] Amount made: 3.9 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 98/70.

2-(8-(3-(3-acetyl-7-chloro-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C540**

[0981] Amount made: 4.0 mg. LCMS *m/z* 497 $[M+H]^+$, purity (UV/MS) 100/90.

2-(8-(3-(3-acetyl-7-chloro-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone **C541**

[0982] Amount made: 4.2 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 91/70.

2-(8-(3-(3-acetyl-7-ethyl-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C542**

[0983] Amount made: 3.6 mg. LCMS *m/z* 491 $[M+H]^+$, purity (UV/MS) 94/60.

2-(8-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)-2-methylpropyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C543**

[0984] Amount made: 5.1 mg. LCMS *m/z* 507 $[M+H]^+$, purity (UV/MS) 96/90.

2-(8-(3-(3-acetyl-7-methyl-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C544**

[0985] Amount made: 3.3 mg. LCMS *m/z* 477 $[M+H]^+$, purity (UV/MS) 98/70.

2-(8-(3-(3-acetyl-7-methyl-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone **C545**

[0986] Amount made: 2.1 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 99/80.

2-(8-(3-(3-benzoyl-7-methoxy-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C546**

[0987] Amount made: 7.0 mg. LCMS *m/z* 555 $[M+H]^+$, purity (UV/MS) 96/70.

2-(8-(3-(3-benzoyl-7-methoxy-1H-indol-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-phenylethanone **C547**

[0988] Amount made: 5.7 mg. LCMS *m/z* 521 $[M+H]^+$, purity (UV/MS) 95/81.

3-acetyl-1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-1H-indole-7-carbonitrile **C548**

[0989] Amount made: 3.0 mg. LCMS *m/z* 358 $[M+H]^+$, purity (UV/MS) 99/80.

3-acetyl-1-(3-(2-phenoxyethylamino)propyl)-1H-indole-7-carbonitrile **C549**

[0990] Amount made: 3.7 mg. LCMS *m/z* 362 $[M+H]^+$, purity (UV/MS) 96/80.

3-acetyl-1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-7-carbonitrile **C550**

[0991] Amount made: 5.1 mg. LCMS *m/z* 488 $[M+H]^+$, purity (UV/MS) 95/80.

3-acetyl-1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C551**

[0992] Amount made: 2.1 mg. LCMS *m/z* 434 $[M+H]^+$, purity (UV/MS) 100/80.

3-acetyl-1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-7-carbonitrile **C552**

[0993] Amount made: 3.3 mg. LCMS *m/z* 462 $[M+H]^+$, purity (UV/MS) 94/100.

3-acetyl-1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C553**

[0994] Amount made: 3.0 mg. LCMS *m/z* 436 $[M+H]^+$, purity (UV/MS) 100/100.

3-acetyl-1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-7-carbonitrile **C554**

[0995] Amount made: 3.9 mg. LCMS *m/z* 446 $[M+H]^+$, purity (UV/MS) 100/100.

3-acetyl-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-7-carbonitrile **C555**

[0996] Amount made: 2.8 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 92/90.

3-acetyl-1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-1H-indole-7-carbonitrile **C556**

[0997] Amount made: 0.4 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 100/70.

3-acetyl-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-1H-indole-7-carbonitrile **C557**

[0998] Amount made: 7.2 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C558**

[0999] Amount made: 1.8 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-1H-indole-7-carbonitrile **C559**

[1000] Amount made: 6.4 mg. LCMS *m/z* 469 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-indole-7-carbonitrile **C560**

[1001] Amount made: 2.9 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 69/60.

3-acetyl-1-(3-(4-(2-oxoindolin-1-yl)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C561**

[1002] Amount made: 1.5 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 93/80.

3-acetyl-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-7-carbonitrile **C562**

[1003] Amount made: 7.1 mg. LCMS *m/z* 431 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C563**

[1004] Amount made: 6.6 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 92/80.

3-acetyl-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C564**

[1005] Amount made: 6.6 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-1H-indole-7-carbonitrile **C565**

[1006] Amount made: 6.7 mg. LCMS *m/z* 490 $[M+H]^+$, purity (UV/MS) 100/90.

3-acetyl-1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-1H-indole-7-carbonitrile **C566**

[1007] Amount made: 5.6 mg. LCMS *m/z* 436 $[M+H]^+$, purity (UV/MS) 100/100.

3-acetyl-1-(3-(4-oxospiro[chroman-2,4'-piperidine]-1'-yl)propyl)-1H-indole-7-carbonitrile **C567**

[1008] Amount made: 2.7 mg. LCMS *m/z* 442 $[M+H]^+$, purity (UV/MS) 94/90.

3-acetyl-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indole-7-carbonitrile **C568**

[1009] Amount made: 4.7 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 80/80.

4-(4-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)piperazin-1-yl)benzonitrile **C569**

[1010] Amount made: 2.4 mg. LCMS *m/z* 417 $[M+H]^+$, purity (UV/MS) 95/80.

cyclopropyl(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-7-methoxy-1H-indol-3-yl)methanone **C570**

[1011] Amount made: 4.8 mg. LCMS *m/z* 389 $[M+H]^+$, purity (UV/MS) 97/80.

cyclopropyl(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indol-3-yl)methanone **C571**

[1012] Amount made: 2.7 mg. LCMS *m/z* 477 $[M+H]^+$, purity (UV/MS) 96/60.

cyclopropyl(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indol-3-yl)methanone **C572**

[1013] Amount made: 5.0 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 100/90.

cyclopropyl(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indol-3-yl)methanone **C573**

[1014] Amount made: 5.9 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 95/80.

cyclopropyl(7-methoxy-1-(3-(2-phenoxyethylamino)propyl)-1H-indol-3-yl)methanone **C574**

[1015] Amount made: 4.2 mg. LCMS *m/z* 393 $[M+H]^+$, purity (UV/MS) 95/80.

cyclopropyl(7-methoxy-1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)methanone **C575**

[1016] Amount made: 2.4 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 86/80.

cyclopropyl(7-methoxy-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)methanone **C576**

[1017] Amount made: 5.4 mg. LCMS *m/z* 471 $[M+H]^+$, purity (UV/MS) 83/60.

cyclopropyl(7-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)methanone **C577**

[1018] Amount made: 4.8 mg. LCMS *m/z* 447 $[M+H]^+$, purity (UV/MS) 96/60.

cyclopropyl(7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)methanone **C578**

[1019] Amount made: 7.8 mg. LCMS *m/z* 462 $[M+H]^+$, purity (UV/MS) 98/90.

cyclopropyl(7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)methanone **C579**

[1020] Amount made: 6.0 mg. LCMS *m/z* 486 $[M+H]^+$, purity (UV/MS) 100/90.

cyclopropyl(7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)methanone **C580**

[1021] Amount made: 7.7 mg. LCMS *m/z* 486 $[M+H]^+$, purity (UV/MS) 99/90.

cyclopropyl(7-methoxy-1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-indol-3-yl)methanone **C581**

[1022] Amount made: 5.8 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 98/90.

ethyl 1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)piperidine-4-carboxylate **C582**

[1023] Amount made: 7.6 mg. LCMS *m/z* 387 $[M+H]^+$, purity (UV/MS) 80/70.

1-(1-(2-(3-(4-fluorophenyl)-3-hydroxy-8-azabicyclo[3.2.1]octan-8-yl)ethyl)-1H-indol-3-yl)ethanone **C583**

[1024] Amount made: 2.8 mg. LCMS *m/z* 407 $[M+H]^+$, purity (UV/MS) 98/70.

1-(1-(2-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)ethyl)-1H-indol-3-yl)ethanone **C584**

[1025] Amount made: 6.0 mg. LCMS *m/z* 367 $[M+H]^+$, purity (UV/MS) 98/77.

1-(1-(2-(4-(2-methoxyphenyl)piperidin-1-yl)ethyl)-1H-indol-3-yl)ethanone **C585**

[1026] Amount made: 3.4 mg. LCMS *m/z* 377 $[M+H]^+$, purity (UV/MS) 100/87.

1-(1-(2-(4-benzylpiperidin-1-yl)ethyl)-1H-indol-3-yl)ethanone **C586**

[1027] Amount made: 1.0 mg. LCMS *m/z* 361 $[M+H]^+$, purity (UV/MS) 100/70.

1-(1-(2-(4-butylpiperidin-1-yl)ethyl)-1H-indol-3-yl)ethanone **C587**

[1028] Amount made: 2.8 mg. LCMS *m/z* 327 $[M+H]^+$, purity (UV/MS) 100/91.

1-(1-(2-(4-propoxypiperidin-1-yl)ethyl)-1H-indol-3-yl)ethanone **C588**

[1029] Amount made: 1.8 mg. LCMS *m/z* 329 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(2-hydroxy-3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C589**

[1030] Amount made: 11.5 mg. LCMS *m/z* 406 $[M+H]^+$, purity (UV/MS) 100/70.

1-(1-(2-hydroxy-3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C590**

[1031] Amount made: 5.0 mg. LCMS *m/z* 359 $[M+H]^+$, purity (UV/MS) 90/67.

1-(1-(2-methyl-3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C591**

[1032] Amount made: 3.7 mg. LCMS *m/z* 404 $[M+H]^+$, purity (UV/MS) 98/55.

1-(1-(2-methyl-3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C592**

[1033] Amount made: 3.0 mg. LCMS *m/z* 357 $[M+H]^+$, purity (UV/MS) 80/73.

1-(1-(3-(3-(2-methoxyethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C593**

[1034] Amount made: 6.4 mg. LCMS *m/z* 369 $[M+H]^+$, purity (UV/MS) 96/93.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C594**

[1035] Amount made: 2.8 mg. LCMS *m/z* 491 $[M+H]^+$, purity (UV/MS) 98/64.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C595**

[1036] Amount made: 3.4 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 100/84.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C596**

[1037] Amount made: 16.0 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 95/72.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C597**

[1038] Amount made: 16.0 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 97/64.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C598**

[1039] Amount made: 2.1 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 79/39.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C599**

[1040] Amount made: 2.1 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 87/38.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C600**

[1041] Amount made: 3.1 mg. LCMS *m/z* 509 $[M+H]^+$, purity (UV/MS) 77/55.

1-(1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C601**

[1042] Amount made: 3.6 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 93/50.

1-(1-(3-(3-(4-fluorophenyl)-3-hydroxy-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)propan-1-one **C602**

[1043] Amount made: 3.3 mg. LCMS *m/z* 435 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C603**

[1044] Amount made: 9.3 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 100/89.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C604**

[1045] Amount made: 8.3 mg. LCMS *m/z* 435 $[M+H]^+$, purity (UV/MS) 99/95.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C605**

[1046] Amount made: 8.7 mg. LCMS *m/z* 423 $[M+H]^+$, purity (UV/MS) 98/91.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C606**

[1047] Amount made: 16.3 mg. LCMS *m/z* 381 $[M+H]^+$, purity (UV/MS) 99/88.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)propan-1-one **C607**

[1048] Amount made: 5.6 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 100/75.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C608**

[1049] Amount made: 4.7 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 99/81.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C609**

[1050] Amount made: 4.1 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 100/86.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C610**

[1051] Amount made: 4.8 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 99/71.

1-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C611**

[1052] Amount made: 10.5 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 100/93.

1-(1-(3-(3,4-dihydroisoquinolin-2(1H)-yl)propyl)-1H-indol-3-yl)ethanone **C612**

[1053] Amount made: 12.0 mg. LCMS *m/z* 333 $[M+H]^+$, purity (UV/MS) 88/60.

1-(1-(3-(3-butyl-3,8-diazabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C613**

[1054] Amount made: 18.1 mg. LCMS *m/z* 368 $[M+H]^+$, purity (UV/MS) 95/61.

1-(1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C614**

[1055] Amount made: 14.0 mg. LCMS *m/z* 381 $[M+H]^+$, purity (UV/MS) 97/79.

1-(1-(3-(3-pentyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C615**

[1056] Amount made: 15.0 mg. LCMS *m/z* 381 $[M+H]^+$, purity (UV/MS) 95/77.

1-(1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C616**

[1057] Amount made: 9.8 mg. LCMS *m/z* 415 $[M+H]^+$, purity (UV/MS) 99/84.

1-(1-(3-(4-((tetrahydrofuran-2-yl)methyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C617**

[1058] Amount made: 8.2 mg. LCMS *m/z* 370 $[M+H]^+$, purity (UV/MS) 90/57.

1-(1-(3-(4-(1H-indol-4-yl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C618**

[1059] Amount made: 15.7 mg. LCMS *m/z* 401 $[M+H]^+$, purity (UV/MS) 82/41.

1-(1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C619**

[1060] Amount made: 10.7 mg. LCMS *m/z* 390 $[M+H]^+$, purity (UV/MS) 93/72.

1-(1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C620**

[1061] Amount made: 10.9 mg. LCMS *m/z* 404 $[M+H]^+$, purity (UV/MS) 100/84.

1-(1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C621**

[1062] Amount made: 13.2 mg. LCMS *m/z* 413 $[M+H]^+$, purity (UV/MS) 95/50.

1-(1-(3-(4-(2-(methylthio)phenyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C622**

[1063] Amount made: 11.3 mg. LCMS *m/z* 408 $[M+H]^+$, purity (UV/MS) 84/51.

1-(1-(3-(4-(2,4-difluorobenzoyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C623**

[1064] Amount made: 4.4 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 72/53.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)-2-hydroxypropyl)-1H-indol-3-yl)ethanone **C624**

[1065] Amount made: 17.4 mg. LCMS *m/z* 427 $[M+H]^+$, purity (UV/MS) 100/95.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C625**

[1066] Amount made: 10.1 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 97/86.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C626**

[1067] Amount made: 8.7 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 96/80.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone hydrochloride **C627**

[1068] Amount made: 20.2 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 98/72.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C628**

[1069] Amount made: 5.9 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/81.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C629**

[1070] Amount made: 4.6 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 99/89.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C630**

[1071] Amount made: 5.6 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 99/86.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C631**

[1072] Amount made: 5.5 mg. LCMS *m/z* 483 $[M+H]^+$, purity (UV/MS) 96/81.

1-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C632**

[1073] Amount made: 12.3 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 98/90.

1-(1-(3-(4-(2-chlorophenyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone hydrochloride **C633**

[1074] Amount made: 9.1 mg. LCMS *m/z* 396 $[M+H]^+$, purity (UV/MS) 82/58.

1-(1-(3-(4-(2-ethoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C634**

[1075] Amount made: 6.3 mg. LCMS *m/z* 358 $[M+H]^+$, purity (UV/MS) 88/57.

1-(1-(3-(4-(2-methoxyethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C636**

[1076] Amount made: 9.1 mg. LCMS *m/z* 344 $[M+H]^+$, purity (UV/MS) 96/86.

1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C637**

[1077] Amount made: 4.8 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 99/75.

1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C638**

[1078] Amount made: 12.0 mg. LCMS *m/z* 433 $[M+H]^+$, purity (UV/MS) 97/72.

1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C639**

[1079] Amount made: 4.8 mg. LCMS *m/z* 391 $[M+H]^+$, purity (UV/MS) 81/69.

1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C640**

[1080] Amount made: 10.9 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 97/81.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)-2-hydroxypropyl)-1H-indol-3-yl)ethanone **C641**

[1081] Amount made: 9.6 mg. LCMS *m/z* 427 $[M+H]^+$, purity (UV/MS) 80/58.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C642**

[1082] Amount made: 5.2 mg. LCMS *m/z* 465 $[M+H]^+$, purity (UV/MS) 74/75.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C643**

[1083] Amount made: 4.7 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 92/65.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C644**

[1084] Amount made: 16.6 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 96/71.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C645**

[1085] Amount made: 2.3 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 92/51.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C646**

[1086] Amount made: 3.0 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 92/57.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C647**

[1087] Amount made: 3.5 mg. LCMS *m/z* 483 $[M+H]^+$, purity (UV/MS) 84/47.

1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C648**

[1088] Amount made: 4.8 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 85/58.

1-(1-(3-(4-(4-chlorophenylsulfonyl)piperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C649**

[1089] Amount made: 5.6 mg. LCMS *m/z* 513 $[M+H]^+$, purity (UV/MS) 100/70.

1-(1-(3-(4-(4-chlorophenylsulfonyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone hydrochloride **C650**

[1090] Amount made: 21.0 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 97/62.

1-(1-(3-(4-(4-chlorophenylsulfonyl)piperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C651**

[1091] Amount made: 10.6 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone hydrochloride **C652**

[1092] Amount made: 14.4 mg. LCMS *m/z* 427 $[M+H]^+$, purity (UV/MS) 98/72.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)-2-hydroxypropyl)-1H-indol-3-yl)ethanone **C653**

[1093] Amount made: 9.6 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 100/87.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C654**

[1094] Amount made: 1.1 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 100/78.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C655**

[1095] Amount made: 10.2 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone hydrochloride **C656**

[1096] Amount made: 9.0 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 88/76.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C657**

[1097] Amount made: 9.8 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 99/80.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C658**

[1098] Amount made: 4.5 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 98/86.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C659**

[1099] Amount made: 5.2 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/89.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C660**

[1100] Amount made: 5.5 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C661**

[1101] Amount made: 10.7 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/96.

1-(1-(3-(4-(allyloxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C662**

[1102] Amount made: 9.0 mg. LCMS *m/z* 341 $[M+H]^+$, purity (UV/MS) 99/70.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)-2-hydroxypropyl)-1H-indol-3-yl)ethanone **C663**

[1103] Amount made: 5.6 mg. LCMS *m/z* 434 $[M+H]^+$, purity (UV/MS) 97/79.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C664**

[1104] Amount made: 1.0 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 91/58.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C665**

[1105] Amount made: 8.4 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 100/98.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C666**

[1106] Amount made: 10.4 mg. LCMS *m/z* 460 $[M+H]^+$, purity (UV/MS) 100/94.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C667**

[1107] Amount made: 20.7 mg. LCMS *m/z* 418 $[M+H]^+$, purity (UV/MS) 99/68.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C668**

[1108] Amount made: 9.4 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 97/82.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C669**

[1109] Amount made: 1.7 mg. LCMS *m/z* 448 $[M+H]^+$, purity (UV/MS) 93/72.

1-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C670**

[1110] Amount made: 11.0 mg. LCMS *m/z* 448 $[M+H]^+$, purity (UV/MS) 99/86.

1-(1-(3-(4-(cyclopropylmethoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C671**

[1111] Amount made: 9.3 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 97/81.

1-(1-(3-(4-(methoxymethyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C672**

[1112] Amount made: 14.2 mg. LCMS *m/z* 329 $[M+H]^+$, purity (UV/MS) 100/87.

1-(1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C673**

[1113] Amount made: 7.1 mg. LCMS *m/z* 354 $[M+H]^+$, purity (UV/MS) 96/83.

1-(1-(3-(4-benzoylpiperidin-1-yl)-2-hydroxypropyl)-1H-indol-3-yl)ethanone **C674**

[1114] Amount made: 14.3 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 99/95.

1-(1-(3-(4-benzoylpiperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C675**

[1115] Amount made: 1.9 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 96/65.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C676**

[1116] Amount made: 8.5 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 100/97.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C677**

[1117] Amount made: 11.3 mg. LCMS *m/z* 431 $[M+H]^+$, purity (UV/MS) 100/89.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C678**

[1118] Amount made: 7.2 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 99/83.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C679**

[1119] Amount made: 4.3 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 94/75.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-5-bromo-1H-indol-3-yl)ethanone **C680**

[1120] Amount made: 6.4 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 98/76.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C681**

[1121] Amount made: 2.7 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 98/64.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C682**

[1122] Amount made: 5.1 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 99/81.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-6-bromo-1H-indol-3-yl)ethanone **C683**

[1123] Amount made: 7.8 mg. LCMS *m/z* 467 $[M+H]^+$, purity (UV/MS) 99/85.

1-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C684**

[1124] Amount made: 11.7 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 99/87.

1-(1-(3-(4-benzyl-4-hydroxypiperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C685**

[1125] Amount made: 2.5 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-benzyl-4-hydroxypiperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C686**

[1126] Amount made: 1.2 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-benzyl-4-hydroxypiperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C687**

[1127] Amount made: 5.2 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-benzylpiperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C688**

[1128] Amount made: 3.2 mg. LCMS *m/z* 389 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(4-benzylpiperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C689**

[1129] Amount made: 2.4 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-benzylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C690**

[1130] Amount made: 12.6 mg. LCMS *m/z* 375 $[M+H]^+$, purity (UV/MS) 99/93.

1-(1-(3-(4-benzylpiperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C691**

[1131] Amount made: 6.0 mg. LCMS *m/z* 389 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-butylpiperidin-1-yl)-2-methylpropyl)-1H-indol-3-yl)ethanone **C692**

[1132] Amount made: 4.6 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 100/81.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)-2,2,2-trifluoroethanone **C693**

[1133] Amount made: 7.2 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C694**

[1134] Amount made: 9.8 mg. LCMS *m/z* 383 $[M+H]^+$, purity (UV/MS) 99/95.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)propan-1-one **C695**

[1135] Amount made: 11.1 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 100/96.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-4-methoxy-1H-indol-3-yl)ethanone **C696**

[1136] Amount made: 4.6 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 100/94.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)ethanone **C697**

[1137] Amount made: 5.2 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 100/96.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-5-methoxy-1H-indol-3-yl)pentan-1-one **C698**

[1138] Amount made: 4.7 mg. LCMS *m/z* 413 $[M+H]^+$, purity (UV/MS) 100/95.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-6-methoxy-1H-indol-3-yl)ethanone **C699**

[1139] Amount made: 9.1 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 100/98.

1-(1-(3-(4-hexylidenepiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C700**

[1140] Amount made: 8.2 mg. LCMS *m/z* 367 $[M+H]^+$, purity (UV/MS) 79/78.

1-(1-(3-(4-hexylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C701**

[1141] Amount made: 7.7 mg. LCMS *m/z* 369 $[M+H]^+$, purity (UV/MS) 89/80.

1-(1-(3-(4-isopentylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C702**

[1142] Amount made: 3.0 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 87/90.

1-(1-(3-(4-pentylidenepiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C703**

[1143] Amount made: 17.5 mg. LCMS *m/z* 353 $[M+H]^+$, purity (UV/MS) 94/74.

1-(1-(3-(4-phenylpiperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C704**

[1144] Amount made: 10.7 mg. LCMS *m/z* 362 $[M+H]^+$, purity (UV/MS) 93/55.

1-(1-(3-(4-phenylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C705**

[1145] Amount made: 12.0 mg. LCMS *m/z* 361 $[M+H]^+$, purity (UV/MS) 96/68.

1-(1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C706**

[1146] Amount made: 10.4 mg. LCMS *m/z* 343 $[M+H]^+$, purity (UV/MS) 99/91.

1-(1-(3-(4-propylpiperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C707**

[1147] Amount made: 9.4 mg. LCMS *m/z* 328 $[M+H]^+$, purity (UV/MS) 78/65.

1-(1-(3-(5-butyl-2,5-diazabicyclo[2.2.1]heptan-2-yl)propyl)-1H-indol-3-yl)ethanone **C708**

[1148] Amount made: 3.6 mg. LCMS *m/z* 354 $[M+H]^+$, purity (UV/MS) 88/67.

1-(1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)-3-methylbutan-1-one **C709**

[1149] Amount made: 5.5 mg. LCMS *m/z* 444 $[M+H]^+$, purity (UV/MS) 88/47.

1-(1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indol-3-yl)ethanone **C710**

[1150] Amount made: 10.4 mg. LCMS *m/z* 336 $[M+H]^+$, purity (UV/MS) 85/55.

1-(1-(3-(octahydroisoquinolin-2(1H)-yl)propyl)-1H-indol-3-yl)ethanone **C711**

[1151] Amount made: 23.5 mg. LCMS *m/z* 339 $[M+H]^+$, purity (UV/MS) 100/74.

1-(1-(3-(piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C712**

[1152] Amount made: 14.3 mg. LCMS *m/z* 285 $[M+H]^+$, purity (UV/MS) 100/77.

1-(1-(3-morpholinopropyl)-1H-indol-3-yl)ethanone **C713**

[1153] Amount made: 9.4 mg. LCMS *m/z* 287 $[M+H]^+$, purity (UV/MS) 99/77.

1-(1-(4-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)butyl)-1H-indol-3-yl)ethanone **C714**

[1154] Amount made: 3.4 mg. LCMS *m/z* 451 $[M+H]^+$, purity (UV/MS) 68/40.

1-(1-(4-(3-(4-fluorophenyl)-3-hydroxy-8-azabicyclo[3.2.1]octan-8-yl)butyl)-1H-indol-3-yl)ethanone **C715**

[1155] Amount made: 2.8 mg. LCMS *m/z* 435 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(4-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)butyl)-1H-indol-3-yl)ethanone **C716**

[1156] Amount made: 7.0 mg. LCMS *m/z* 395 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(4-(4-(1-phenylethyl)piperazin-1-yl)butyl)-1H-indol-3-yl)ethanone **C717**

[1157] Amount made: 11.1 mg. LCMS *m/z* 404 $[M+H]^+$, purity (UV/MS) 98/65.

1-(1-(4-(4-(2-chlorophenoxy)piperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C718**

[1158] Amount made: 11.5 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 100/84.

1-(1-(4-(4-(2-methoxyphenyl)piperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C719**

[1159] Amount made: 13.8 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 100/98.

1-(1-(4-(4-(4-fluorophenoxy)piperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C720**

[1160] Amount made: 11.9 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 100/87.

1-(1-(4-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C721**

[1161] Amount made: 11.8 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 98/63.

1-(1-(4-(4-benzoylpiperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C722**

[1162] Amount made: 14.4 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 98/84.

1-(1-(4-(4-benzyl-4-hydroxypiperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C723**

[1163] Amount made: 3.4 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(4-(4-benzylpiperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C724**

[1164] Amount made: 6.0 mg. LCMS *m/z* 389 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(4-(4-butylpiperidin-1-yl)butyl)-1H-indol-3-yl)ethanone **C725**

[1165] Amount made: 13.8 mg. LCMS *m/z* 355 $[M+H]^+$, purity (UV/MS) 100/88.

1-(1-(4-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)butyl)-1H-indol-3-yl)ethanone **C726**

[1166] Amount made: 3.5 mg. LCMS *m/z* 416 $[M+H]^+$, purity (UV/MS) 92/53.

1-(3-(3-acetyl-1H-indol-1-yl)propyl)-N,N-diethylpiperidine-3-carboxamide **C727**

[1167] Amount made: 11.7 mg. LCMS *m/z* 384 $[M+H]^+$, purity (UV/MS) 100/86.

1-(4-methoxy-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C728**

[1168] Amount made: 9.5 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 94/66.

1-(4-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C729**

[1169] Amount made: 8.3 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 99/82.

1-(4-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C730**

[1170] Amount made: 1.6 mg. LCMS *m/z* 373 $[M+H]^+$, purity (UV/MS) 66/66.

1-(4-methoxy-1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)ethanone **C731**

[1171] Amount made: 1.9 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 86/42.

1-(5-bromo-1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C732**

[1172] Amount made: 6.9 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 98/82.

1-(5-bromo-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C733**

[1173] Amount made: 9.8 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 99/66.

1-(5-bromo-1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C734**

[1174] Amount made: 5.4 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 99/84.

1-(5-bromo-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C735**

[1175] Amount made: 6.7 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 97/90.

1-(5-bromo-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C736**

[1176] Amount made: 1.7 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 83/71.

1-(5-methoxy-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C737**

[1177] Amount made: 8.9 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 98/64.

1-(5-methoxy-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)pentan-1-one **C738**

[1178] Amount made: 8.6 mg. LCMS *m/z* 462 $[M+H]^+$, purity (UV/MS) 98/86.

1-(5-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C739**

[1179] Amount made: 8.1 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 99/82.

1-(5-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)pentan-1-one **C740**

[1180] Amount made: 7.7 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 97/74.

1-(5-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)pentan-1-one **C741**

[1181] Amount made: 1.8 mg. LCMS *m/z* 415 $[M+H]^+$, purity (UV/MS) 85/70.

1-(5-methoxy-1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)pentan-1-one **C742**

[1182] Amount made: 3.2 mg. LCMS *m/z* 474 $[M+H]^+$, purity (UV/MS) 81/25.

1-(6-bromo-1-(3-(3-(4-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C743**

[1183] Amount made: 1.1 mg. LCMS *m/z* 515 $[M+H]^+$, purity (UV/MS) 100/55.

1-(6-bromo-1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C744**

[1184] Amount made: 8.2 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 96/70.

1-(6-bromo-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C745**

[1185] Amount made: 9.0 mg. LCMS *m/z* 468 $[M+H]^+$, purity (UV/MS) 100/64.

1-(6-bromo-1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C746**

[1186] Amount made: 8.8 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 97/84.

1-(6-bromo-1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C747**

[1187] Amount made: 2.3 mg. LCMS *m/z* 489 $[M+H]^+$, purity (UV/MS) 100/75.

1-(6-bromo-1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C748**

[1188] Amount made: 5.0 mg. LCMS *m/z* 473 $[M+H]^+$, purity (UV/MS) 95/68.

1-(6-bromo-1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C749**

[1189] Amount made: 4.1 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 100/90.

1-(6-bromo-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C750**

[1190] Amount made: 3.2 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 100/63.

1-(6-methoxy-1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C751**

[1191] Amount made: 16.1 mg. LCMS *m/z* 420 $[M+H]^+$, purity (UV/MS) 100/91.

1-(6-methoxy-1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C752**

[1192] Amount made: 15.7 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 99/90.

1-(6-methoxy-1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C753**

[1193] Amount made: 3.0 mg. LCMS *m/z* 373 $[M+H]^+$, purity (UV/MS) 80/68.

1-(6-methoxy-1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)ethanone **C754**

[1194] Amount made: 5.9 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 90/61.

2-(4-(3-(3-acetyl-1H-indol-1-yl)propyl)piperazin-1-yl)-1-morpholinoethanone **C755**

[1195] Amount made: 10.2 mg. LCMS *m/z* 413 $[M+H]^+$, purity (UV/MS) 93/70.

2-(4-(3-(3-acetyl-1H-indol-1-yl)propyl)piperazin-1-yl)-N,N-dimethylacetamide **C756**

[1196] Amount made: 12.2 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 93/61.

2,2,2-trifluoro-1-(1-(3-(3-(4-fluorophenyl)-3-hydroxy-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)ethanone **C757**

[1197] Amount made: 9.4 mg. LCMS *m/z* 475 $[M+H]^+$, purity (UV/MS) 99/80.

2,2,2-trifluoro-1-(1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C758**

[1198] Amount made: 9.3 mg. LCMS *m/z* 444 $[M+H]^+$, purity (UV/MS) 99/75.

2,2,2-trifluoro-1-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C759**

[1199] Amount made: 10.9 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 99/84.

2,2,2-trifluoro-1-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C760**

[1200] Amount made: 9.4 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 99/97.

2,2,2-trifluoro-1-(1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C761**

[1201] Amount made: 3.0 mg. LCMS *m/z* 397 $[M+H]^+$, purity (UV/MS) 97/85.

2,2,2-trifluoro-1-(1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)ethanone **C762**

[1202] Amount made: 3.0 mg. LCMS *m/z* 456 $[M+H]^+$, purity (UV/MS) 87/44.

3-methyl-1-(1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)butan-1-one **C763**

[1203] Amount made: 13.3 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 99/91.

3-methyl-1-(1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)butan-1-one **C764**

[1204] Amount made: 2.3 mg. LCMS *m/z* 385 $[M+H]^+$, purity (UV/MS) 97/79.

4-(4-(3-(3-acetyl-1H-indol-1-yl)propyl)piperazin-1-yl)benzonitrile hydrochloride **C765**

[1205] Amount made: 3.7 mg. LCMS *m/z* 387 $[M+H]^+$, purity (UV/MS) 84/41.

ethyl 1-(2-(3-acetyl-1H-indol-1-yl)ethyl)piperidine-4-carboxylate **C766**

[1206] Amount made: 0.8 mg. LCMS *m/z* 343 $[M+H]^+$, purity (UV/MS) 100/100.

ethyl 1-(3-(3-(2,2,2-trifluoroacetyl)-1H-indol-1-yl)propyl)piperidine-4-carboxylate **C767**

[1207] Amount made: 5.1 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 93/90.

ethyl 1-(3-(3-propionyl-1H-indol-1-yl)propyl)piperidine-4-carboxylate **C768**

[1208] Amount made: 1.7 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 92/90.

N-(1-(3-(3-acetyl-1H-indol-1-yl)propyl)pyrrolidin-3-yl)acetamide **C769**

[1209] Amount made: 12.4 mg. LCMS *m/z* 328 $[M+H]^+$, purity (UV/MS) 98/80.

4-(1-(3-(3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indol-3-yl)butan-2-one **C770**

[1210] Amount made: 5.1 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 96/49.

4-(1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C771**

[1211] Amount made: 7.8 mg. LCMS *m/z* 418 $[M+H]^+$, purity (UV/MS) 95/65.

4-(1-(3-(4-(2-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C772**

[1212] Amount made: 9.1 mg. LCMS *m/z* 439 $[M+H]^+$, purity (UV/MS) 86/50.

4-(1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C773**

[1213] Amount made: 10.3 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 80/54.

4-(1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C774**

[1214] Amount made: 11.0 mg. LCMS *m/z* 423 $[M+H]^+$, purity (UV/MS) 87/56.

4-(1-(3-(4-(benzo[d]thiazol-2-yl)piperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C775**

[1215] Amount made: 9.1 mg. LCMS *m/z* 446 $[M+H]^+$, purity (UV/MS) 88/44.

4-(1-(3-(4-benzoylpiperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C776**

[1216] Amount made: 10.2 mg. LCMS *m/z* 417 $[M+H]^+$, purity (UV/MS) 92/58.

4-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C777**

[1217] Amount made: 10.2 mg. LCMS *m/z* 369 $[M+H]^+$, purity (UV/MS) 83/55.

4-(1-(3-(4-propoxypiperidin-1-yl)propyl)-1H-indol-3-yl)butan-2-one **C778**

[1218] Amount made: 2.7 mg. LCMS *m/z* 371 $[M+H]^+$, purity (UV/MS) 70/39.

methyl 1-(3-((2-(1H-indol-3-yl)ethyl)(methyl)amino)propyl)-1H-indole-3-carboxylate **C779**

[1219] Amount made: 17.7 mg. LCMS *m/z* 390 $[M+H]^+$, purity (UV/MS) 83/52.

methyl 1-(3-(2-phenylpropylamino)propyl)-1H-indole-3-carboxylate **C780**

[1220] Amount made: 8.2 mg. LCMS *m/z* 351 $[M+H]^+$, purity (UV/MS) 88/80.

methyl 1-(3-(3,4-dihydroisoquinolin-2(1H)-yl)propyl)-1H-indole-3-carboxylate **C781**

[1221] Amount made: 12.8 mg. LCMS *m/z* 349 $[M+H]^+$, purity (UV/MS) 93/69.

methyl 1-(3-(3-acetamidopyrrolidin-1-yl)propyl)-1H-indole-3-carboxylate **C782**

[1222] Amount made: 12.2 mg. LCMS *m/z* 344 $[M+H]^+$, purity (UV/MS) 99/93.

methyl 1-(3-(4-((tetrahydrofuran-2-yl)methyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C783**

[1223] Amount made: 14.2 mg. LCMS *m/z* 386 $[M+H]^+$, purity (UV/MS) 97/78.

methyl 1-(3-(4-(1H-indol-4-yl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C784**

[1224] Amount made: 20.9 mg. LCMS *m/z* 417 $[M+H]^+$, purity (UV/MS) 77/43.

methyl 1-(3-(4-(1-phenylethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C785**

[1225] Amount made: 14.5 mg. LCMS *m/z* 406 $[M+H]^+$, purity (UV/MS) 97/93.

methyl 1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C786**

[1226] Amount made: 17.7 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 95/65.

methyl 1-(3-(4-(2-(dimethylamino)-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C787**

[1227] Amount made: 18.7 mg. LCMS *m/z* 387 $[M+H]^+$, purity (UV/MS) 97/73.

methyl 1-(3-(4-(2-(dimethylamino)ethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C788**

[1228] Amount made: 10.1 mg. LCMS *m/z* 373 $[M+H]^+$, purity (UV/MS) 81/41.

methyl 1-(3-(4-(2-(methylthio)phenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C789**

[1229] Amount made: 20.1 mg. LCMS *m/z* 424 $[M+H]^+$, purity (UV/MS) 85/57.

methyl 1-(3-(4-(2,4-difluorobenzoyl)piperidin-1-yl)propyl)-1H-indole-3-carboxylate **C790**

[1230] Amount made: 21.1 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 80/64.

methyl 1-(3-(4-(2-chlorophenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate hydrochloride **C791**

[1231] Amount made: 16.7 mg. LCMS *m/z* 412 $[M+H]^+$, purity (UV/MS) 88/54.

methyl 1-(3-(4-(2-ethoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C792**

[1232] Amount made: 15.7 mg. LCMS *m/z* 374 $[M+H]^+$, purity (UV/MS) 95/70.

methyl 1-(3-(4-(2-hydroxyphenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C793**

[1233] Amount made: 2.2 mg. LCMS *m/z* 394 $[M+H]^+$, purity (UV/MS) 94/74.

methyl 1-(3-(4-(2-methoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C794**

[1234] Amount made: 9.3 mg. LCMS *m/z* 360 $[M+H]^+$, purity (UV/MS) 96/79.

methyl 1-(3-(4-(2-methoxyphenyl)piperidin-1-yl)propyl)-1H-indole-3-carboxylate **C795**

[1235] Amount made: 19.4 mg. LCMS *m/z* 407 $[M+H]^+$, purity (UV/MS) 98/73.

methyl 1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C796**

[1236] Amount made: 15.7 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 94/79.

methyl 1-(3-(4-(3-chlorophenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C797**

[1237] Amount made: 15.1 mg. LCMS *m/z* 412 $[M+H]^+$, purity (UV/MS) 84/51.

methyl 1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-1H-indole-3-carboxylate **C798**

[1238] Amount made: 5.8 mg. LCMS *m/z* 418 $[M+H]^+$, purity (UV/MS) 93/83.

methyl 1-(3-(4-(4-chlorophenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C799**

[1239] Amount made: 15.5 mg. LCMS *m/z* 412 $[M+H]^+$, purity (UV/MS) 80/48.

methyl 1-(3-(4-(4-cyanophenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate hydrochloride **C800**

[1240] Amount made: 5.5 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 100/100.

methyl 1-(3-(4-(4-fluoro-2-nitrophenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxylate **C801**

[1241] Amount made: 22.0 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 77/47.

methyl 1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-1H-indole-3-carboxylate hydrochloride **C802**

[1242] Amount made: 17.5 mg. LCMS *m/z* 411 $[M+H]^+$, purity (UV/MS) 95/86.

methyl 1-(3-(4-(6-fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxylate **C803**

[1243] Amount made: 15.1 mg. LCMS *m/z* 436 $[M+H]^+$, purity (UV/MS) 87/78.

methyl 1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxylate **C804**

[1244] Amount made: 26.9 mg. LCMS *m/z* 370 $[M+H]^+$, purity (UV/MS) 99/84.

methyl 1-(3-(4-phenylpiperazin-1-yl)propyl)-1H-indole-3-carboxylate **C805**

[1245] Amount made: 17.5 mg. LCMS *m/z* 378 $[M+H]^+$, purity (UV/MS) 87/68.

methyl 1-(3-(4-phenylpiperidin-1-yl)propyl)-1H-indole-3-carboxylate **C806**

[1246] Amount made: 13.2 mg. LCMS *m/z* 377 $[M+H]^+$, purity (UV/MS) 97/79.

methyl 1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxylate **C807**

[1247] Amount made: 12.1 mg. LCMS *m/z* 352 $[M+H]^+$, purity (UV/MS) 93/72.

1-(3-((2-(1H-indol-3-yl)ethyl)(methyl)amino)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C808**

[1248] Amount made: 0.8 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 80/60.

1-(3-(3,4-dihydroisoquinolin-2(1H)-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide **C809**

[1249] Amount made: 23.2 mg. LCMS *m/z* 408 $[M+H]^+$, purity (UV/MS) 100/66.

1-(3-(3-acetamidopyrrolidin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C810**

[1250] Amount made: 7.3 mg. LCMS *m/z* 373 $[M+H]^+$, purity (UV/MS) 88/70.

1-(3-(4-(2-(diisopropylamino)ethyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C811**

[1251] Amount made: 9.8 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-(4-(2-(dimethylamino)-2-oxoethyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C812**

[1252] Amount made: 11.8 mg. LCMS *m/z* 416 $[M+H]^+$, purity (UV/MS) 94/85.

1-(3-(4-(2-(dimethylamino)ethyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C813**

[1253] Amount made: 6.9 mg. LCMS *m/z* 402 $[M+H]^+$, purity (UV/MS) 76/55.

1-(3-(4-(2-chlorophenyl)piperazin-1-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C814**

[1254] Amount made: 7.2 mg. LCMS *m/z* 471 $[M+H]^+$, purity (UV/MS) 90/70.

1-(3-(4-(2-chlorophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C815**

[1255] Amount made: 2.3 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 90/79.

1-(3-(4-(2-ethoxyethyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C816**

[1256] Amount made: 4.8 mg. LCMS *m/z* 403 $[M+H]^+$, purity (UV/MS) 95/77.

1-(3-(4-(2-hydroxyphenyl)piperazin-1-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide **C817**

[1257] Amount made: 7.1 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 89/70.

1-(3-(4-(2-hydroxyphenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C818**

[1258] Amount made: 5.9 mg. LCMS *m/z* 423 $[M+H]^+$, purity (UV/MS) 80/70.

1-(3-(4-(3-chlorophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C819**

[1259] Amount made: 2.4 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 86/76.

1-(3-(4-(3-cyanopyridin-2-yl)-1,4-diazepan-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C820**

[1260] Amount made: 5.4 mg. LCMS *m/z* 447 $[M+H]^+$, purity (UV/MS) 95/65.

1-(3-(4-(4-acetylphenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C821**

[1261] Amount made: 14.8 mg. LCMS *m/z* 449 $[M+H]^+$, purity (UV/MS) 96/70.

1-(3-(4-(4-chlorophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C822**

[1262] Amount made: 11.4 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 90/70.

1-(3-(4-(4-chlorophenylsulfonyl)piperidin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C823**

[1263] Amount made: 6.8 mg. LCMS *m/z* 504 $[M+H]^+$, purity (UV/MS) 96/73.

1-(3-(4-(4-chlorophenylthio)piperidin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C824**

[1264] Amount made: 8.4 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 97/72.

1-(3-(4-(4-cyanophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C825**

[1265] Amount made: 1.7 mg. LCMS *m/z* 432 $[M+H]^+$, purity (UV/MS) 90/60.

1-(3-(4-(4-fluoro-2-nitrophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C826**

[1266] Amount made: 1.6 mg. LCMS *m/z* 470 $[M+H]^+$, purity (UV/MS) 84/55.

1-(3-(4-(4-fluorophenoxy)piperidin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide hydrochloride **C827**

[1267] Amount made: 10.9 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 90/70.

1-(3-(4-(4-fluorophenyl)piperazin-1-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide **C828**

[1268] Amount made: 12.4 mg. LCMS *m/z* 455 $[M+H]^+$, purity (UV/MS) 93/70.

1-(3-(4-(4-fluorophenyl)piperazin-1-yl)propyl)-N-methoxy-N-methyl-1H-indole-3-carboxamide **C829**

[1269] Amount made: 6.3 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 88/60.

1-(3-(4-benzylpiperidin-1-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide **C830**

[1270] Amount made: 18.6 mg. LCMS *m/z* 450 $[M+H]^+$, purity (UV/MS) 98/70.

1-(3-(4-butylpiperidin-1-yl)propyl)-N,5-dimethoxy-N-methyl-1H-indole-3-carboxamide **C831**

[1271] Amount made: 16.3 mg. LCMS *m/z* 416 $[M+H]^+$, purity (UV/MS) 100/84.

N,5-dimethoxy-N-methyl-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C832**

[1272] Amount made: 20.4 mg. LCMS *m/z* 488 $[M+H]^+$, purity (UV/MS) 95/60.

N,5-dimethoxy-N-methyl-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C833**

[1273] Amount made: 17.6 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 95/92.

N,5-dimethoxy-N-methyl-1-(3-(4-phenylpiperazin-1-yl)propyl)-1H-indole-3-carboxamide **C834**

[1274] Amount made: 14.0 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 88/50.

N,5-dimethoxy-N-methyl-1-(3-(octahydroisoquinolin-2(1H)-yl)propyl)-1H-indole-3-carboxamide **C835**

[1275] Amount made: 15.0 mg. LCMS *m/z* 414 $[M+H]^+$, purity (UV/MS) 95/67.

N-methoxy-1-(3-(4-(2-methoxyethyl)piperazin-1-yl)propyl)-N-methyl-1H-indole-3-carboxamide **C836**

[1276] Amount made: 9.4 mg. LCMS *m/z* 389 $[M+H]^+$, purity (UV/MS) 96/82.

N-methoxy-N-methyl-1-(3-(4-((tetrahydrofuran-2-yl)methyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C837**

[1277] Amount made: 8.1 mg. LCMS *m/z* 415 $[M+H]^+$, purity (UV/MS) 95/81.

N-methoxy-N-methyl-1-(3-(4-(2-(methylthio)phenyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C838**

[1278] Amount made: 6.0 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 86/70.

N-methoxy-N-methyl-1-(3-(4-(2-morpholino-2-oxoethyl)piperazin-1-yl)propyl)-1H-indole-3-carboxamide **C839**

[1279] Amount made: 13.7 mg. LCMS *m/z* 458 $[M+H]^+$, purity (UV/MS) 95/73.

N-methoxy-N-methyl-1-(3-(4-(pyrrolidin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carboxamide **C840**

[1280] Amount made: 8.0 mg. LCMS *m/z* 399 $[M+H]^+$, purity (UV/MS) 92/70.

N-methoxy-N-methyl-1-(3-(4-phenylpiperidin-1-yl)propyl)-1H-indole-3-carboxamide **C841**

[1281] Amount made: 4.1 mg. LCMS *m/z* 406 $[M+H]^+$, purity (UV/MS) 96/80.

N-methoxy-N-methyl-1-(3-(methyl(2-(pyridin-2-yl)ethyl)amino)propyl)-1H-indole-3-carboxamide **C842**

[1282] Amount made: 7.1 mg. LCMS *m/z* 381 $[M+H]^+$, purity (UV/MS) 98/84.

1-(1-(3-(2,3-dihydro-1H-inden-2-ylamino)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C843**

[1283] Amount made: 1.9 mg. LCMS *m/z* 388 $[M+H]^+$, purity (UV/MS) 79/50.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C844**

[1284] Amount made: 2.6 mg. LCMS *m/z* 520 $[M+H]^+$, purity (UV/MS) 98/80.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C845**

[1285] Amount made: 1.8 mg. LCMS *m/z* 495 $[M+H]^+$, purity (UV/MS) 99/80.

2,2,2-trifluoro-1-(1-(3-(2-phenoxyethylamino)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C846**

[1286] Amount made: 0.8 mg. LCMS *m/z* 392 $[M+H]^+$, purity (UV/MS) 100/80.

2,2,2-trifluoro-1-(1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C847**

[1287] Amount made: 3.0 mg. LCMS *m/z* 461 $[M+H]^+$, purity (UV/MS) 100/90.

2,2,2-trifluoro-1-(1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C848**

[1288] Amount made: 2.6 mg. LCMS *m/z* 459 $[M+H]^+$, purity (UV/MS) 99/80.

2,2,2-trifluoro-1-(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C849**

[1289] Amount made: 2.2 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C850**

[1290] Amount made: 2.9 mg. LCMS *m/z* 499 $[M+H]^+$, purity (UV/MS) 99/80.

2,2,2-trifluoro-1-(1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C851**

[1291] Amount made: 3.1 mg. LCMS *m/z* 485 $[M+H]^+$, purity (UV/MS) 99/90.

2,2,2-trifluoro-1-(1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C852**

[1292] Amount made: 1.9 mg. LCMS *m/z* 485 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C853**

[1293] Amount made: 0.6 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C854**

[1294] Amount made: 1.6 mg. LCMS *m/z* 441 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C855**

[1295] Amount made: 0.2 mg. LCMS *m/z* 407 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-phenethyl-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C856**

[1296] Amount made: 0.7 mg. LCMS *m/z* 405 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C857**

[1297] Amount made: 1.6 mg. LCMS *m/z* 409 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C858**

[1298] Amount made: 0.7 mg. LCMS *m/z* 445 $[M+H]^+$, purity (UV/MS) 100/80.

1-(1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C859**

[1299] Amount made: 0.8 mg. LCMS *m/z* 431 $[M+H]^+$, purity (UV/MS) 97/70.

1-(1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C860**

[1300] Amount made: 0.2 mg. LCMS *m/z* 431 $[M+H]^+$, purity (UV/MS) 99/70.

1'-(3-(3-(2,2,2-trifluoroacetyl)-1H-pyrrolo[2,3-b]pyridin-1-yl)propyl)spiro[chroman-2,4'-piperidin]-4-one **C861**

[1301] Amount made: 1.0 mg. LCMS *m/z* 472 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C862**

[1302] Amount made: 2.5 mg. LCMS *m/z* 479 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C863**

[1303] Amount made: 1.0 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C864**

[1304] Amount made: 0.8 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 100/90.

2,2,2-trifluoro-1-(1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C865**

[1305] Amount made: 1.7 mg. LCMS *m/z* 470 $[M+H]^+$, purity (UV/MS) 97/70.

1-(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C866**

[1306] Amount made: 3.2 mg. LCMS *m/z* 504 $[M+H]^+$, purity (UV/MS) 93/60.

1-(1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C867**

[1307] Amount made: 2.6 mg. LCMS *m/z* 518 $[M+H]^+$, purity (UV/MS) 94/80.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C868**

[1308] Amount made: 0.8 mg. LCMS *m/z* 466 $[M+H]^+$, purity (UV/MS) 100/90.

2,2,2-trifluoro-1-(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C869**

[1309] Amount made: 0.9 mg. LCMS *m/z* 476 $[M+H]^+$, purity (UV/MS) 100/70.

1-(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C870**

[1310] Amount made: 1.7 mg. LCMS *m/z* 492 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)-2,2,2-trifluoroethanone **C871**

[1311] Amount made: 0.8 mg. LCMS *m/z* 494 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C872**

[1312] Amount made: 1.7 mg. LCMS *m/z* 425 $[M+H]^+$, purity (UV/MS) 90/50.

1-(1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C873**

[1313] Amount made: 0.3 mg. LCMS *m/z* 412 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C874**

[1314] Amount made: 1.7 mg. LCMS *m/z* 450 $[M+H]^+$, purity (UV/MS) 100/80.

2-(8-(3-(3-acetyl-1H-pyrrolo[2,3-b]pyridin-1-yl)propyl)-8-azabicyclo[3.2.1]octan-3-yl)-1-(4-chlorophenyl)ethanone **C875**

[1315] Amount made: 1.6 mg. LCMS *m/z* 464 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C876**

[1316] Amount made: 1.5 mg. LCMS *m/z* 412 $[M+H]^+$, purity (UV/MS) 99/90.

1-(1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C877**

[1317] Amount made: 0.6 mg. LCMS *m/z* 422 $[M+H]^+$, purity (UV/MS) 100/100.

1-(1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C878**

[1318] Amount made: 1.1 mg. LCMS *m/z* 438 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone **C879**

[1319] Amount made: 0.8 mg. LCMS *m/z* 440 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C880**

[1320] Amount made: 4.5 mg. LCMS *m/z* 478 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C881**

[1321] Amount made: 3.1 mg. LCMS *m/z* 453 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-1-(3-(4-(2-phenoxyethyl)piperazin-1-yl)propyl)-1H-indole-3-carbonitrile **C882**

[1322] Amount made: 3.2 mg. LCMS *m/z* 419 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(4-fluorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C883**

[1323] Amount made: 1.4 mg. LCMS *m/z* 421 $[M+H]^+$, purity (UV/MS) 99/80.

1-(3-(4-(2,4-dichlorobenzyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C884**

[1324] Amount made: 4.9 mg. LCMS *m/z* 457 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chloronaphthalen-1-yloxy)ethyl)piperazin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C885**

[1325] Amount made: 1.0 mg. LCMS *m/z* 503 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-1-(3-(4-(3-(pyridin-4-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carbonitrile **C886**

[1326] Amount made: 4.0 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 100/90.

7-methoxy-1-(3-(4-(3-(pyridin-3-yl)-1,2,4-oxadiazol-5-yl)piperidin-1-yl)propyl)-1H-indole-3-carbonitrile **C887**

[1327] Amount made: 3.9 mg. LCMS *m/z* 443 $[M+H]^+$, purity (UV/MS) 99/80.

7-methoxy-1-(3-(4-(2-oxoindolin-1-yl)piperidin-1-yl)propyl)-1H-indole-3-carbonitrile **C888**

[1328] Amount made: 2.6 mg. LCMS *m/z* 429 $[M+H]^+$, purity (UV/MS) 93/80.

7-methoxy-1-(3-(4-oxospiro[chroman-2,4'-piperidine]-1'-yl)propyl)-1H-indole-3-carbonitrile **C889**

[1329] Amount made: 2.3 mg. LCMS *m/z* 430 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(4-(2-chlorobenzyl)-1,4-diazepan-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C890**

[1330] Amount made: 3.1 mg. LCMS *m/z* 437 $[M+H]^+$, purity (UV/MS) 97/80.

1-(3-(3-(4-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C891**

[1331] Amount made: 3.4 mg. LCMS *m/z* 424 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(3-(2-chlorobenzyl)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C892**

[1332] Amount made: 3.8 mg. LCMS *m/z* 422 $[M+H]^+$, purity (UV/MS) 100/100.

7-methoxy-1-(3-(3-phenethyl-8-azabicyclo[3.2.1]octan-8-yl)propyl)-1H-indole-3-carbonitrile **C893**

[1333] Amount made: 2.6 mg. LCMS *m/z* 428 $[M+H]^+$, purity (UV/MS) 94/80.

1-(3-(3-(4-chlorophenethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C894**

[1334] Amount made: 4.3 mg. LCMS *m/z* 462 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C895**

[1335] Amount made: 5.2 mg. LCMS *m/z* 476 $[M+H]^+$, purity (UV/MS) 98/80.

1-(3-(4-(3-chlorophenoxy)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C896**

[1336] Amount made: 4.0 mg. LCMS *m/z* 424 $[M+H]^+$, purity (UV/MS) 100/100.

1-(3-(3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C897**

[1337] Amount made: 3.2 mg. LCMS *m/z* 434 $[M+H]^+$, purity (UV/MS) 95/80.

1-(3-(3-(2-chlorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C898**

[1338] Amount made: 3.5 mg. LCMS *m/z* 450 $[M+H]^+$, purity (UV/MS) 100/90.

1-(3-(4-(2-(4-chlorophenoxy)ethyl)piperidin-1-yl)propyl)-7-methoxy-1H-indole-3-carbonitrile **C899**

[1339] Amount made: 1.2 mg. LCMS *m/z* 452 $[M+H]^+$, purity (UV/MS) 100/90.

1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone **C900**

[1340] Amount made: 16.2 mg. LCMS *m/z* 341 $[M+H]^+$, purity (UV/MS) 99/100.

1-(1-(3-(6-methoxy-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)propyl)-1H-indol-3-yl)ethanone **C901**

[1341] Amount made: 3.0 mg..LCMS *m/z* 402 $[M+H]^+$, purity (UV/MS) 100/82.

1-(7-methoxy-1-(3-(4-(3-(trifluoromethyl)pyridin-2-yl)piperazin-1-yl)propyl)-1H-indol-3-yl)ethanone **C902**

[1342] Amount made: 9.6 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 91/60.

N-(1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)pyrrolidin-3-yl)-N-methylacetamide **C903**

[1343] Amount made: 6.4 mg. LCMS *m/z* 374 $[M+H]^+$, purity (UV/MS) 90/60.

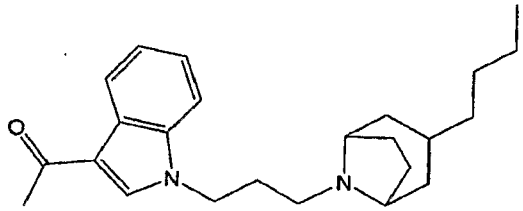
8-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one **C904**

[1344] Amount made: 1.1 mg. LCMS *m/z* 463 $[M+H]^+$, purity (UV/MS) 82/60.

N-(1-(3-(3-acetyl-7-methoxy-1H-indol-1-yl)propyl)piperidin-4-yl)-N-cyclopropylbenzenesulfonamide **C905**

[1345] Amount made: 9.1 mg. LCMS *m/z* 512 $[M+H]^+$, purity (UV/MS) 94/50.

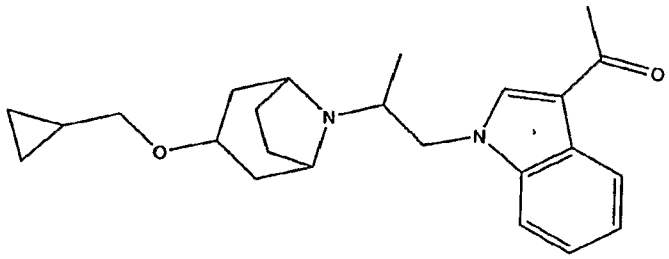
General procedure for substitution on the tail (GP2): 1-[1-[3-[3-butyl-8-aza-bicyclo[3.2.1]octan-8-yl]propyl]-1H-indol-3-yl]ethanone



[1346] 1-[1-(3-chloropropyl)-1*H*-indol-3-yl]-ethanone (12 mg, 0.05 mmol), cesium carbonate (32 mg, 0.1 mmol), potassium iodide (8 mg, 0.05 mmol) and 5-butyl-2-azabi-cyclo[2.2.1]heptane (6 mg, 0.04 mmol) were weighed into a vial, dry MeCN (1 mL) was added and the reaction mixture was shaken at 80 °C on a shaker over night. The product was purified by SCX to yield the title compound.

[1347] LC/MS purity: UV/MS 88/67

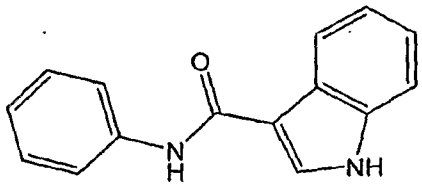
1-[1-[2-[3-(cyclopropylmethoxy)-8-azabicyclo[3.2.1]oct-8-yl]propyl]-1*H*-indol-3-yl]-ethanone



[1348] Prepared according to GP2 from 1-[1-(3-chloropropyl)-1*H*-indol-3-yl]-ethanone (12 mg, 0.05 mmol), cesium carbonate (32 mg, 0.1 mmol), potassium iodide (8 mg, 0.05 mmol) and 3-(cyclopropylmethoxy)- 8-azabicyclo[3.2.1]octane (7 mg, 0.04 mmol).

[1349] LC/MS purity: UV/MS 99/88

General procedure for amide formation (GP3): *N*-phenyl-1*H*-indole-3-carboxamide



[1350] Indole-3-carboxylic acid (644 mg, 4 mmol), 1-hydroxybenzothiazole (810 mg, 6 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carboiimde hydrochloride (1.15 g, 6 mmol), DMAP (5 mg, 0.04 mmol), triethyl amine (1.84 g, 18 mmol) and 4-chlorobenzyl amine (566 mg, 4 mmol) were weighed into a MW vial, dry MeCN (10 mL) was added, and the reaction heated in the MW at 140 °C for 15 min. The reaction mixture was diluted with EtOAc and washed with water and brine, dried over sodium sulphate, filtered and concentrated *in vacuo*. The product was recrystallized from methanol. Yield: 733 mg (65%).

Receptor Selection and Amplification Technology (R-SAT) Assay

[1351] The functional receptor assay, Receptor Selection and Amplification Technology (R-SAT), was used to investigate the pharmacological properties of novel compounds. R-SAT is disclosed in U.S. Patent Nos. 5,707,798, 5,912,132, and 5,955,281, all of which are hereby incorporated herein by reference in their entirety, including any drawings. These experiments have provided a molecular profile, or fingerprint, for each of these agents.

[1352] Briefly, NIH3T3 cells were grown in 96 well tissue culture plates to 70-80% confluence. Cells were transfected for 16-20 h with plasmid DNAs using Polyfect (Qiagen Inc.) using the manufacturer's protocols. R-SATs were generally performed with 20 ng/well of receptor, 10 ng/well of RGS1 (Burstein et al, JPET, 315:1278-1287) and 20 ng/well of β-galactosidase plasmid DNA. All receptor constructs used were in the pSI-derived mammalian expression vector (Promega Inc). The Ghrelin receptor genes were amplified by PCR from genomic DNA using oligodeoxynucleotide primers based on the published sequences. For large-scale transfections, cells were transfected for 16-20 h, then trypsinized and frozen in DMSO. Frozen cells were later thawed, plated at ~20,000 cells per well of a 96 half-area well plate that contained drug. With both methods, cells were then grown in a humidified atmosphere with 5% ambient CO_2 for five days. Media was then

removed from the plates and marker gene activity was measured by the addition of the β-galactosidase substrate *o*-nitrophenyl β-D-galactopyranoside (ONPG) in PBS with 0.5% NP-40. The resulting colorimetric reaction was measured using a spectrophotometric plate reader (Titertek Inc.) at 420 nm. All data was analyzed using the XLFit (IDBSm) computer program. pIC_{50} represents the negative logarithm of the concentration of ligand that caused 50% inhibition of the constitutive receptor response. Percent inhibition was calculated as the difference between the absorbance measurements in the absence of added ligand compared with that in the presence of saturating concentrations of ligand normalized to the absorbance difference for the reference ligand (Substance P analog), which was assigned a value of 100%.

[1353] Data presented in Figure 1 are derived from R-SAT assays as previously described (Jensen, A., A. et. al. (2000). J Biol Chem. 275(38): 29547-55). The concentration response relationship of the reference antagonist/inverse agonist Substance P analog (open triangles), 1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (+ signs) and 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (open circles) to suppress constitutive activity of human Ghrelin receptors is shown. Data are plotted as response in absorbance units. Ligand activity is reported as $-pIC_{50}$, and percent inhibition relative to the reference antagonist/inverse agonist Substance P analog. Compounds of Formula I displayed potent ghrelin receptor antagonist/inverse agonist activity as disclosed in Figure 1 and Appendix A. These compounds are ghrelin receptor antagonists/inverse agonists in this system.

Phosphatidyl Inositol hydrolysis assays (PI assays)

[1354] To confirm the observation that these compounds display ghrelin receptor inverse agonist activity, a PI hydrolysis assay was performed, the results of which are disclosed in Figure 2. Data presented in Figure 2 are derived from PI assays performed as described in (Jensen, A. A. et. al. (2000). J Biol Chem. 275(38): 29547-55). The concentration response relationship of the reference agonist GHRP-6 (filled triangles), the reference antagonist/inverse agonist Substance P analog (filled circles) and 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (filled squares) to modulate the activity of human ghrelin receptors is shown. Data are plotted as the normalized response to basal

activity (receptor activity in the absence of added ligands) versus drug concentration. Potency is reported as -pEC_{50} values for GHRP-6, -pIC_{50} values for substance P analog and 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone; and response is reported as that relative to the basal response in the absence of added ligands. Thus, two distinct *in vitro* functional assays confirm that analogs of Formula I possess potent antagonist/inverse agonist activity at human ghrelin receptors.

Suppression of acute feeding response in fasted rats

[1355] To confirm aspects of this molecular profile *in vivo*, 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone, the reference antagonist/inverse agonist Substance P analog, and the reference antagonist D-Lys3-GHRP-6 were administered intraperitoneally to rats, and the ghrelin receptor mediated stimulation of feeding was determined essentially as described previously (Sartor O, et al. Endocrinology. 1985 Oct; 117(4):1441-7), and this is disclosed in Figure 3. Robust suppression of feeding was observed, at a dose of 30 mg/kg. This confirms that 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone functions as a ghrelin receptor antagonist *in-vivo*.

^{125}I-ghrelin binding assay

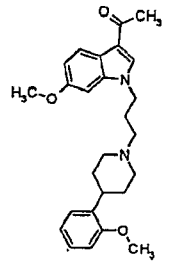
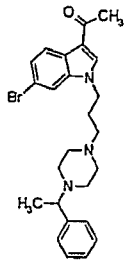
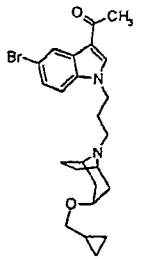
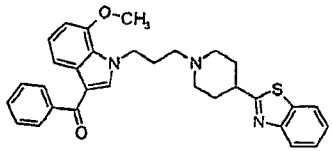
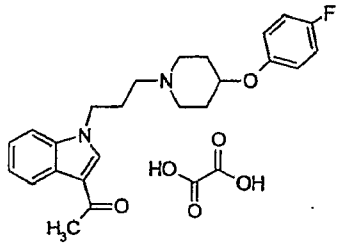
[1356] To confirm the observation that these compounds bind to the ghrelin receptor, and can antagonize or block the binding of the endogenous GHSR1a agonist ghrelin, a binding assay was performed, the results of which are disclosed in Appendix B. Data presented in Appendix B is derived from binding assays performed as follows: 15cm dishes were seeded with 4 million HEK293 cells in 16ml 10% FCS/1% PSG/DMEM for transfection the next day. Plasmid DNA containing the GHSR1a receptor (12.5ug/dish) in 0.675ml DMEM was transfected into the cells by mixing with 180ul PolyFect, 15min later. mixing in 2.25ml 10% CS/DMEM, and transferring the mixture into the dish. At 16-18h post transfection, medium was replaced with 25ml fresh 10% FCS/1% PSG/ DMEM to each dish for another 18-20h. At approximately 48 hours post-transfection, cells were harvested in ice-cold membrane buffer (20 mM HEPES, 6 mM MgCl2, 1 mM EDTA, pH to 7.2) using a cell scraper, and pelleted by centrifugation.

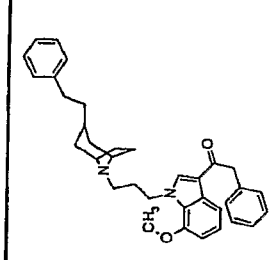
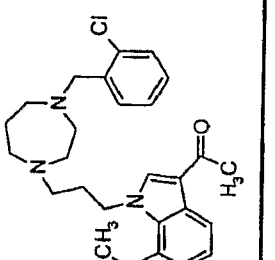
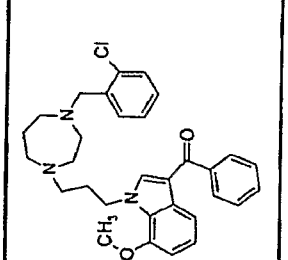
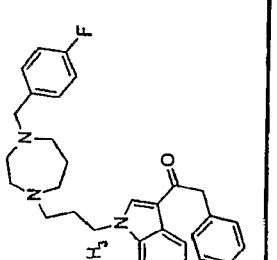
[1357] Pelleted cells were added to a nitrogen cavitation chamber and 900 bar of pressure applied for 30 min. The pressure was slowly released the cavitated cells collected in 50 ml falcon tubes. The tubes were centrifuged at 1000 rpm, 4°C for 10 min, and the supernatant collected. This centrifugation and collection was repeated two more times until the supernatant was free of precipitate (membranes are still in suspension). The supernatant was poured into a 50 ml centrifuge tube and centrifuged at 10.000 rpm, 4°C for 20 min. The supernatant was discarded and the pellet re-suspended in 750 µl membrane binding buffer using a chilled 1 ml syringe with 25G5/8 needle to re-suspend membranes. The protein concentration was determined using the BioRad Protein Assay Dye Reagent according to the manufacturers instructions. The protein concentration was adjusted to 5mg/ml and aliquots snap-frozed and stored at -80C until use.

[1358] Membranes were thawed rapidly; diluted with binding buffer (25mM Hepes+ 5mM MgCl2, 1mM $CaCl_2$, 2.5mM EDTA and 0.2% BSA) to a protein concentration of 0.8ug/30ul and placed on ice. 96-well plates (U-bottom wells) were prepared with serial dilutions (8doses, 40ul/w) of the test compounds. Membranes (30 uL/well) were then added, and incubated with test ligands for 30 min at room temperature wth shaking. 30ul ^{125}I-ghrelin (0.053nM) was then added to each well, and the plates incubated for another 2.5 hours with shaking. Binding was terminated by filtration through GF/B filters (presoaked with 0.1% polyethylenimine) with a Brandel 96-well harvester. The filters were washed with ice-cold binding buffer (150ml/plate) and allowed to air-dry for 30min. 50ul MicroScient-20 cocktail was added to each dried well, the plates were sealed, and counted for 2min/well using a TopCount scintillation counter (Packard).

[1359] All data was analyzed using the Prizm computer program. pKi represents the negative logarithm of the concentration of ligand that caused 50% displacement of bound ^{125}I-ghrelin adjusted for the concentration of radioligand using the Cheng-Prussoff equation: $Ki=IC_{50}/\{1+[\text{test ligand}]/Kd_{ghrelin}\}$ to derive Ki values. Percent inhibition was calculated as the difference between the bound ^{125}I-ghrelin in the absence of added ligand compared with that in the presence of saturating concentrations of ligand normalized to the absorbance difference for the reference ligand (ghrelin), which was assigned a value of 100% (not shown).

[1360] Although the invention has been described with reference to the above examples, it will be understood that modifications and variations are encompassed within the spirit and scope of the invention. Accordingly, the invention is limited only by the following claims.

Ligand	RSAT		Binding	Aeq	Ligand	RSAT		Binding	Aeq
	pIC50	Eff(%)	pKi	pIC50		pIC50	Eff(%)	pKi	pIC50
D-Lys3-GHRP6	nd		6.6			6.6	72		
Ghrelin	nd		10.2			6.6	93		
substance P analog	7.4	100	7.7	8.5		6.6	102		
	9.7	107	7.4	7.2		6.6	128		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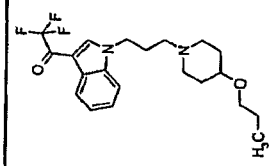	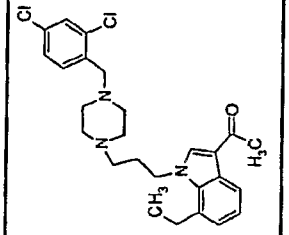	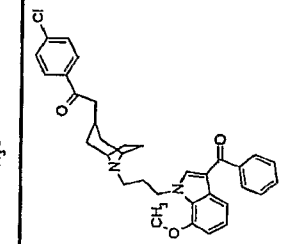	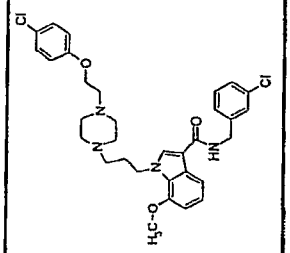
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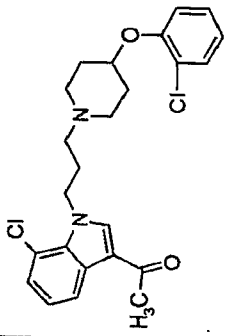
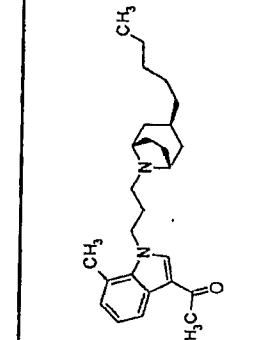
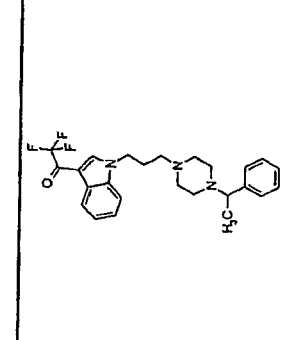
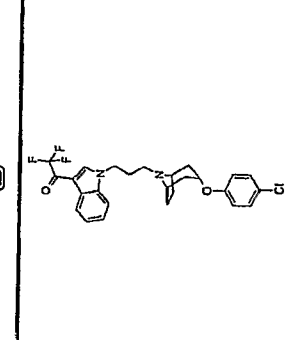
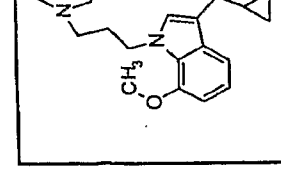
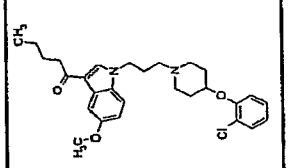
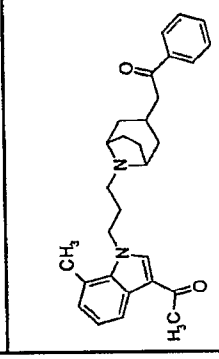
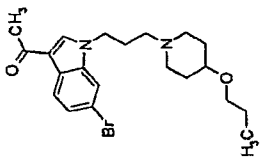
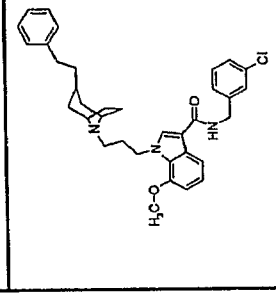
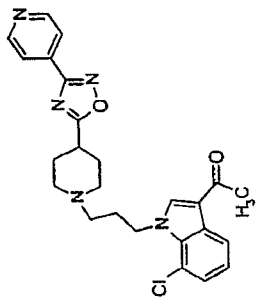
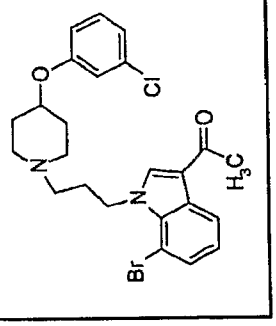
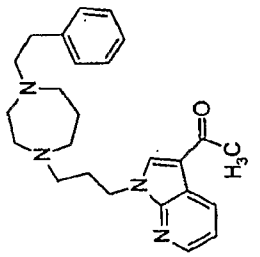
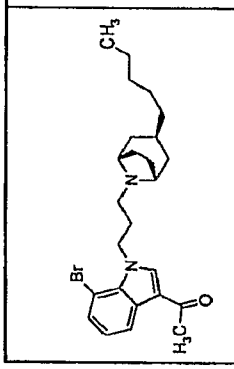
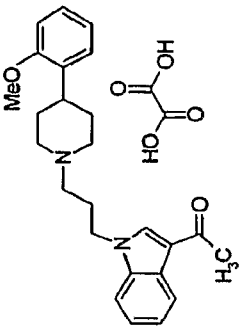
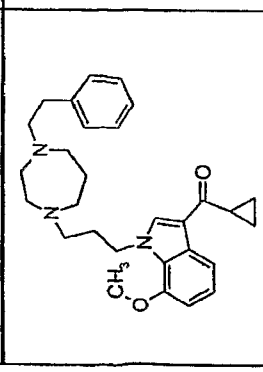
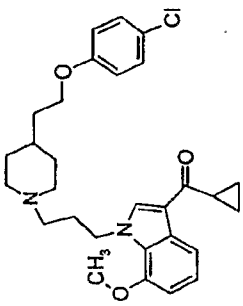
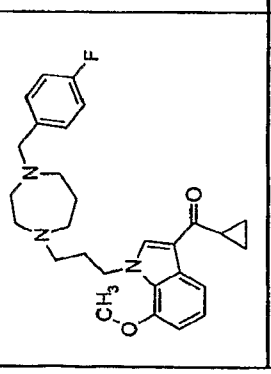
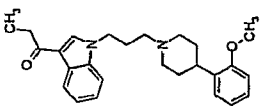
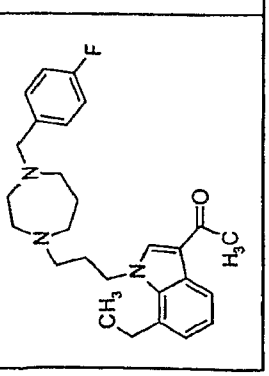
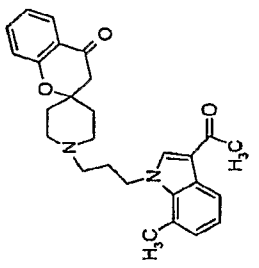
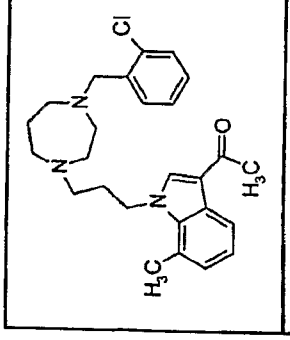
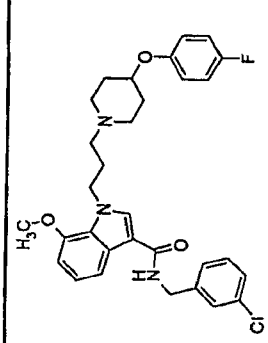
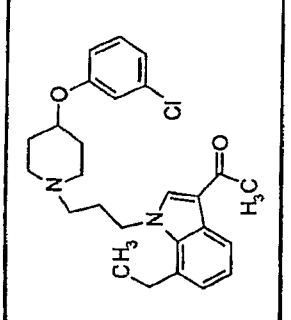
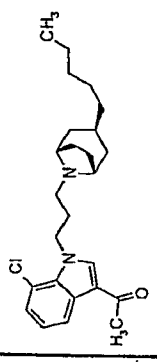
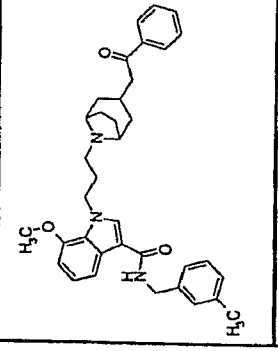
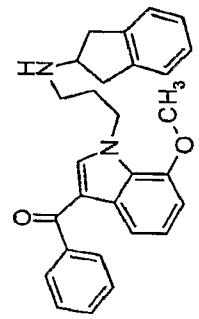
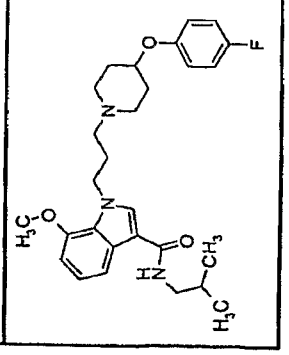
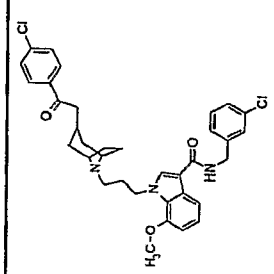
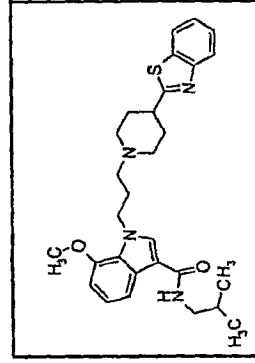
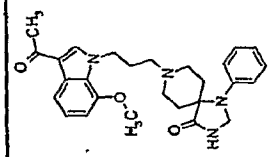
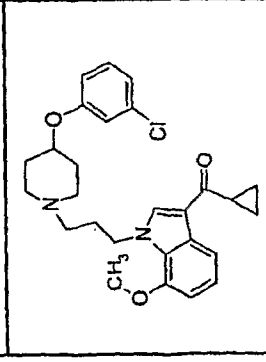
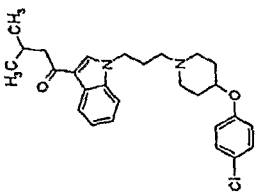
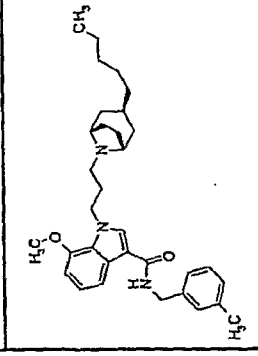
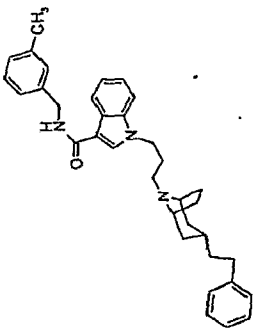
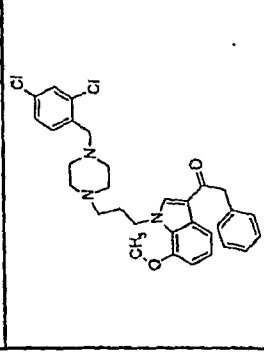
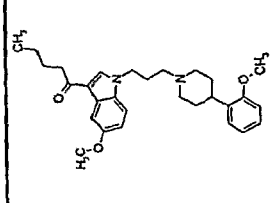
			7.8

	9.4	101	7.3	7.4	6.6	97		
	9.3	92	8.2	7.2	6.6	105		
	9.2	92	7.3	6.8	6.6	92		
	9.1	127			6.6	97		

	9.1	99	8.1	6.6		6.6	92	
	9.1	91	6.7			6.6	107	
	9.0	115	8.1	5.9		6.6	108	-
	9.0	92				6.6	84	

	8.9	81	6.8			6.5	109	
	8.9	100				6.5	89	
	8.9	92	8.1	7.9		6.5	59	
	8.9	130	7.8			6.5	71	

	8.9	111				6.5	106	
	8.8	118	7.5	6.9		6.5	72	
	8.8	106	8.7	7.4		6.5	93	
	8.8	90	6.9			6.5	76	

	8.8	106	6.0			6.5	38
	8.8	102	7.7	6.3		6.5	112
	8.7	90	7.3	6.8		6.5	108
	8.7	76				6.5	101
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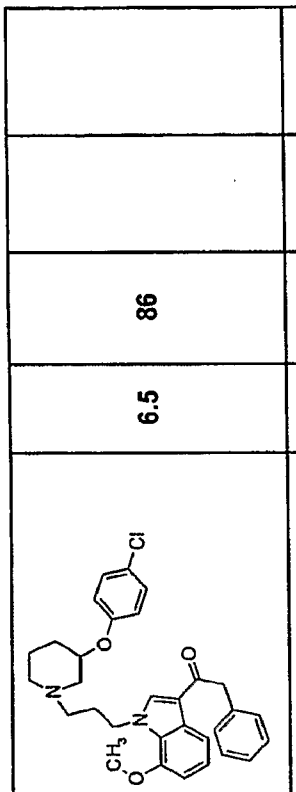
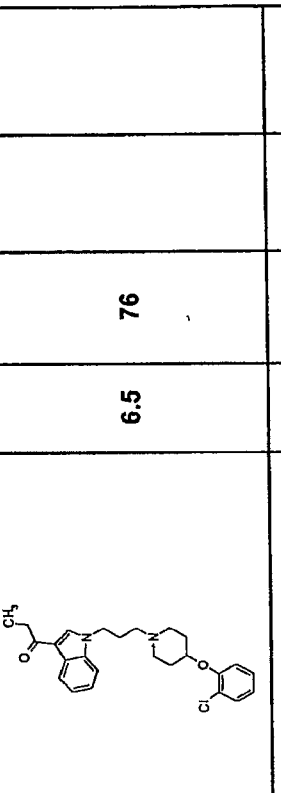
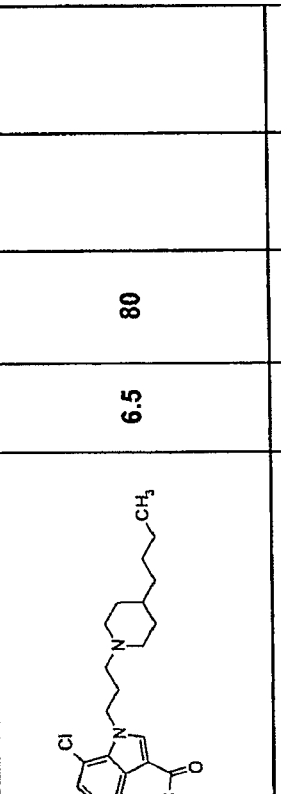
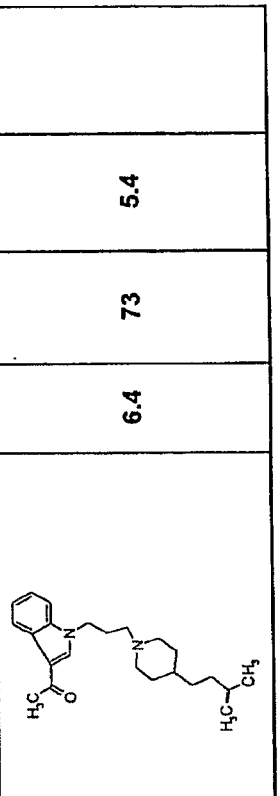
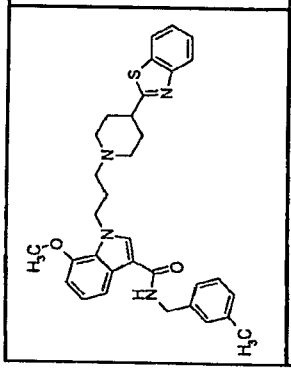
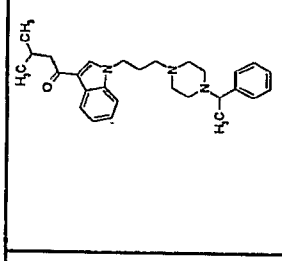
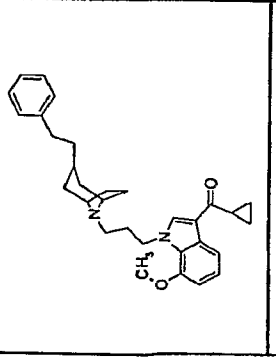
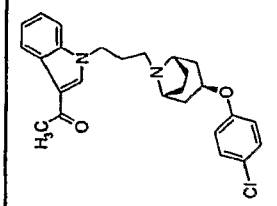
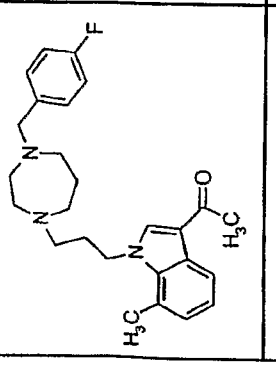
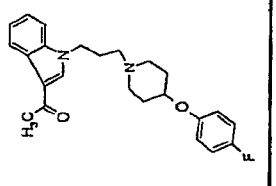
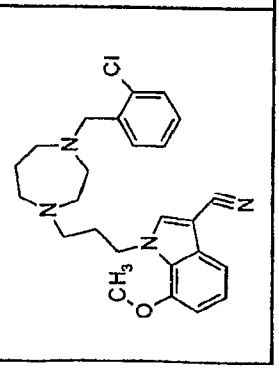
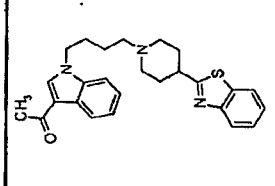
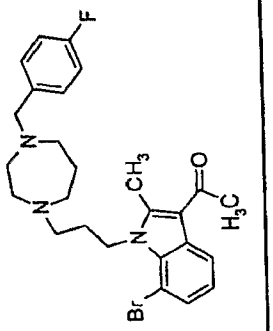
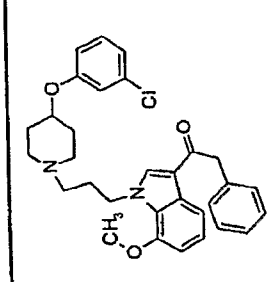
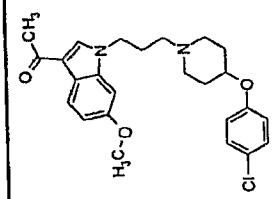
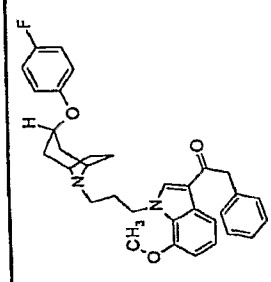
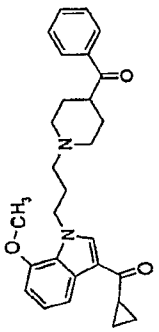
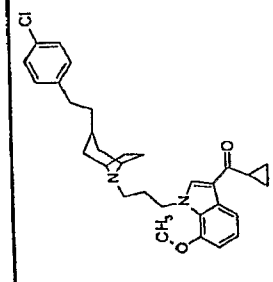
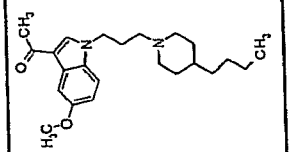
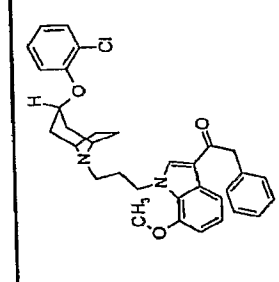
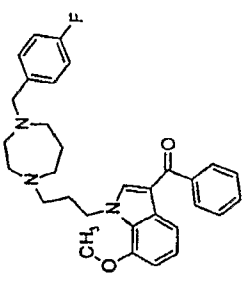
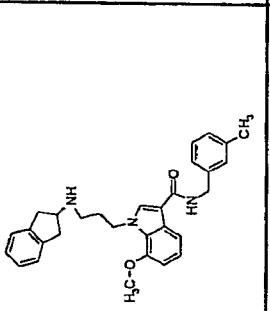
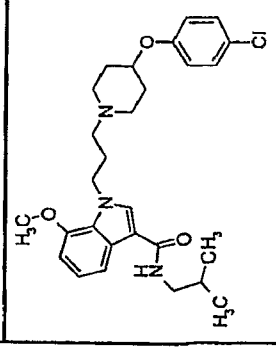
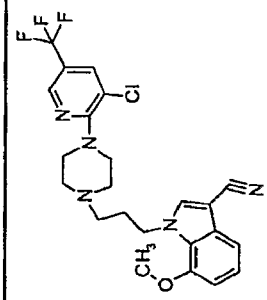
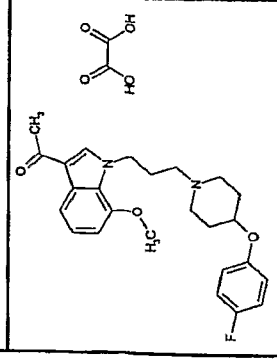
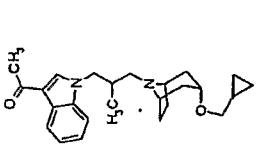
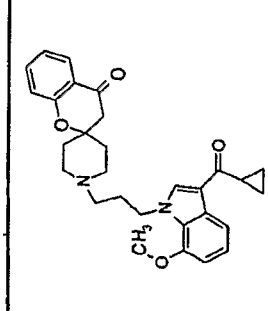
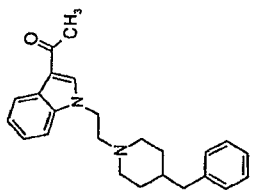
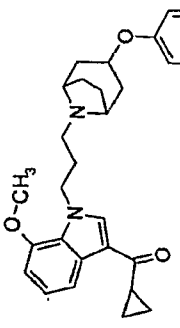
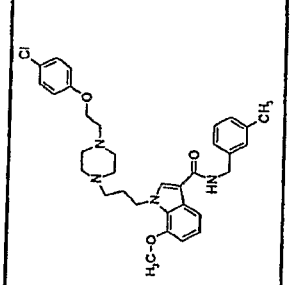
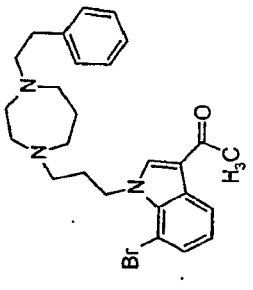
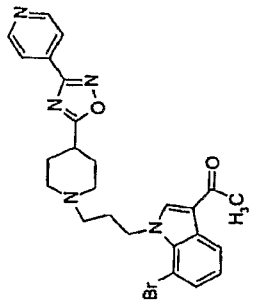
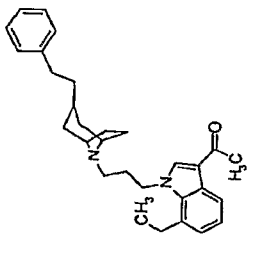
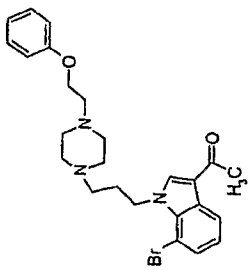
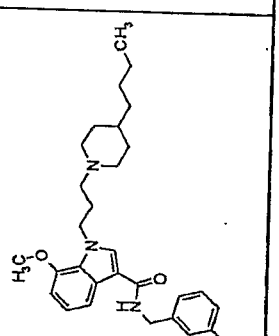
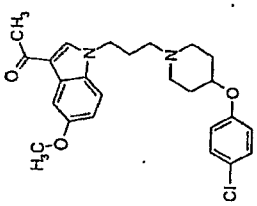
	8.7	113	6.5		6.5	86	
	8.7	104	7.6		6.5	76	
	8.6	103	6.4		6.5	80	
	8.6	104	6.1		6.4	73	5.4

	8.6	94	7.2			6.4	106	
	8.6	109				6.4	107	5.1
	8.6	95				6.4	96	5.7
	8.6	137	7.9			6.4	81	

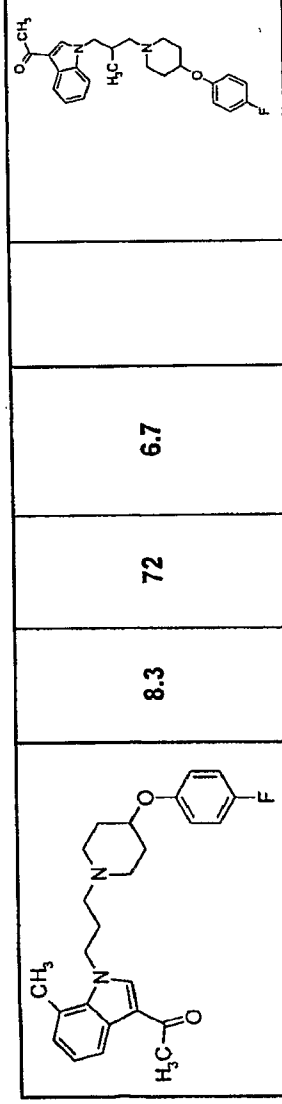
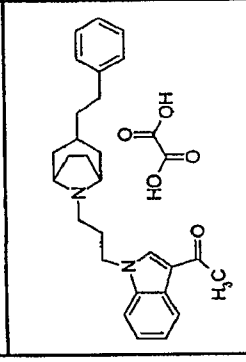
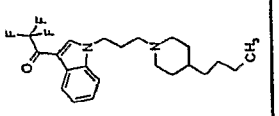
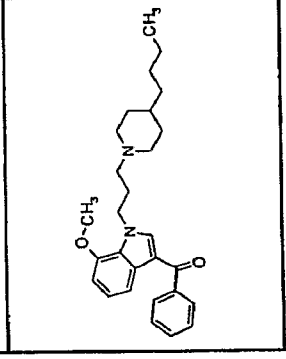
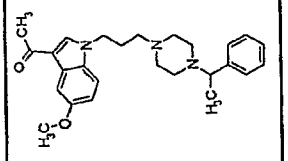
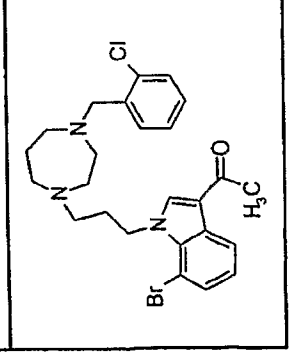
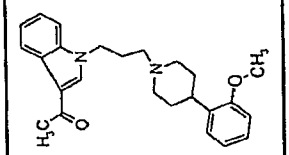
	8.5	81	7.0	6.3		6.4	85	
	8.5	96	7.0			6.4	46	
	8.5	113	-			6.4	127	
	8.5	115	7.6	7.6		6.4	88	

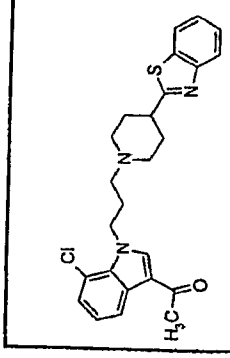
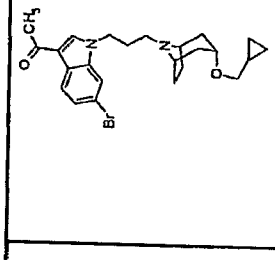
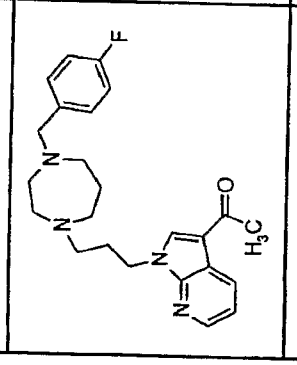
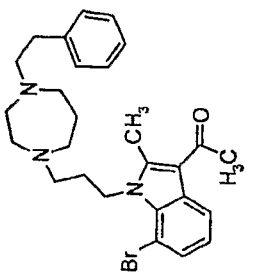
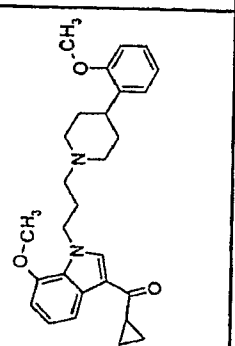
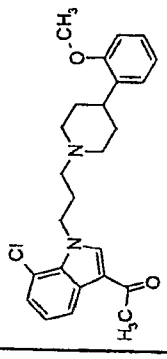
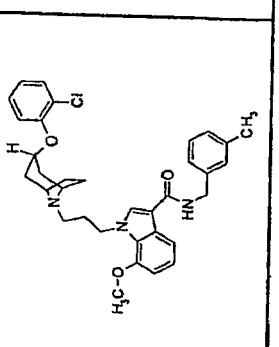
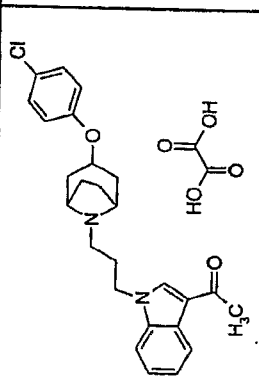
49	88	7.8		8.5	6.4				
67	99	6.6		8.4	6.4				
100	103	7.7		8.4	6.4				
118	129	-		8.4	6.4				

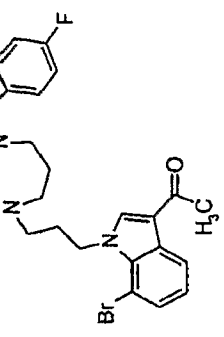
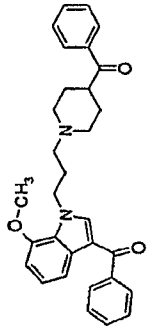
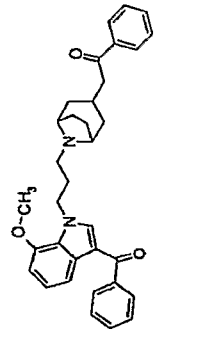
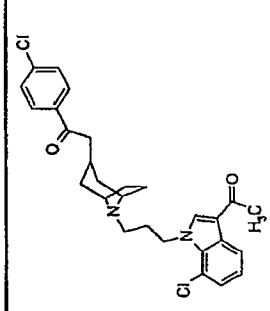
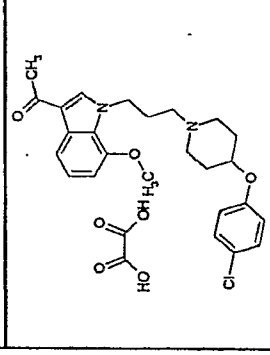
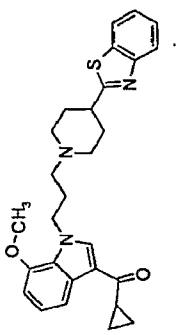
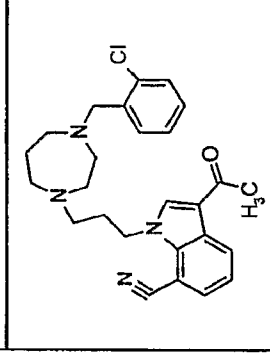
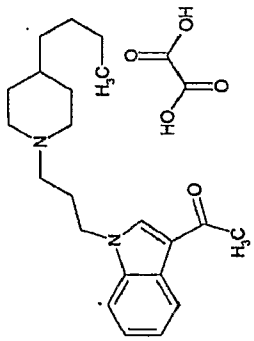
	8.4	96	8.0	7.5		6.4	84	7.1
	8.4	146	7.3	7.0		6.4	97	
	8.4	119	6.8			6.4	65	
	8.4	106				6.4	77	

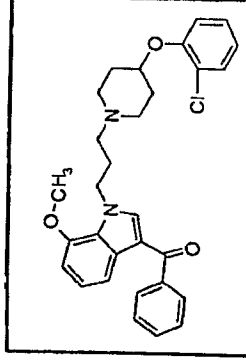
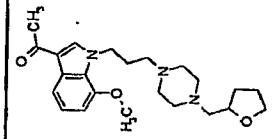
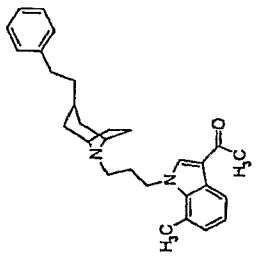
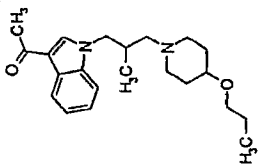
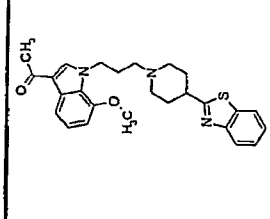
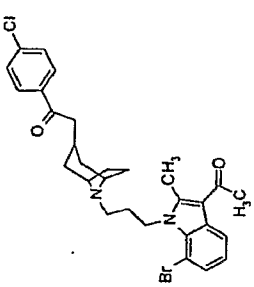
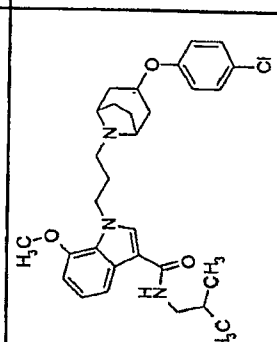
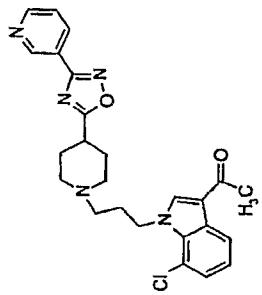
	8.4	86	6.8			6.3	79	6.9	
	8.4	98	7.1			6.3	69		
	8.4	103	6.9			6.3	91		
	8.4	94	7.3			6.3	104		

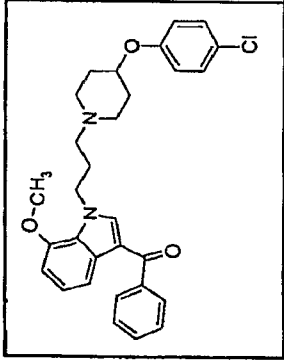
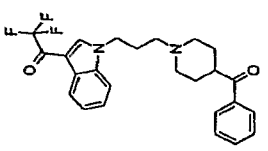
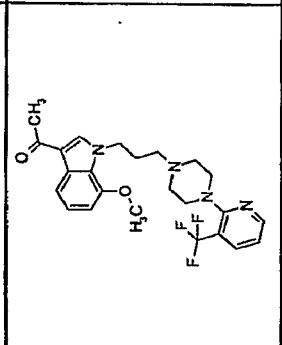
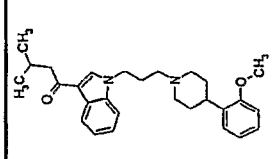
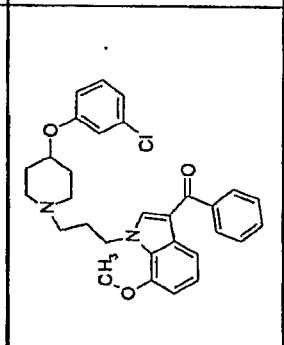
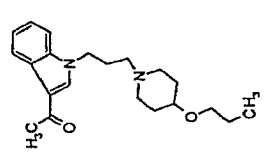
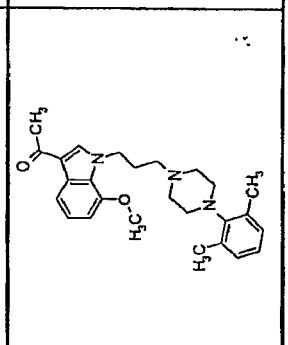
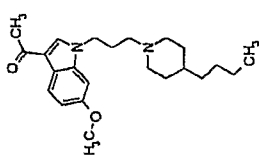
	8.4	95	6.4			6.3	108	
	8.4	105	7.0	6.5		6.3	90	
	8.4	99	7.7			6.3	94	
	8.3	99	6.9			6.3	103	

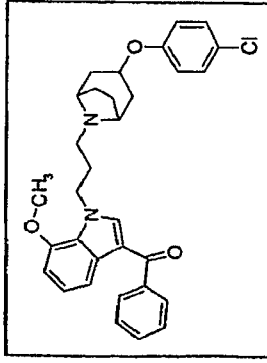
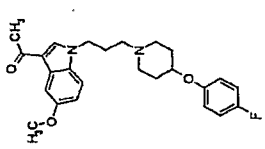
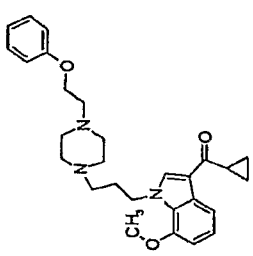
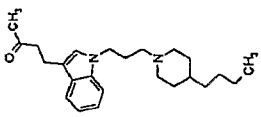
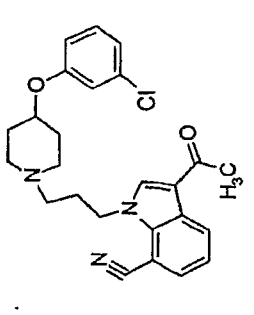
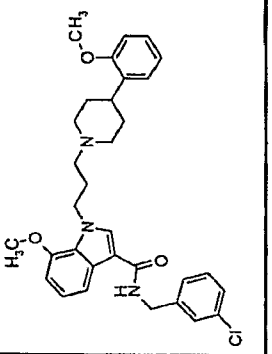
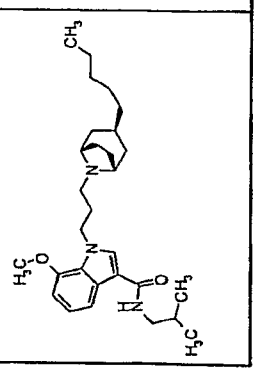
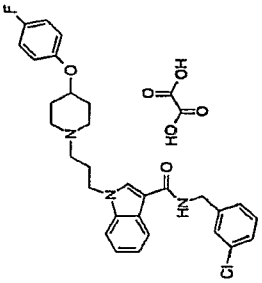
	8.3	72	6.7			6.3	98	
	8.3	105	6.5			6.3	74	
	8.3	98	6.7			6.3	77	
	8.3	95	8.0			6.3	106	5.9

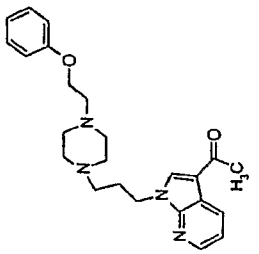
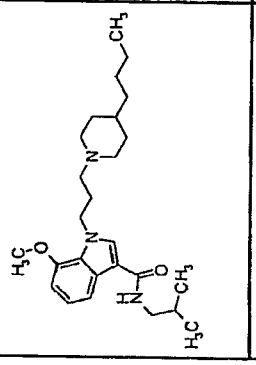
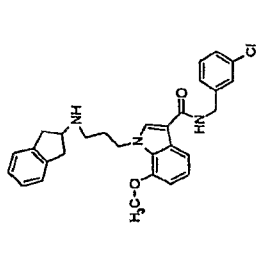
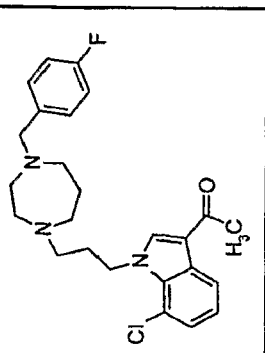
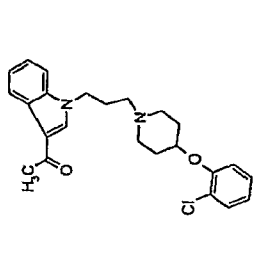
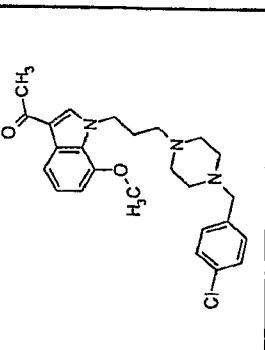
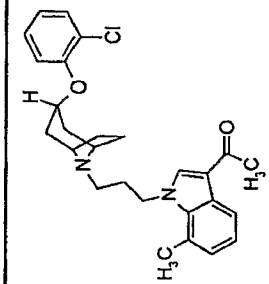
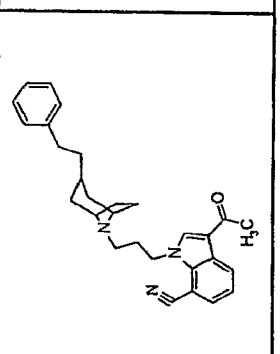
	8.3	117	6.4			6.3	130
	8.3	136				6.3	42
	8.3	102	6.8			6.3	103
	8.3	121	7.7			6.3	108

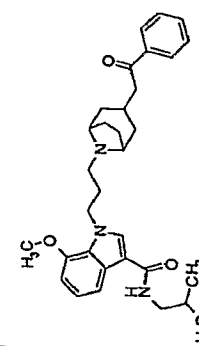
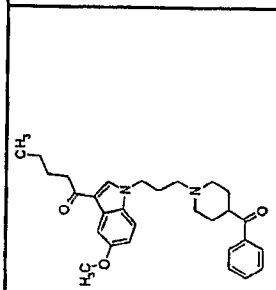
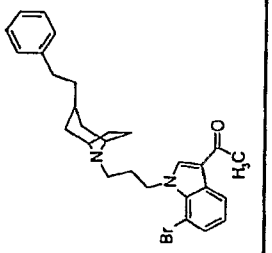
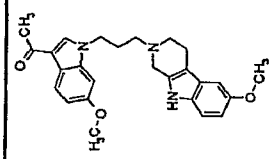
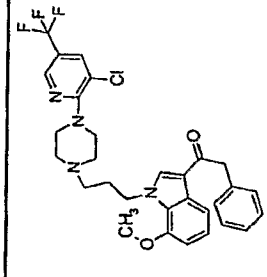
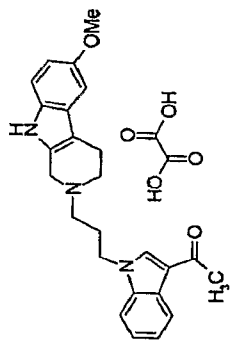
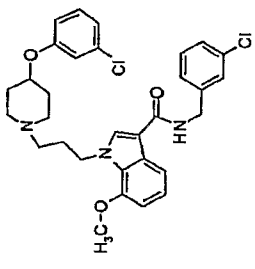
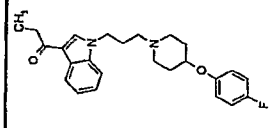
	8.3	95			6.3	102		
	8.3	101	7.0		6.3	41		
	8.3	144	6.7		6.3	88		
	8.2	98	7.2		6.2	77	5.4	

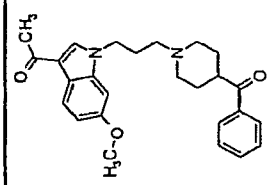
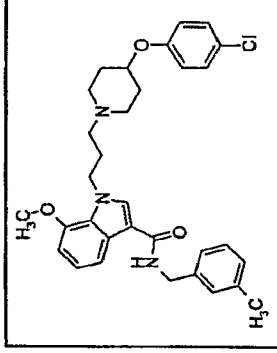
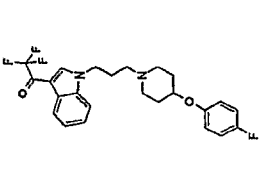
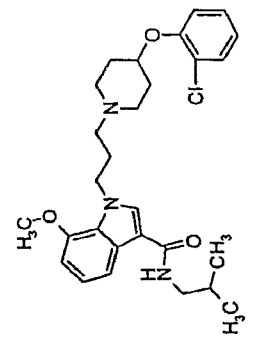
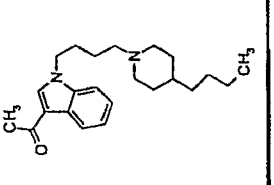
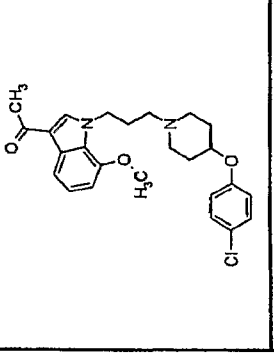
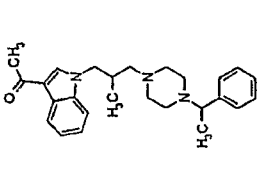
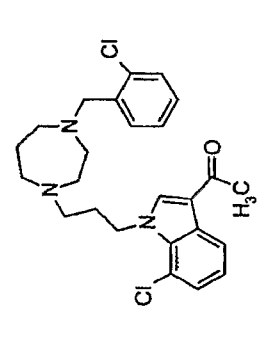
	8.2	79	6.8			6.2	98		
	8.2	105				6.2	74		
	8.2	128	6.4			6.2	64	7.2	
	8.2	107	7.0	6.6		6.2	101		

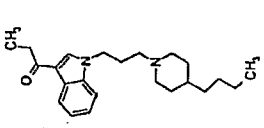
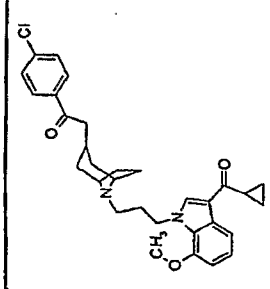
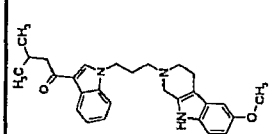
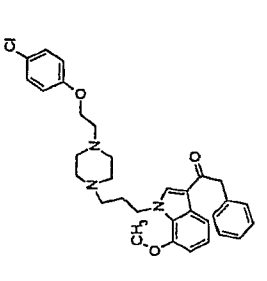
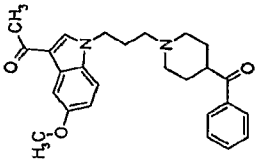
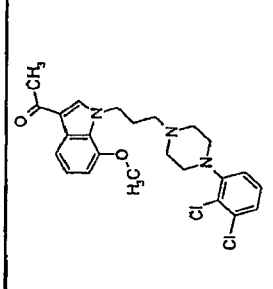
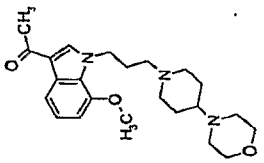
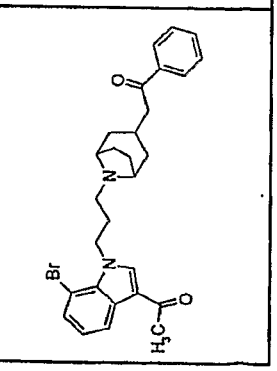
	8.2	93	7.0	6.6		6.2	63	
	8.2	108	6.0			6.2	100	
	8.2	79	8.1			6.2	112	
	8.2	107	6.0			6.2	63	

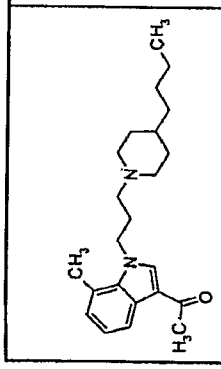
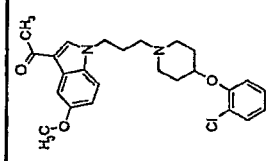
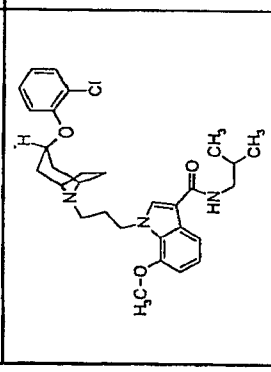
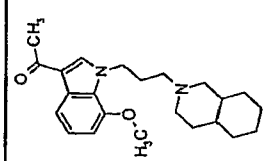
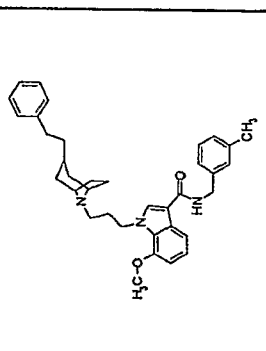
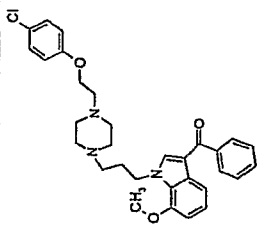
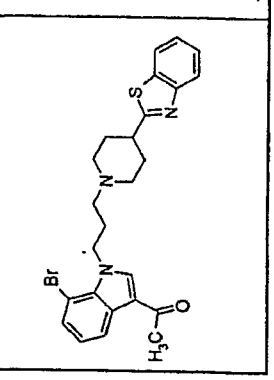
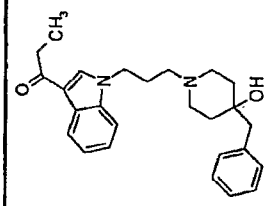
	8.1	91	7.0	6.2		6.2	58	
	8.1	94				6.2	76	
	8.1	101	6.8			6.2	127	
	8.1	135	7.1	6.5		6.2	142	

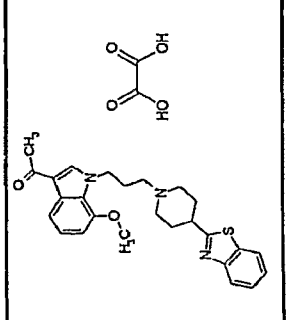
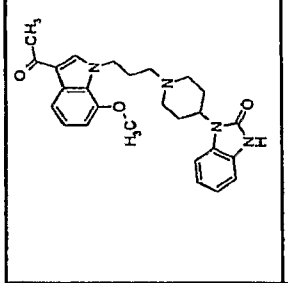
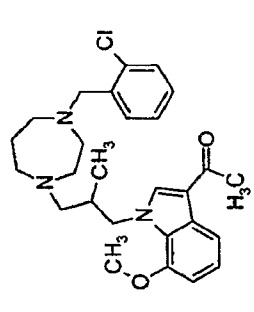
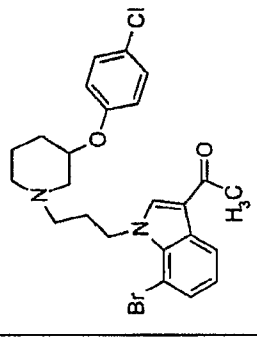
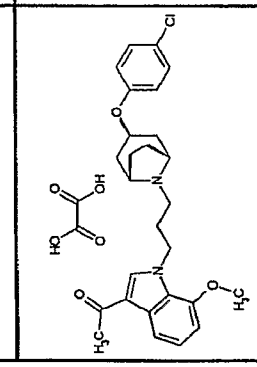
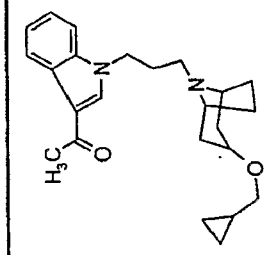
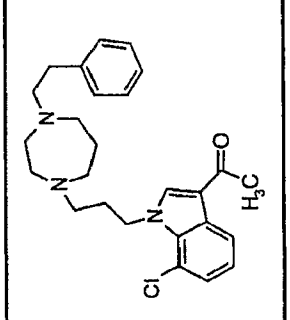
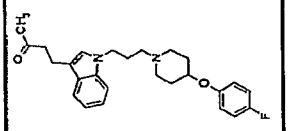
	6.2	81			6.7	93	8.1	
	6.1	133	7.2			115	8.1	
	6.1	116	5.6		6.3	99	8.1	
	6.1	101			7.4	106	8.1	

	8.1	145	7.3	6.8		6.1	98	
	8.1	107	7.1			6.1	69	
	8.1	95				6.1	76	
	8.1	100	7.2			6.1	90	

	8.1	115	6.9			66		
	8.1	100	7.2	7.0		75		
	8.1	129	6.9			113		
	8.1	92	7.1			35		

	6.0	92			7.4	70	8.1	
	6.0	123			5.5	82	8.1	
	6.0	72			6.4	103	8.1	
	6.0	95			7.0	111	8.1	

	8.1	78	6.5			6.0	95	
	8.0	116	7.0			6.0	69	
	8.0	89				6.0	66	6.9
	8.0	81	6.7			6.0	73	

	8.0	102	6.8			6.0	90
	8.0	123	7.0			5.9	46
	8.0	114	6.7			5.9	89
	8.0	96				5.9	80

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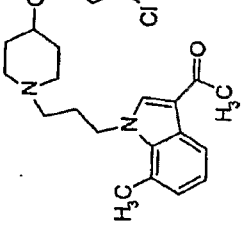
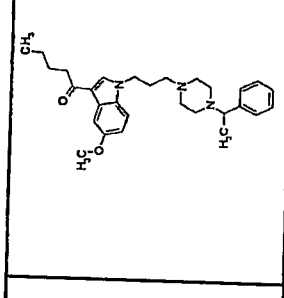
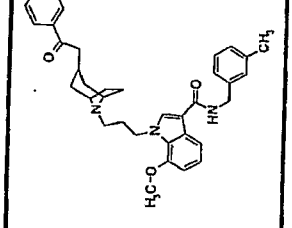
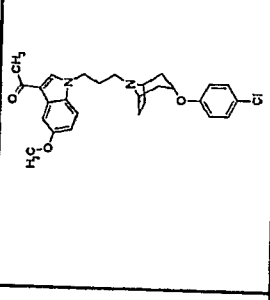
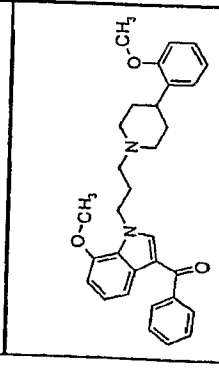
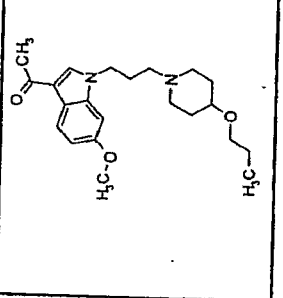
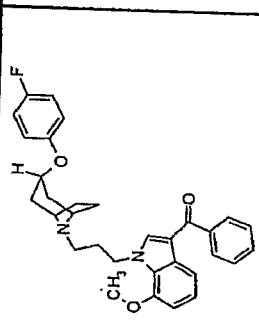
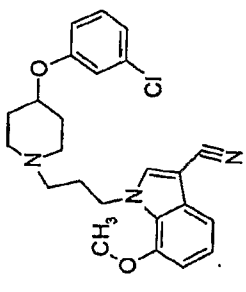
	8.0	96				5.9	81		
	8.0	110	7.8			5.9	105		
	7.9	88	6.8			5.9	61		
	7.9	106	6.8			5.9	162	7.4	

	5.3						
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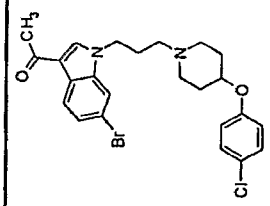
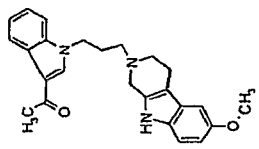
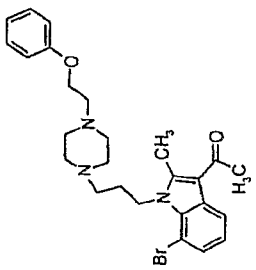
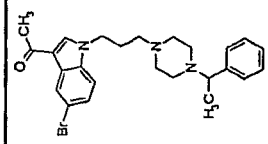
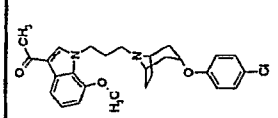
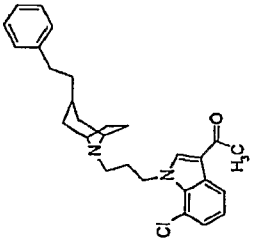
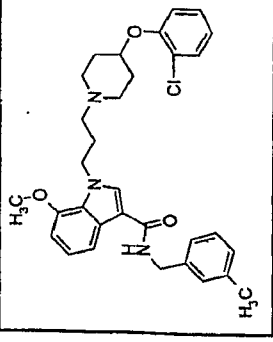
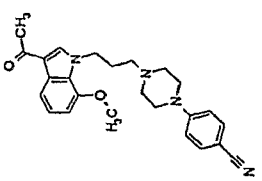
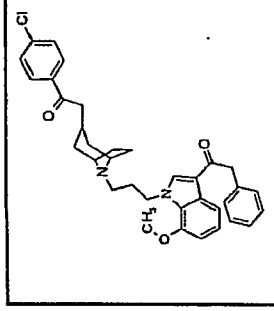
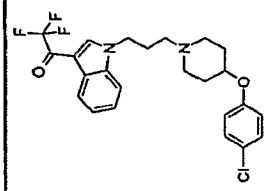
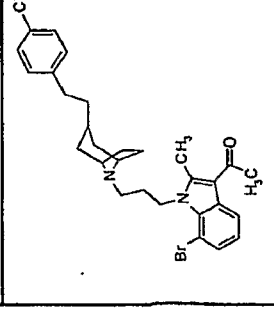
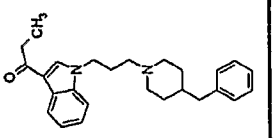
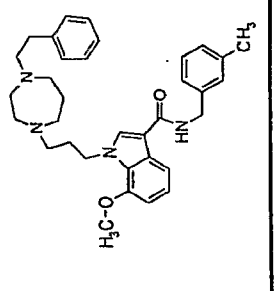
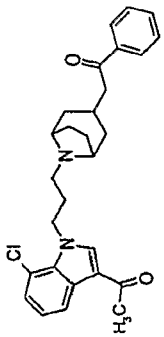
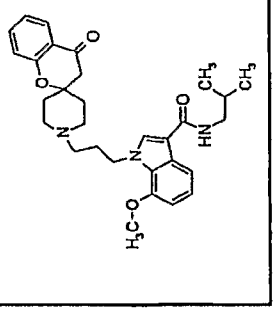
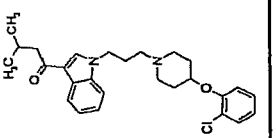
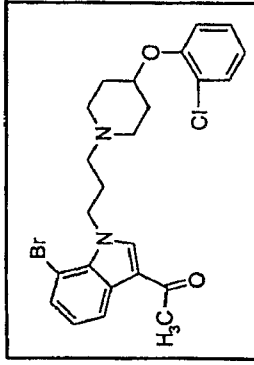
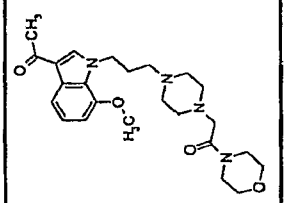
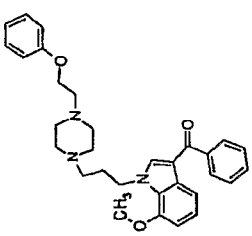
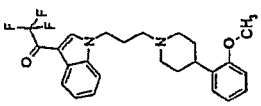
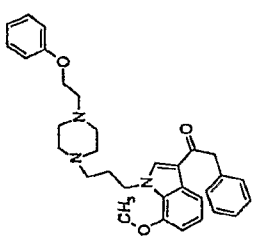
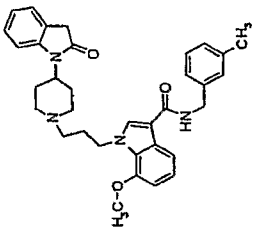
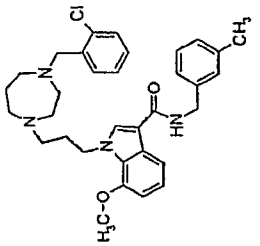
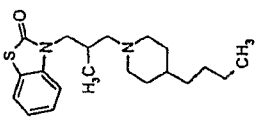
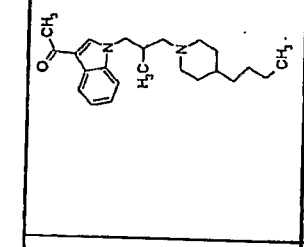
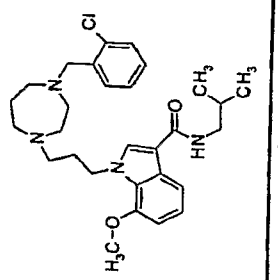
							
		6.6					
6.3		7.1	6.2				
113	109	96	90				
7.9	7.9	7.9	7.9				
							

	7.9	167	7.5			5.8	77		
	7.9	69	6.5			5.8	109		
	7.9	110	7.8			5.8	85		
	7.9	115				5.8	96		

	7.9	95	6.9			5.8	37
	7.9	98	6.6			5.8	82
	7.9	99	7.4			5.7	43
	7.8	119	7.1			5.7	84

	7.8	100						
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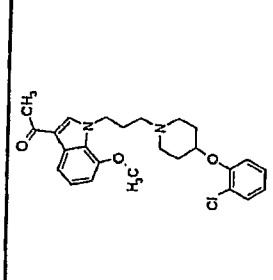
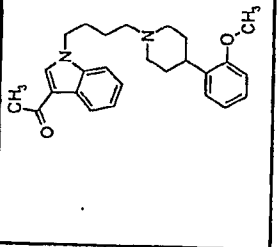
	7.8	98	6.1					
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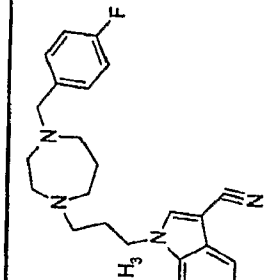
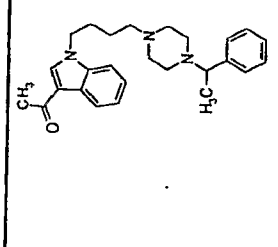
	7.8	109	-					
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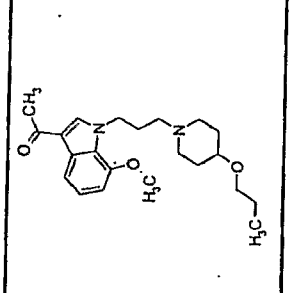
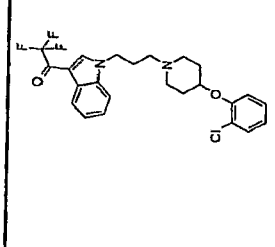
	7.8	112	5.7					
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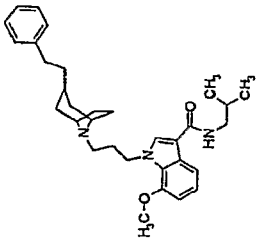
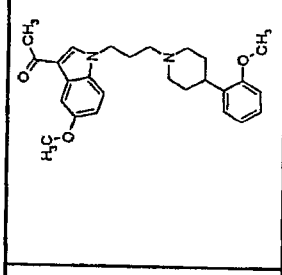
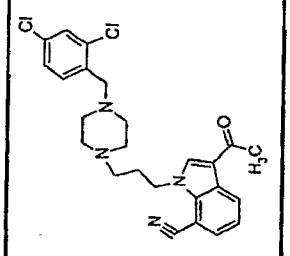
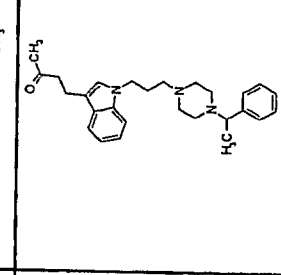
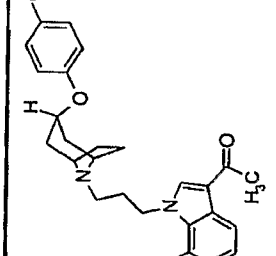
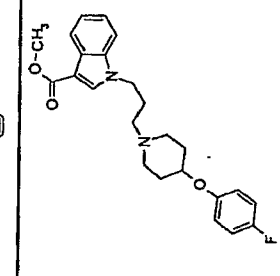
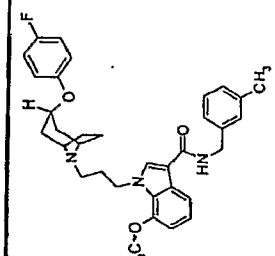
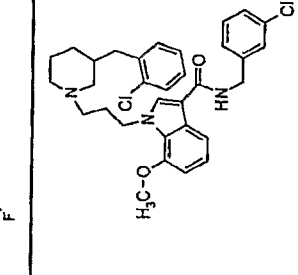
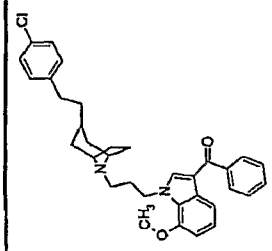
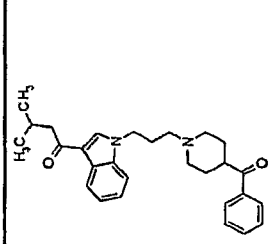
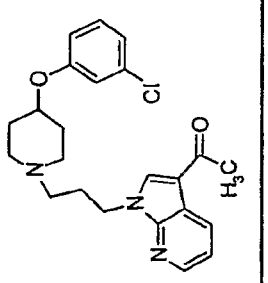
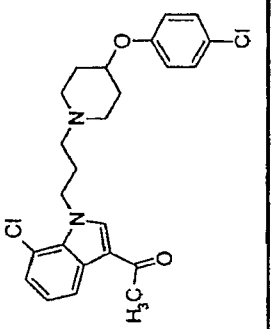
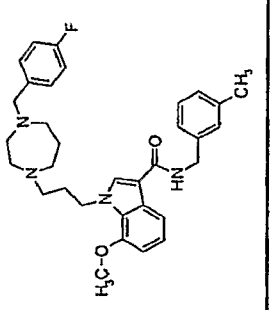
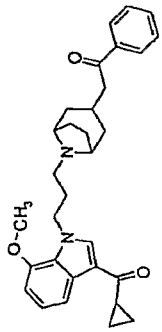
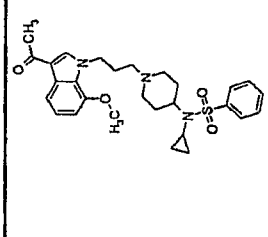
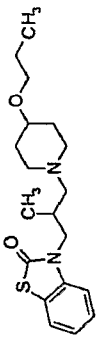
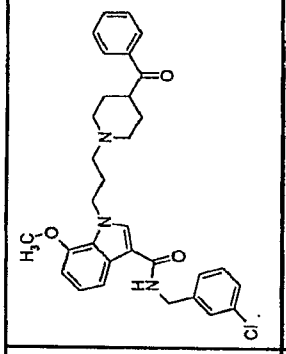
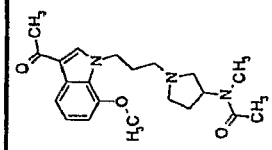
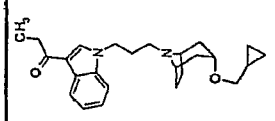
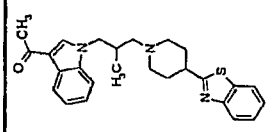
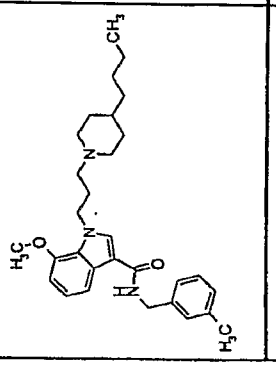
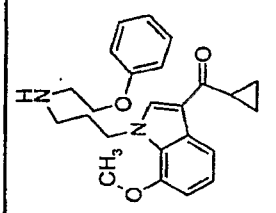
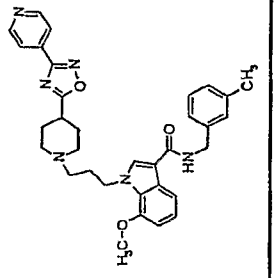
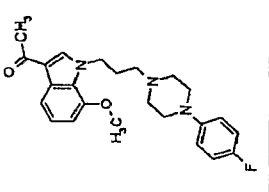
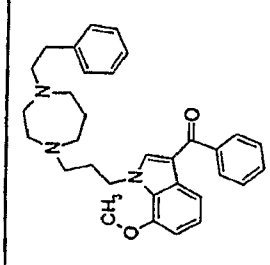
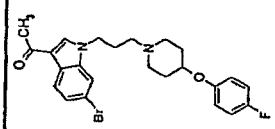
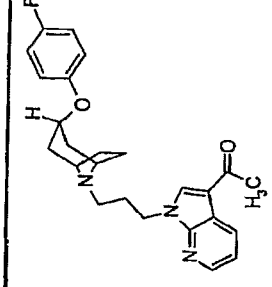
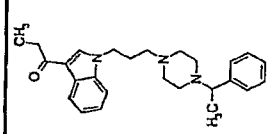
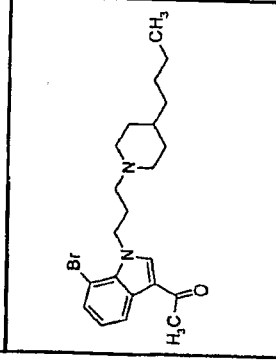
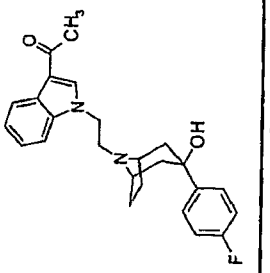
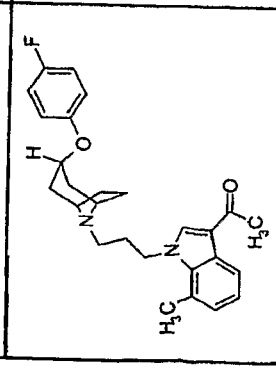
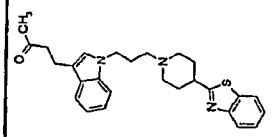
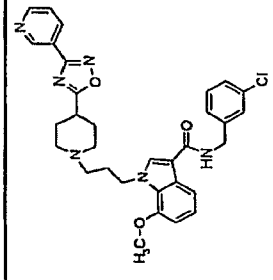
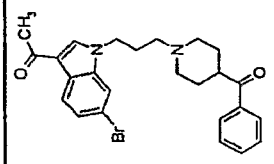
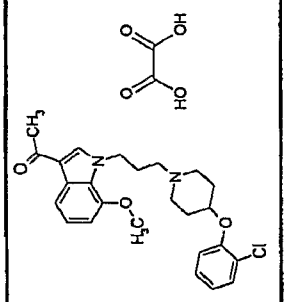
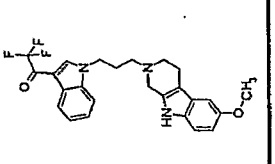
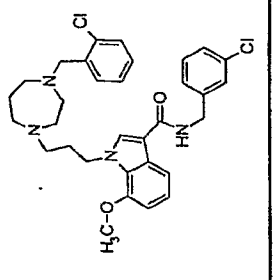
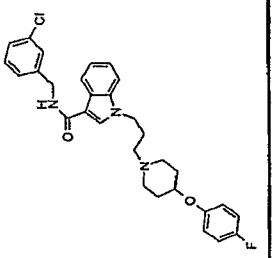
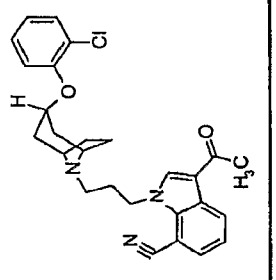
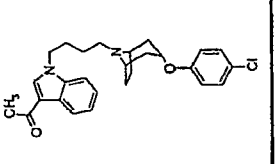
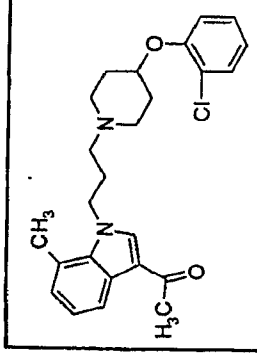
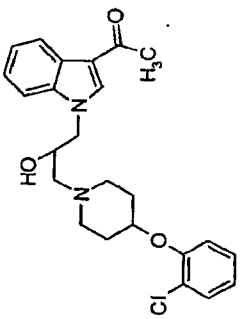
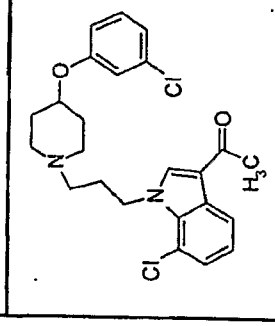
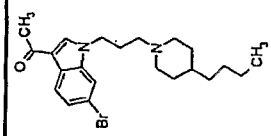
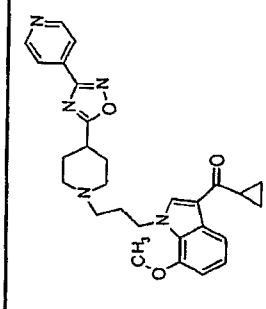
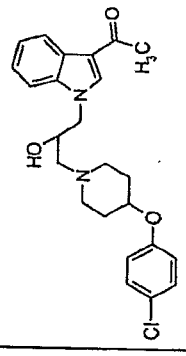
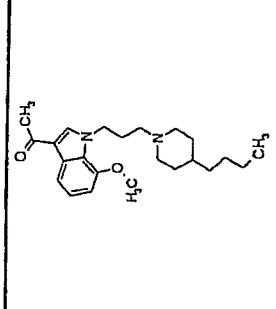
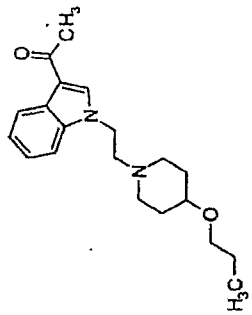
	7.8	86				5.7	66	
	7.8	92				5.7	46	
	7.8	81				5.7	87	5.5
	7.8	112				5.7	47	

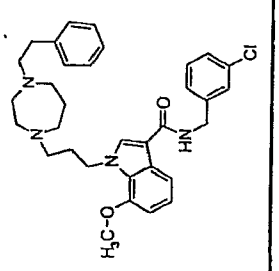
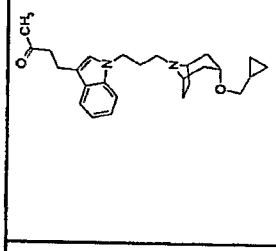
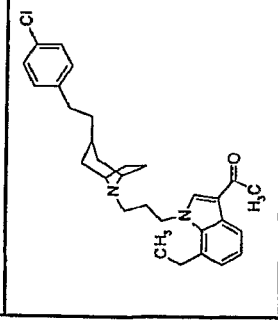
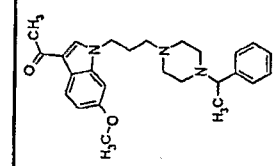
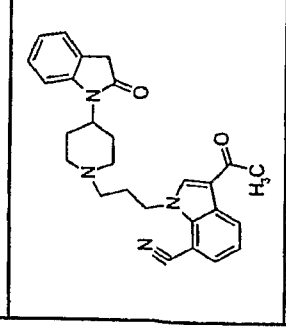
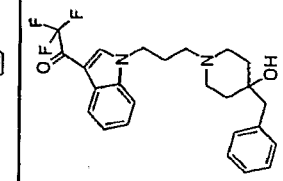
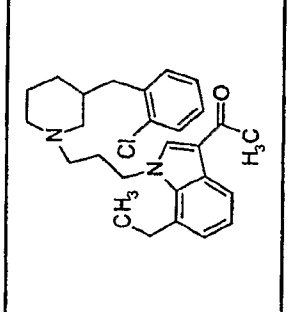
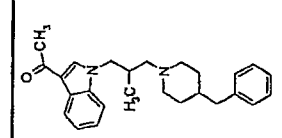
	7.8	94			5.7	67		
	7.8	124	6.5		5.7	107		
	7.8	129	7.0		5.7	86		
	7.8	105	5.6		5.6	71		

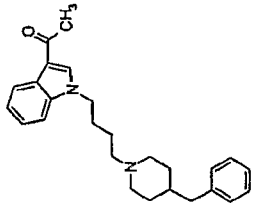
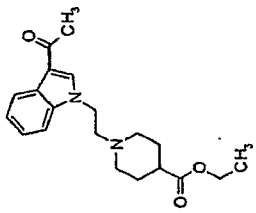
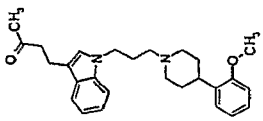
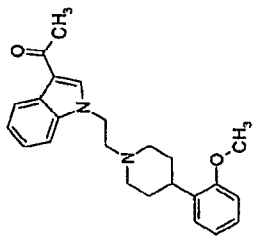
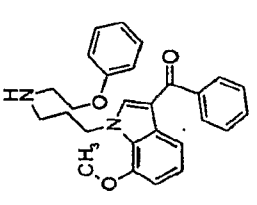
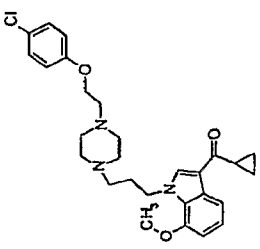
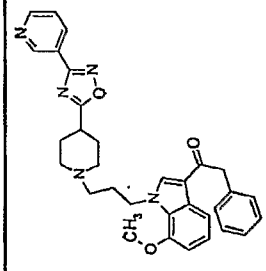
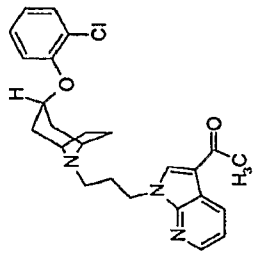
114	60	120	78
5.6	5.6	5.6	5.6
			
6.5		-	6.3
72	83	121	95
7.8	7.8	7.8	7.8
			

	7.8	124	7.6			5.6	64	
	7.8	77	6.3			5.6	57	
	7.7	87	6.8			5.6	-11	
	7.7	98				5.6	84	

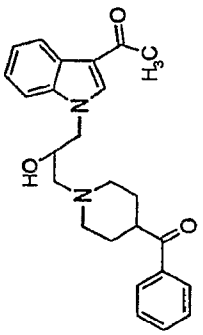
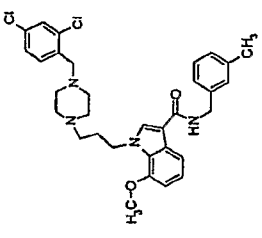
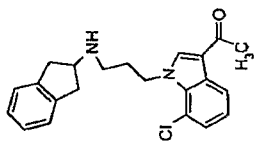
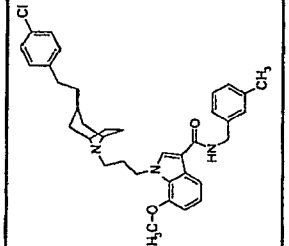
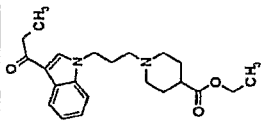
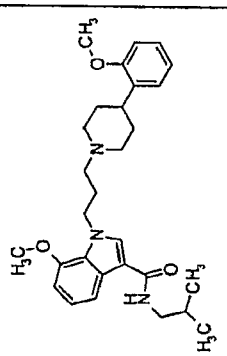
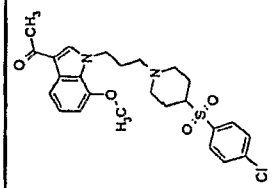
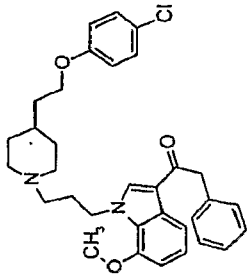
	7.7	103			5.6	36		
	7.7	100	6.5		5.6	106		
	7.7	107			5.5	67	5.8	
	7.7	83	6.7		5.5	119		

	7.7	110	6.2			5.5	69	
	7.7	83	7.0			5.5	93	
	7.7	87				5.5	73	
	7.7	116	5.8			5.5	40	

	7.7	125	6.8			5.5	103	
	7.7	95	7.0			5.5	73	
	7.7	133				5.4	111	
	7.7	87	-			5.4	121	

86	72	78	44
5.4	5.4	5.3	5.3
			
6.8	6.9		7.5
74	122	88	108
7.7	7.7	7.7	7.7
			

64		5.3		89	8.1	8.8		7.7
67		5.3		107	5.9			7.6
64		5.3		97				7.6
112		5.2		118	7.0			7.6

26		5.2			6.0	110	7.6	
96		5.2				77	7.6	
39		5.2			6.9	108	7.6	
71		5.0			6.6	121	7.6	

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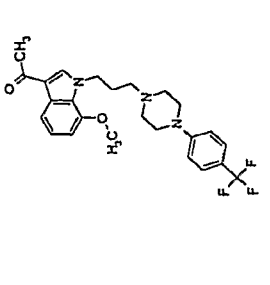
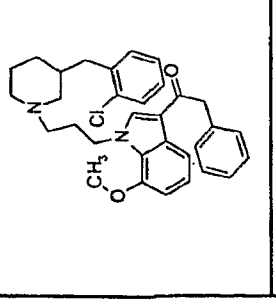
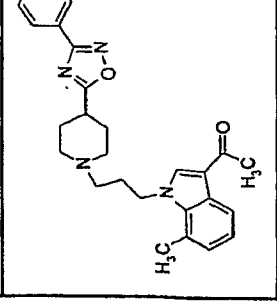
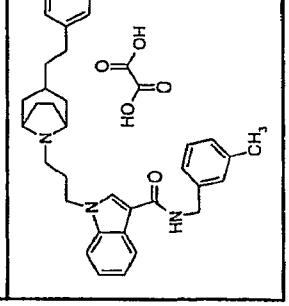
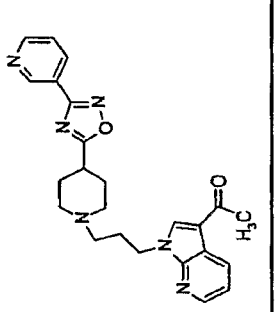
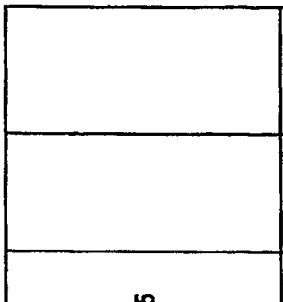
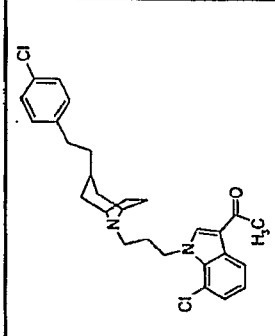
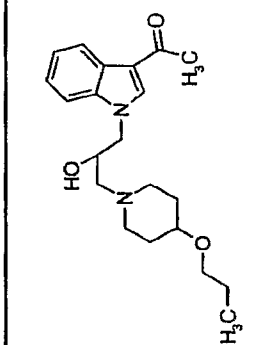
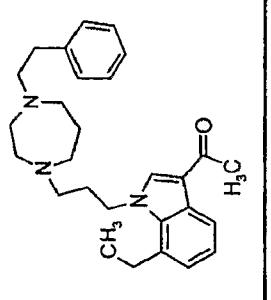
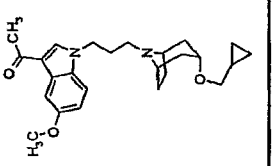
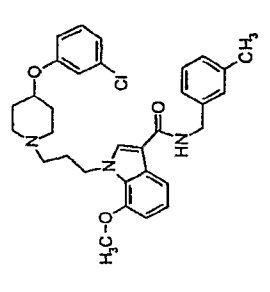
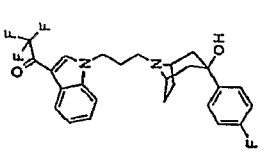
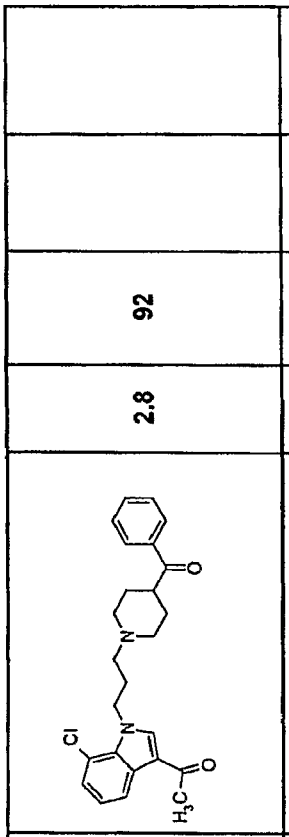
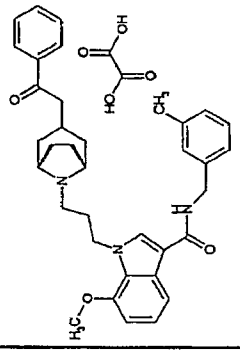
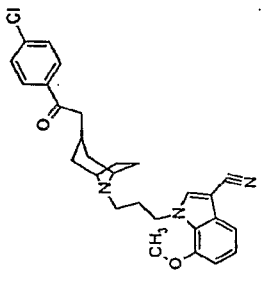
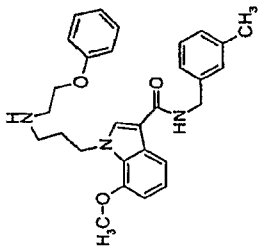
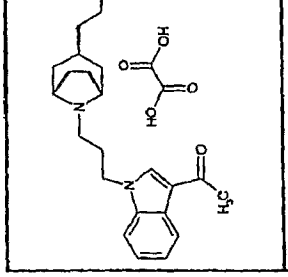
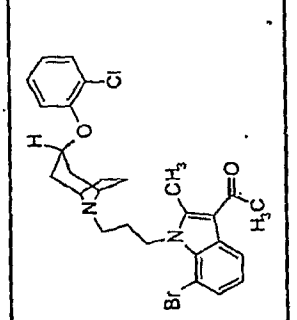
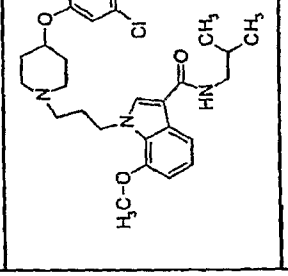
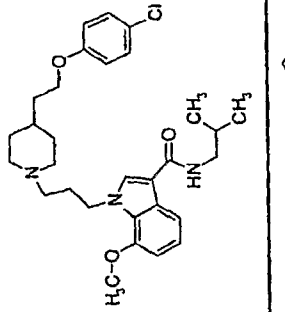
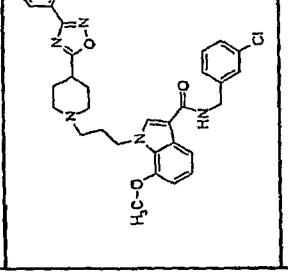
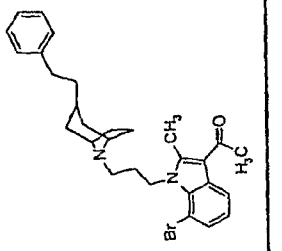
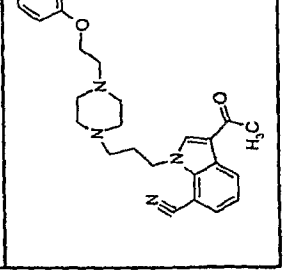
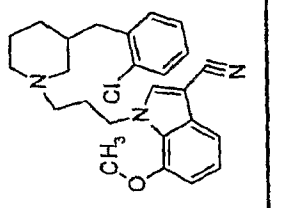
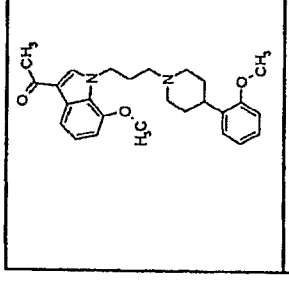
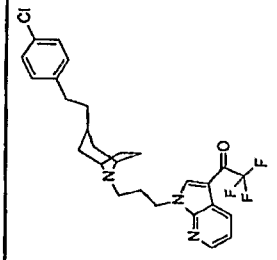
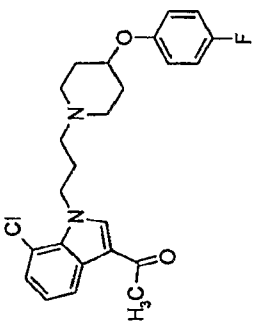
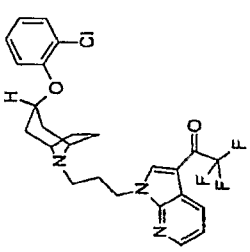
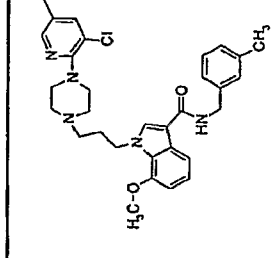
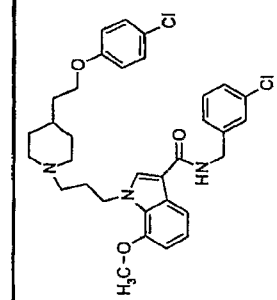
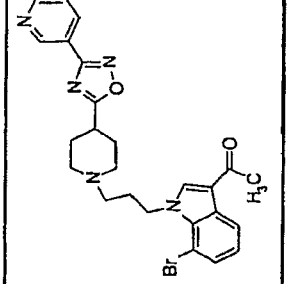
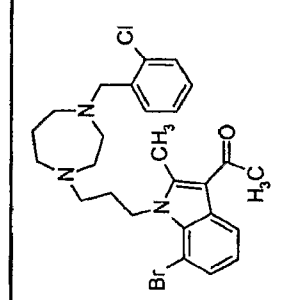
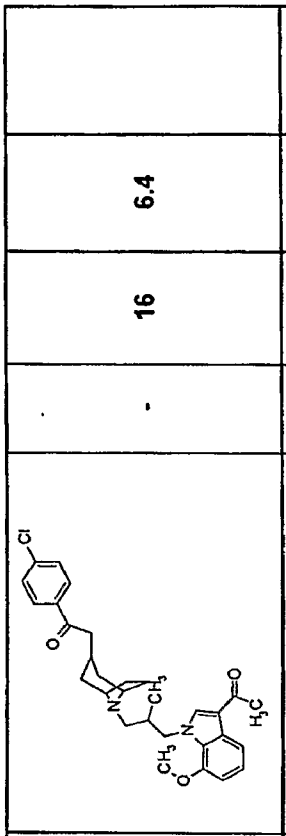
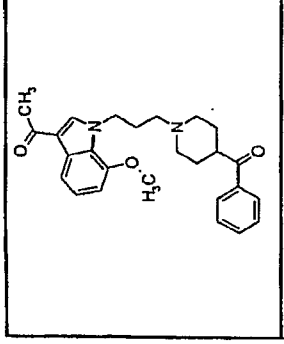
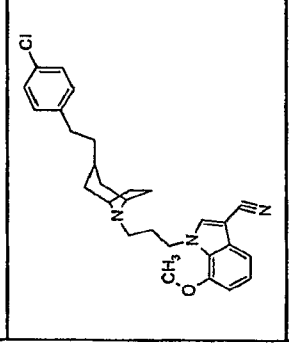
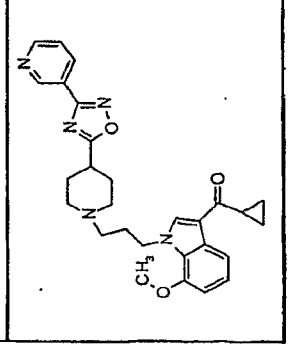
	7.6	92	6.6		4.8	53	
	7.6	116	6.9		4.8	64	
	7.5	137			4.8	74	
	7.5	114	6.8		4.8	107	6.7

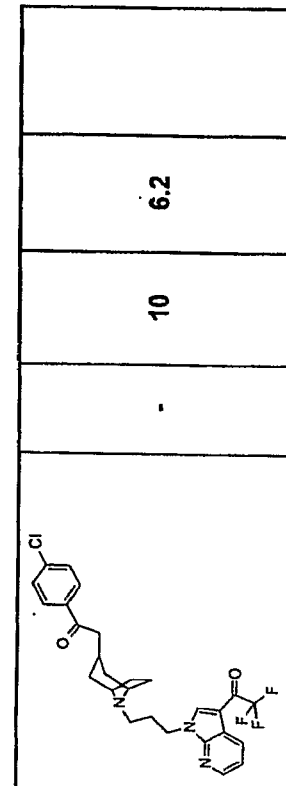
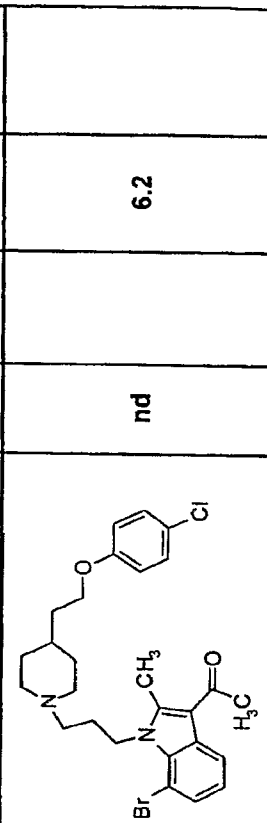
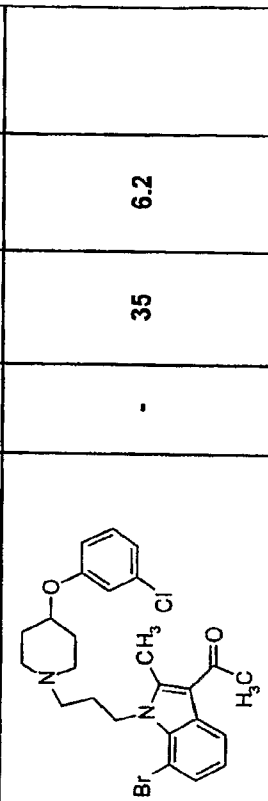
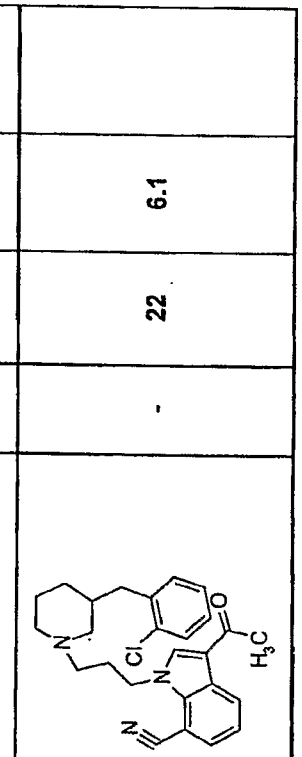
	7.5	104	6.7			4.7	55	
	7.5	122				4.5	18	
	7.5	103	-			4.5	74	
	7.5	93				3.6	58	

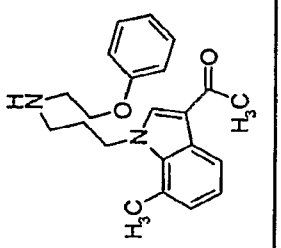
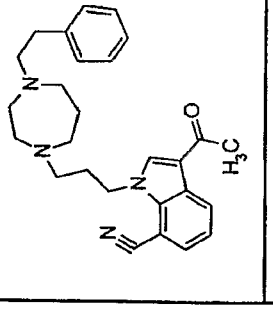
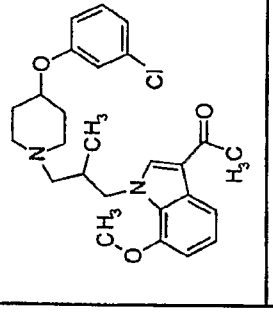
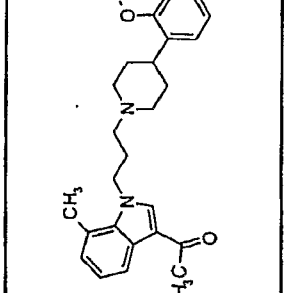
		2.8	92		7.5	116	6.1	
		nd		7.2	7.5	85	7.3	
		-	12	7.1	7.5	102		
		-	52	7.0	7.5	100	-	

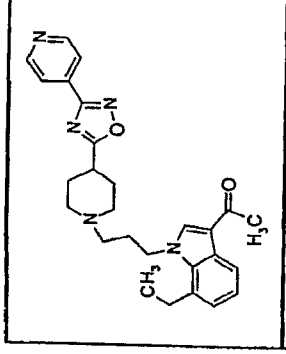
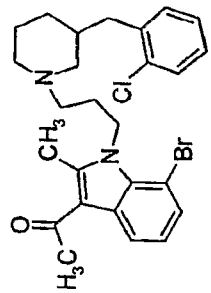
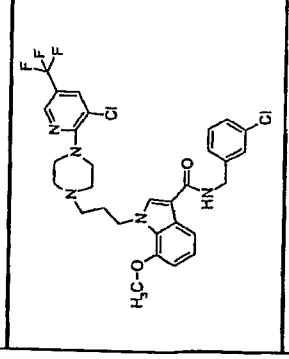
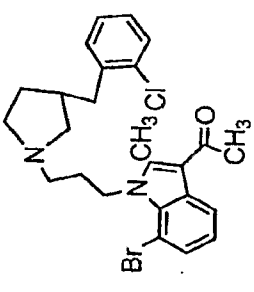
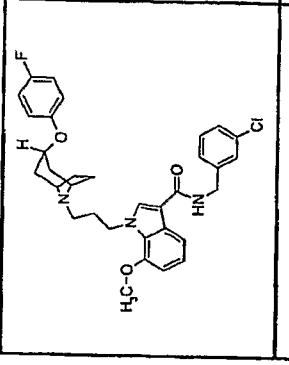
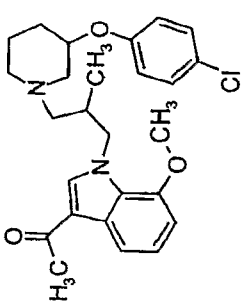
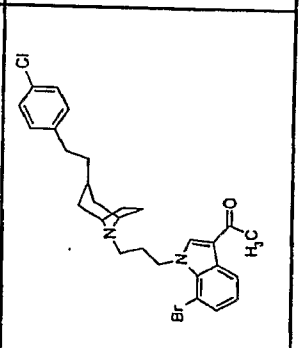
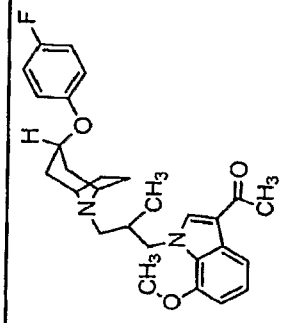
	7.5	104	5.8			-	37	7.0
	7.5	71				-	45	6.8
	7.5	105	-			nd		6.7
	7.5	86				-	62	6.7

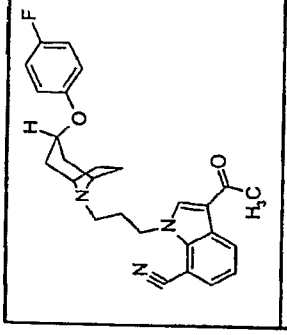
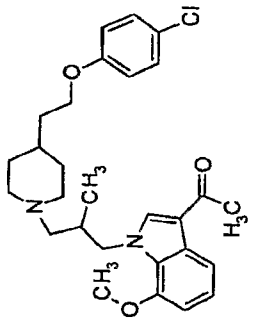
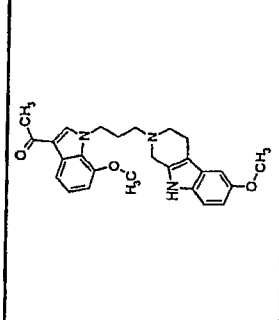
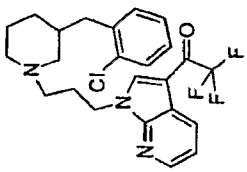
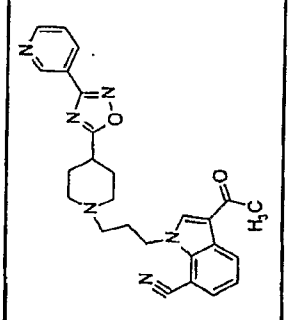
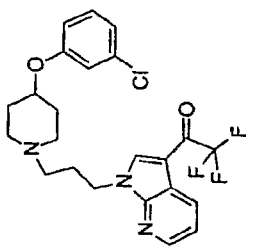
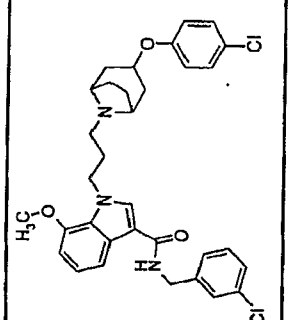
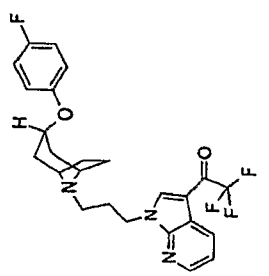
	7.4	109	6.2			nd	6.6	
	7.4	120	5.7	—		-	6.6	23
	7.4	80				-	6.6	46
	7.4	119				-	6.4	-14

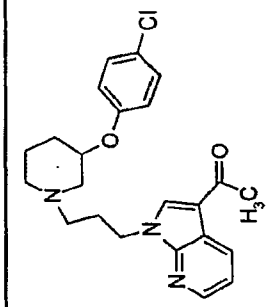
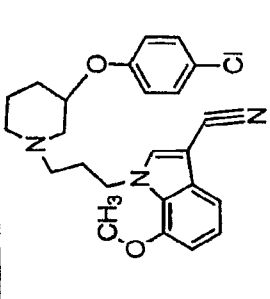
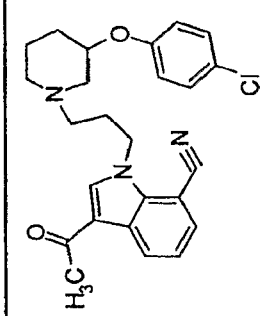
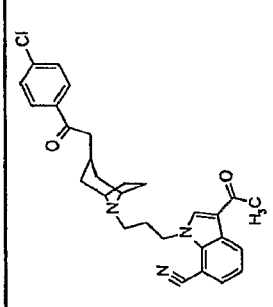
	7.4	98	5.7		-	16	6.4	
	7.4	94	6.2		nd		6.3	
	7.4	77	6.6		nd		6.3	
	7.4	96			-	76	6.3	

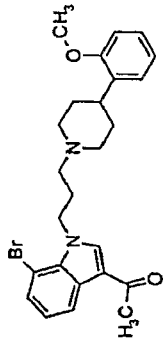
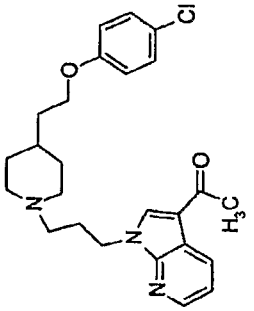
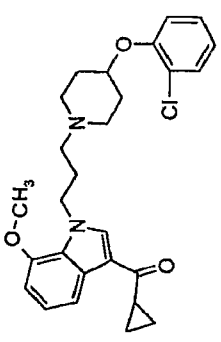
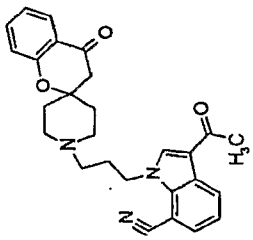
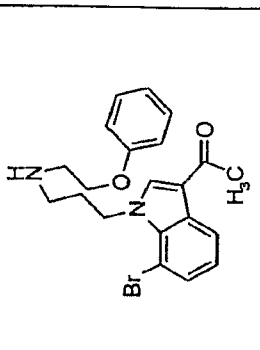
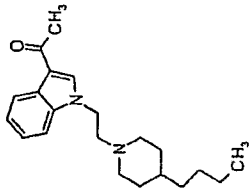
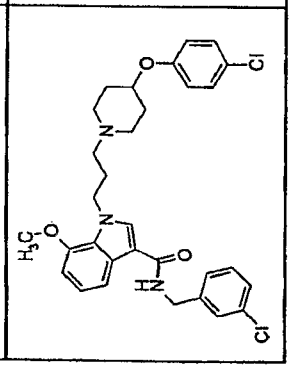
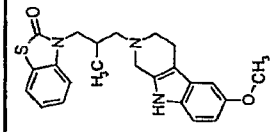
	7.4	80			-	10	6.2	
	7.4	110			nd		6.2	
	7.4	110			-	35	6.2	
	7.4	101	5.7		-	22	6.1	

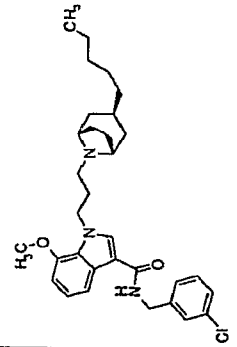
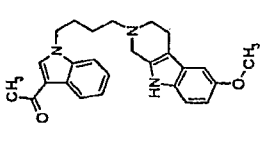
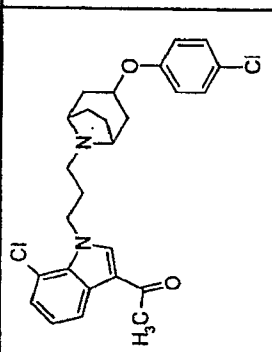
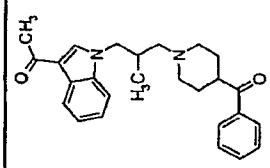
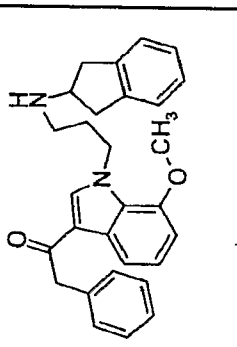
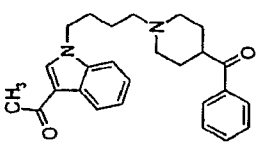
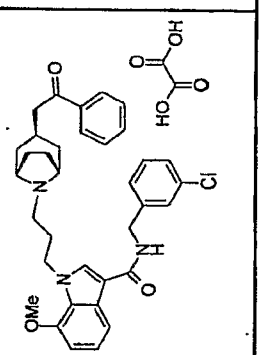
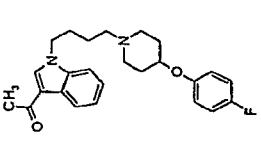
	7.4	100		nd		5.9		
	7.4	83		-	1	5.8		
	7.4	102	6.1	-	40	-		
	7.4	116	5.9	-	24	-		

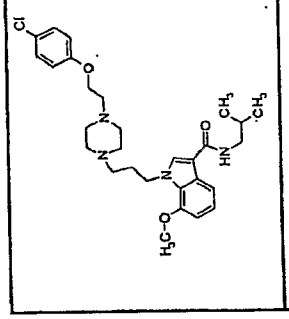
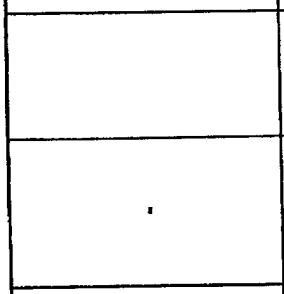
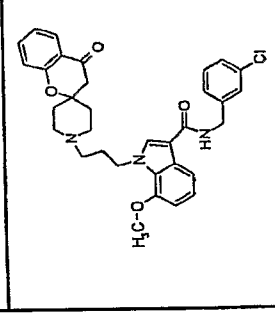
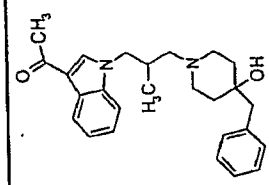
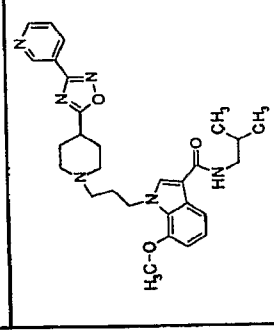
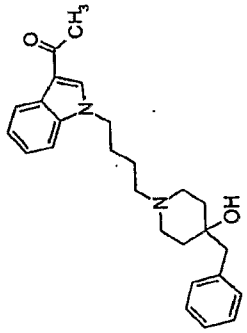
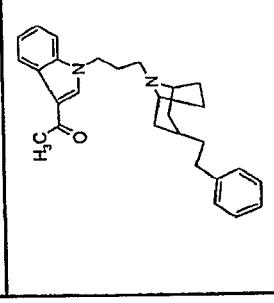
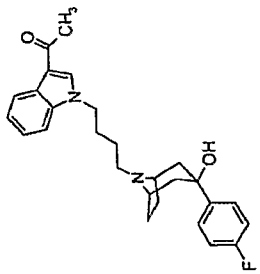
	7.4	121			-	5	-	
	7.4	107			-	38	-	
	7.4	152	6.8		-	-1	-	
	7.4	106			-	44	-	

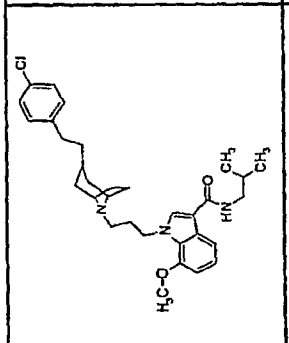
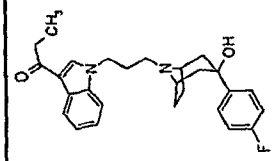
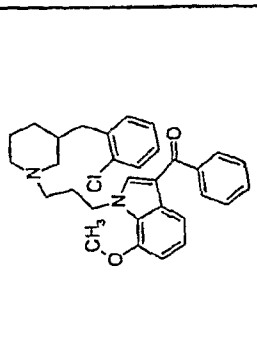
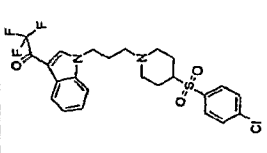
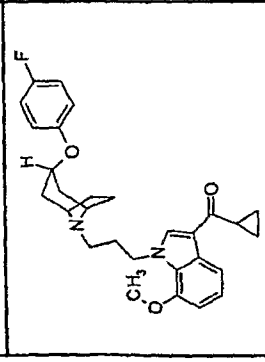
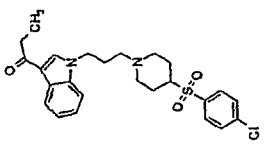
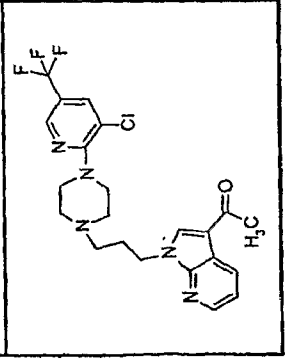
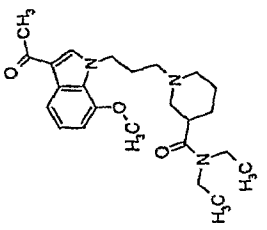
	7.3	93	7.0			-	
	7.3	123	6.0			-	
	7.3	77				-	23
	7.3	97	6.6			-	35

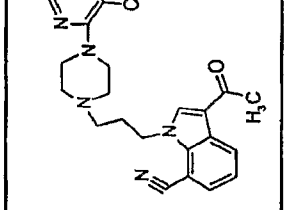
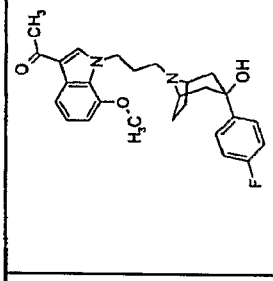
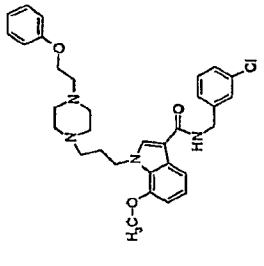
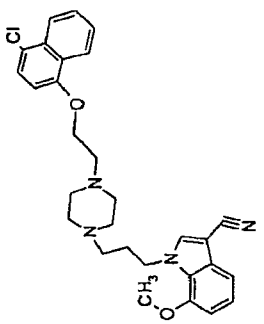
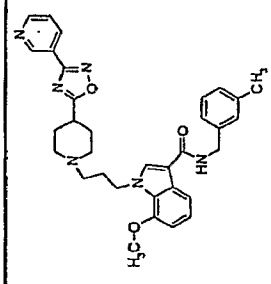
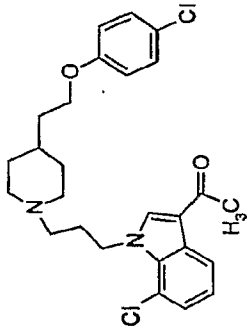
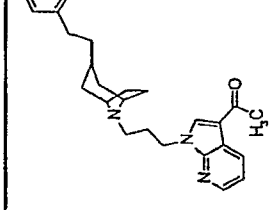
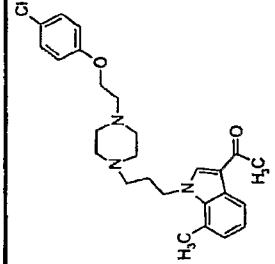
	7.3	113	5.8		-	53	-	
	7.3	92			-	48	-	
	7.3	120			-	31	-	
	7.3	104	6.0		-	31	-	

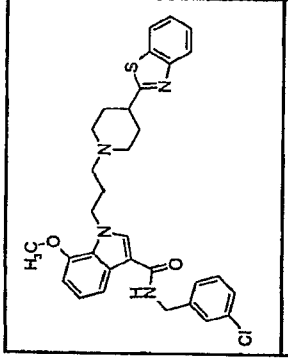
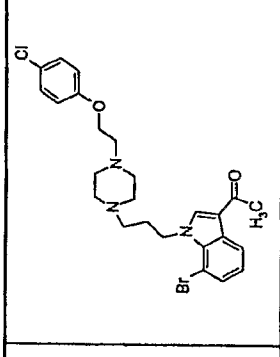
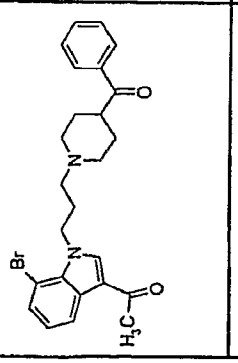
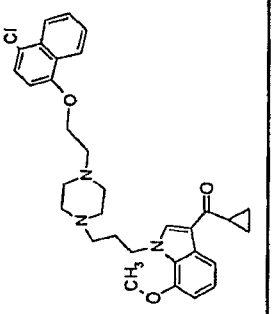
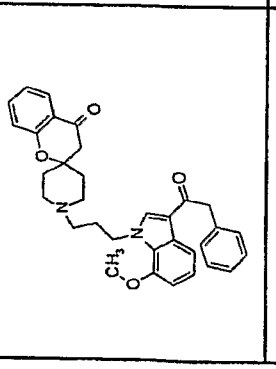
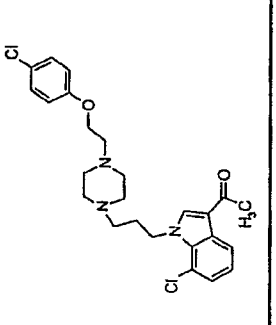
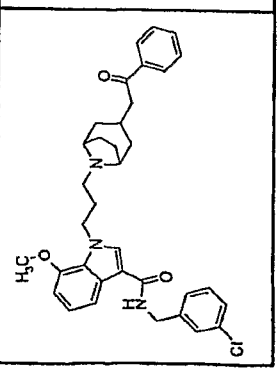
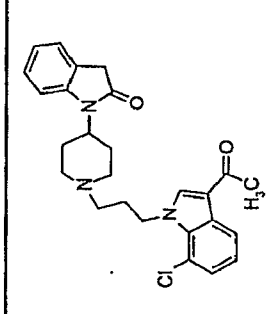
	7.3	109	6.5			nd	-
	7.3	102	5.5			nd	-
	7.3	132				-	23
	7.3	123	6.2			-	-10

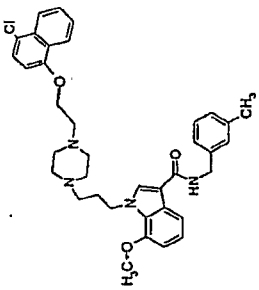
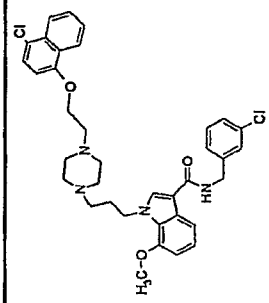
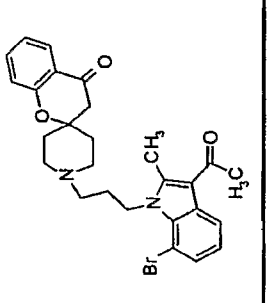
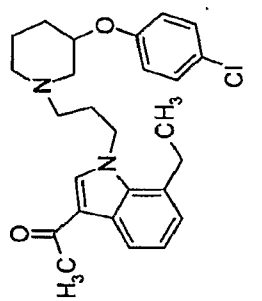
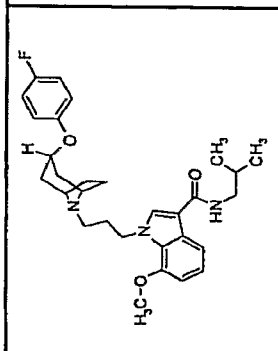
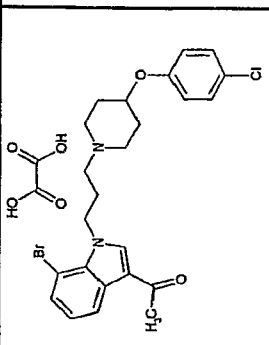
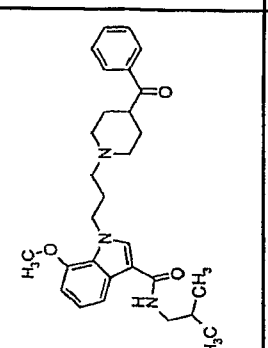
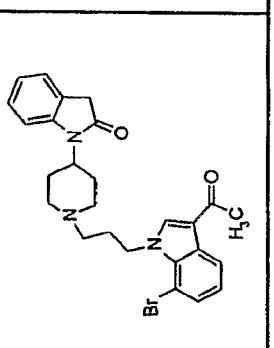
	7.3	123	6.7			-	9	
	7.3	104	6.0			-	2	
	7.3	103				-	38	
	7.3	111	7.2			-	41	

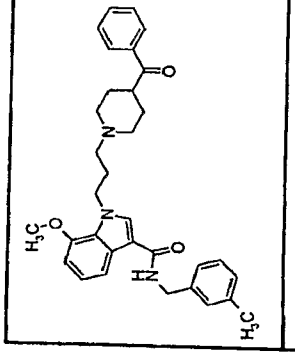
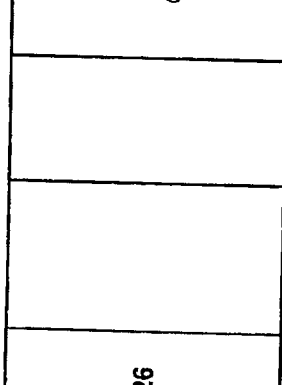
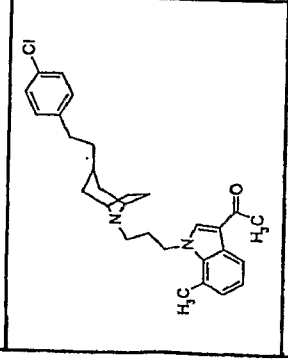
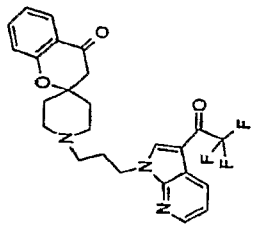
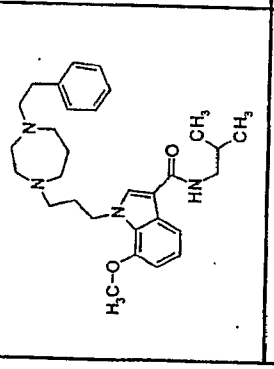
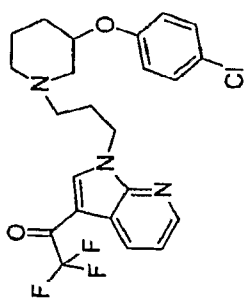
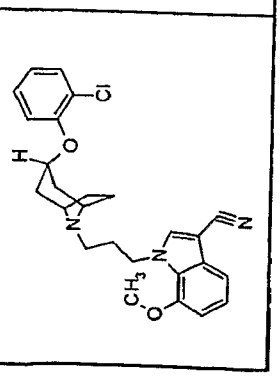
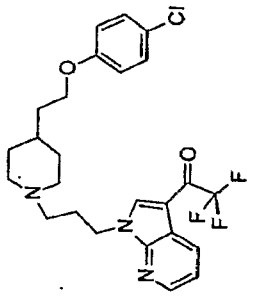
	7.2	84	-			-	23	
	7.2	78				-	-3	
	7.2	93				-	24	
	7.2	96	5.8			-	2	

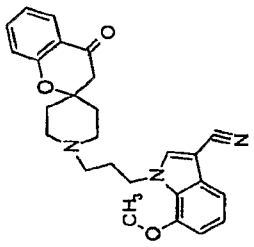
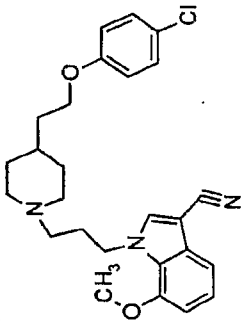
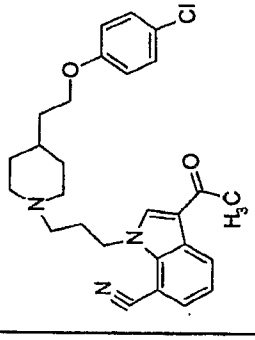
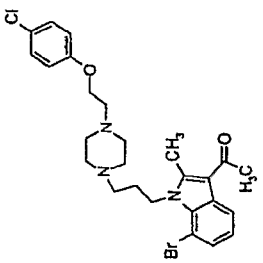
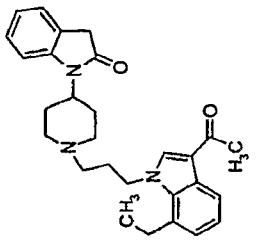
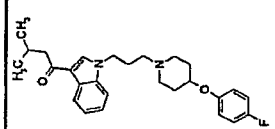
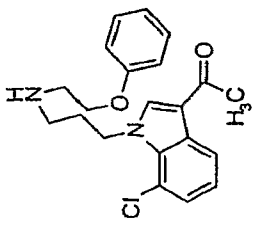
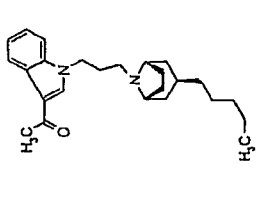
	7.2	85				-	18	
	7.2	82				-	6	
	7.2	131				-	1	
	7.2	91				-	16	

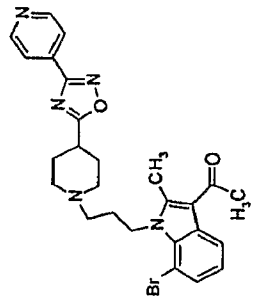
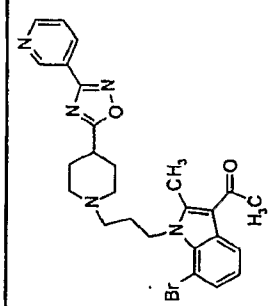
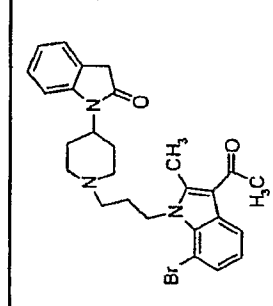
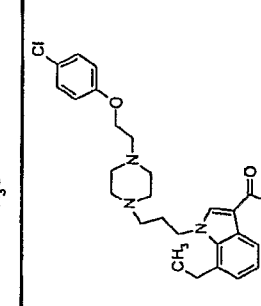
	7.1	106			-	3		
	7.1	101	8.2	8.5		-	21	
	7.1	97	6.8			-	53	
	7.1	87	6.4			-	53	

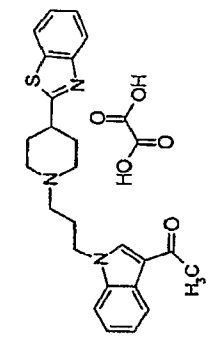
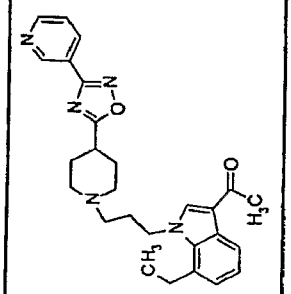
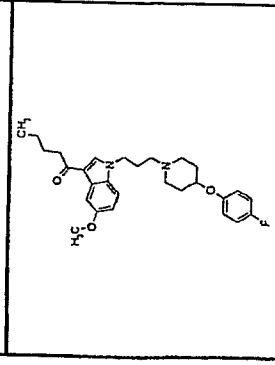
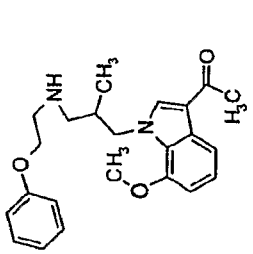
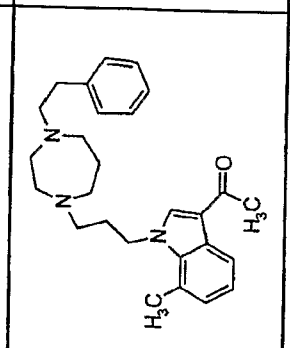
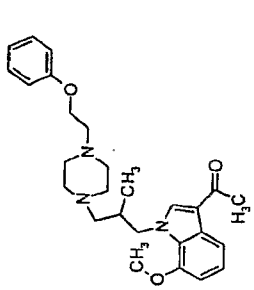
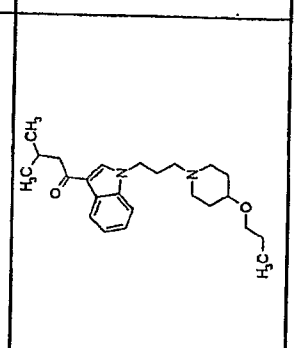
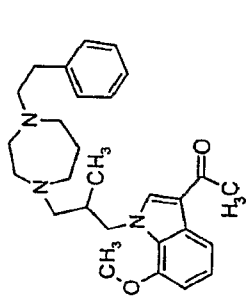
	7.1	112	6.6			-	12	
	7.1	84	5.8			-	44	
	7.1	98				-	32	
	7.1	104	6.7			-	28	

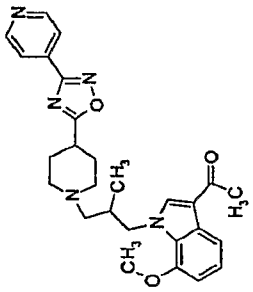
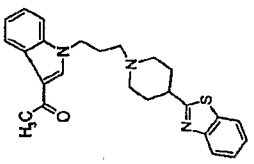
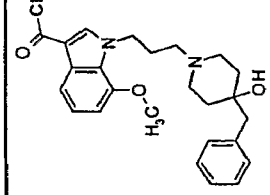
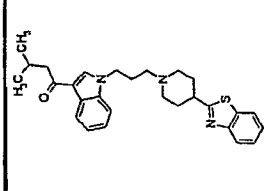
	7.1	147			-	2	
	7.1	113			-	59	
	7.1	114			-	8	
	7.0	60			-	13	
							

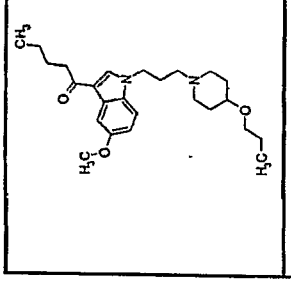
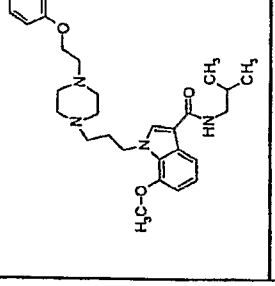
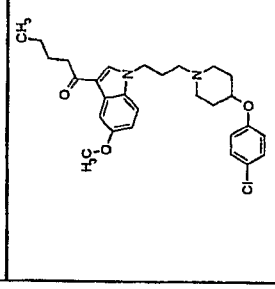
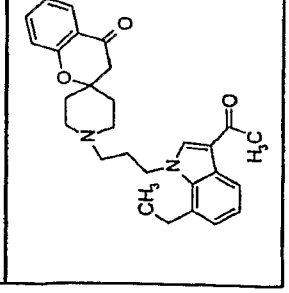
	7.0	126			-	55		
	7.0	50			-	26		
	7.0	114	6.1		-	2		
	7.0	114	6.2		-	37		

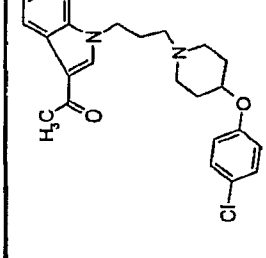
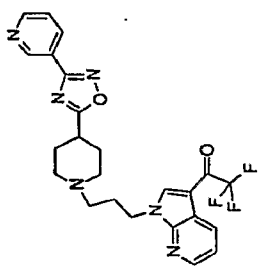
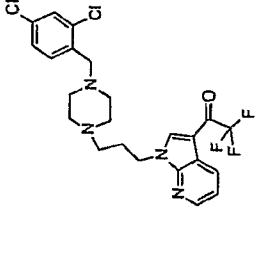
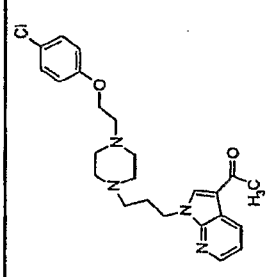
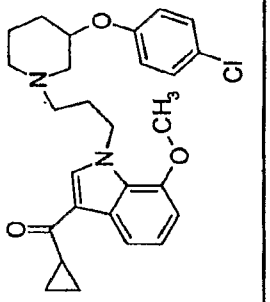
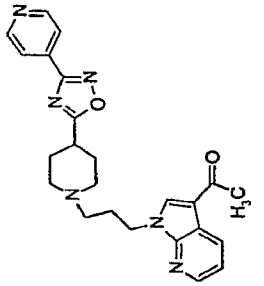
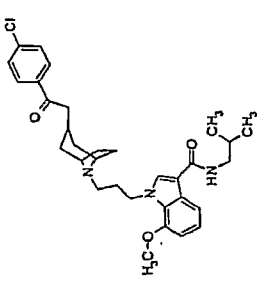
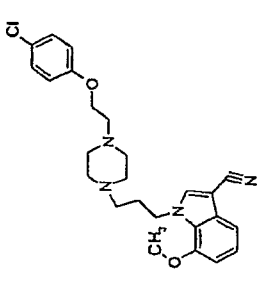
41	-3	27	-13
-	-	-	-
			
	6.0		5.6
147	93	84	118
7.0	7.0	7.0	7.0
			

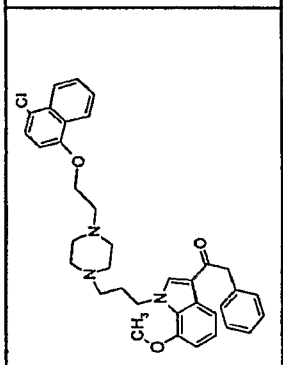
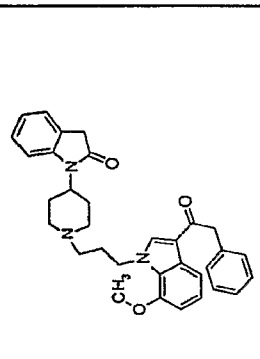
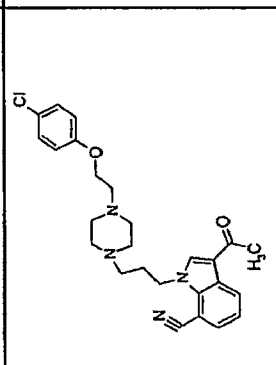
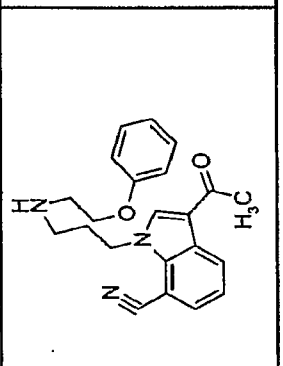
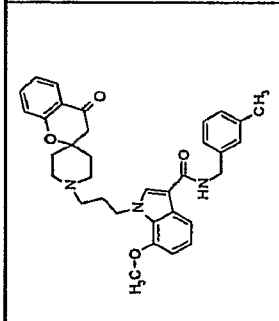
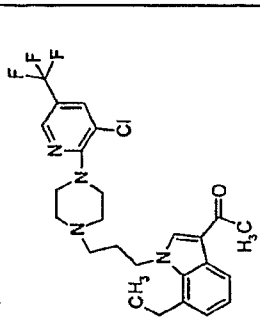
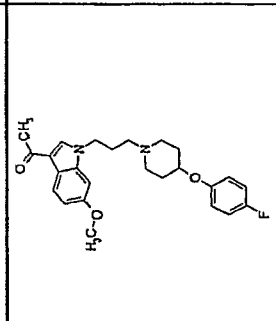
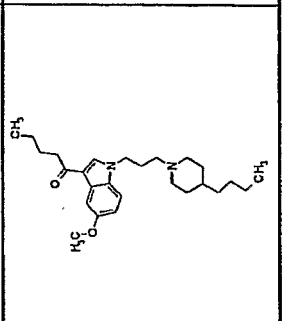
	7.0	87	-			-	25
	7.0	106	7.9			-	12
	7.0	101	-			-	12
	7.0	83				-	24

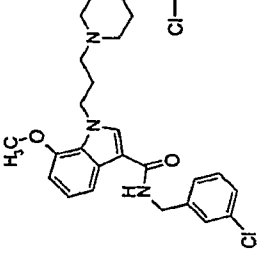
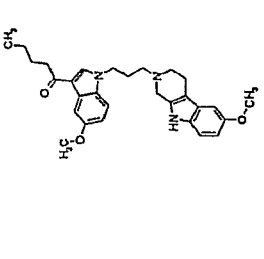
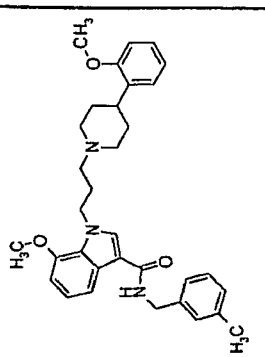
	7.0	115	5.8			-	61
	7.0	91				-	29
	7.0	102				-	-7
	6.9	81				-	31

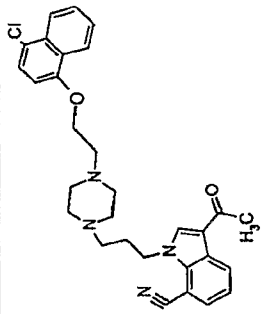
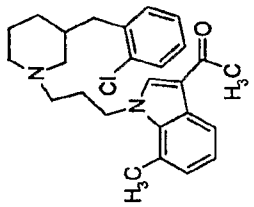
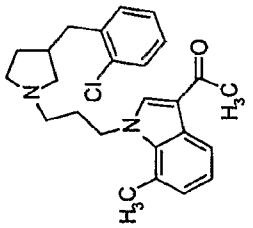
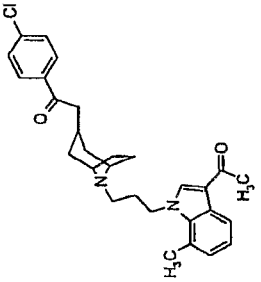
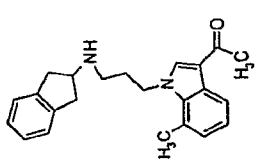
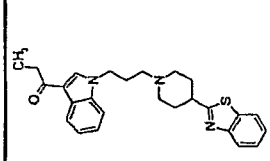
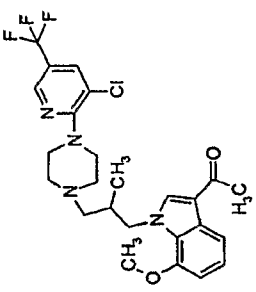
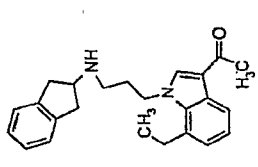
	6.9	99	5.3		-	78		
	6.9	110			-	83		
	6.9	106			-	-3		
	6.9	108			-	27		

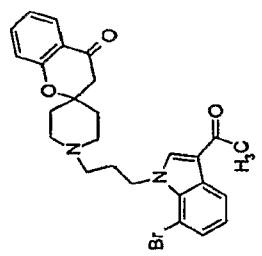
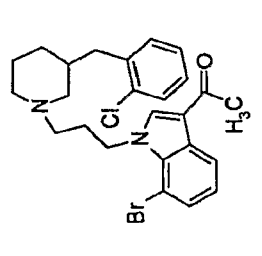
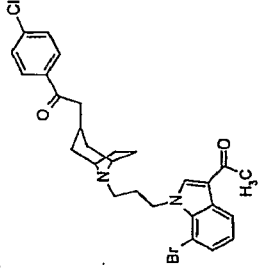
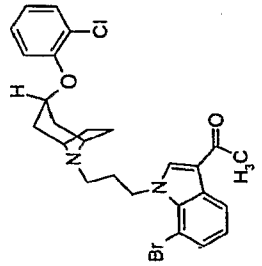
	6.9	114				-	38	
	6.9	118				-	26	
	6.9	111	6.6			-	51	
	6.9	85				-	31	

	6.9	104	5.6			-	72
	6.9	78				-	62
	6.9	37				-	88
	6.9	128				-	-23

46	24	4	11
-	-	-	-
			
63	90	70	104
6.8	6.8	6.8	6.8
			

	6.8	93			-	33	
	6.9	91			-	23	
	6.9	127			-	30	
	6.9	125			-	42	

53	38	47	19
-	-	-	-
			
70	104	95	98
6.8	6.8	6.8	6.8
			

	6.8	118			-	3	
	6.8	90	5.0		-	-18	
	6.8	137			-	33	
	6.8	120			-	65	

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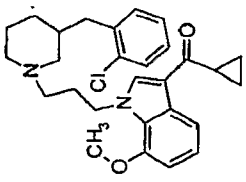	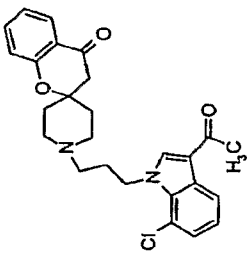	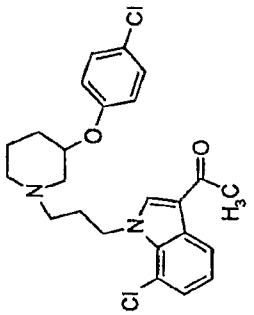	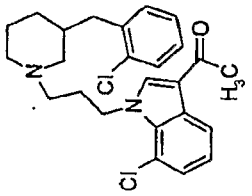
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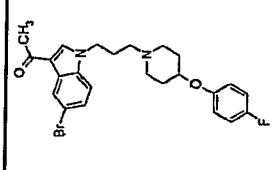
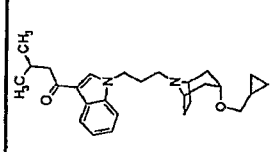
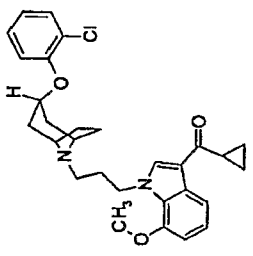
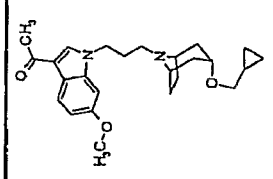
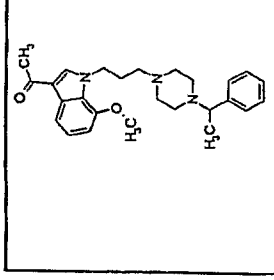
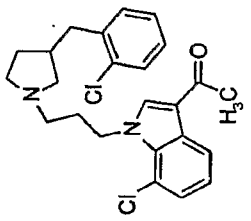
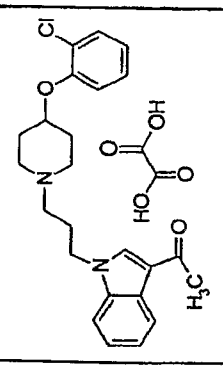
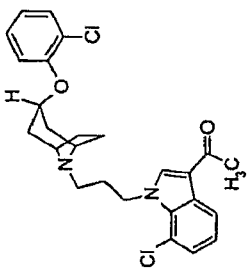
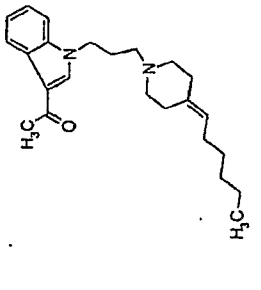
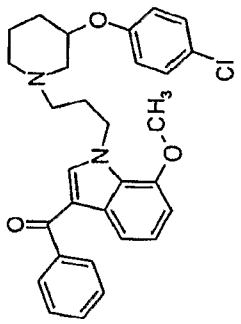
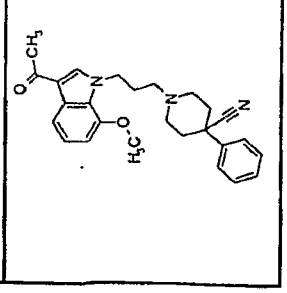
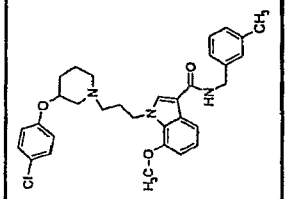
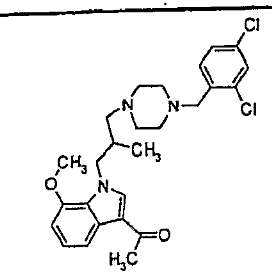
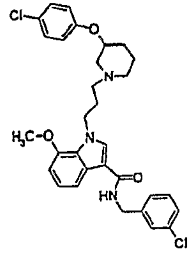
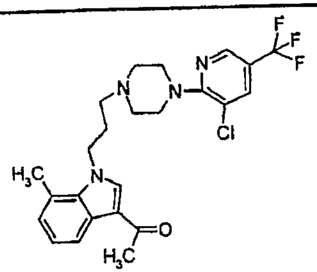
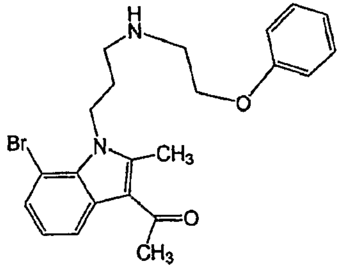
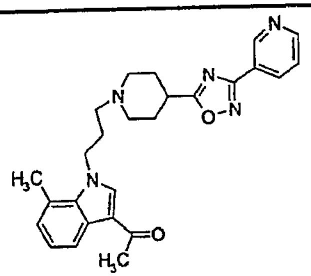
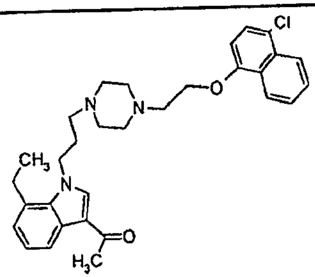
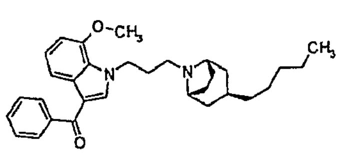
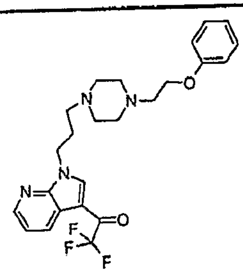
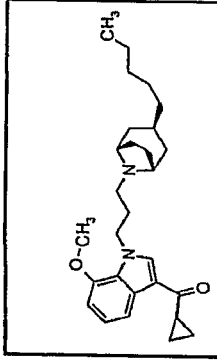
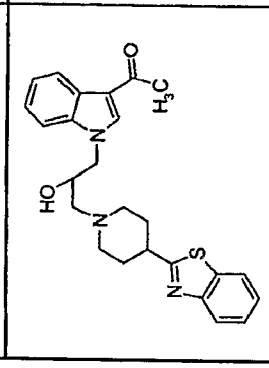
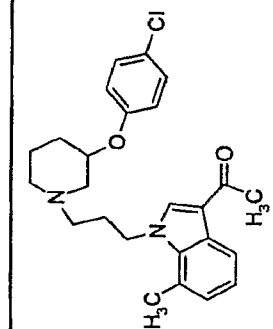
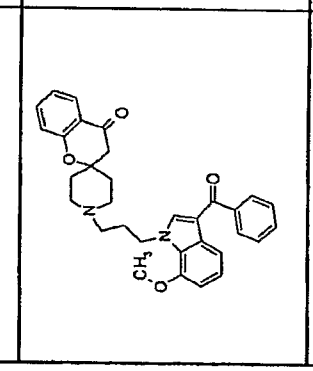
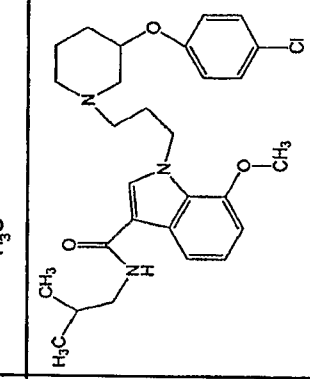
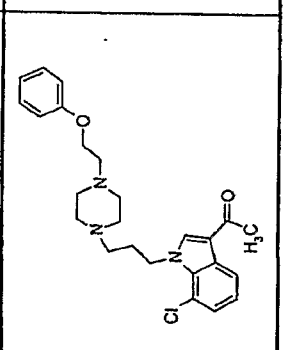
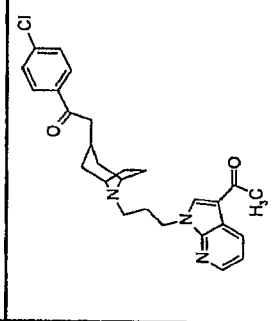
6.8	6.8	6.8	6.8
			

	6.8	114			-	37		
	6.8	105			-	60		
	6.7	139	5.7		-	48		
	6.7	101			-	14		

	6.7	78				-	31		
	6.7	103				-	5		
	6.7	110				-	29		
	6.7	91				-	27		

	6.7	101				-	6	
	6.7	58				-	-2	
	6.7	60				-	9	
	6.7	91				-	11	

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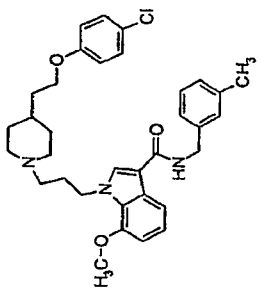	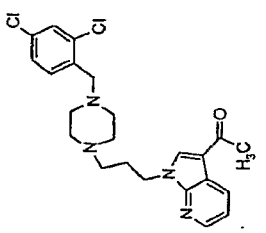		
7.4			
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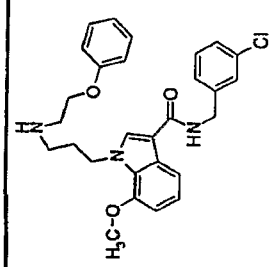
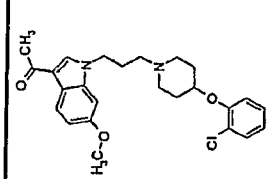
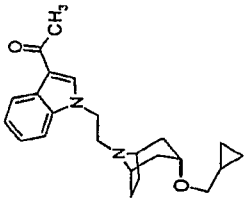
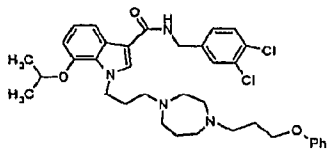
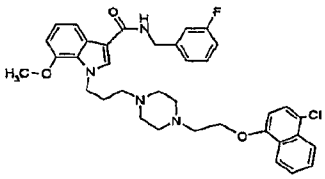
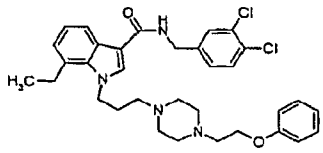
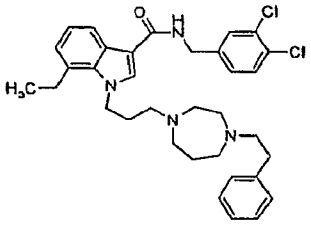
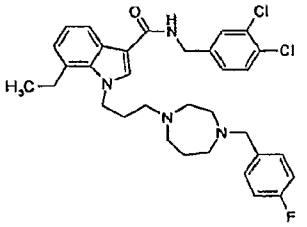
6.6	6.6	6.6	
			

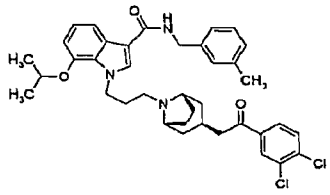
C001 

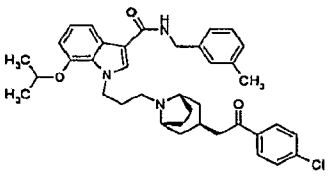
C002 

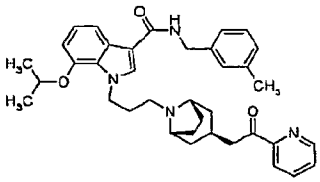
C003 

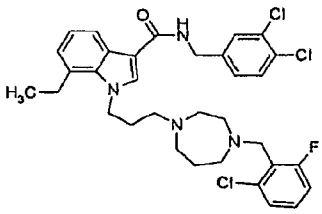
C004 

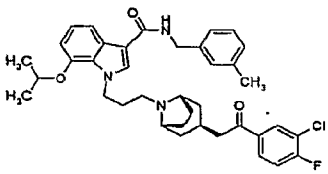
C005 

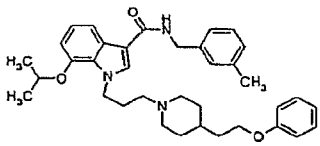
C006 

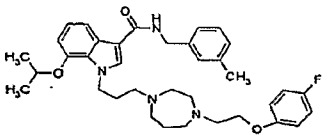
C007 

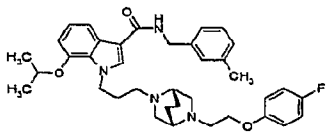
C008 

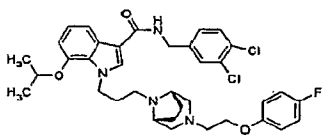
C009 

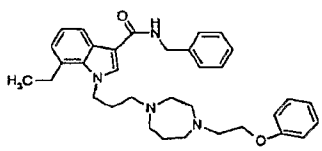
C010 

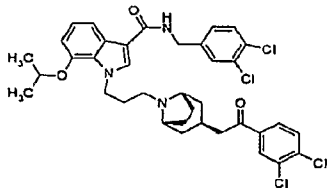
C011 

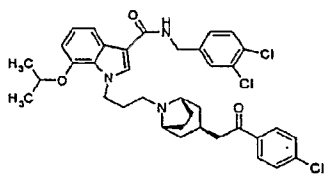
C012 

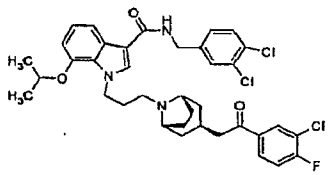
C013 

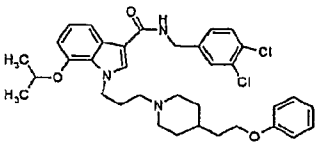
C014 

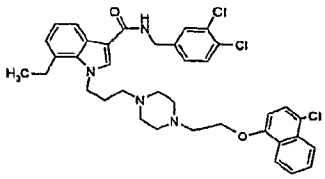
C015 

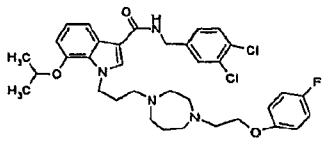
C016 

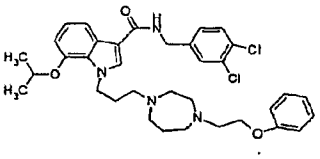
C017 

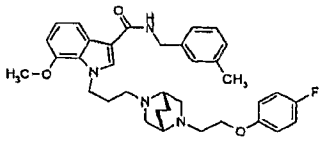
C018 

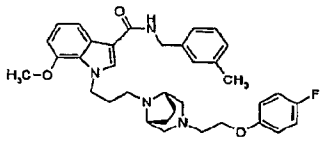
C019 

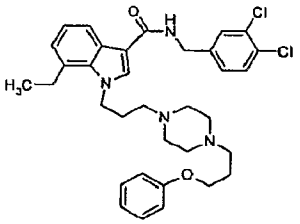
C020 

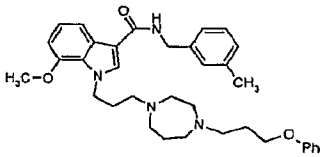
C021 

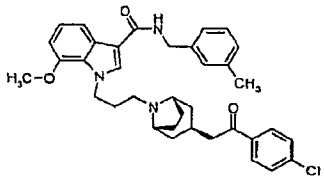
C022 

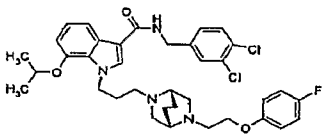
C023 

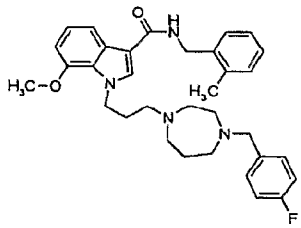
C024 

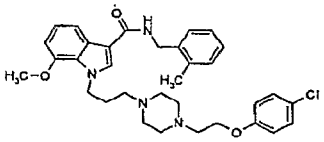
C025 

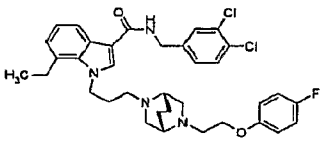
C026 

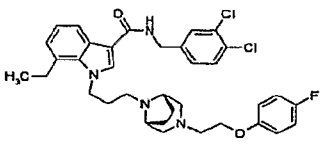
C027 

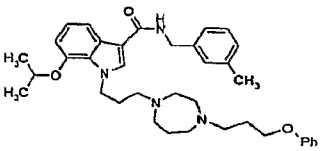
C028 

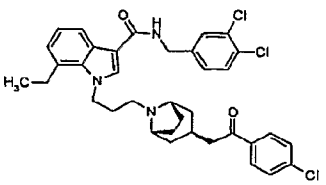
C029 

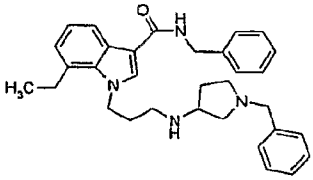
C030 

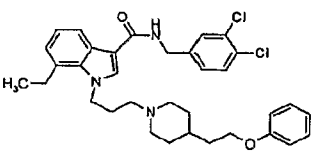
C031 

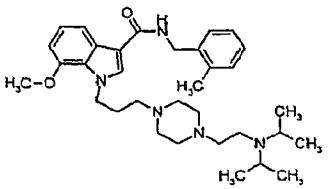
C032 

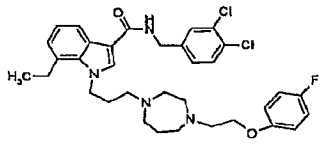
C033 

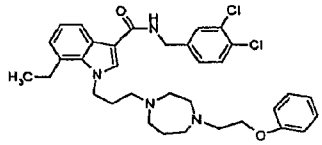
C034 

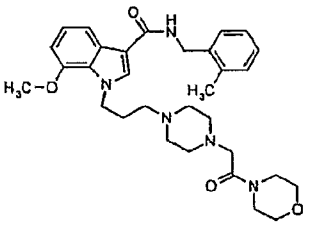
C035 

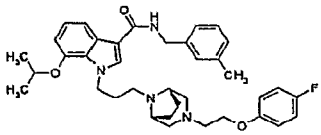
C036 

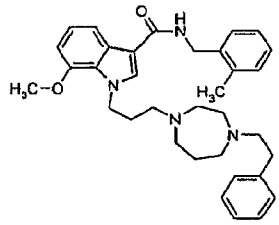
C037 

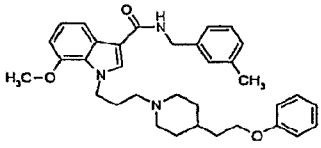
C038 

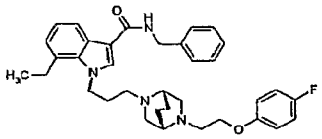
C039 

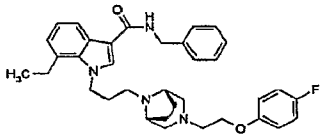
C040 

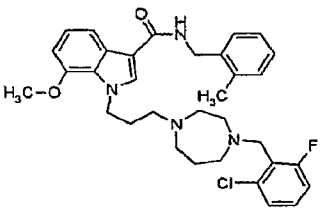
C041 

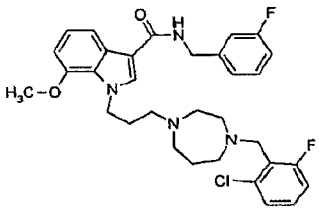
C042 

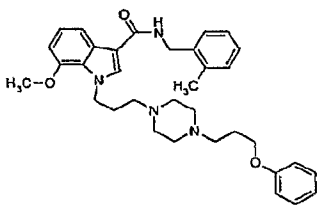
C043 

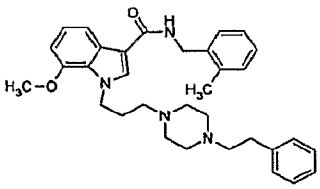
C044 

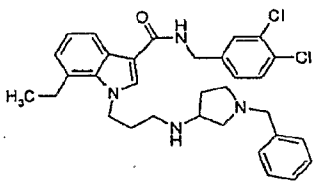
C045 

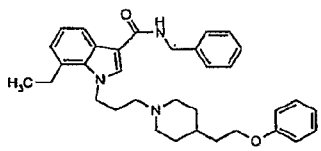
C046 

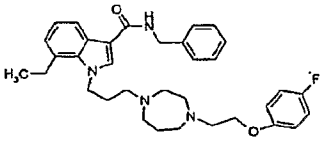
C047 

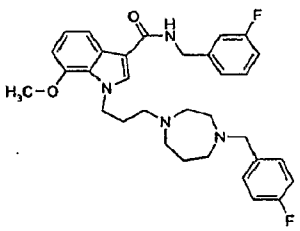
C048 

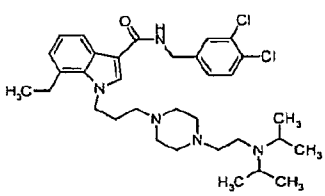
C049 

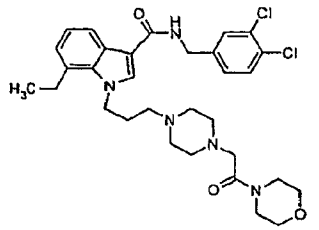
C050 

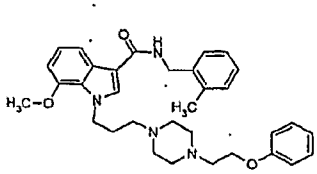
C051 

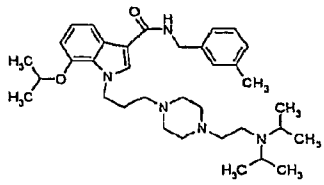
C052 

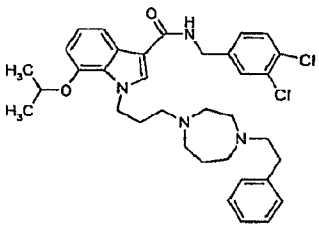
C053 

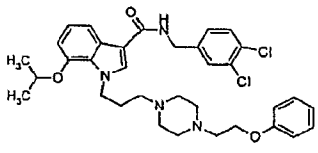
C054 

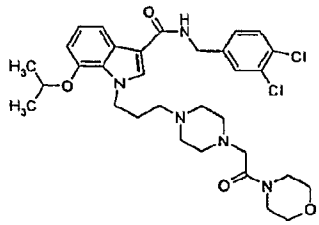
C055 

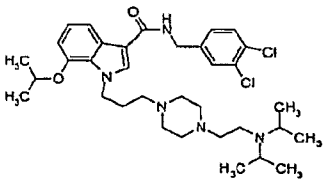
C056 

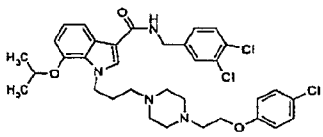
C057 

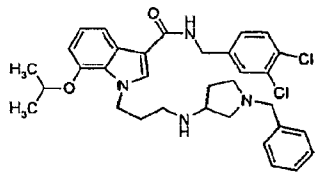
C058 

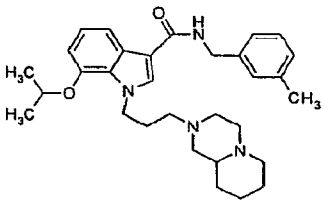
C059 

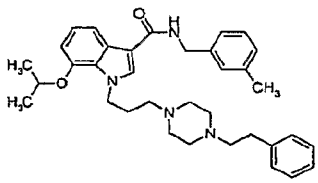
C060 

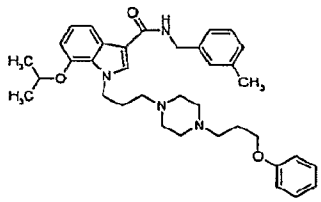
C061 

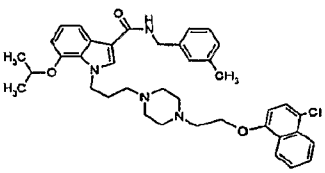
C062 

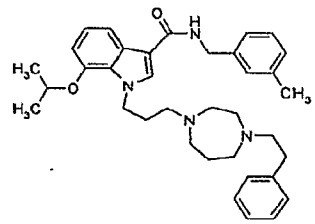
C063 

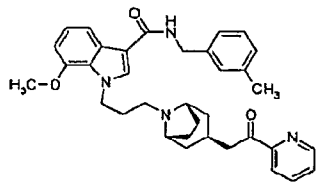
C064 

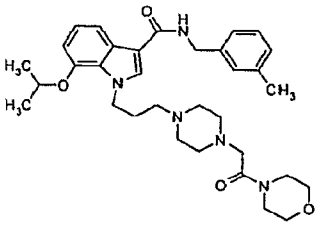
C065 

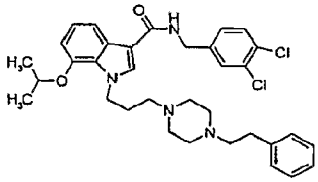
C066 

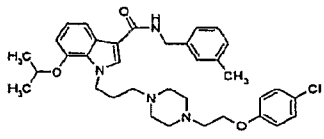
C067 

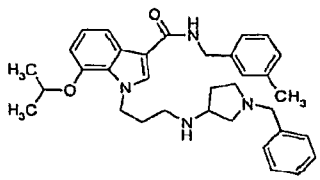
C068 

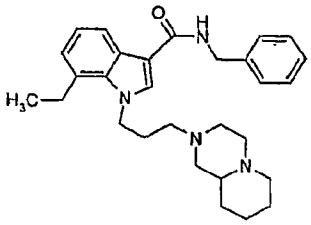
C069 

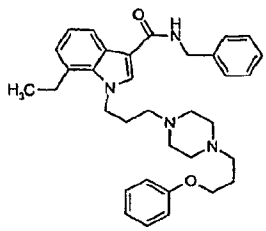
C070 

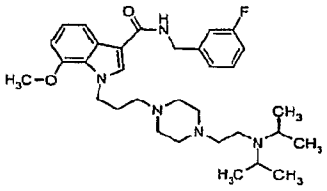
C071 

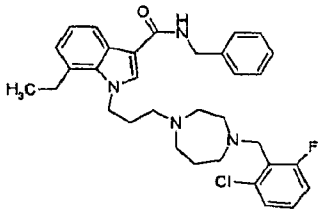
C072 

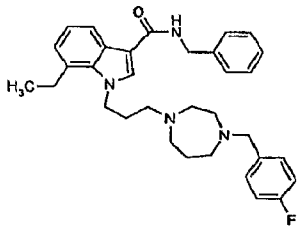
C073 

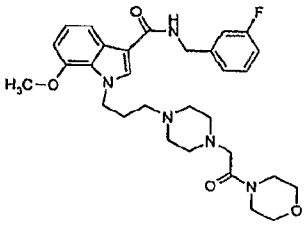
C074 

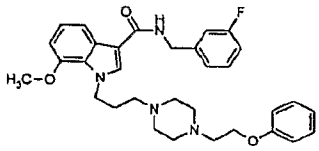
C075 

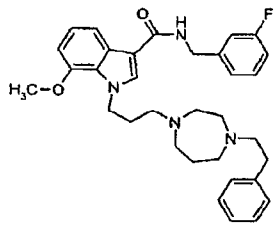
C076 

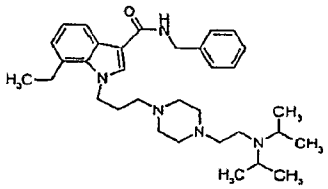
C077 

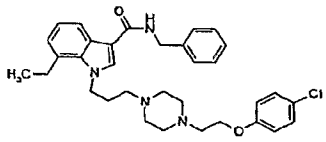
C078 

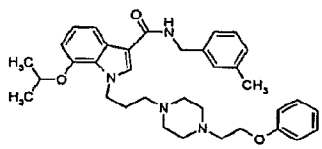
C079 

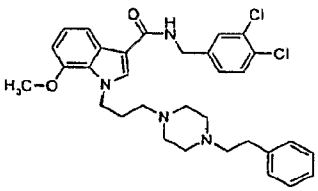
C080 

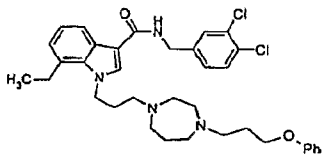
C081 

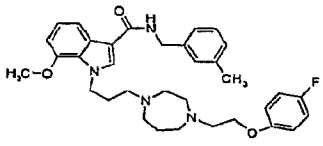
C082 

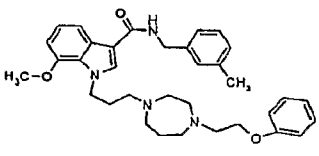
C083 

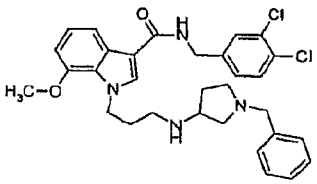
C084 

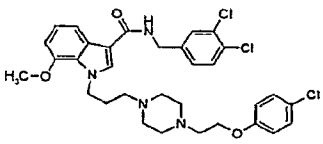
C085 

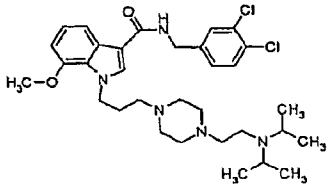
C086 

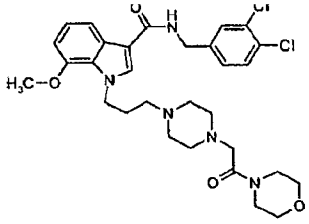
C087 

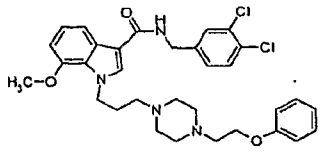
C088 

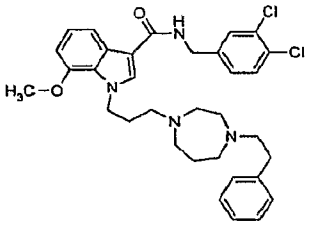
C089 

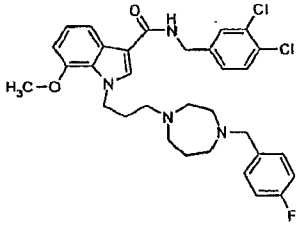
C090 

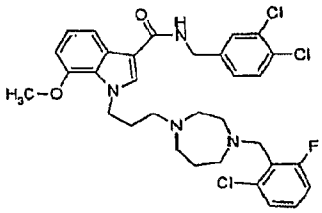
C091 

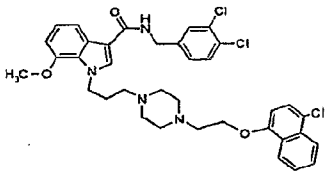
C092 

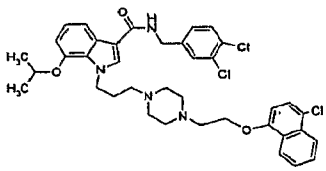
C093 

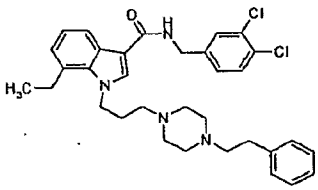
C094 

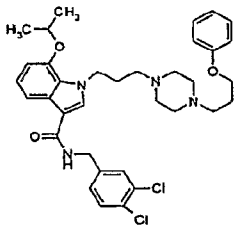
C095 

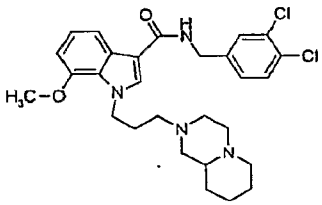
C096 

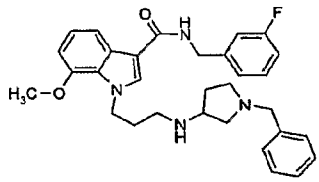
C097 

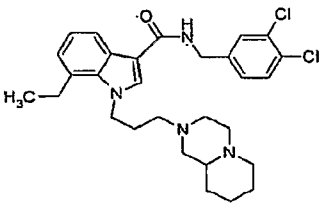
C098 

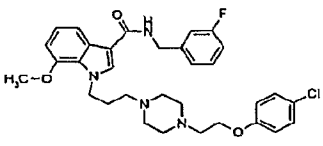
C099 

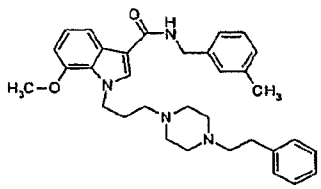
C100 

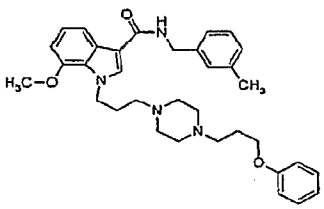
C101 

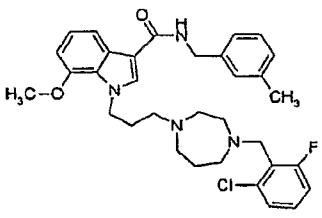
C102 

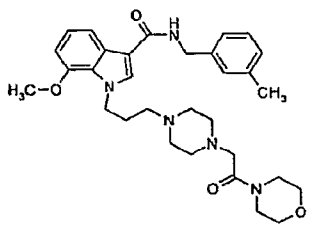
C103 

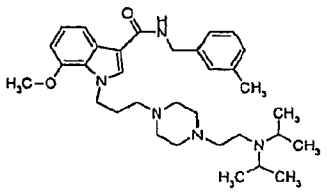
C104 

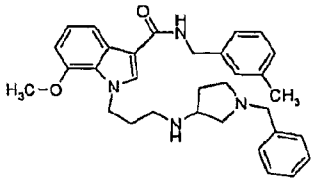
C105 

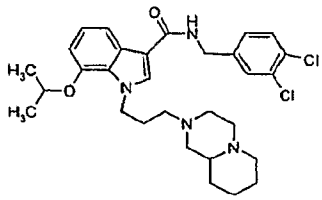
C106 

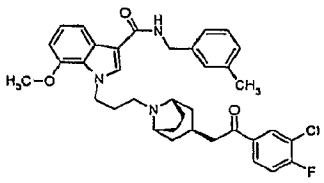
C107 

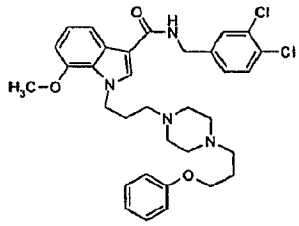
C108 

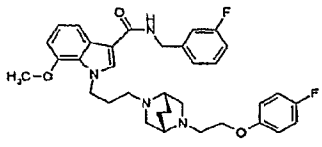
C109 

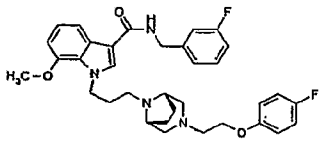
C110 

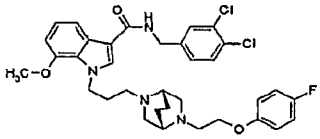
C111 

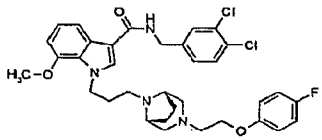
C112 

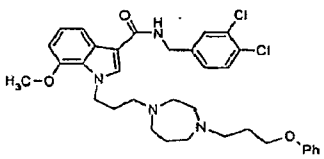
C113 

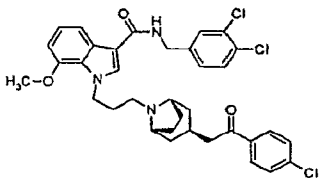
C114 

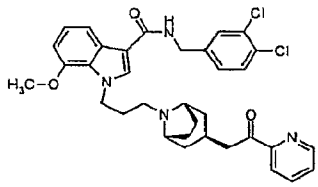
C115 

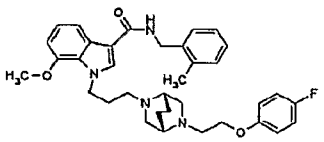
C116 

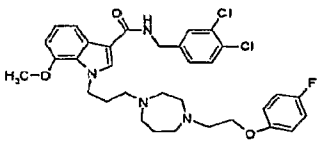
C117 

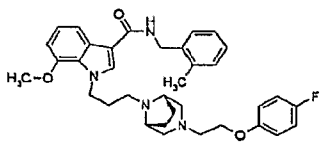
C118 

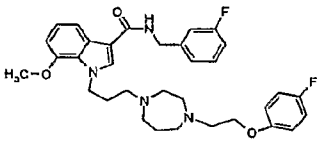
C119 

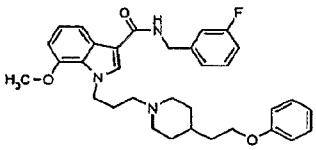
C120 

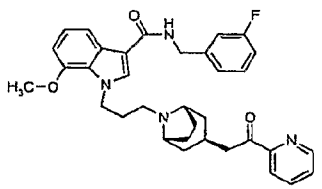
C121 

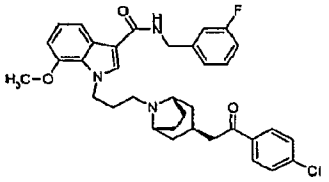
C122 

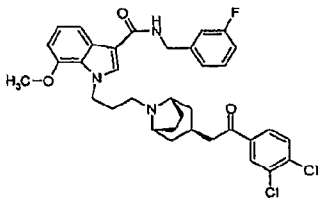
C123 

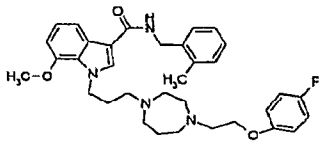
C124 

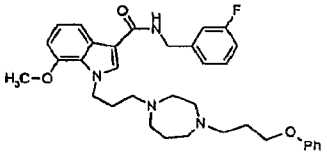
C125 

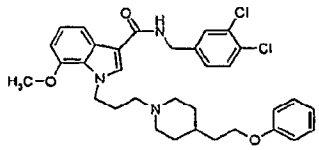
C126 

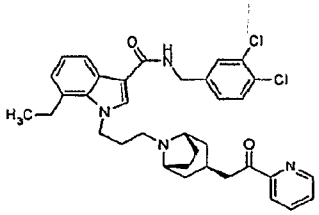
C127 

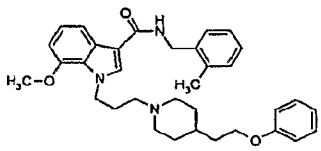
C128 

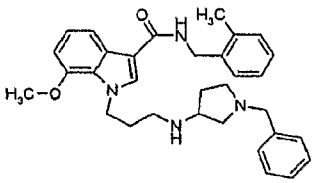
C129 

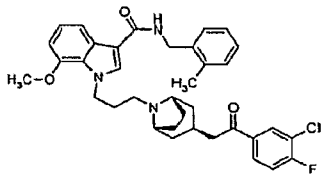
C130 

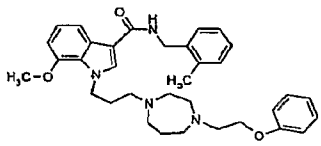
C131 

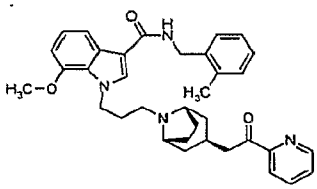
C132 

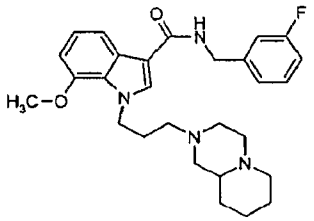
C133 

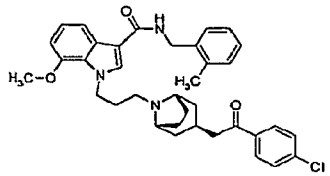
C134 

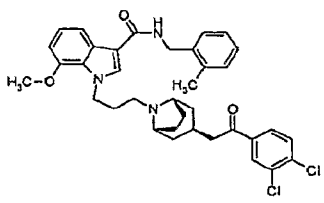
C135 

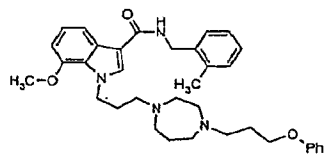
C136 

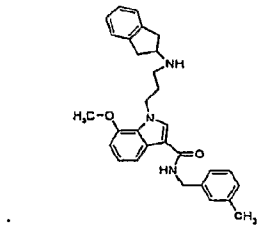
C137 

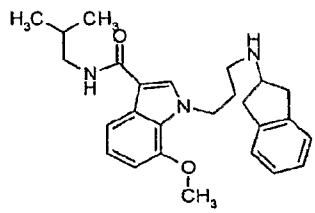
C138 

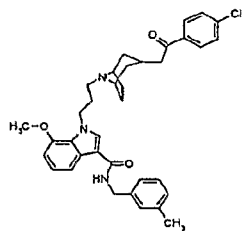
C139 

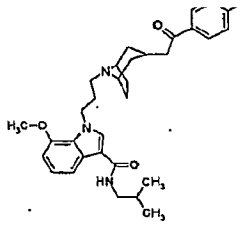
C140 

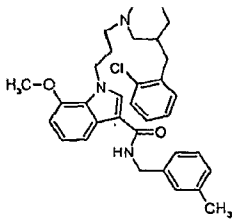
C141 

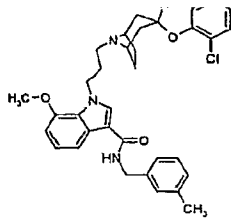
C142 

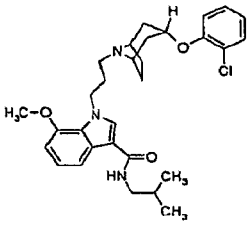
C143 

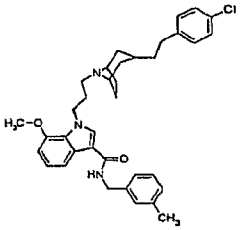
C144 

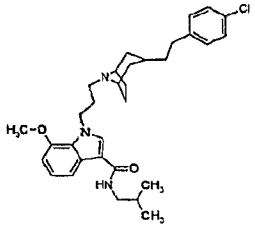
C145 

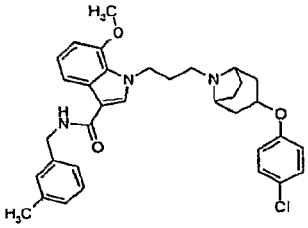
C146 

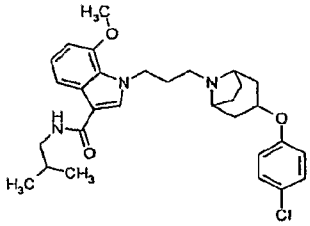
C147 

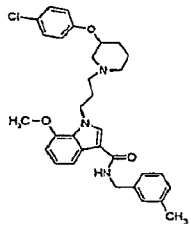
C148 

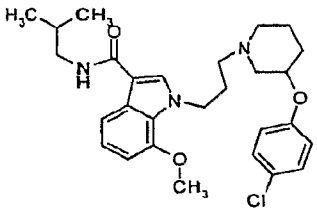
C149 

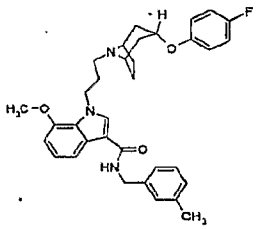
C150 

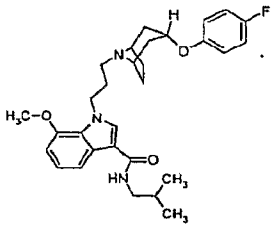
C151 

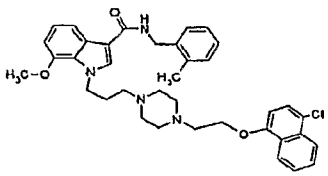
C152 

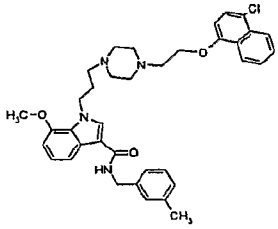
C153 

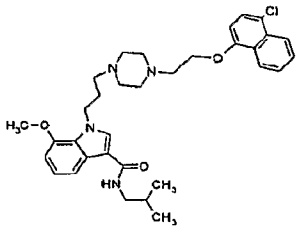
C154 

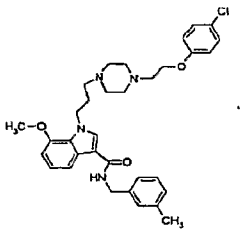
C155 

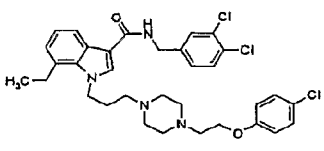
C156 

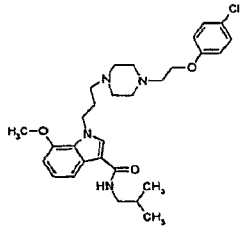
C157 

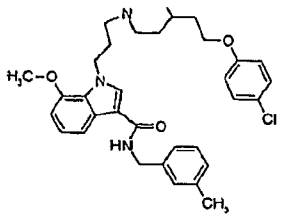
C158 

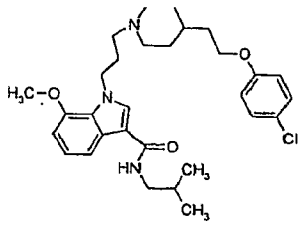
C159 

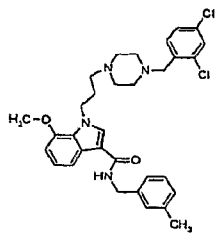
C160 

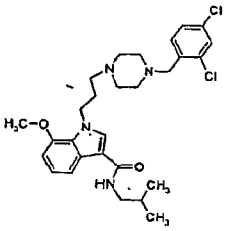
C161 

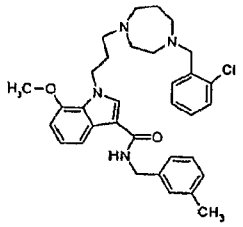
C162 

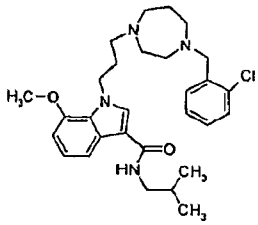
C163 

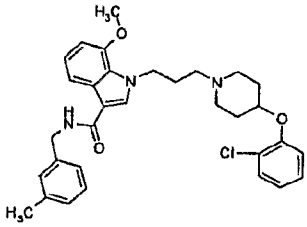
C164 

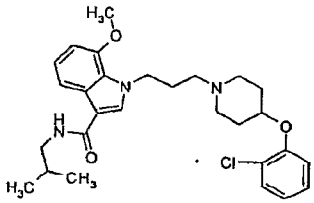
C165 

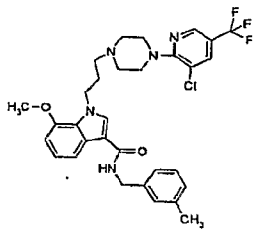
C166 

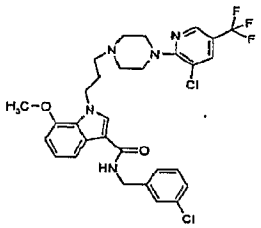
C167 

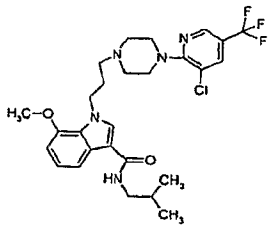
C168 

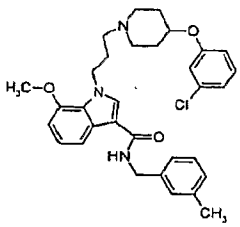
C169 

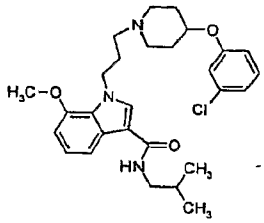
C170 

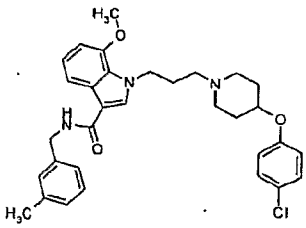
C171 

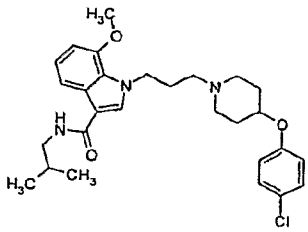
C172 

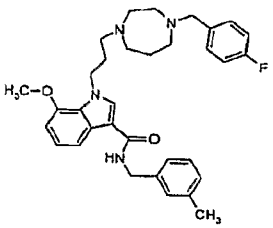
C173 

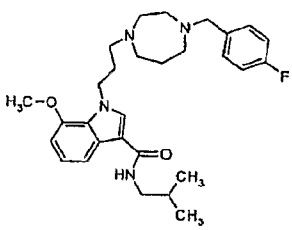
C174 

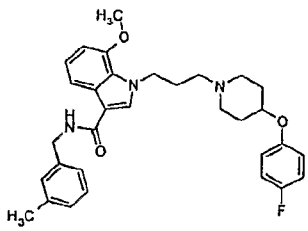
C175 

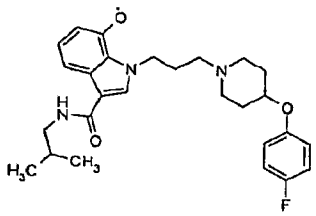
C176 

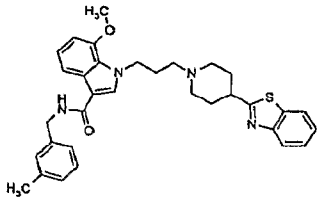
C177 

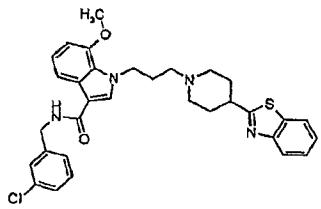
C178 

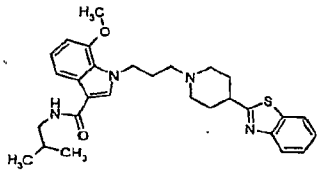
C179 

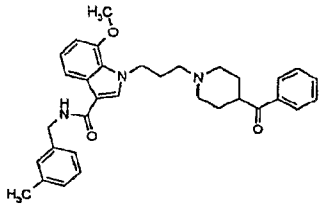
C180 

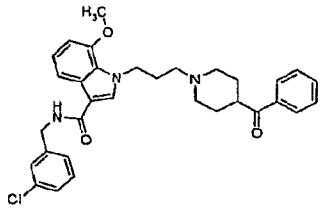
C181 

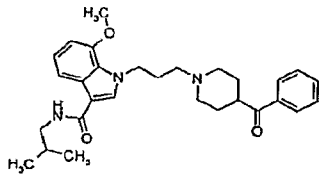
C182 

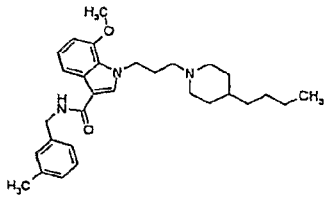
C183 

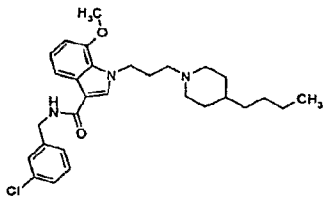
C184 

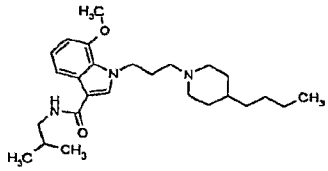
C185 

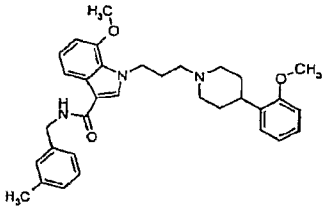
C186 

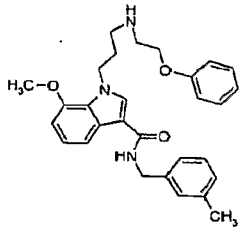
C187 

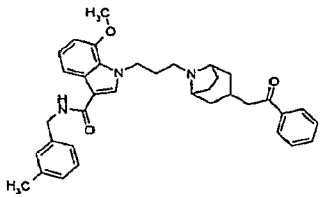
C188 

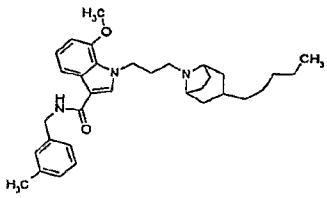
C189 

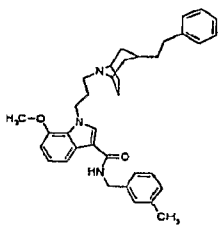
C190 

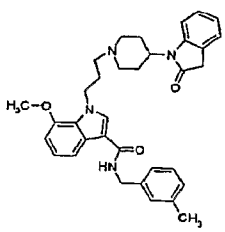
C191 

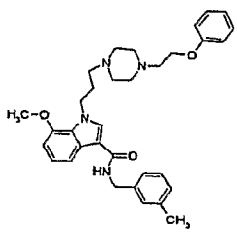
C192 

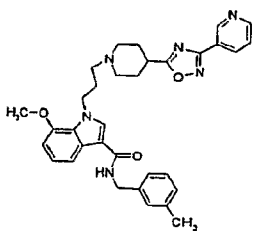
C193 

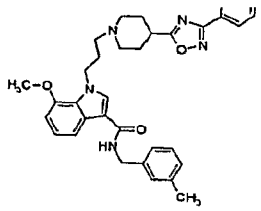
C194 

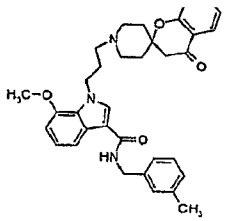
C195 

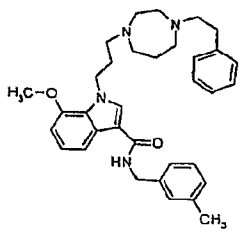
C196 

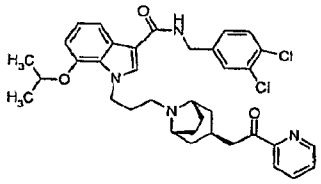
C197 

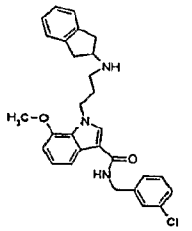
C198 

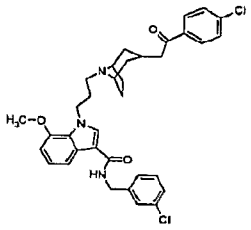
C199 

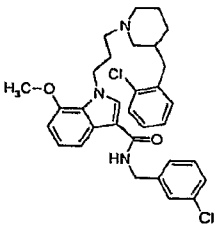
C200 

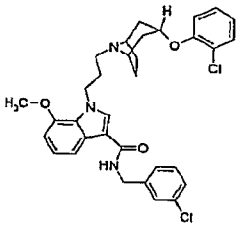
C201 

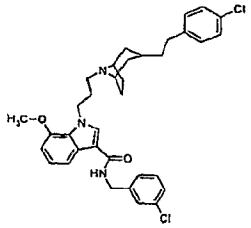
C202 

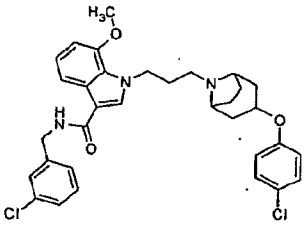
C203 

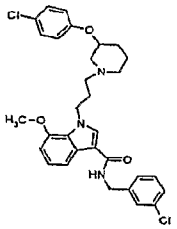
C204 

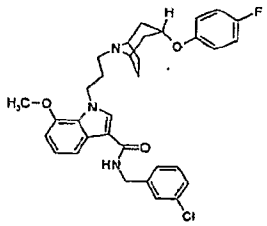
C205 

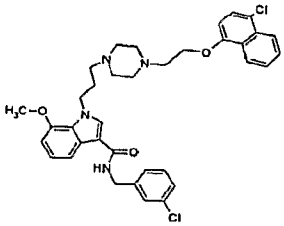
C206 

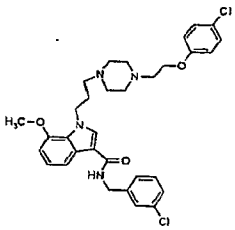
C207 

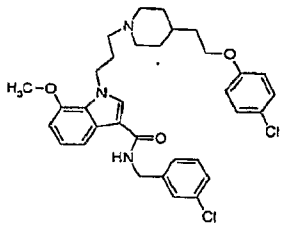
C208 

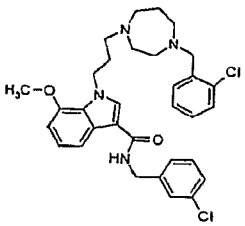
C209 

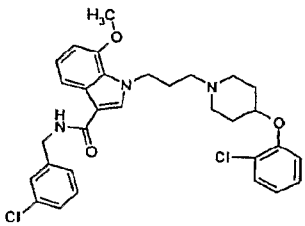
C210 

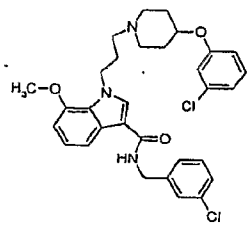
C211 

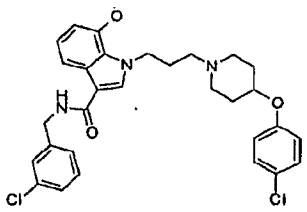
C212 

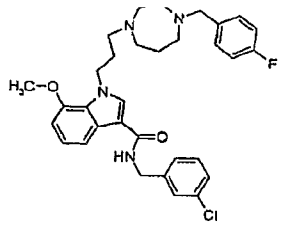
C213 

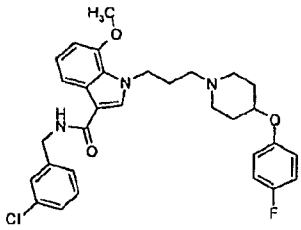
C214 

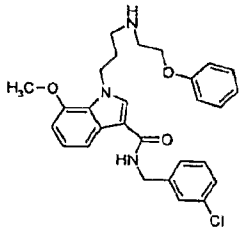
C215 

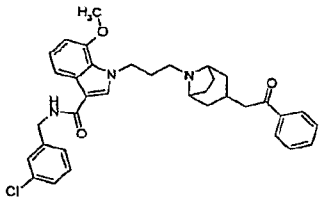
C216 

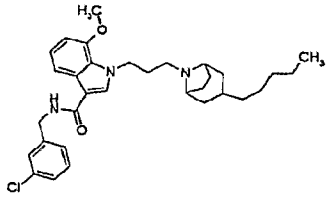
C217 

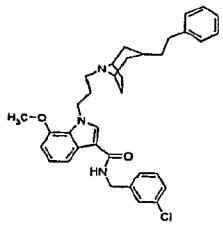
C218 

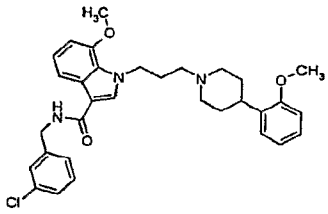
C219 

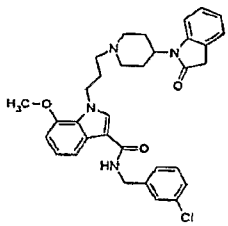
C220 

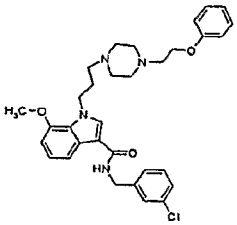
C221 

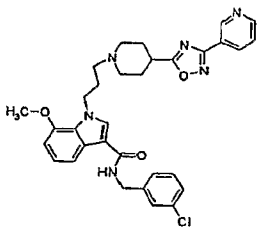
C222 

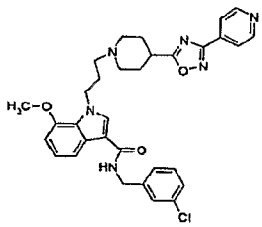
C223 

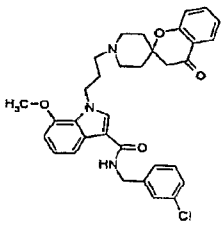
C224 

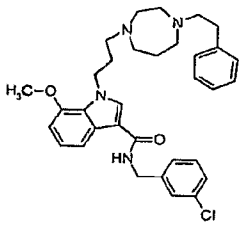
C225 

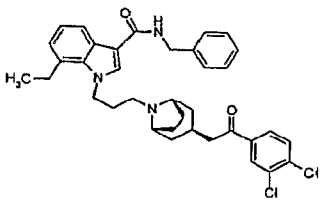
C226 

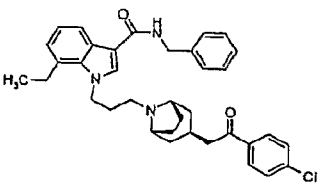
C227 

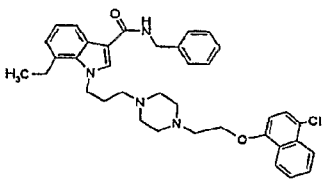
C228 

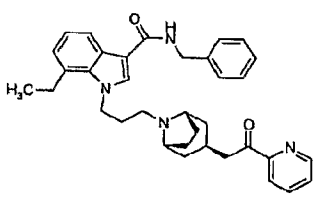
C229 

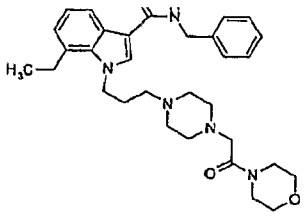
C230 

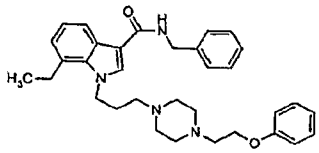
C231 

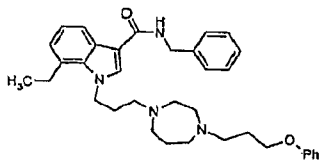
C232 

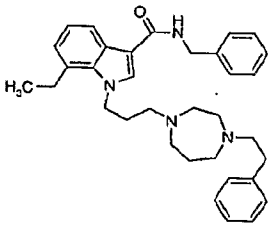
C233 

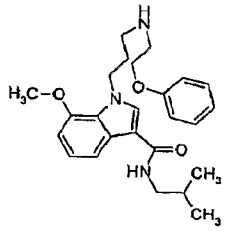
C234 

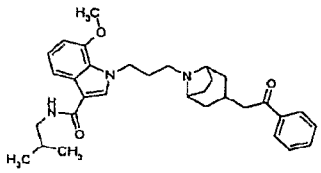
C235 

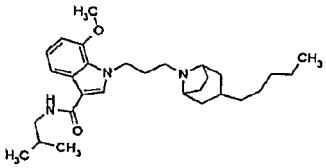
C236 

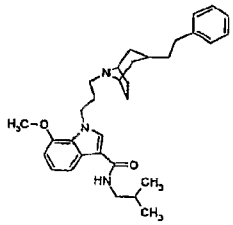
C237 

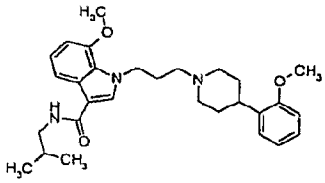
C238 

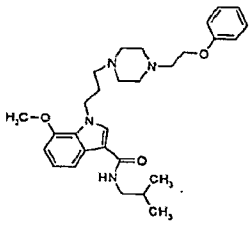
C239 

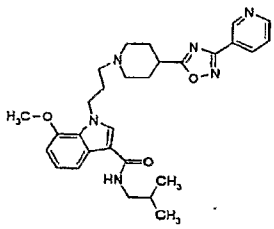
C240 

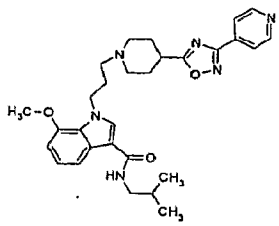
C241 

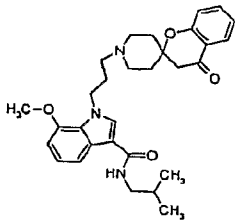
C242 

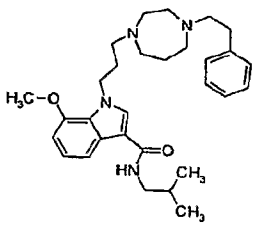
C243 

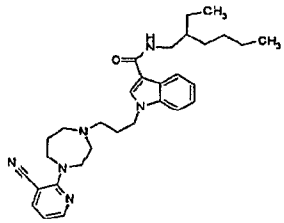
C244 

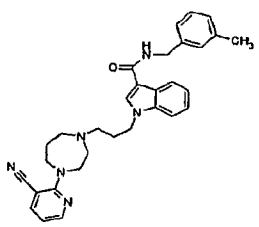
C245 

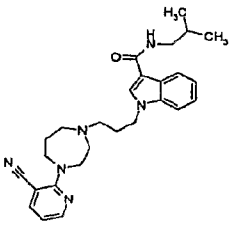
C246 

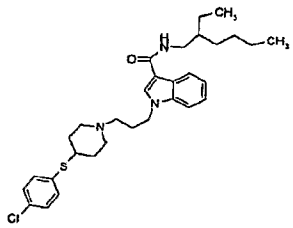
C247 

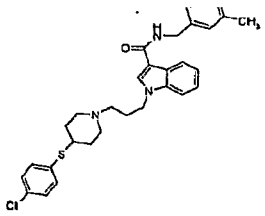
C248 

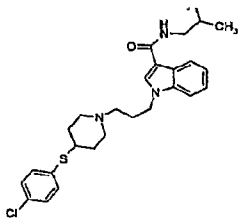
C249 

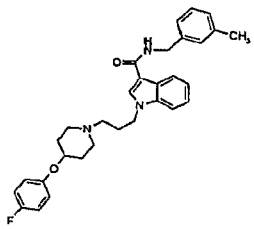
C250 

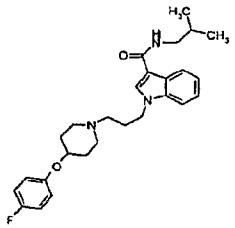
C251 

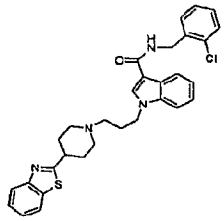
C252 

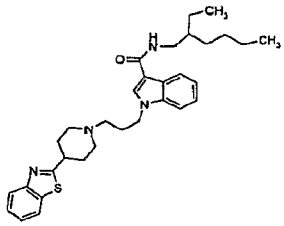
C253 

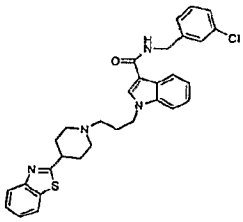
C254 

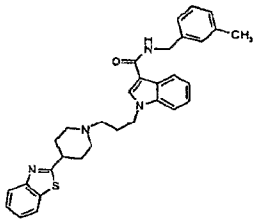
C255 

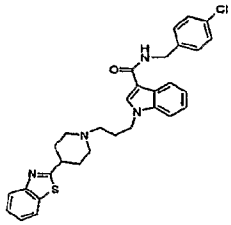
C256 

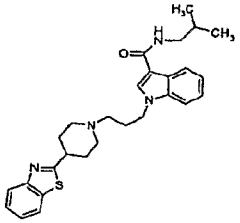
C257 

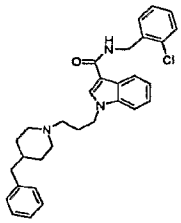
C258 

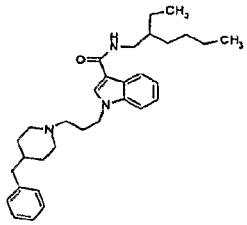
C259 

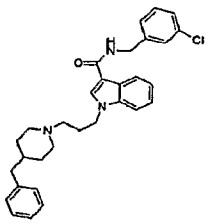
C260 

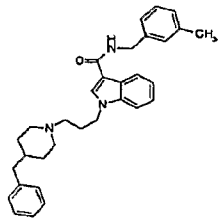
C261 

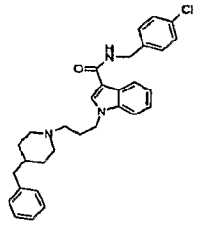
C262 

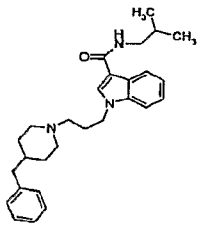
C263 

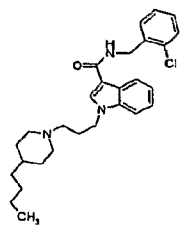
C264 

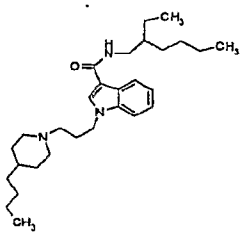
C265 

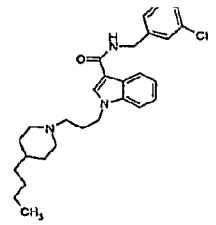
C266 

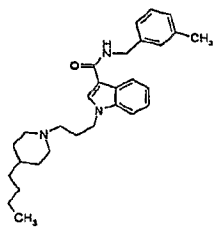
C267 

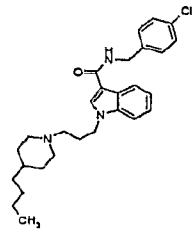
C268 

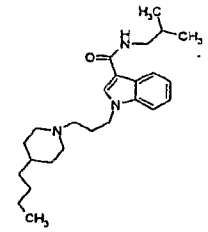
C269 

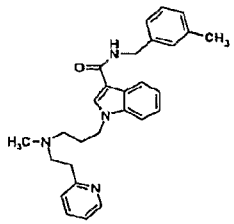
C270 

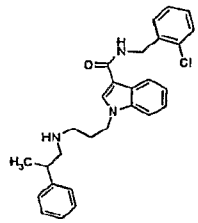
C271 

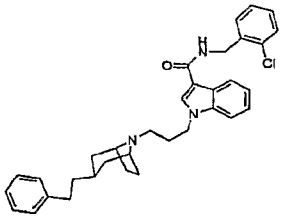
C272 

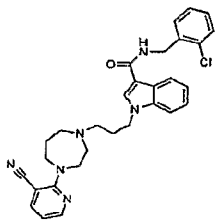
C273 

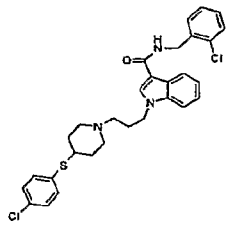
C274 

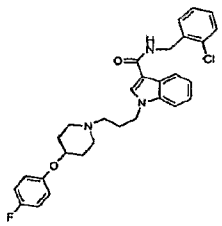
C275 

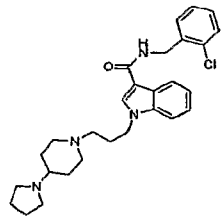
C276 

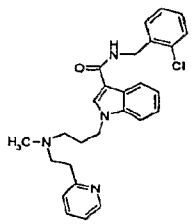
C277 

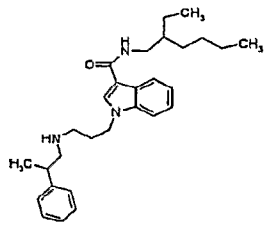
C278 

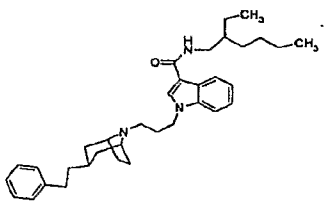
C279 

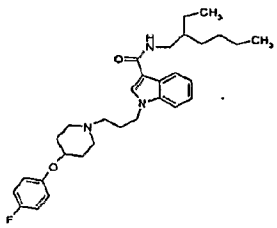
C280 

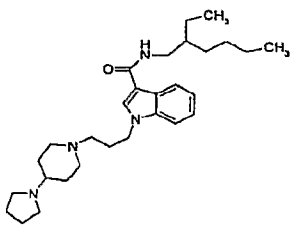
C281 

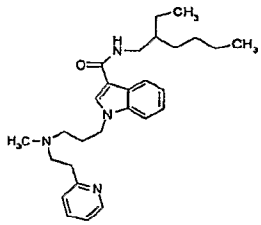
C282 

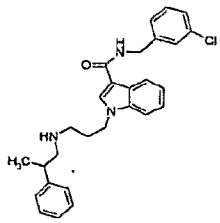
C283 

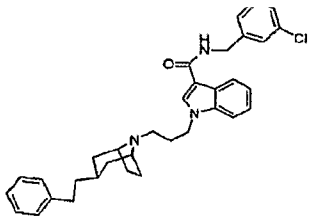
C284 

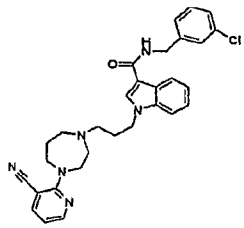
C285 

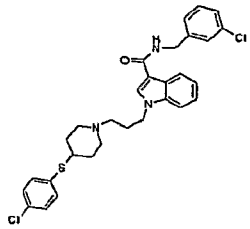
C286 

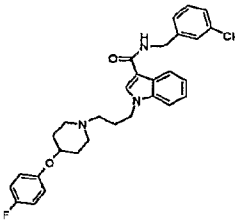
C287 

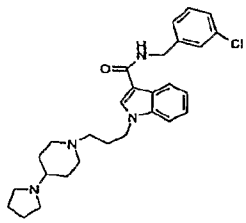
C288 

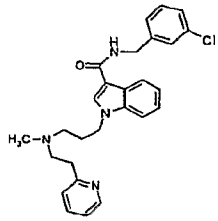
C289 

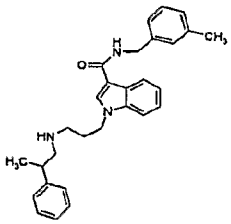
C290 

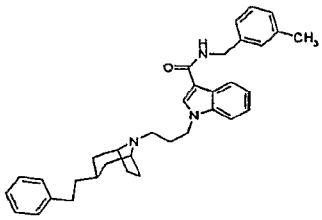
C291 

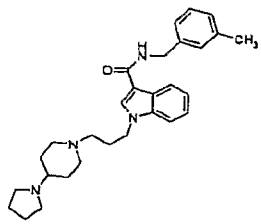
C292 

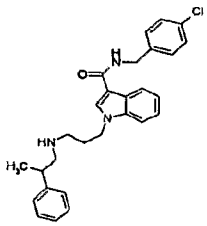
C293 

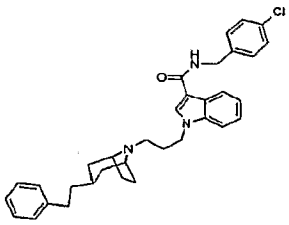
C294 

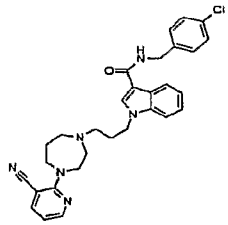
C295 

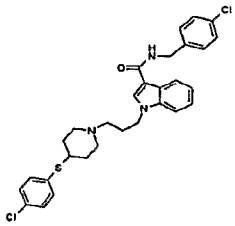
C296 

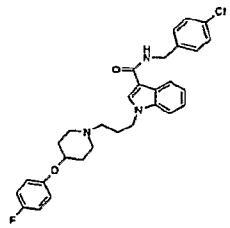
C297 

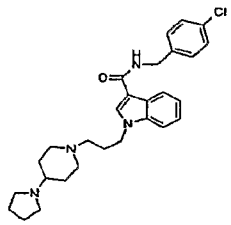
C298 

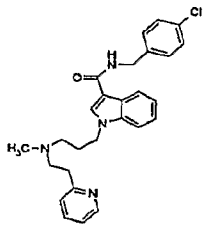
C299 

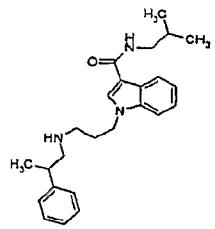
C300 

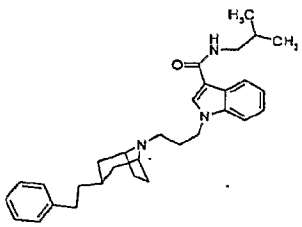
C301 

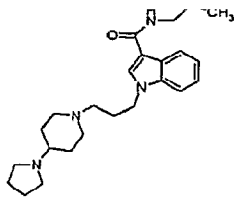
C302 

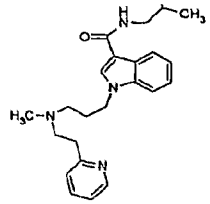
C303 

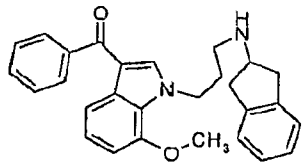
C304 

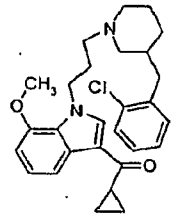
C305 

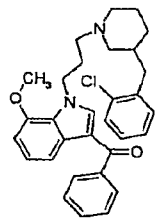
C306 

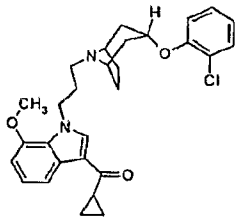
C307 

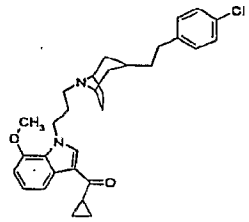
C308 

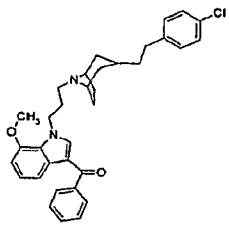
C309 

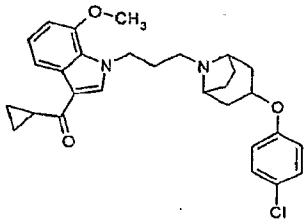
C310 

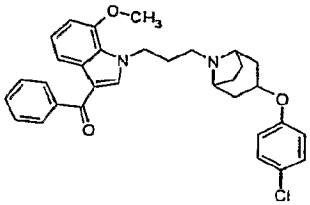
C311 

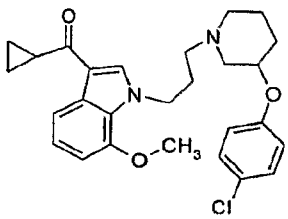
C312 

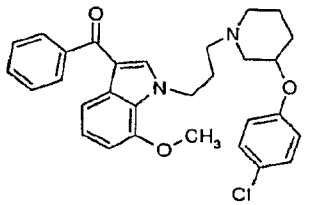
C313 

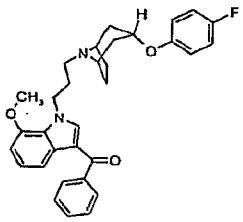
C314 

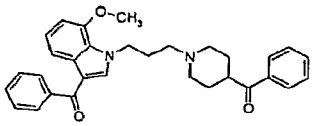
C315 

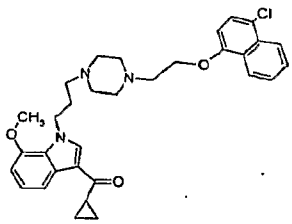
C316 

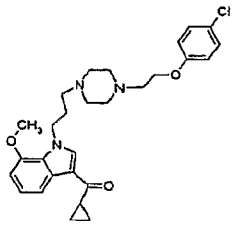
C317 

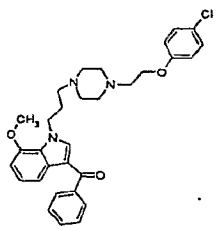
C318 

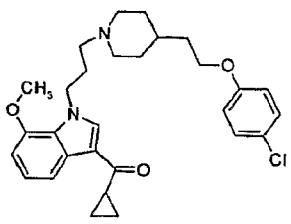
C319 

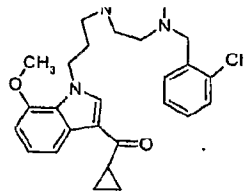
C320 

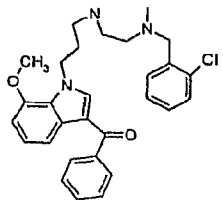
C321 

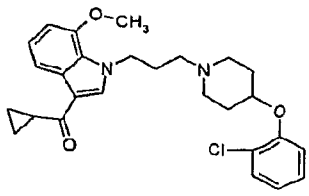
C322 

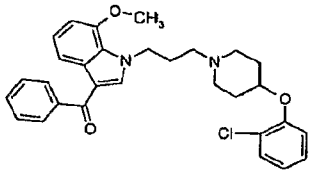
C323 

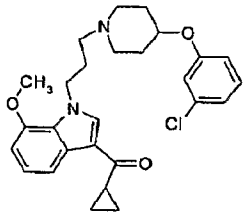
C324 

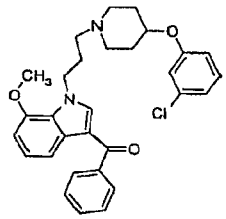
C325 

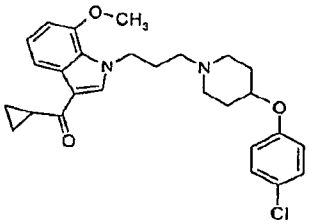
C326 

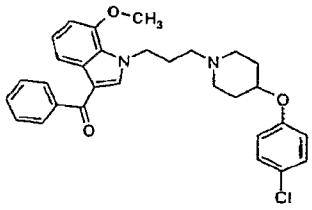
C327 

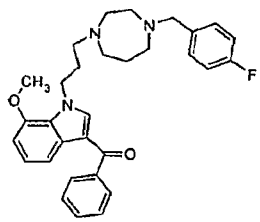
C328 

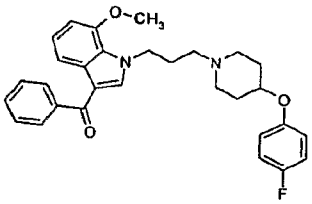
C329 

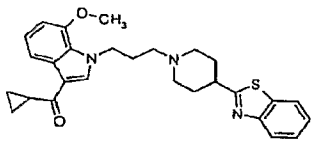
C330 

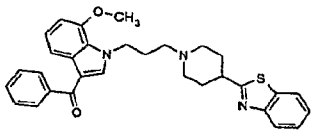
C331 

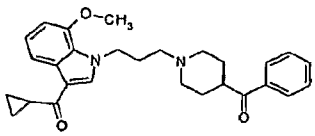
C332 

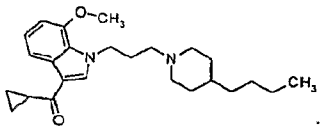
C333 

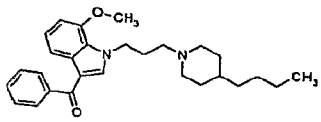
C334 

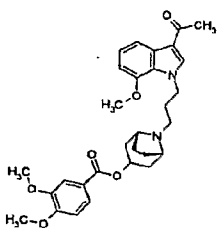
C335 

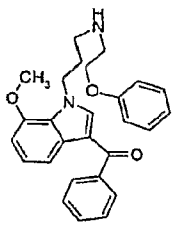
C336 

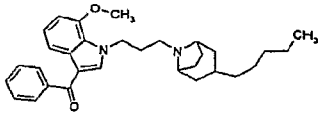
C337 

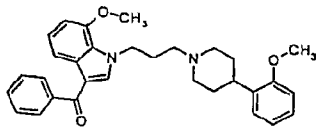
C338 

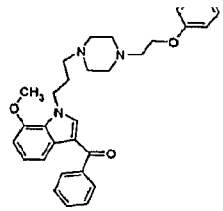
C339 

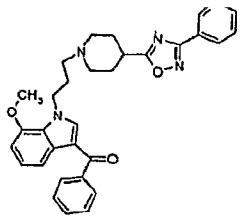
C340 

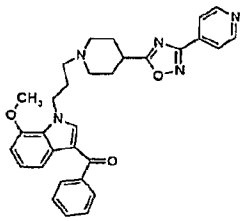
C341 

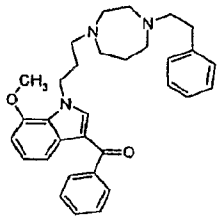
C342 

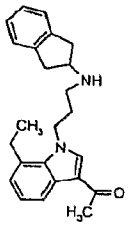
C343 

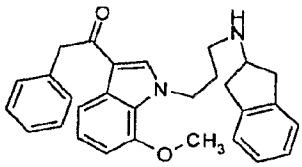
C344 

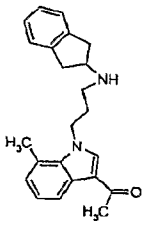
C345 

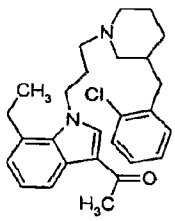
C346 

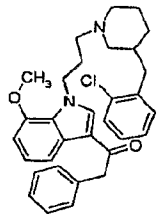
C347 

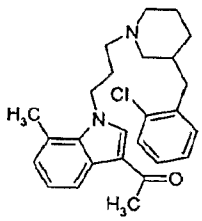
C348 

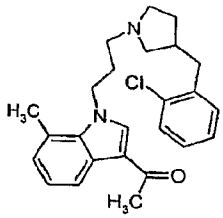
C349 

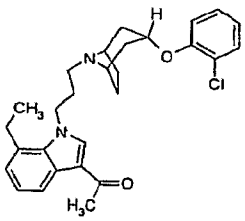
C350 

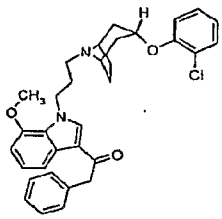
C351 

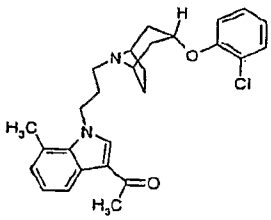
C352 

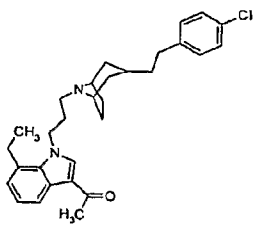
C353 

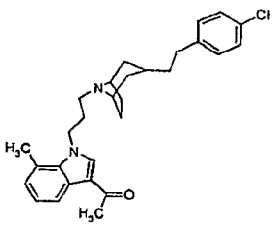
C354 

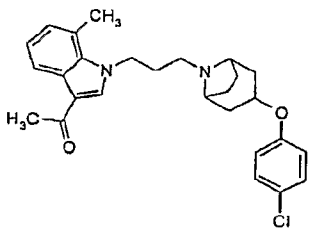
C355 

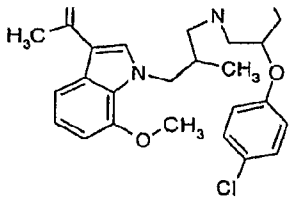
C356 

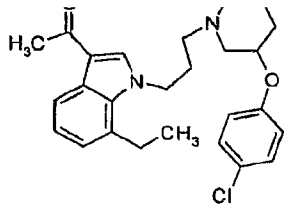
C357 

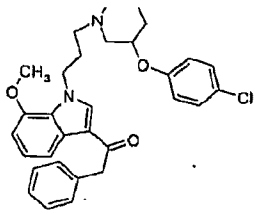
C358 

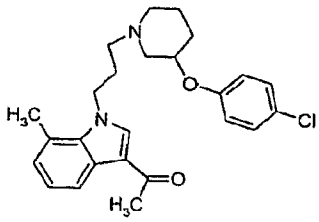
C359 

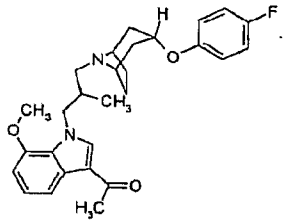
C360 

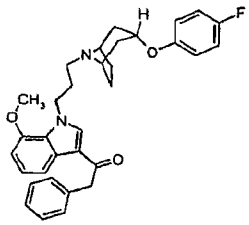
C361 

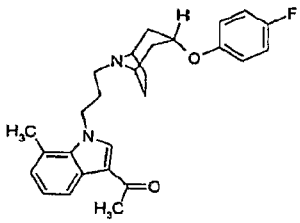
C362 

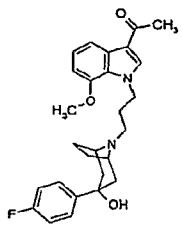
C363 

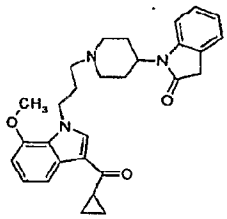
C364 

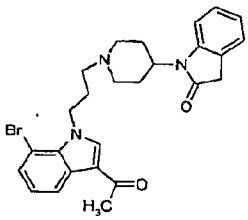
C365 

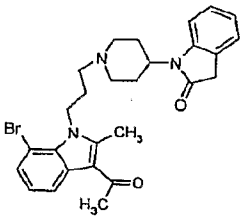
C366 

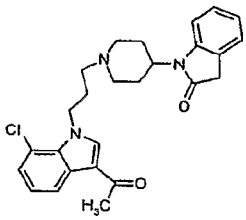
C367 

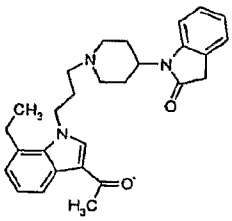
C368 

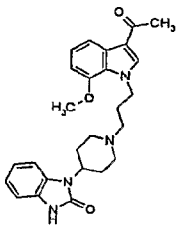
C369 

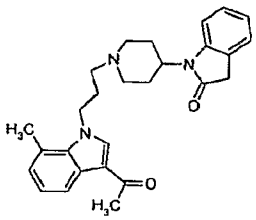
C370 

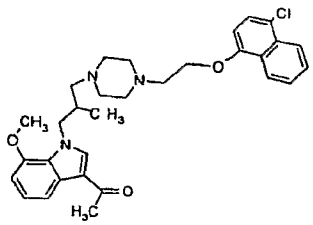
C371 

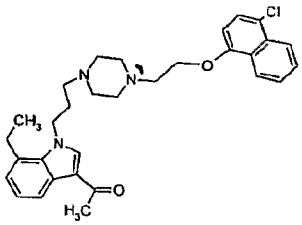
C372 

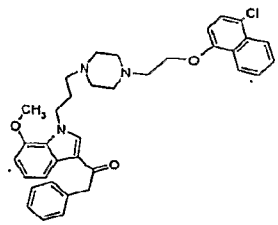
C373 

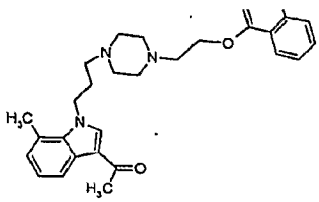
C374 

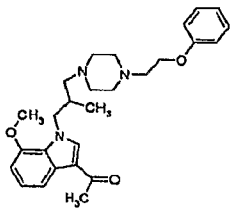
C375 

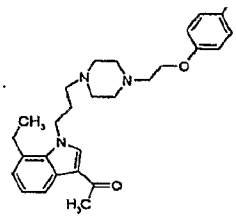
C376 

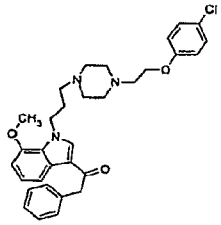
C377 

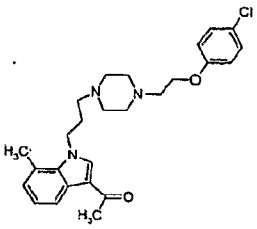
C378 

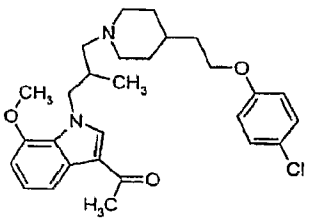
C379 

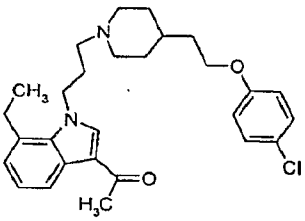
C380 

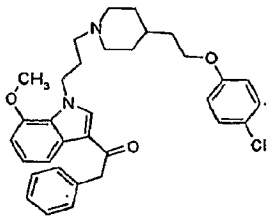
C381 

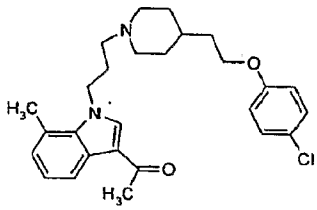
C382 

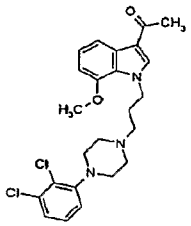
C383 

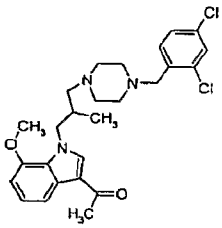
C384 

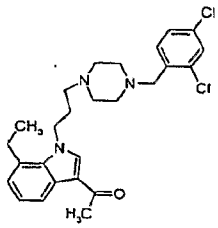
C385 

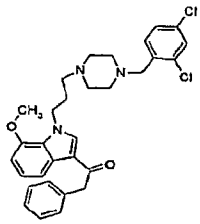
C386 

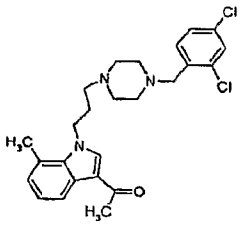
C387 

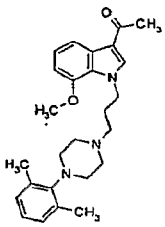
C388 

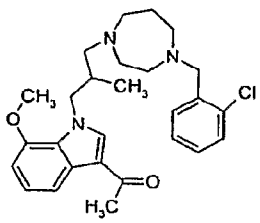
C389 

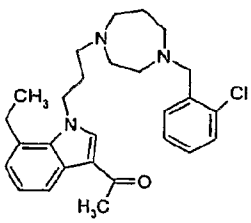
C390 

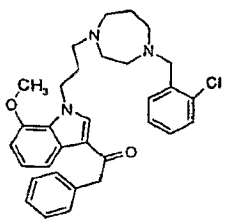
C391 

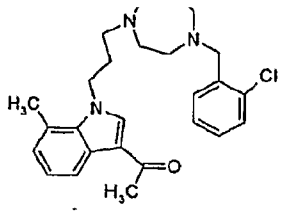
C392 

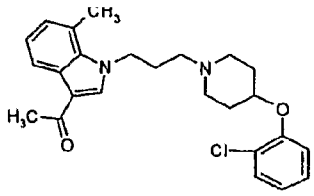
C393 

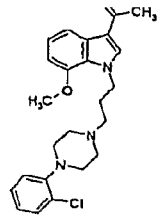
C394 

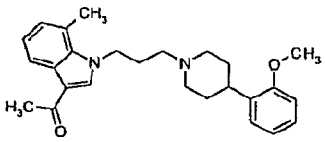
C395 

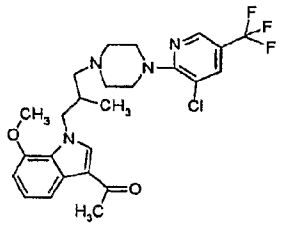
C396 

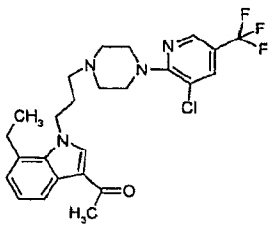
C397 

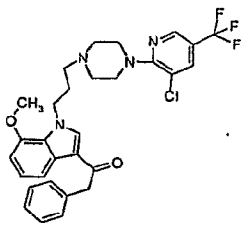
C398 

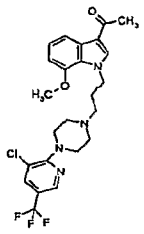
C399 

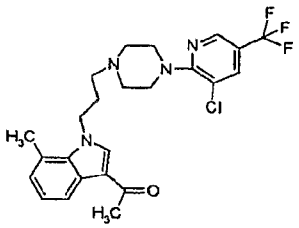
C400 

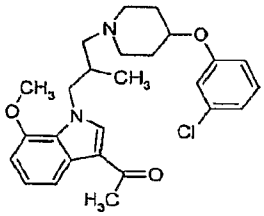
C401 

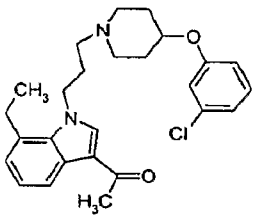
C402 

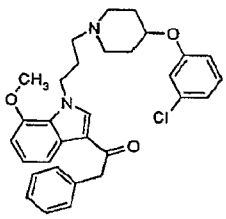
C403 

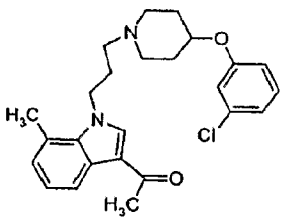
C404 

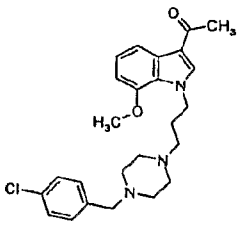
C405 

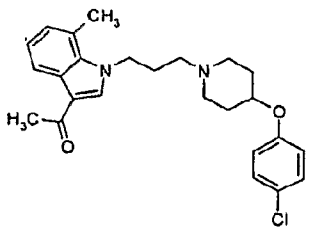
C406 

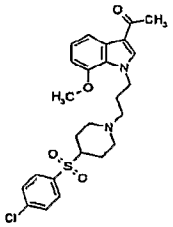
C407 

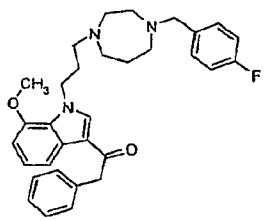
C408 

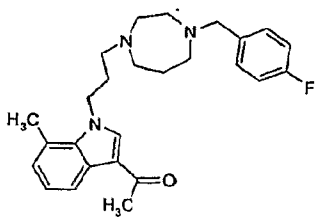
C409 

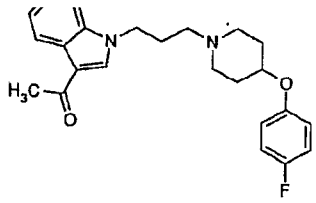
C410 

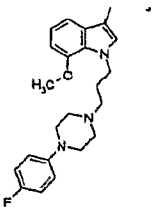
C411 

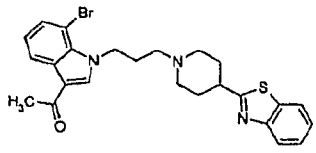
C412 

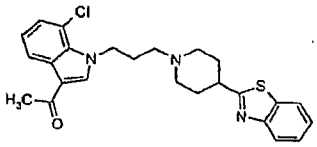
C413 

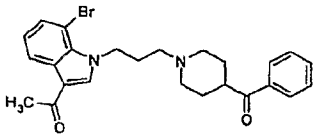
C414 

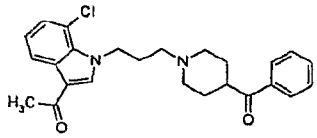
C415 

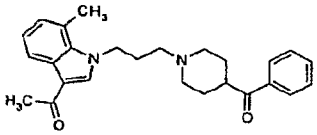
C416 

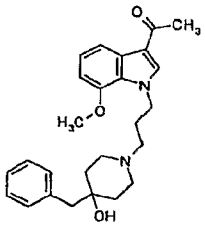
C417 

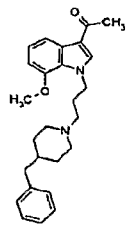
C418 

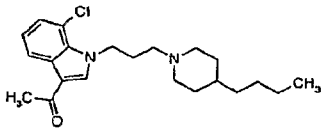
C419 

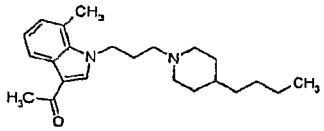
C420 

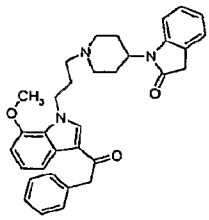
C421 

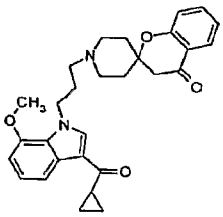
C422 

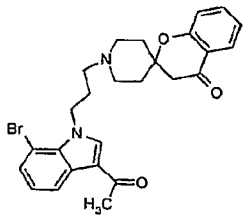
C423 

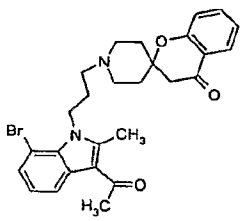
C424 

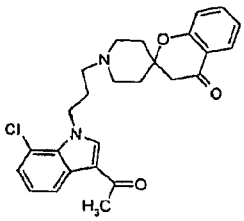
C425 

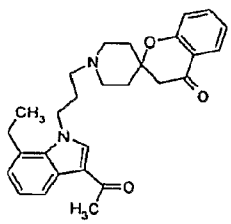
C426 

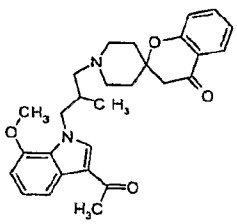
C427 

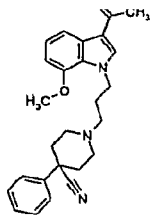
C428 

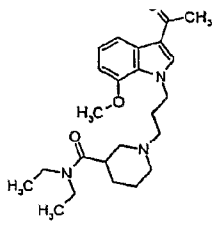
C429 

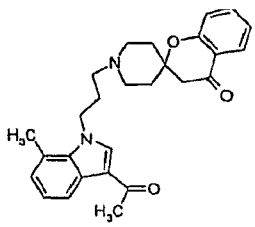
C430 

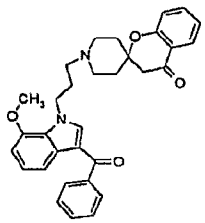
C431 

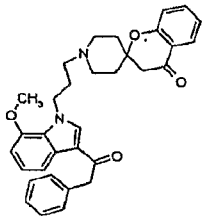
C432 

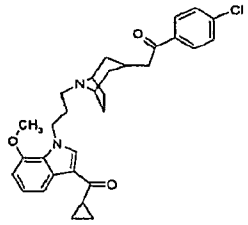
C433 

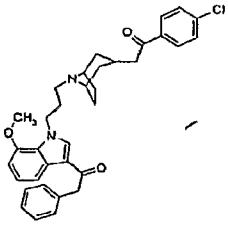
C434 

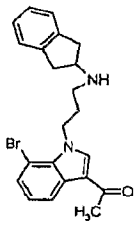
C435 

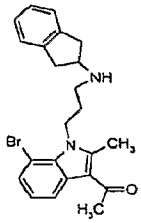
C436 

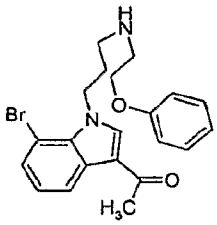
C437 

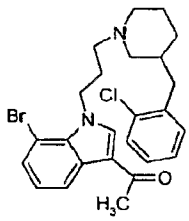
C438 

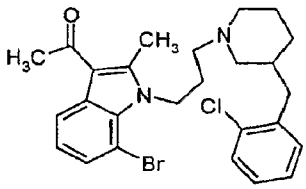
C439 

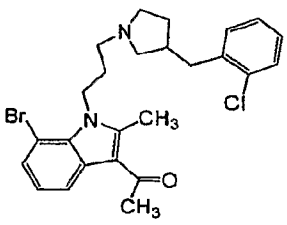
C440 

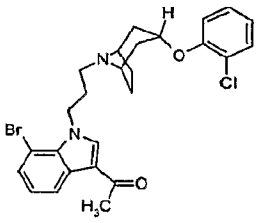
C441 

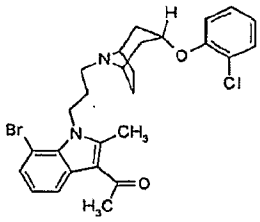
C442 

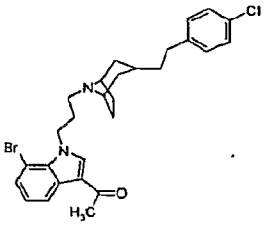
C443 

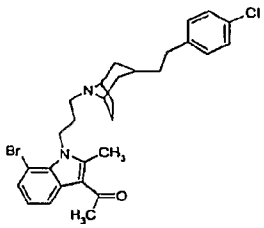
C444 

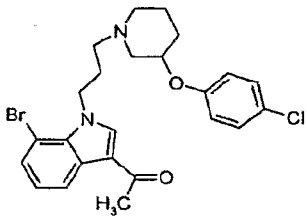
C445 

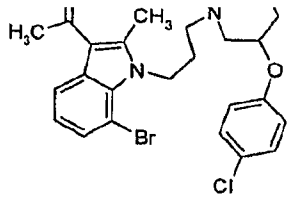
C446 

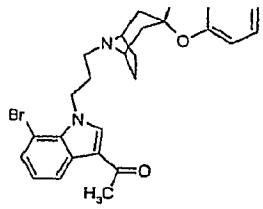
C447 

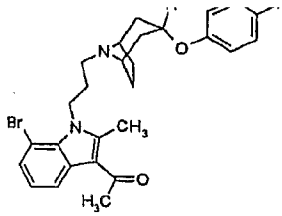
C448 

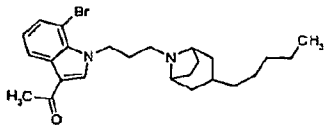
C449 

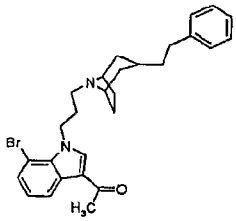
C450 

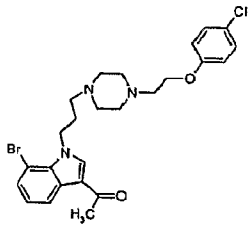
C451 

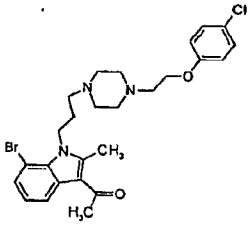
C452 

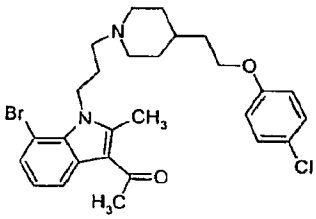
C453 

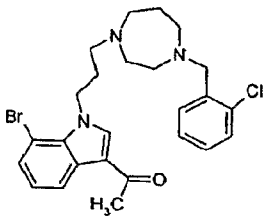
C454 

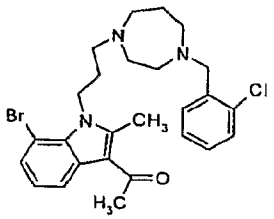
C455 

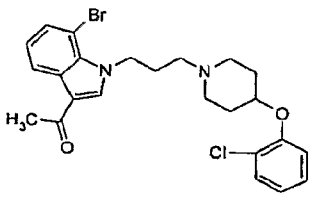
C456 

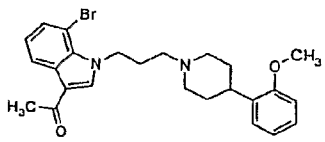
C457 

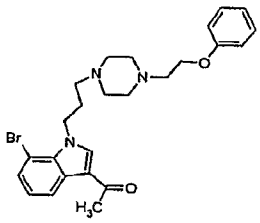
C458 

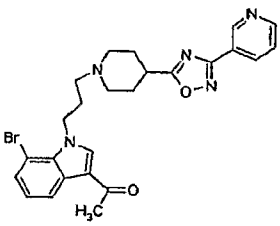
C459 

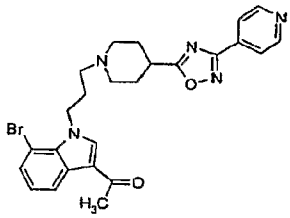
C460 

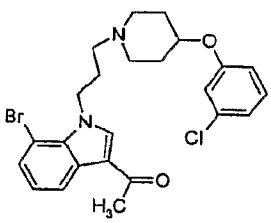
C461 

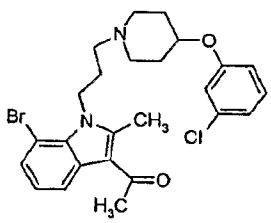
C462 

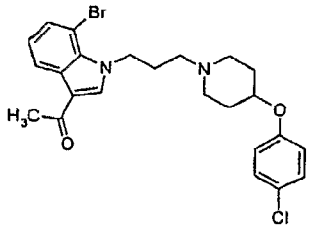
C463 

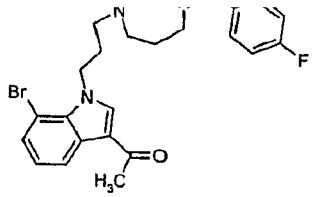
C464 

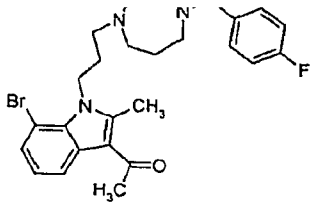
C465 

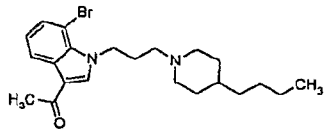
C466 

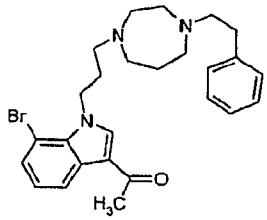
C467 

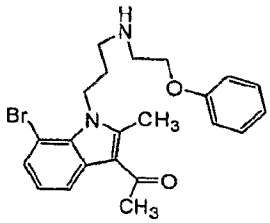
C468 

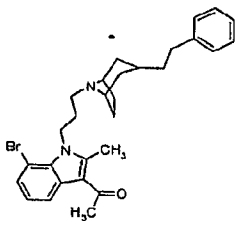
C469 

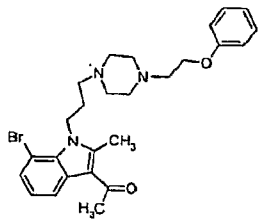
C470 

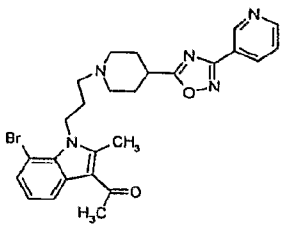
C471 

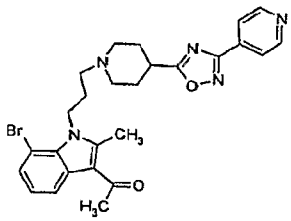
C472 

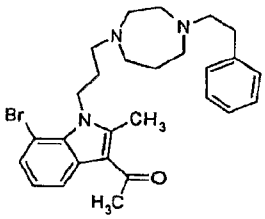
C473 

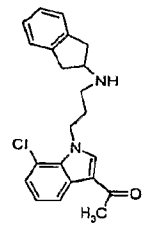
C474 

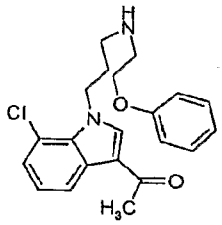
C475 

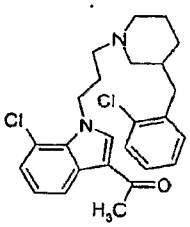
C476 

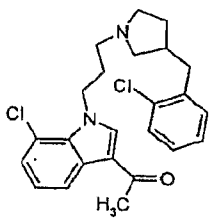
C477 

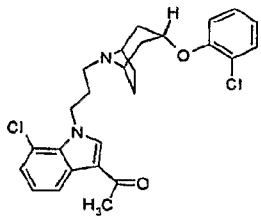
C478 

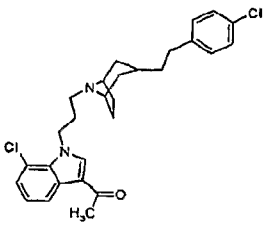
C479 

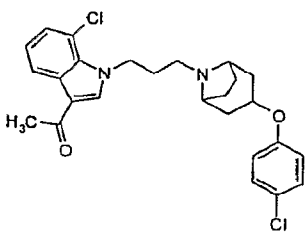
C480 

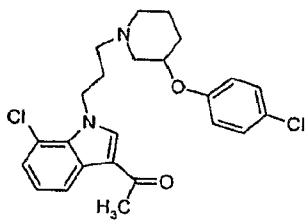
C481 

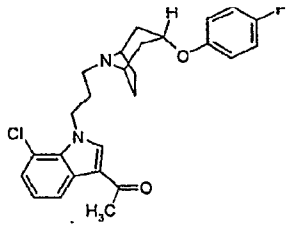
C482 

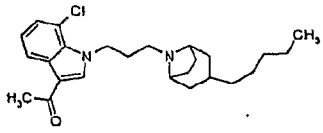
C483 

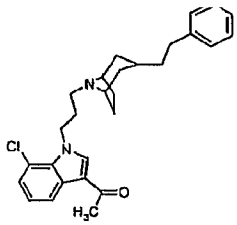
C484 

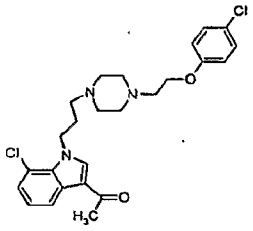
C485 

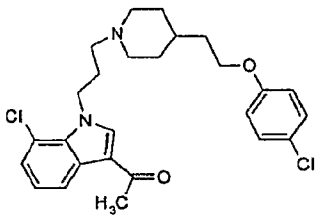
C486 

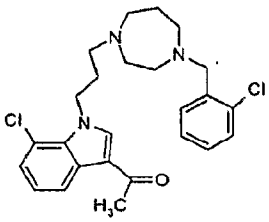
C487 

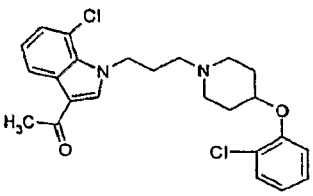
C488 

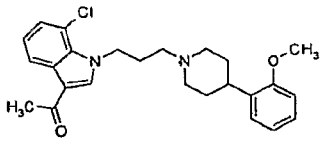
C489 

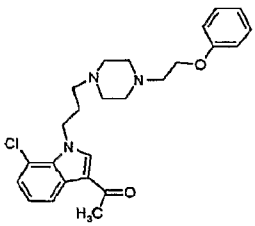
C490 

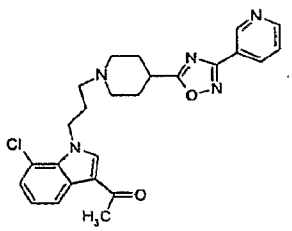
C491 

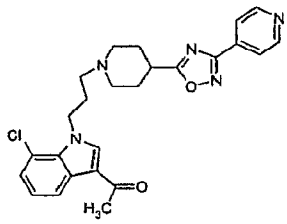
C492 

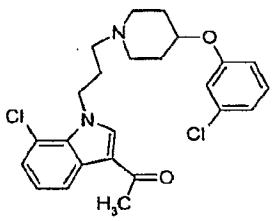
C493 

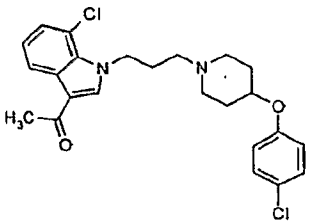
C494 

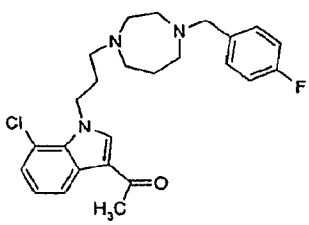
C495 

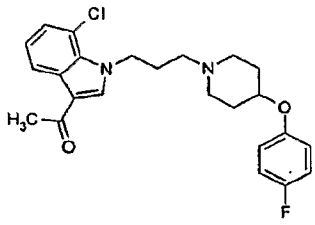
C496 

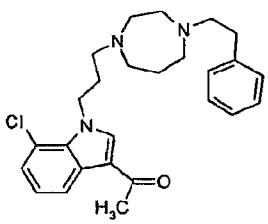
C497 

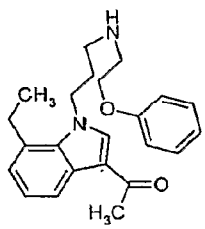
C498 

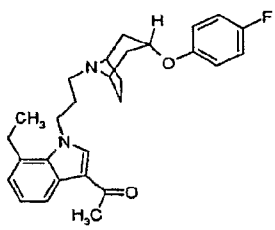
C499 

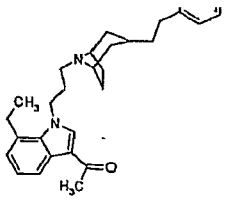
C500 

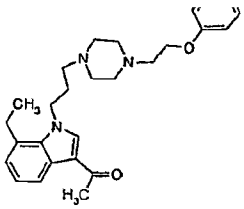
C501 

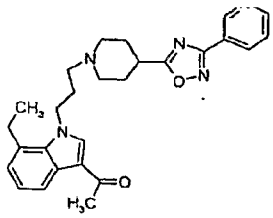
C502 

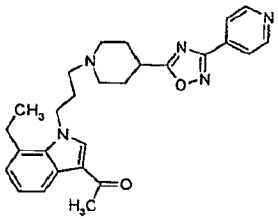
C503 

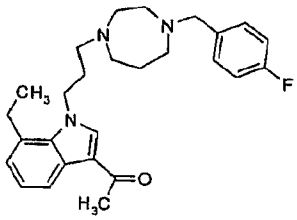
C504 

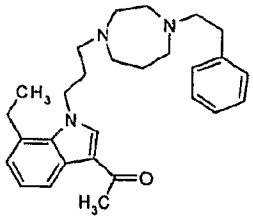
C505 

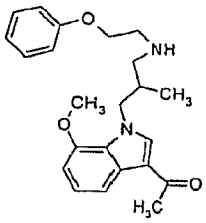
C506 

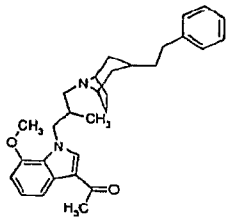
C507 

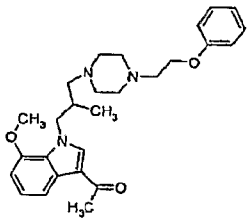
C508 

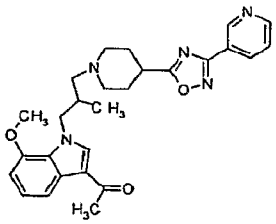
C509 

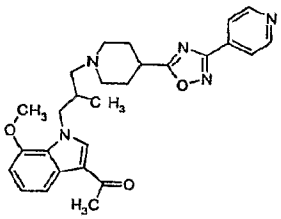
C510 

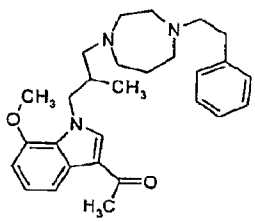
C511 

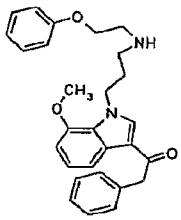
C512 

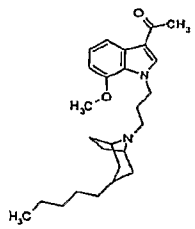
C513 

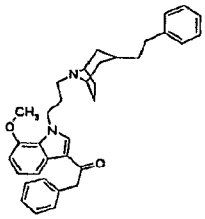
C514 

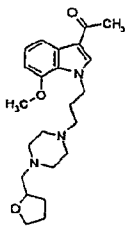
C515 

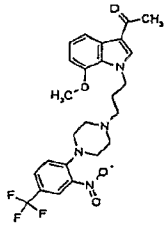
C516 

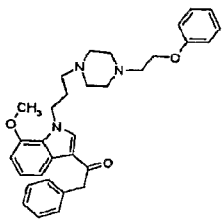
C517 

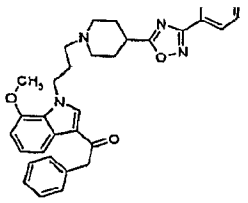
C518 

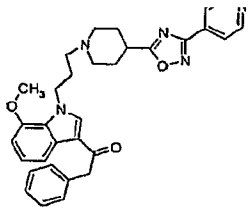
C519 

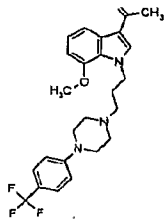
C520 

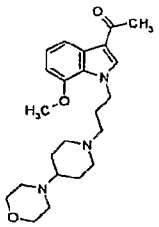
C521 

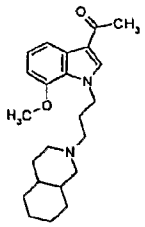
C522 

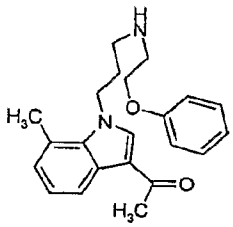
C523 

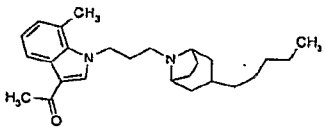
C524 

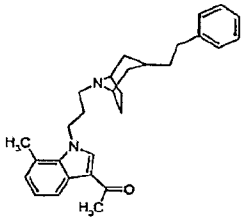
C525 

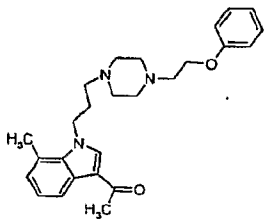
C526 

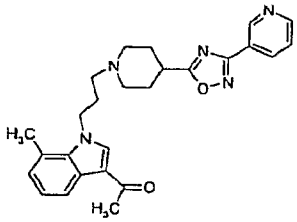
C527 

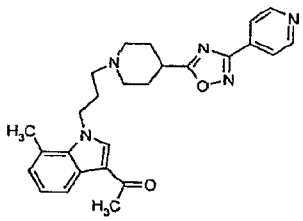
C528 

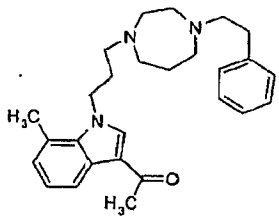
C529 

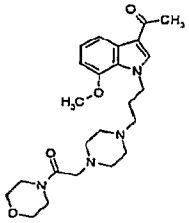
C530 

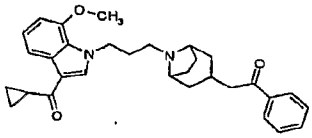
C531 

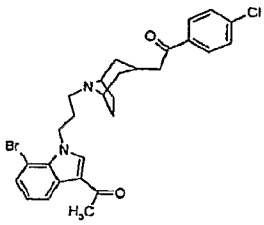
C532 

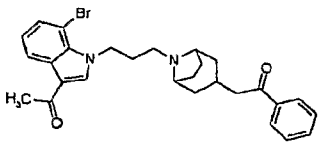
C533 

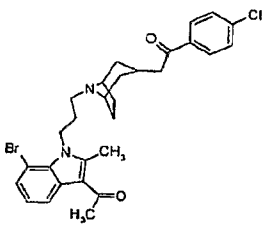
C534 

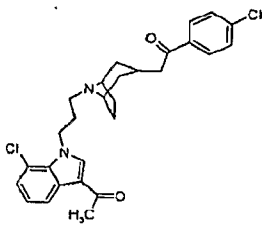
C535 

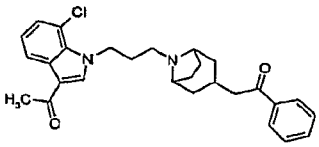
C536 

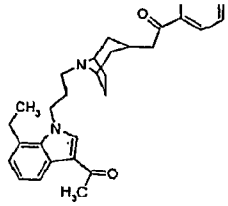
C537 

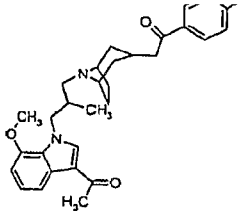
C538 

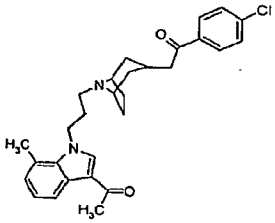
C539 

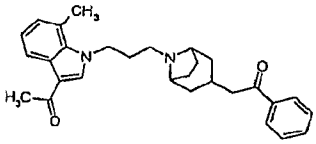
C540 

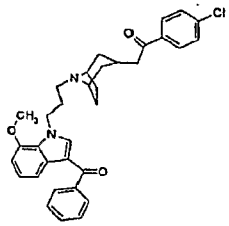
C541 

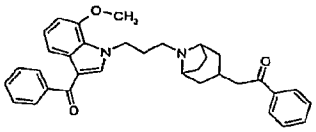
C542 

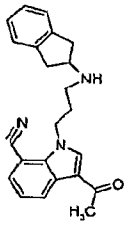
C543 

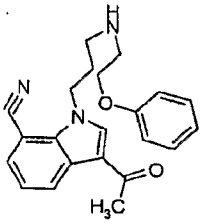
C544 

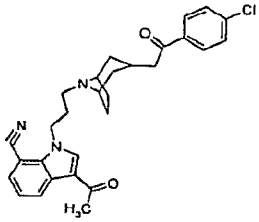
C545 

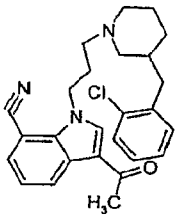
C546 

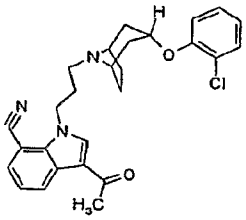
C547 

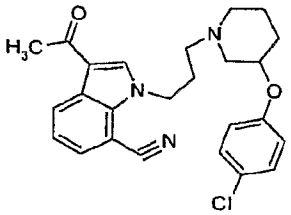
C548 

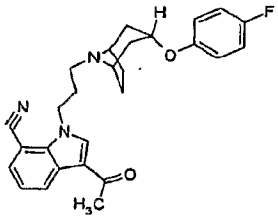
C549 

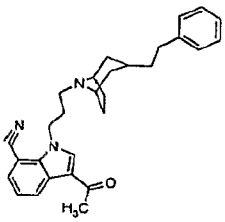
C550 

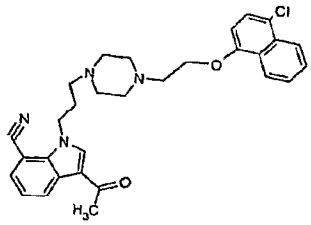
C551 

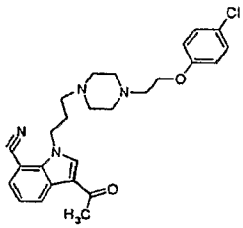
C552 

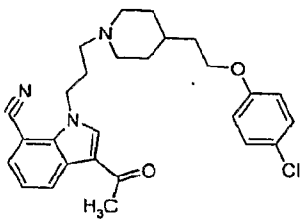
C553 

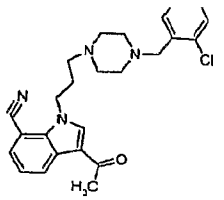
C554 

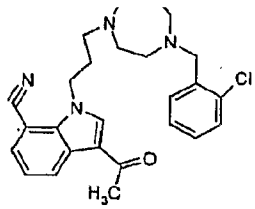
C555 

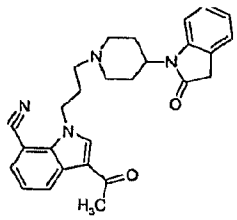
C556 

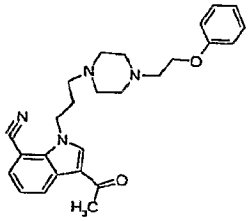
C557 

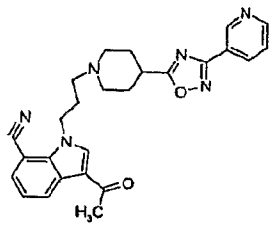
C558 

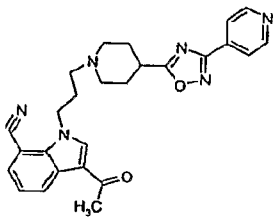
C559 

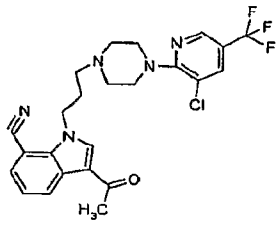
C560 

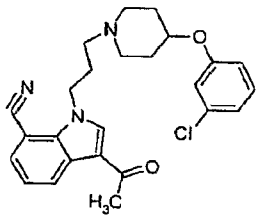
C561 

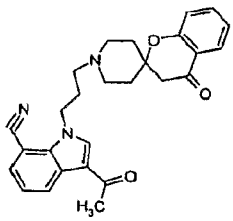
C562 

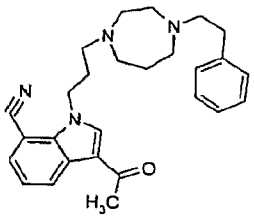
C563 

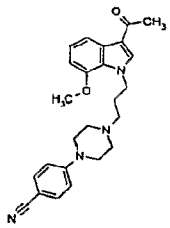
C564 

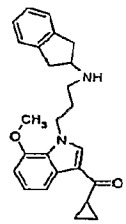
C565 

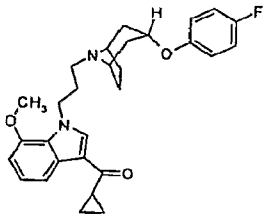
C566 

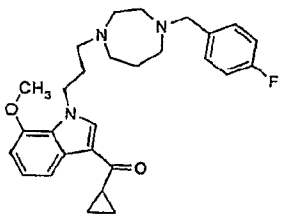
C567 

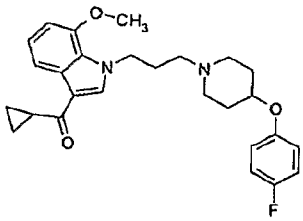
C568 

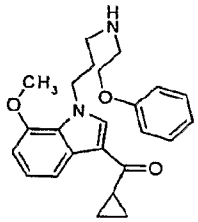
C569 

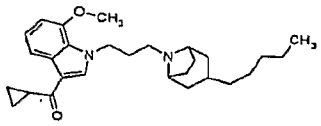
C570 

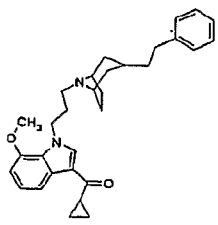
C571 

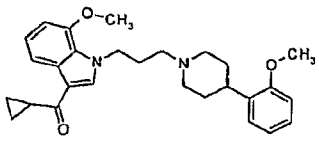
C572 

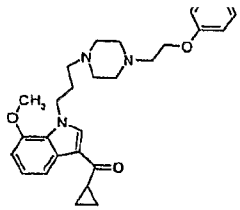
C573 

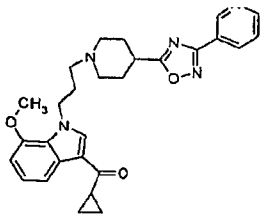
C574 

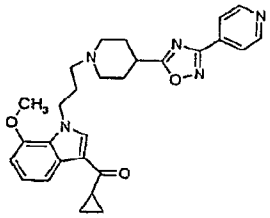
C575 

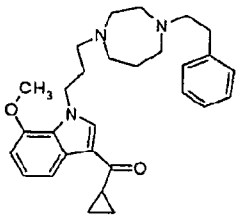
C576 

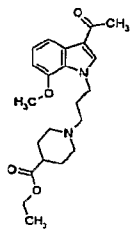
C577 

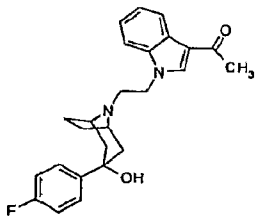
C578 

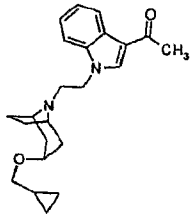
C579 

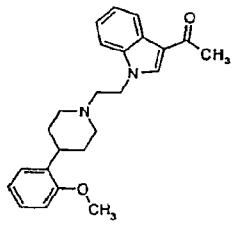
C580 

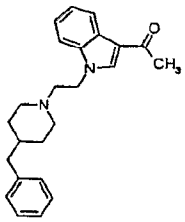
C581 

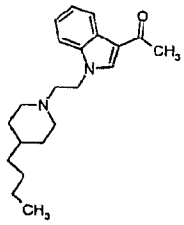
C582 

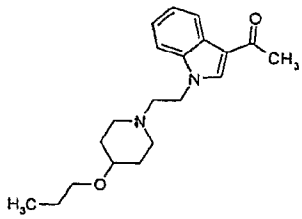
C583 

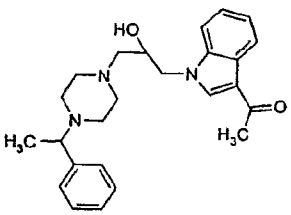
C584 

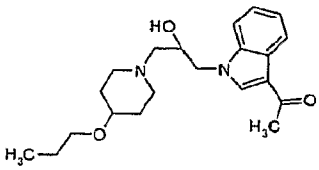
C585 

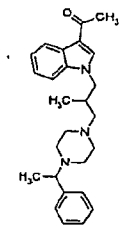
C586 

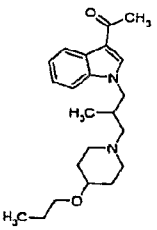
C587 

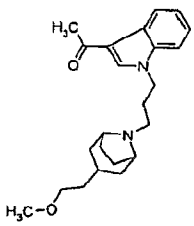
C588 

C589 

C590 

C591 

C592 

C593 

C594 

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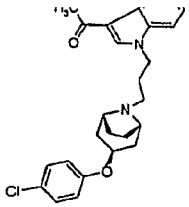
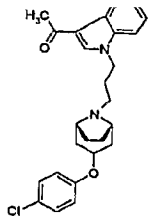
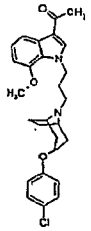
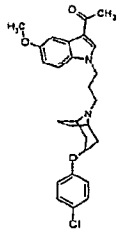
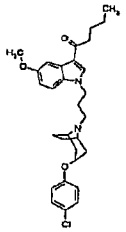
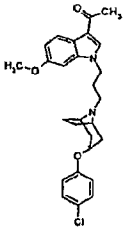
C596 

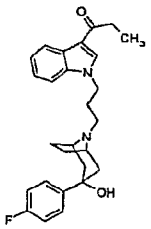
C597 

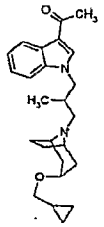
C598 

C599 

C600 

C601 

C602 

C603 

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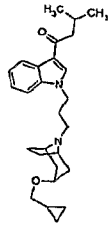
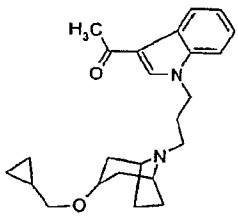
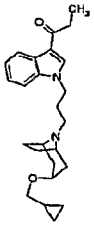
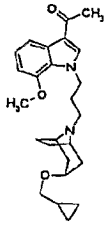
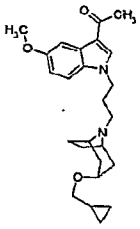
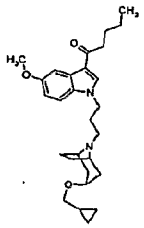
C605 

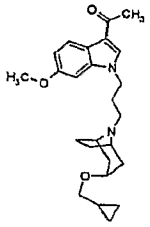
C606 

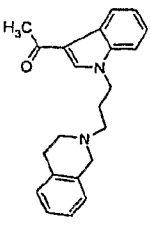
C607 

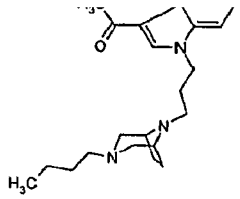
C608 

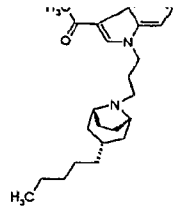
C609 

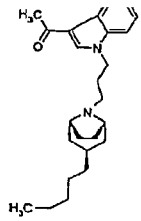
C610 

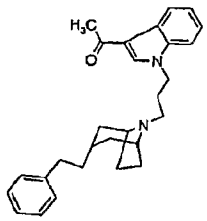
C611 

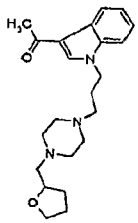
C612 

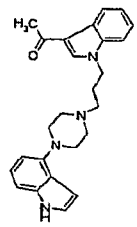
C613 

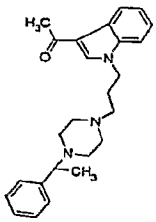
C614 

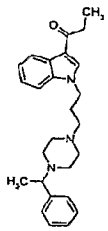
C615 

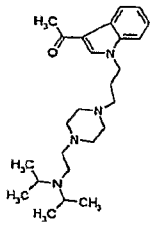
C616 

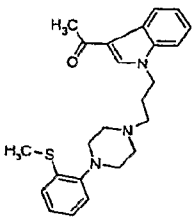
C617 

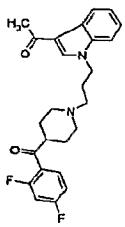
C618 

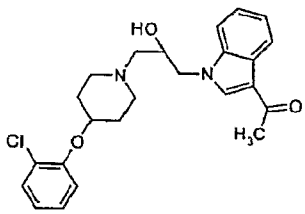
C619 

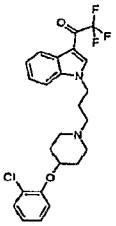
C620 

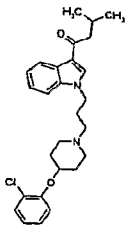
C621 

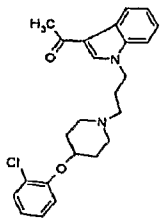
C622 

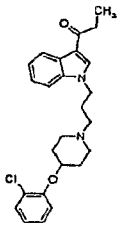
C623 

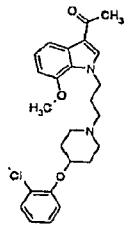
C624 

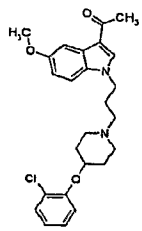
C625 

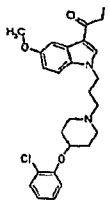
C626 

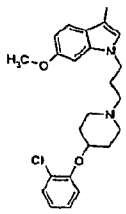
C627 

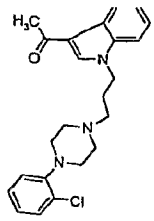
C628 

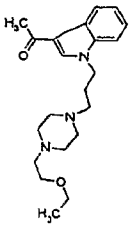
C629 

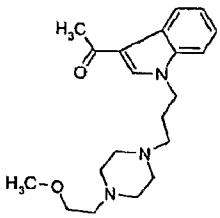
C630 

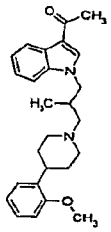
C631 

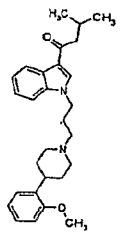
C632 

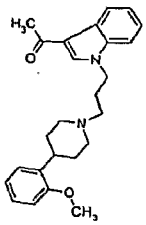
C633 

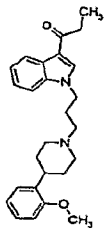
C634 

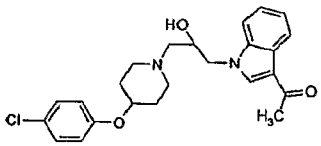
C636 

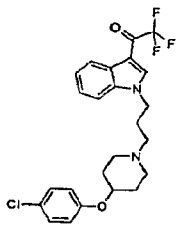
C637 

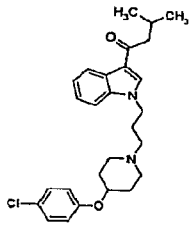
C638 

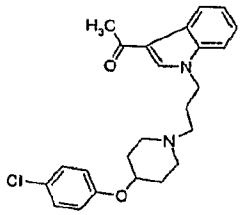
C639 

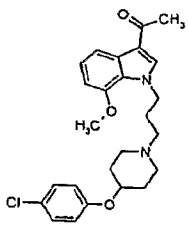
C640 

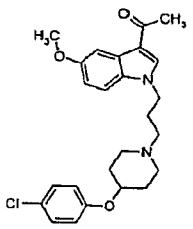
C641 

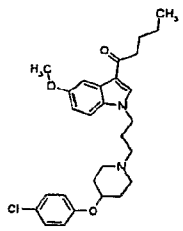
C642 

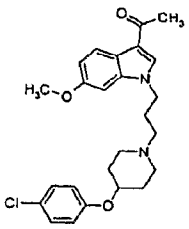
C643 

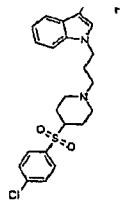
C644 

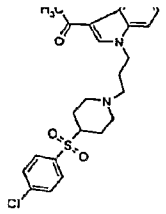
C645 

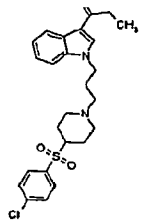
C646 

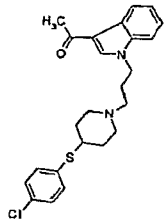
C647 

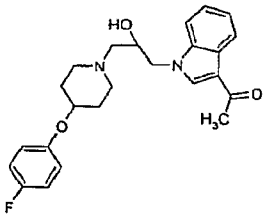
C648 

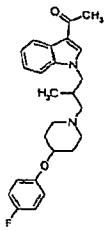
C649 

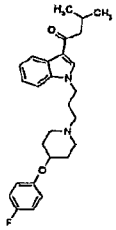
C650 

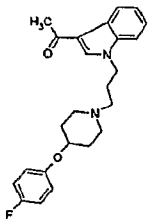
C651 

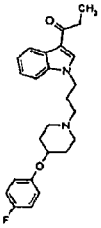
C652 

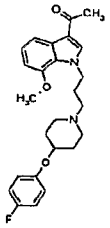
C653 

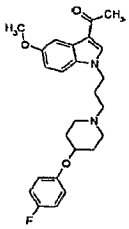
C654 

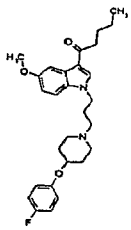
C655 

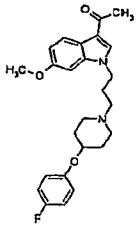
C656 

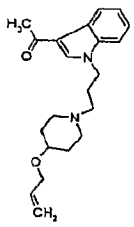
C657 

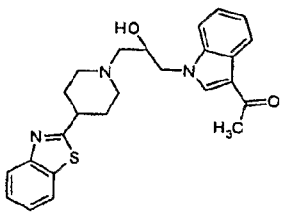
C658 

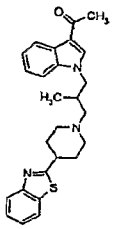
C659 

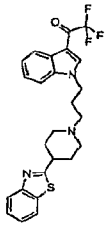
C660 

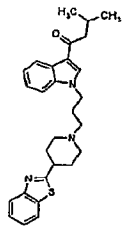
C661 

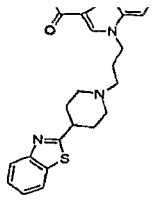
C662 

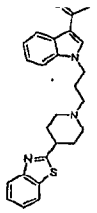
C663 

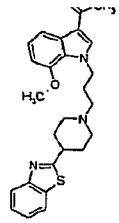
C664 

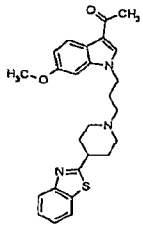
C665 

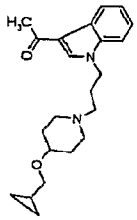
C666 

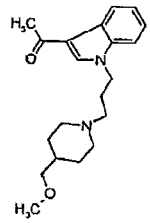
C667 

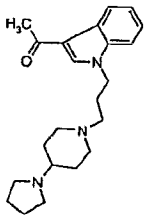
C668 

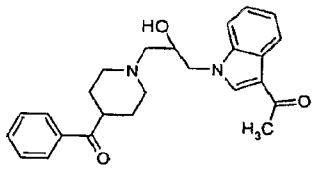
C669 

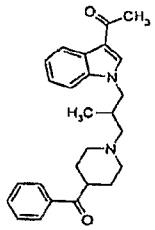
C670 

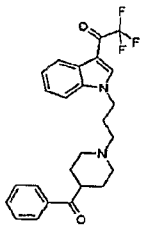
C671 

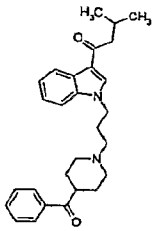
C672 

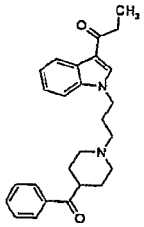
C673 

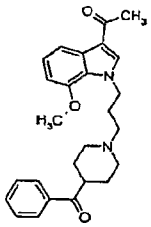
C674 

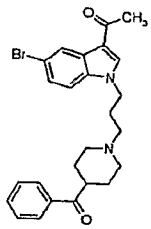
C675 

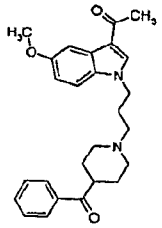
C676 

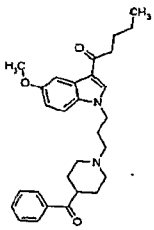
C677 

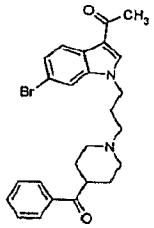
C678 

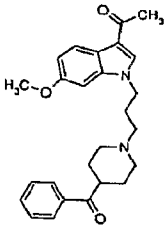
C679 

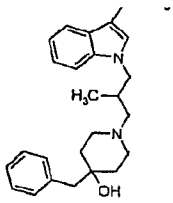
C680 

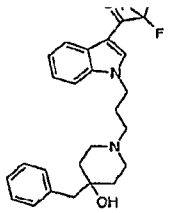
C681 

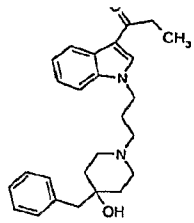
C682 

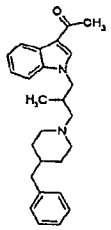
C683 

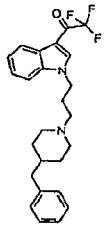
C684 

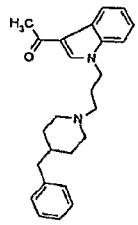
C685 

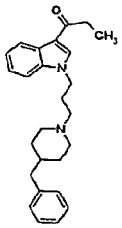
C686 

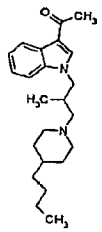
C687 

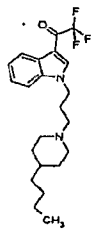
C688 

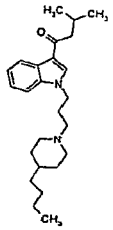
C689 

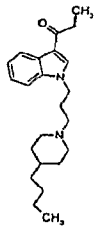
C690 

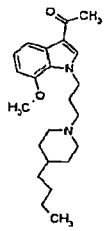
C691 

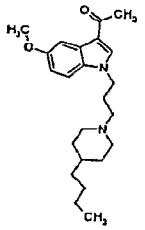
C692 

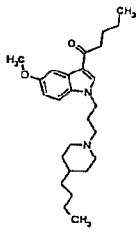
C693 

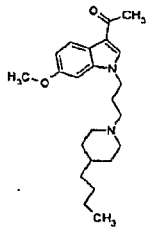
C694 

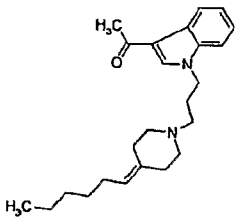
C695 

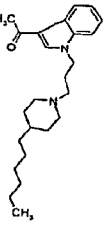
C696 

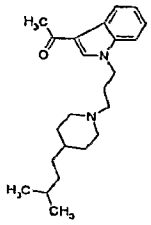
C697 

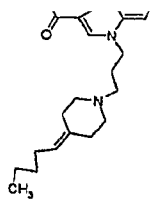
C698 

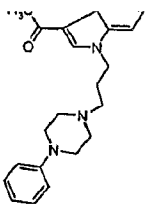
C699 

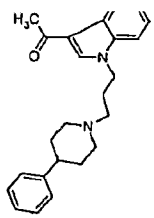
C700 

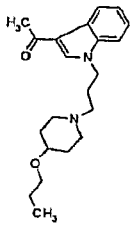
C701 

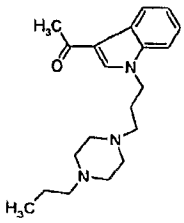
C702 

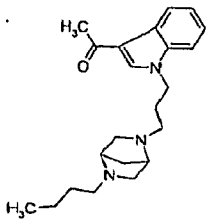
C703 

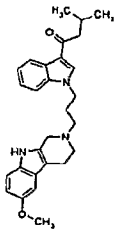
C704 

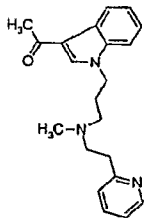
C705 

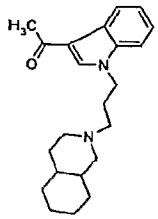
C706 

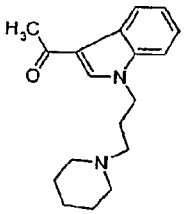
C707 

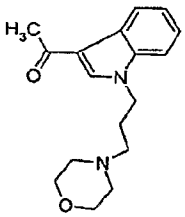
C708 

C709 

C710 

C711 

C712 

C713 

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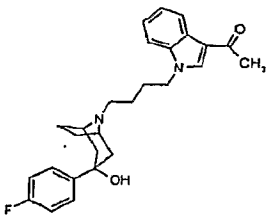
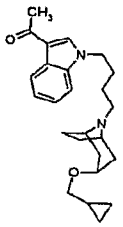
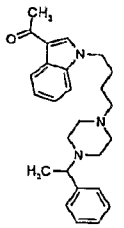
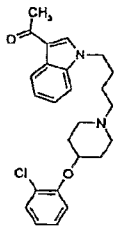
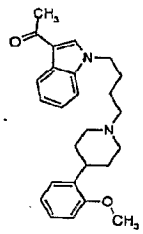
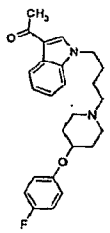
C715 

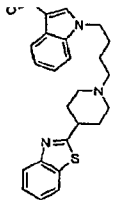
C716 

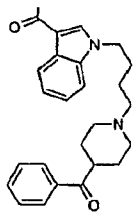
C717 

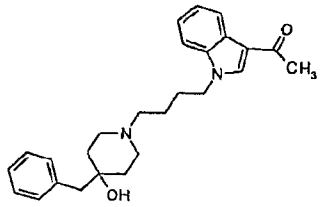
C718 

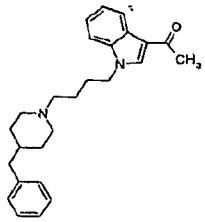
C719 

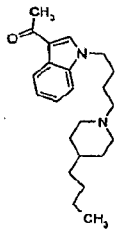
C720 

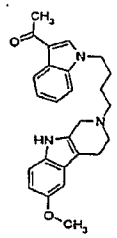
C721 

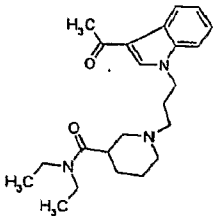
C722 

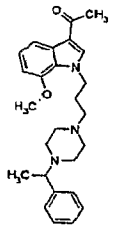
C723 

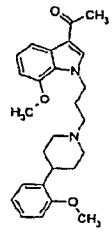
C724 

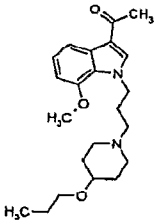
C725 

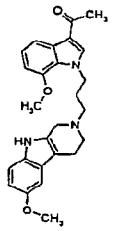
C726 

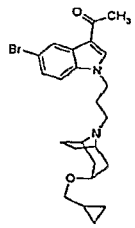
C727 

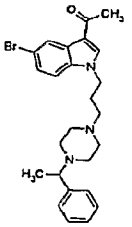
C728 

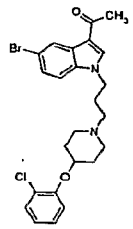
C729 

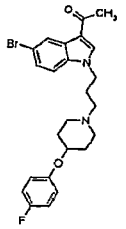
C730 

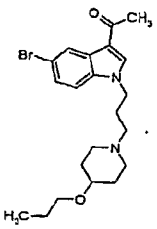
C731 

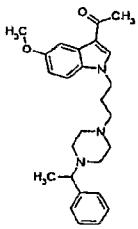
C732 

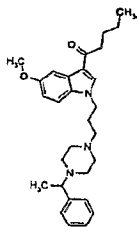
C733 

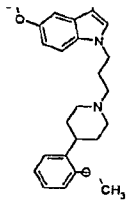
C734 

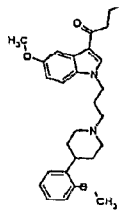
C735 

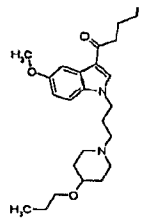
C736 

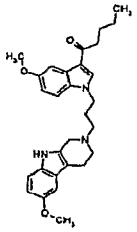
C737 

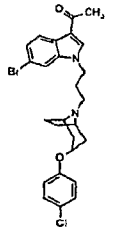
C738 

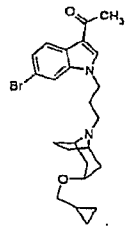
C739 

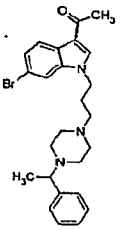
C740 

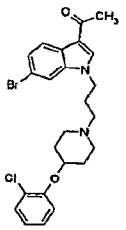
C741 

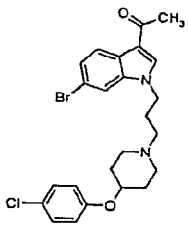
C742 

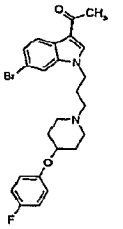
C743 

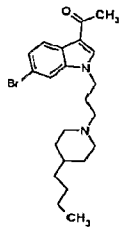
C744 

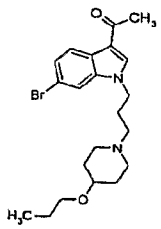
C745 

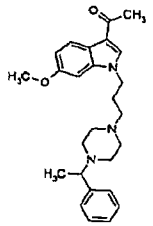
C746 

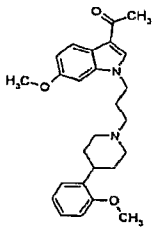
C747 

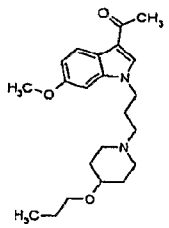
C748 

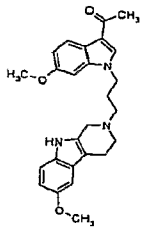
C749 

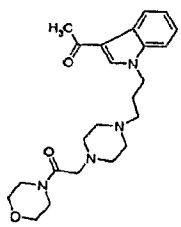
C750 

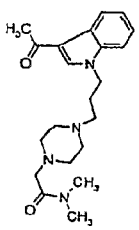
C751 

C752 

C753 

C754 

C755 

C756 

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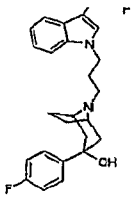

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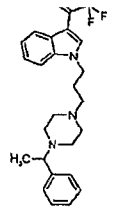

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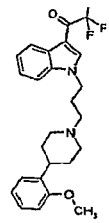

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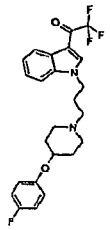

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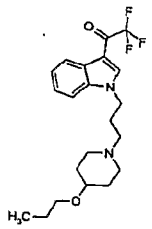

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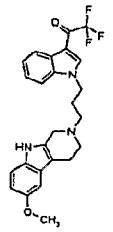

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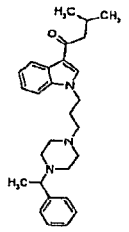

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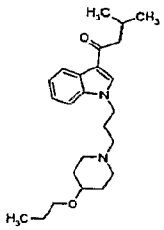

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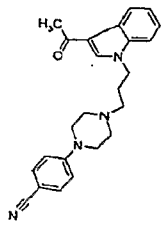

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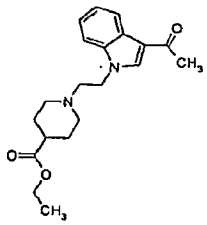

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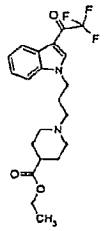

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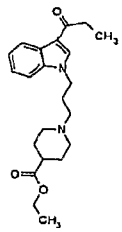

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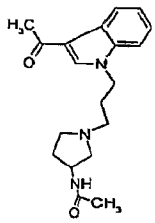

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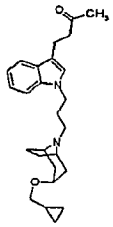

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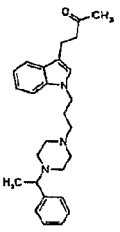

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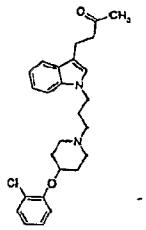

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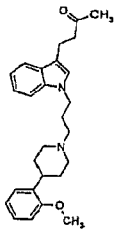

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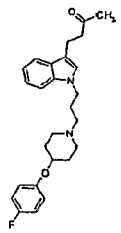
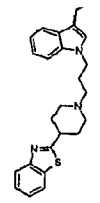
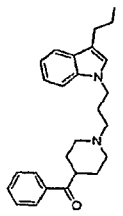
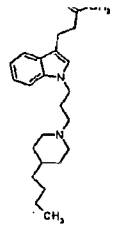
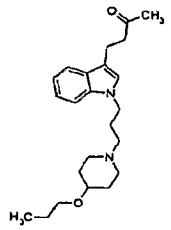
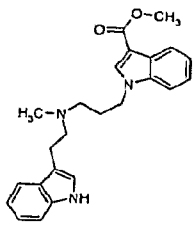


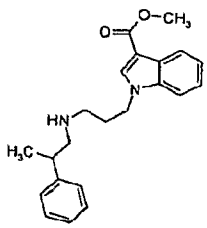
C775 

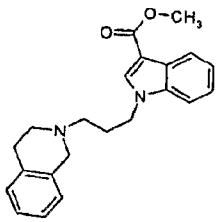
C776 

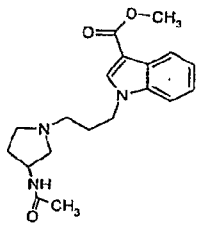
C777 

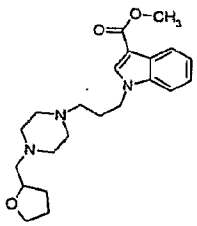
C778 

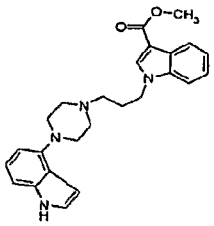
C779 

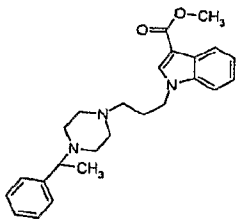
C780 

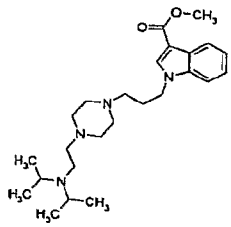
C781 

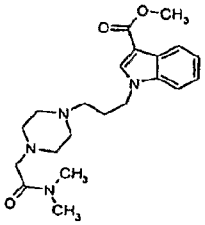
C782 

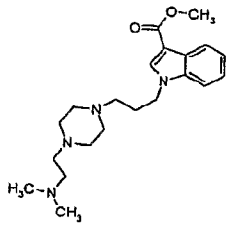
C783 

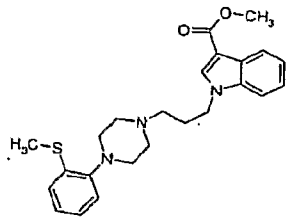
C784 

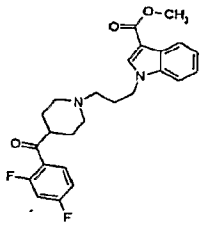
C785 

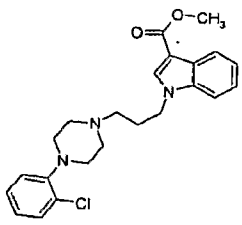
C786 

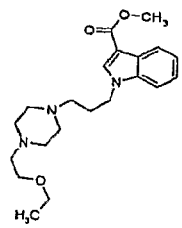
C787 

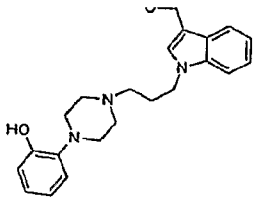
C788 

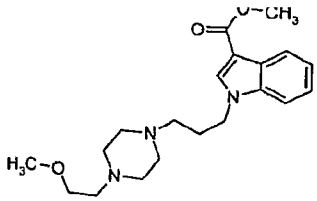
C789 

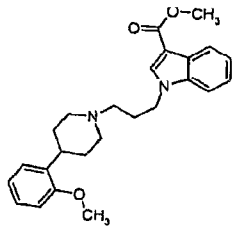
790 

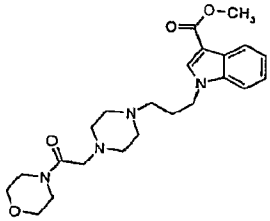
C791 

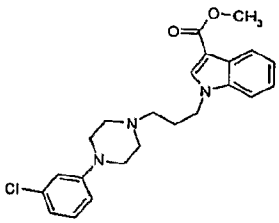
C792 

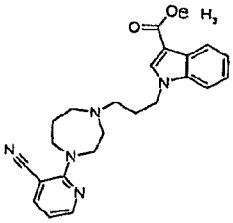
C793 

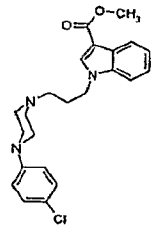
C794 

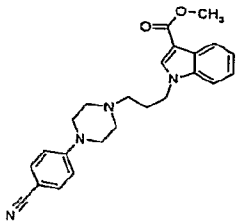
C795 

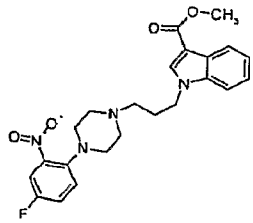
C796 

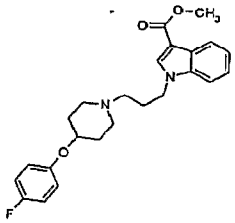
C797 

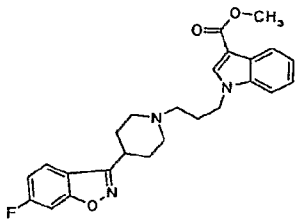
C798 

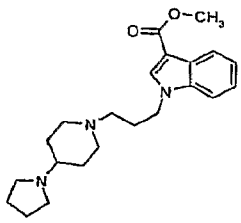
C799 

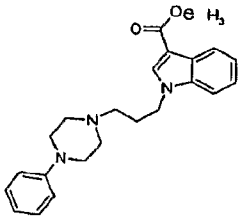
C800 

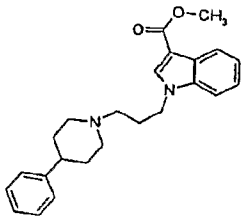
C801 

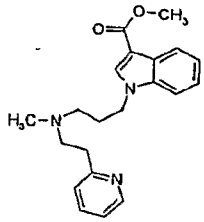
C802 

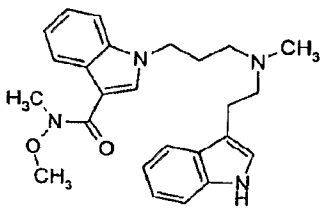
C803 

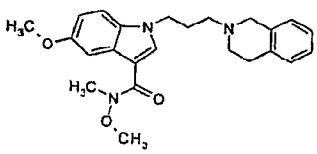
C804 

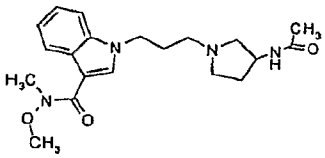
C805 

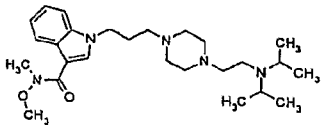
C806 

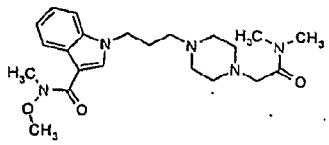
C807 

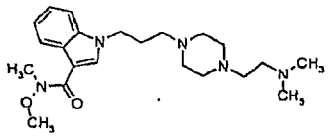
C808 

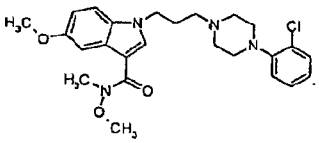
C809 

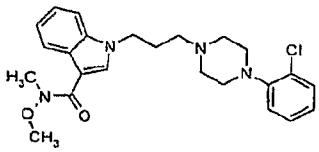
C810 

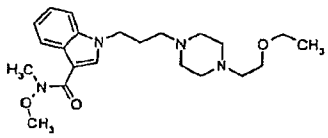
C811 

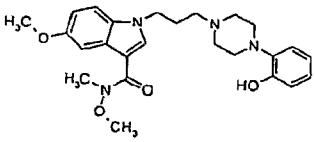
C812 

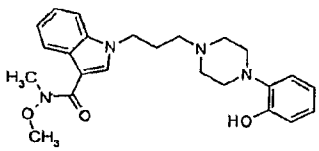
C813 

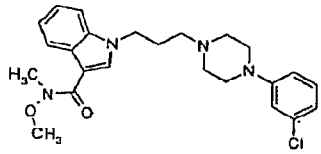
C814 

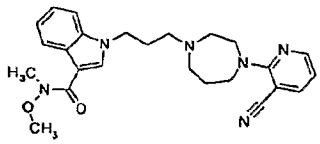
C815 

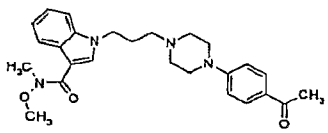
C816 

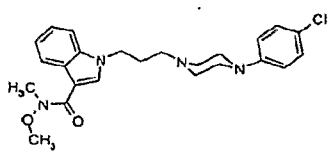
C817 

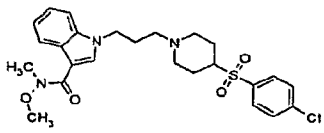
C818 

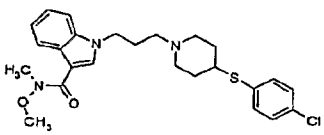
C819 

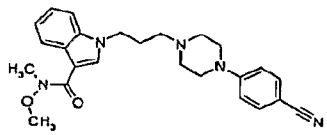
C820 

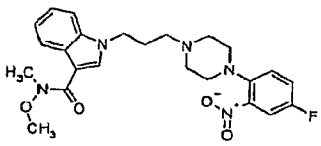
C821 

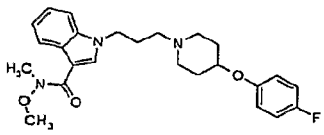
C822 

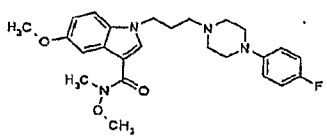
C823 

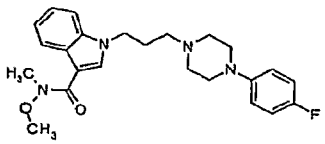
C824 

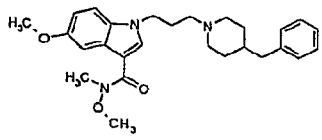
C825 

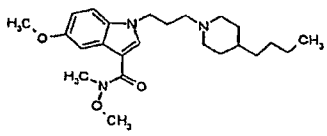
C826 

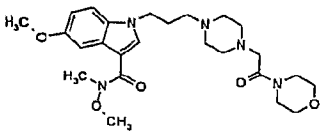
C827 

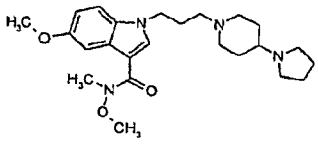
C828 

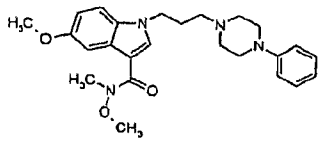
C829 

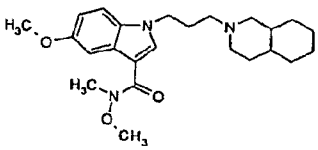
C830 

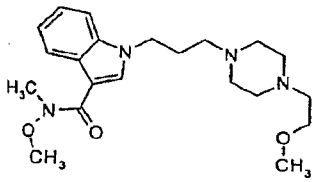
C831 

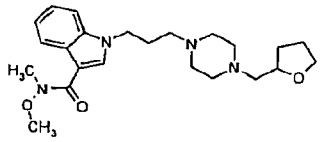
C832 

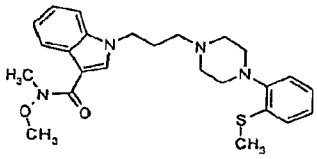
C833 

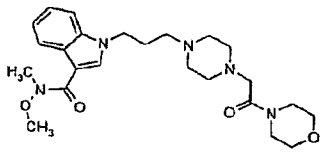
C834 

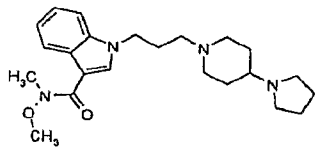
C835 

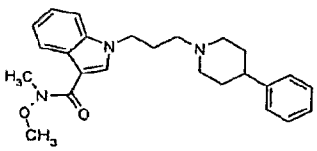
C836 

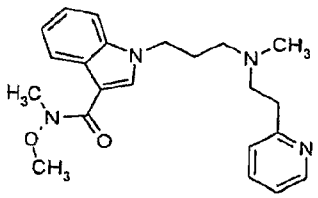
C837 

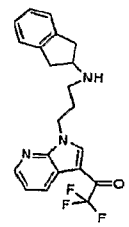
C838 

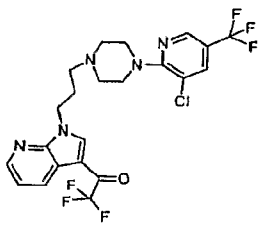
C839 

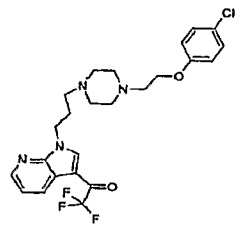
C840 

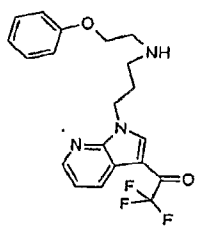
C841 

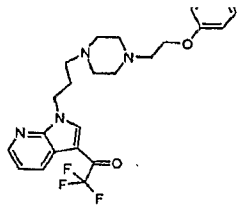
C842 

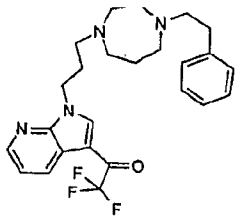
C843 

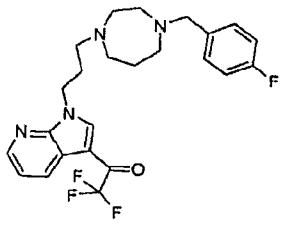
C844 

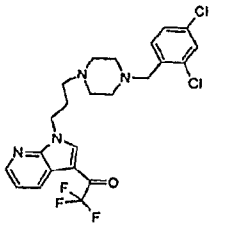
C845 

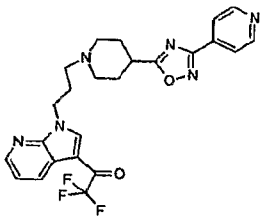
C846 

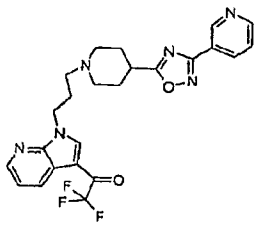
C847 

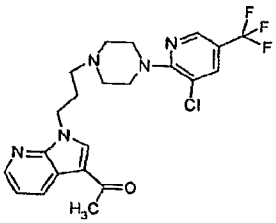
C848 

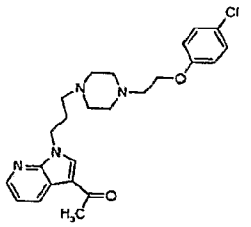
C849 

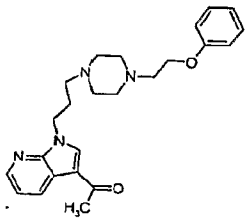
C850 

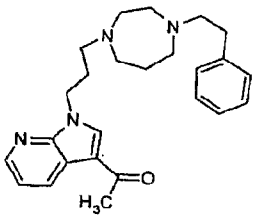
C851 

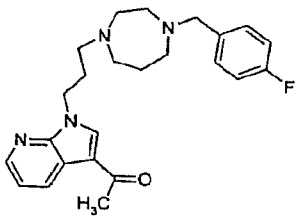
C852 

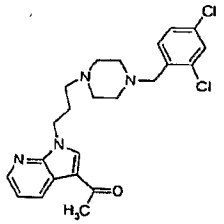
C853 

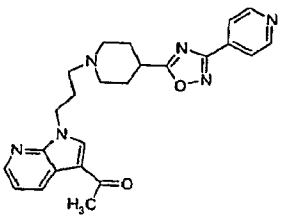
C854 

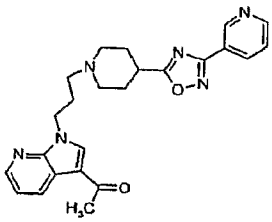
C855 

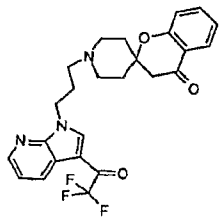
C856 

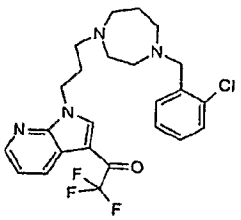
C857 

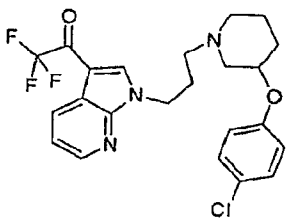
C858 

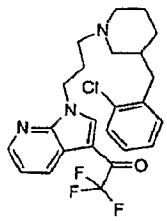
C859 

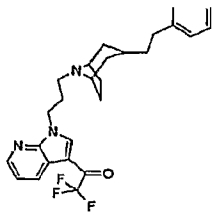
C860 

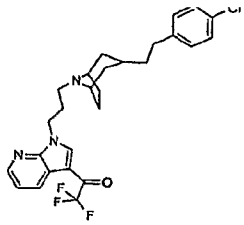
C861 

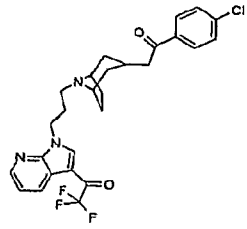
C862 

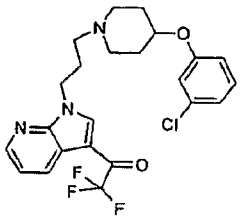
C863 

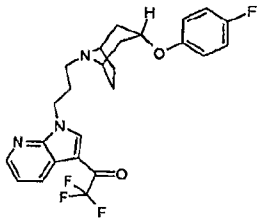
C864 

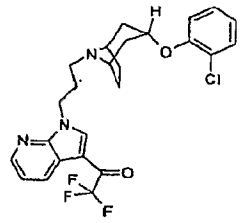
C865 

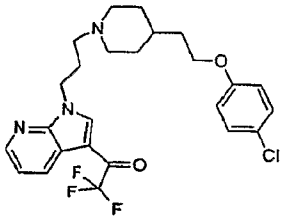
C866 

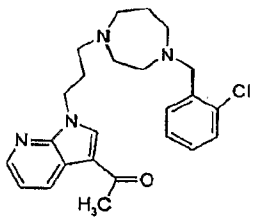
C867 

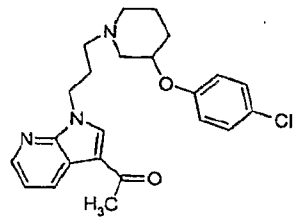
C868 

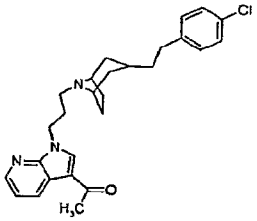
C869 

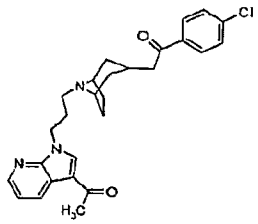
C870 

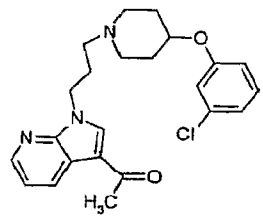
C871 

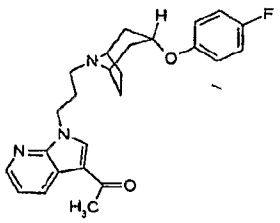
C872 

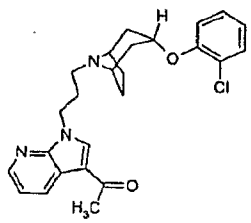
C873 

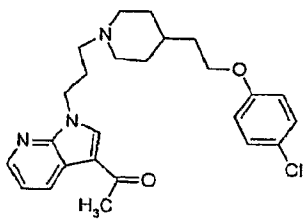
C874 

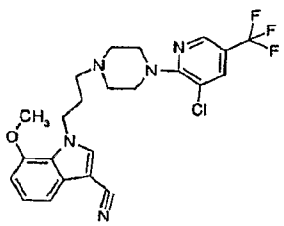
C875 

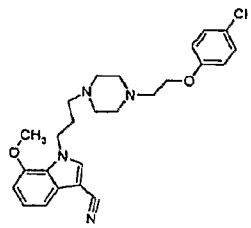
C876 

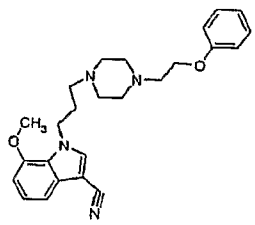
C877 

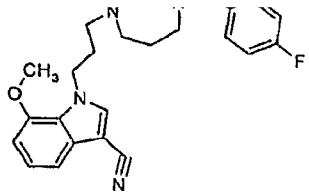
C878 

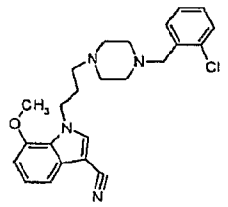
C879 

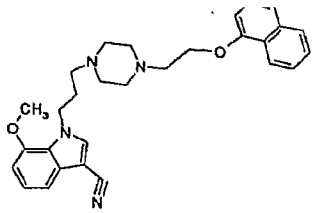
C880 

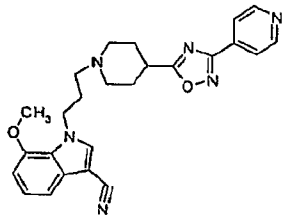
C881 

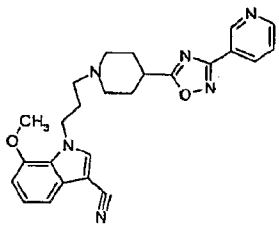
C882 

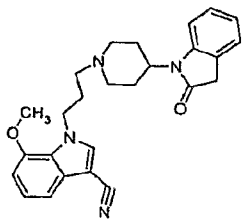
C883 

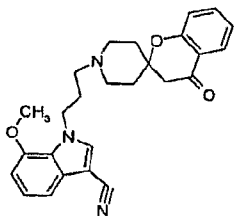
C884 

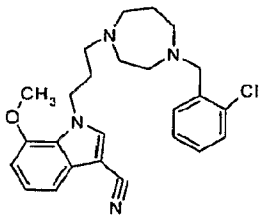
C885 

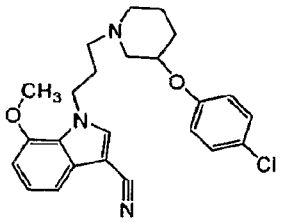
C886 

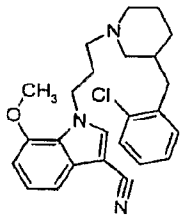
C887 

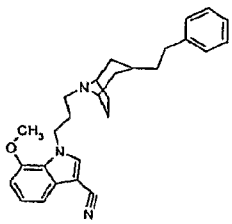
C888 

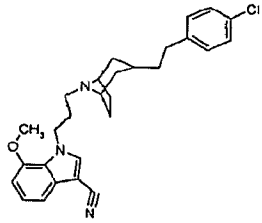
C889 

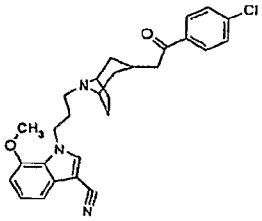
C890 

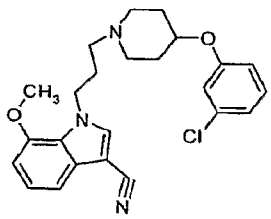
C891 

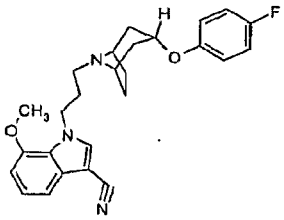
C892 

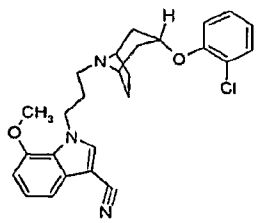
C893 

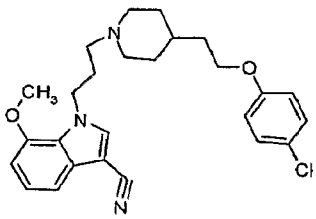
C894 

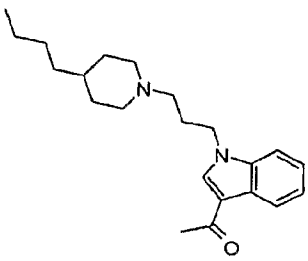
C895 

C896 

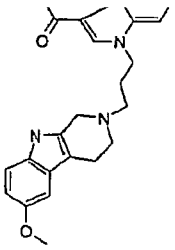
C897 

C898 

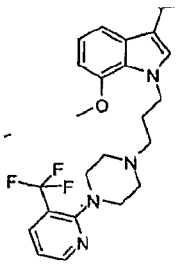
C899 

C900 

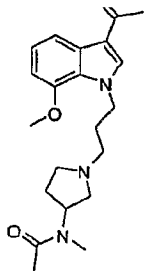
C901



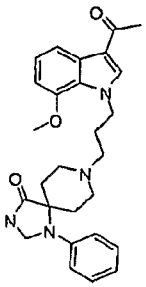
C902



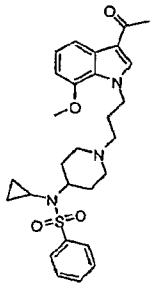
C903



C904

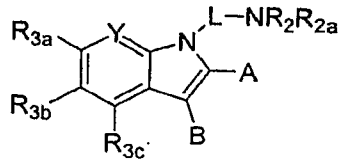


C905



WHAT IS CLAIMED IS:

1. A compound of Formula (I):



(I)

or a solvate, a polymorph, a metabolite, or a pharmaceutically acceptable salt or prodrug thereof, wherein:

A is selected from the group consisting of hydrogen, halogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$;

B is selected from the group consisting of hydrogen; mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(=Z)N(OR_{1a})R_{1b}$, $-C(=Z)N(R_1)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, - C≡N; $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-S(O)R_1$, $-S(O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=O)R_1$;

A and B can be taken together to form an unsubstituted or substituted cycloalkyl, or unsubstituted or substituted heteroalicyclyl;

R_1, R_{1a} and R_{1b} are each independently selected from the group consisting of hydrogen, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl and haloalkyl;

R_2 and R_{2a} are each independently selected from the group consisting of hydrogen, cyano, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl,

heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-(C_{1-4}alkyl)$-Z-aryl, $-(C_{1-4}alkyl)C(=O)R_1$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$; or

R_2 and R_{2a} can be taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl;

R_3, R_{3a}, R_{3b}, and R_{3c} are each independently selected from the group consisting of hydrogen, halogen, cyano, nitro, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$;

R_3, R_{3a}, R_{3b}, and R_{3c} can be taken together with one or more adjacent members of the group consisting of R_3, R_{3a}, R_{3b}, and R_{3c} to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

R_{3c} can be taken together with B to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

L can be a unsubstituted or substituted lower alkylene group, wherein when L is substituted, it is substituted with one or more group(s) individually and independently selected from the group consisting of alkyl, alkenyl, halogen, haloalkyl, alkoxy, haloalkoxy, hydroxyl, and –CN;

L can be taken together with R_3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl, or heteroalicyclyl ring;

Y is C-R_3 or N; and

Z is O or S.

2. The compound of Claim 1, wherein the compound of Formula (I) modulates, agonizes, inverse agonizes, or antagonizes a ghrelin receptor.

3. The compound of Claim 1, wherein the compound of Formula (I) inverse agonizes or antagonizes a ghrelin receptor.

4. The compound of Claim 1, wherein Y is C-R_3.

5. The compound of Claim 4, wherein R_3 is selected from the group consisting of hydrogen, halogen, cyano, nitro, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl cycloalkynyl, aryl, heteroaryl, heteroalicyclyl, aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, $-C(=Z)R_1$, $-C(=Z)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(R_1)=NR_{1a}$, $-NR_{1a}R_{1b}$, $-N=CR_{1a}R_{1b}$, $-N(R_1)-C(=Z)R_1$, $-N(R_1)-C(=Z)NR_{1a}R_{1b}$, $-S(O)NR_{1a}R_{1b}$, $-S(O)_2NR_{1a}R_{1b}$, $-N(R_1)-S(=O)R_1$, $-N(R_1)-S(=O)_2R_1$, $-OR_1$, $-SR_1$, and $-OC(=Z)R_1$

6. The compound of Claim 5, wherein R_3 is selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen.

7. The compound of Claim 6, wherein the alkyl is selected from the group consisting methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl.

8. The compound of Claim 7, wherein the alkyl is selected from the group consisting methyl and ethyl.

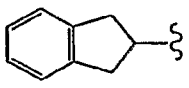
9. The compound of Claim 6, wherein the alkoxy is selected from the group consisting methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, and t-butoxy.

10. The compound of Claim 9, wherein the alkoxy is methoxy.

11. The compound of Claim 6, wherein R_3 is selected from the group consisting of alkyl, alkoxy, -C≡N, and halogen; and B is selected from the group consisting of $-C(=O)R_1$, $-C(=O)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(=Z)N(OR_{1a})R_{1b}$, and -C≡N.

12. The compound of Claim 1, wherein Y is N.

13. The compound of Claim 1, wherein R_{2a} is selected from the group consisting mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, heteroalicyclyl. aralkyl, heteroaralkyl, (heteroalicyclyl)alkyl, $-(C_{1-4}alkyl)$-Z-aryl, and $-(C_{1-4}alkyl)C(=O)R_1$,.

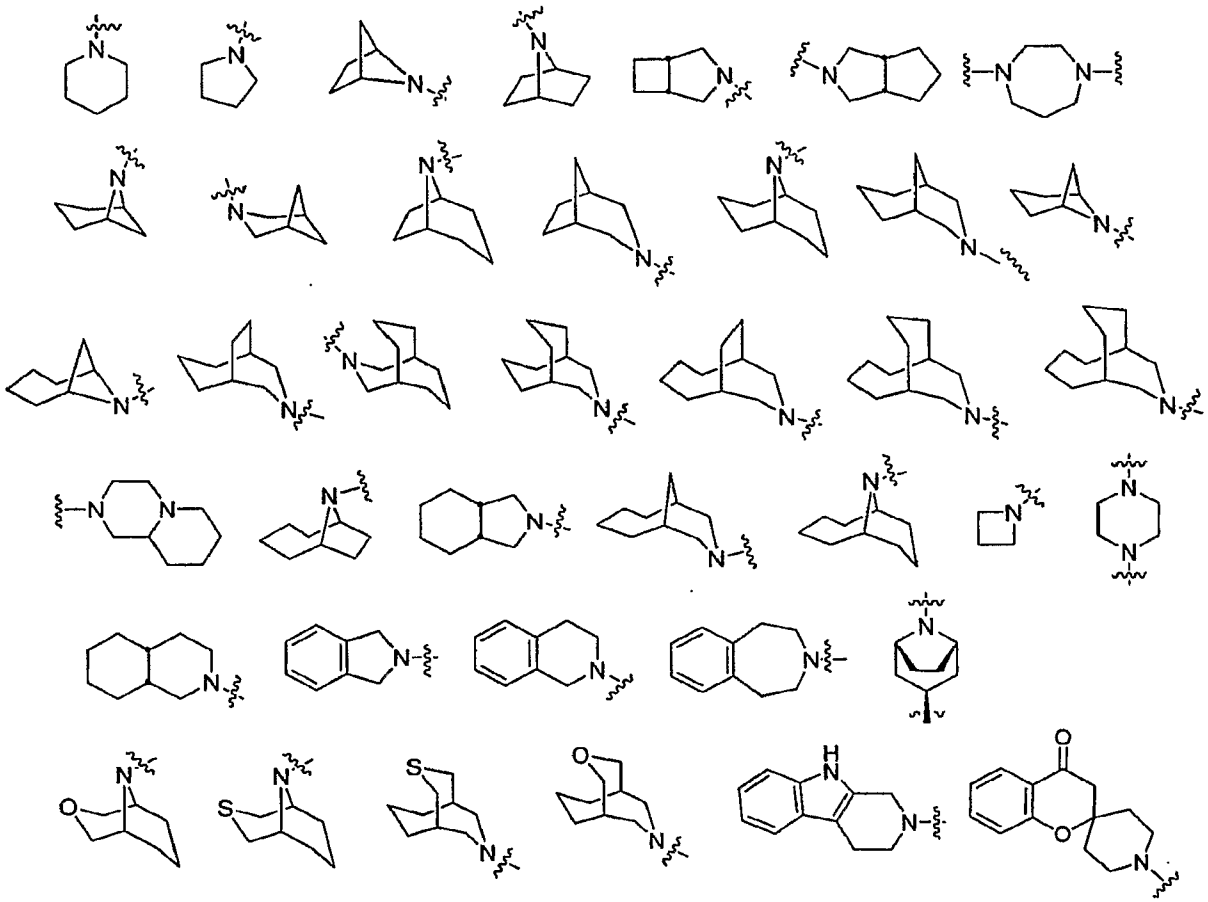
14. The compound of Claim 13, wherein the cycloalkenyl is 

15. The compound of Claim 13, wherein R_2 is hydrogen.

16. The compound of Claim 13, wherein R_2 is an alkyl.

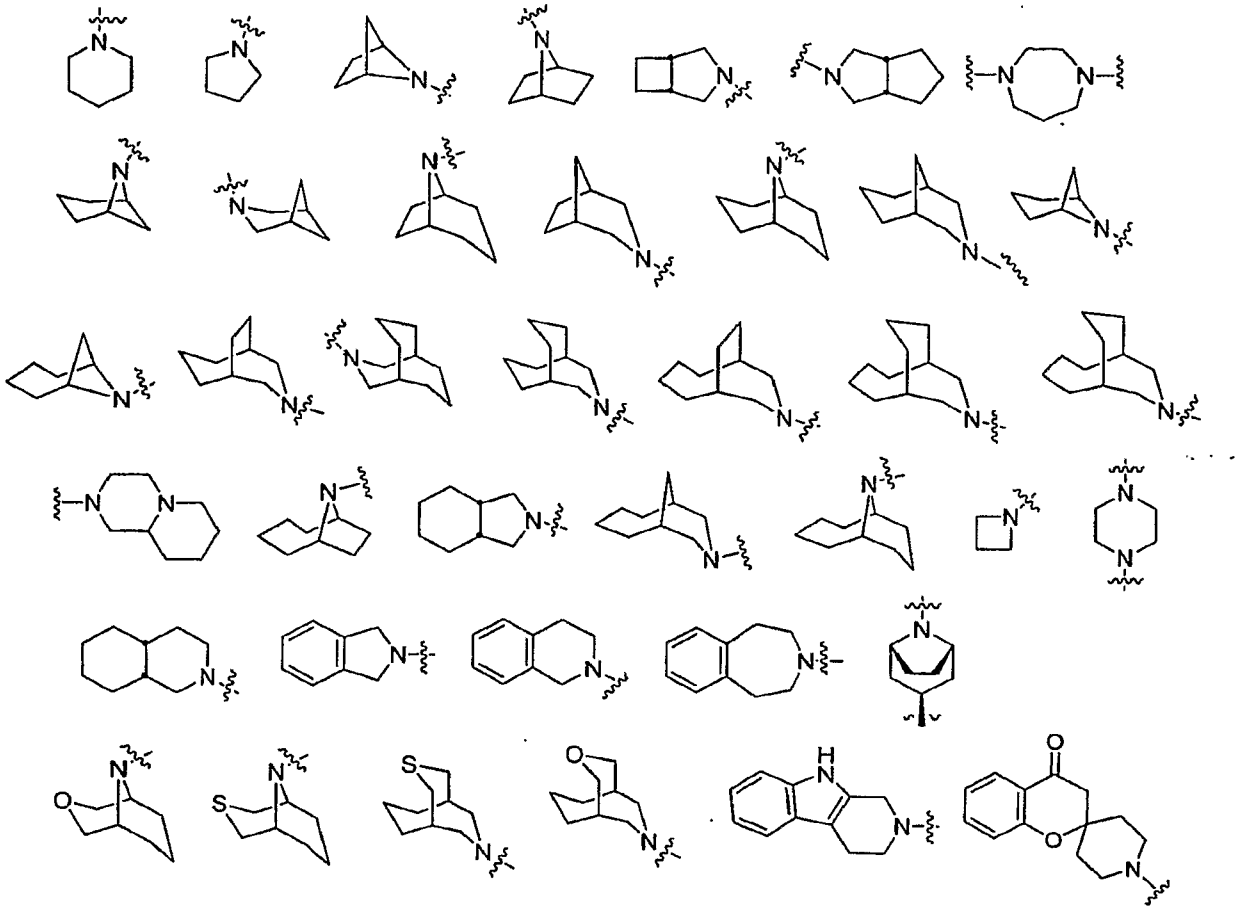
17. The compound of Claim 1, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl.

18. The compound of Claim 1, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl selected from the group consisting of:

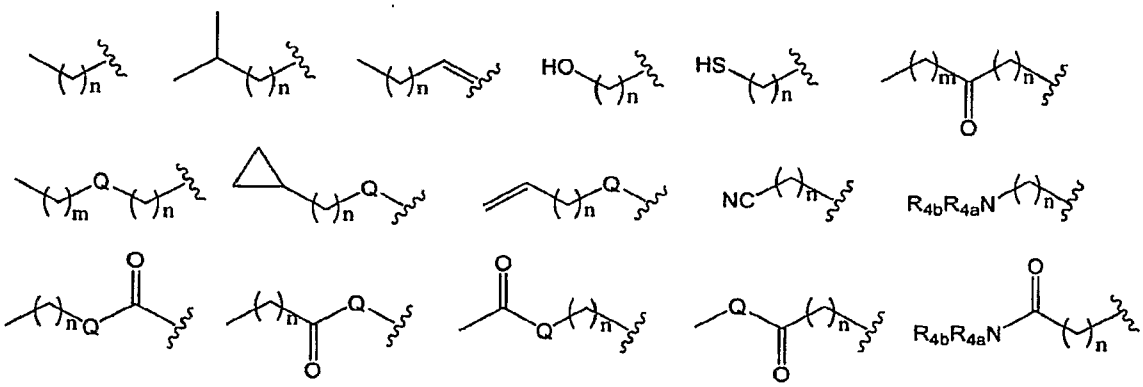


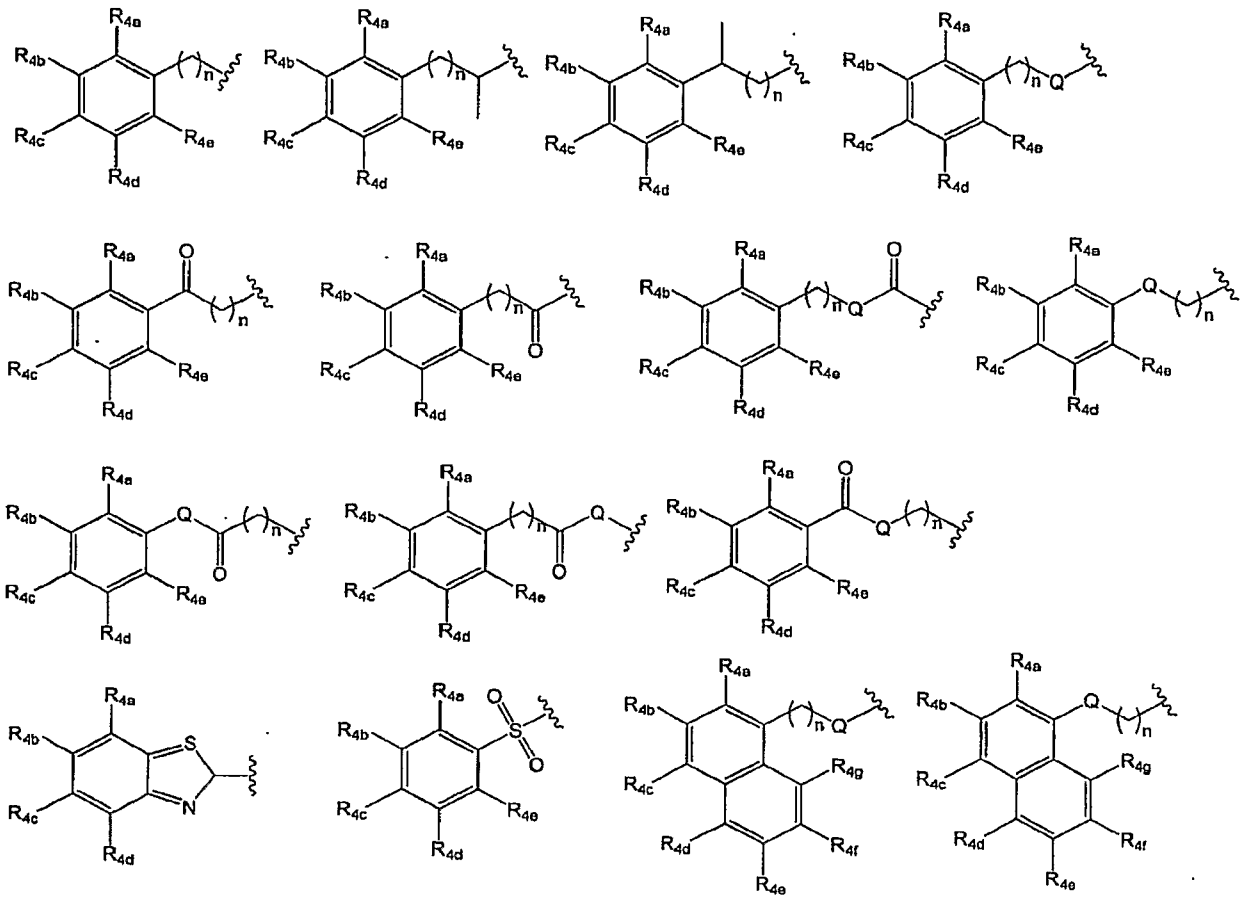
which is unsubstituted or substituted with one or more group(s) individually and independently selected from the group consisting of hydrogen, halogen, cyano, nitro, hydroxyl, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heteroalicyclyl, (heteroalicyclyl)alkyl, alkoxy, aryloxy, ester, mercapto, alkylthio, arylthio, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, isocyanato, thiocyanato, isothiocyanato, C-carboxy, O-carboxy, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino.

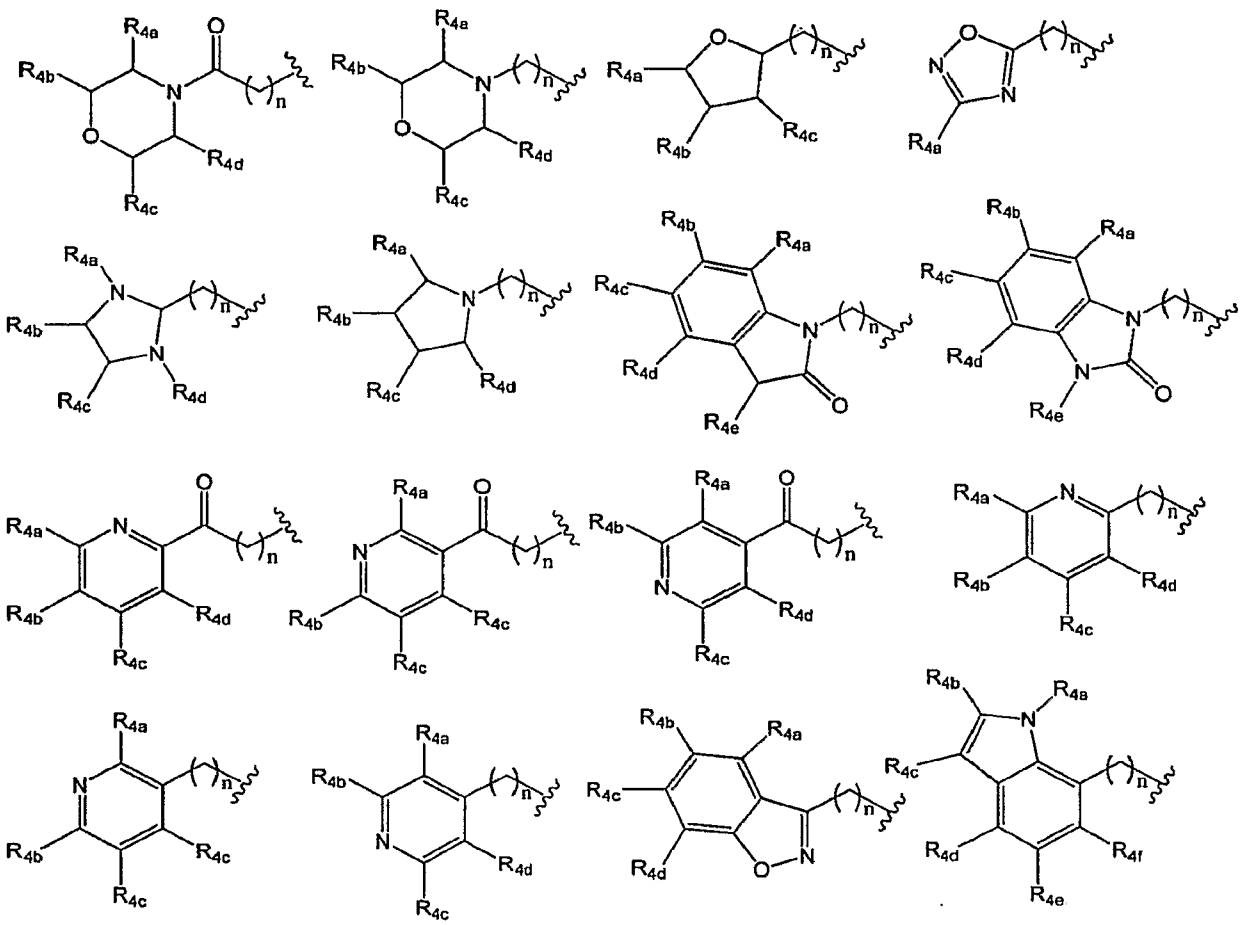
19. The compound of Claim 18, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl selected from the group consisting of:



which is unsubstituted or substituted with one or more group(s) individually and independently selected from the group consisting of:







wherein:

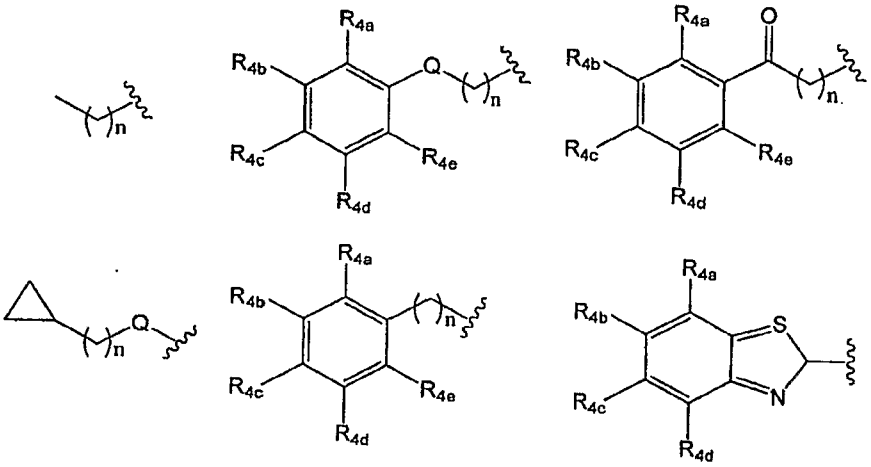
n is integer selected from the group consisting of 0, 1, 2, 3, 4, 5, and 6;

m is integer selected from the group consisting of 0, 1, 2, 3, 4, 5, and 6;

Q is oxygen or sulfur; and

R_{4a}, R_{4b}, R_{4c}, R_{4d}, R_{4e}, and R_f are each independently selected from the group consisting of hydrogen, halogen, cyano, nitro, hydroxyl, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heteroalicyclyl, (heteroalicyclyl)alkyl, alkoxy, aryloxy, ester, mercapto, alkylthio, arylthio, carbonyl, thiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, isocyanato, thiocyanato, isothiocyanato, C-carboxy, O-carboxy, silyl, sulfenyl, sulfinyl, sulfonyl, haloalkyl, haloalkoxy, trihalomethanesulfonyl, trihalomethanesulfonamido, and amino.

20. The compound of Claim 19, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl, which is unsubstituted or substituted with one or more group(s) individually and independently selected from the group consisting of:



21. The compound of any one of Claims 19 to 20, wherein Q is oxygen.

22. The compound of any one of Claims 19 to 20, wherein Q is sulfur.

23. The compound of any one of Claims 19 to 22, wherein R_{4a}, R_{4b}, R_{4c}, R_{4d}, and R_{4e} are each independently selected from the group consisting of hydrogen, halogen, cyano, nitro, hydroxyl, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkoxy, aryl, alkylthio, and haloalkyl.

24. The compound of any one of Claims 19 to 22, wherein at least one of R_{4a}, R_{4b}, R_{4c}, R_{4d}, and R_{4e} is halogen.

25. The compound of Claim 23, wherein the alkoxy is selected from the group consisting of methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, and t-butoxy.

26. The compound of Claim 25, wherein the alkoxy is methoxy

27. The compound of Claim 23, wherein the alkyl is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl.

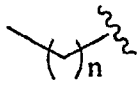
28. The compound of Claim 27, wherein the alkyl is selected from the group consisting of methyl and ethyl.

29. The compound of Claim 23, wherein the aryl is pyridine.

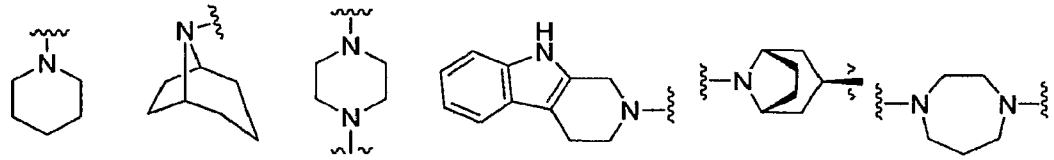
30. The compound of Claim 23, wherein the haloalkyl is CF_3.

31. The compound of any one of Claims 19 to 30, wherein n is an integer selected from the group consisting of 0, 1, 2, and 3.

32. The compound of any one of Claims 19 to 31, wherein m is an integer selected from the group consisting of 1, 2, and 3.

33. The compound of any one of Claims 19 to 20, wherein  is n-butyl or n-pentyl.

34. The compound of any one of Claims 18 to 33, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl selected from the group consisting of:



35. The compound of Claim 34, wherein R_2 and R_{2a} are taken together, along with the nitrogen atom to which they are attached, to form an unsubstituted or substituted heteroalicyclyl selected from the group consisting of:

36. The compound of any one of Claims 1 to 35, wherein B is selected form the group consisting of $-C(=O)R_1$, $-C(=O)OR_1$, $-C(=Z)NR_{1a}R_{1b}$, $-C(=Z)N(OR_{1a})R_{1b}$, and $-C\equiv N$

37. The compound of Claim 36, wherein B is $-C(=O)R_1$.

38. The compound of Claim 36, wherein B is $-C(=Z)NR_{1a}R_{1b}$.

39. The compound of any one of Claims 1 to 38, wherein R_1, R_{1a} and R_{1b} are each independently selected from the group consisting of: hydrogen, mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl, alkenyl, cycloalkyl, aryl, aralkyl, and haloalkyl.

40. The compound of Claim 39, wherein said alkyl is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, linear or branched pentyl, linear or branched hexyl, linear or branched heptyl, and linear or branched octyl.

41. The compound of Claim 40, wherein said alkyl is selected from the group consisting of methyl, ethyl, n-butyl, isobutyl, linear hexyl, and branched octyl.

42. The compound of Claim 39, wherein said aryl is phenyl.

43. The compound of Claim 39, wherein said cycloalkyl is cyclopropyl.

44. The compound of Claim 39, wherein said haloalkyl is CF_3.

45. The compound of Claim 39, wherein said aralkyl is optionally substituted phenyl(C_{1-4}alkyl).

46. The compound of Claim 45, wherein said aralkyl is optionally substituted phenyl(methyl)

47. The compound of any one of Claims 45 to 46, wherein said optionally substituted phenyl(C_{1-4}alkyl) is substituted with a substituent selected from the group consisting of alkyl and halogen.

48. The compound of Claim 47, wherein the optionally substituted phenyl(C_{1-4}alkyl) is substituted is methyl.

49. The compound of any one of Claims 1 to 48, wherein R_3, R_{3a}, R_{3b}, and R_{3c} are each independently selected from the group consisting of hydrogen, halogen; mono-substituted, poly-substituted or unsubstituted variants of the following residues: alkyl and –OR_1.

50. The compound of Claim 49, wherein said alkyl is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl.

51. The compound of Claim 49, wherein the alkyl is selected from the group consisting of methyl and ethyl.

52. The compound of Claim 49, wherein R_1 is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, and t-butyl.

53. The compound of Claim 52, wherein R_1, is selected from the group consisting of methyl and isopropyl.

54. The compound of any one of Claims 1 to 53, wherein A is hydrogen.

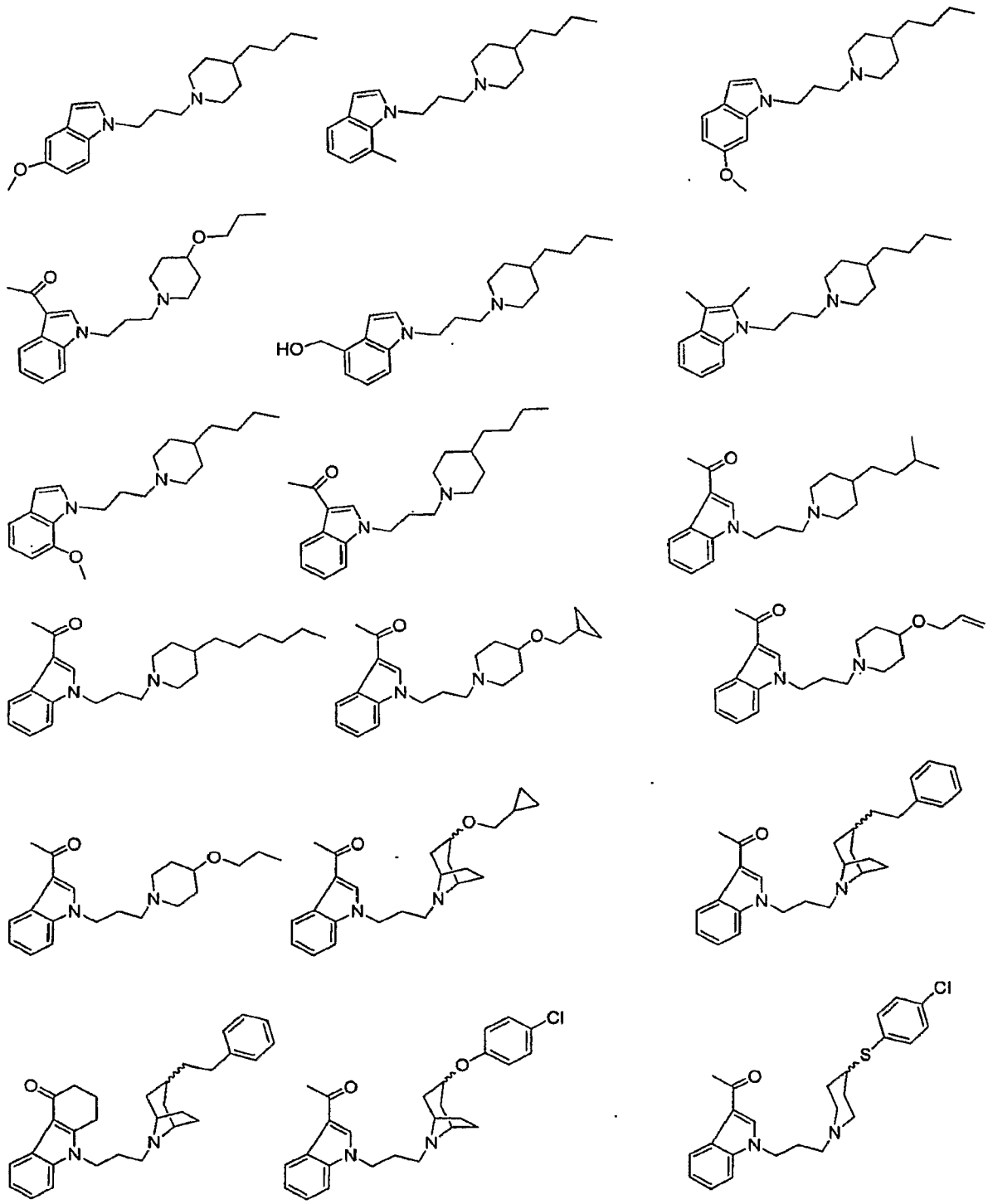
55. The compound of any one of Claims 1 to 53, wherein A is alkyl.

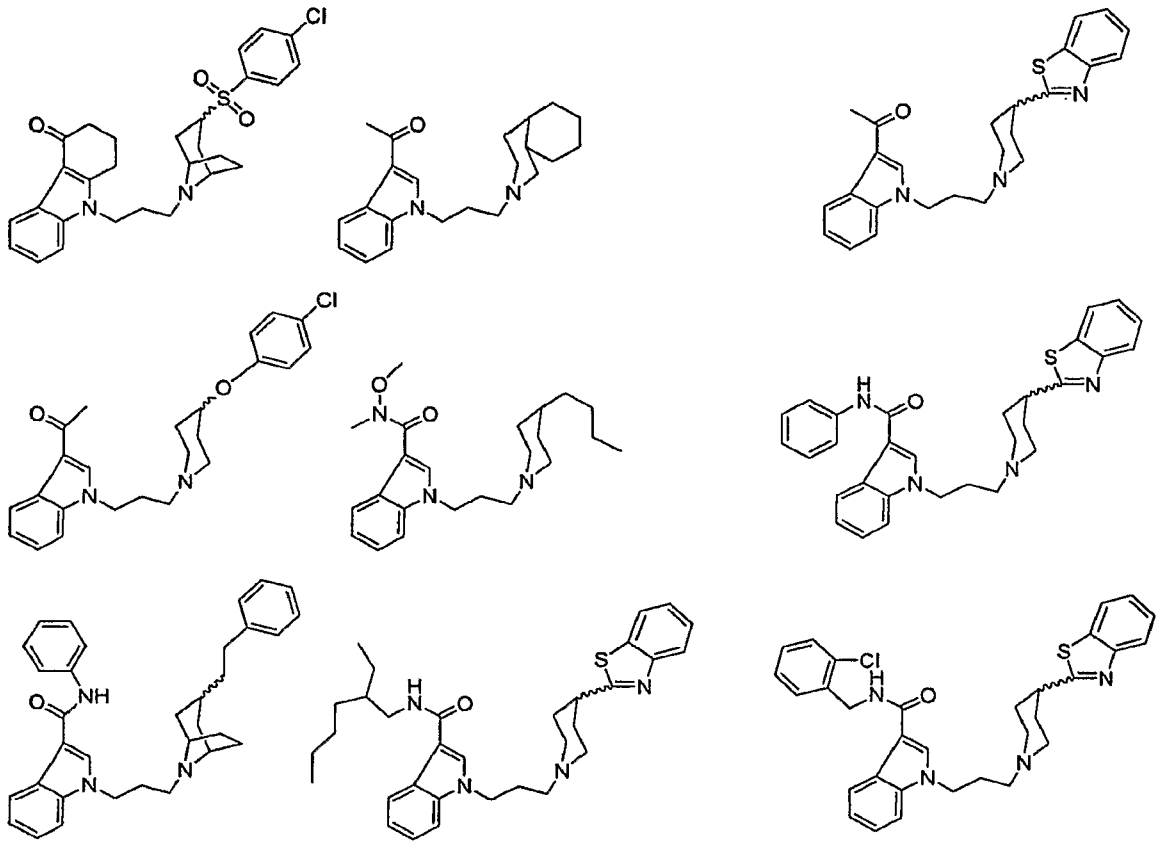
56. The compound of Claim 55, wherein the alkyl is methyl.

57. The compound of any one of Claims 1 to 56, wherein L is an unsubstituted or substituted lower alkylene group.

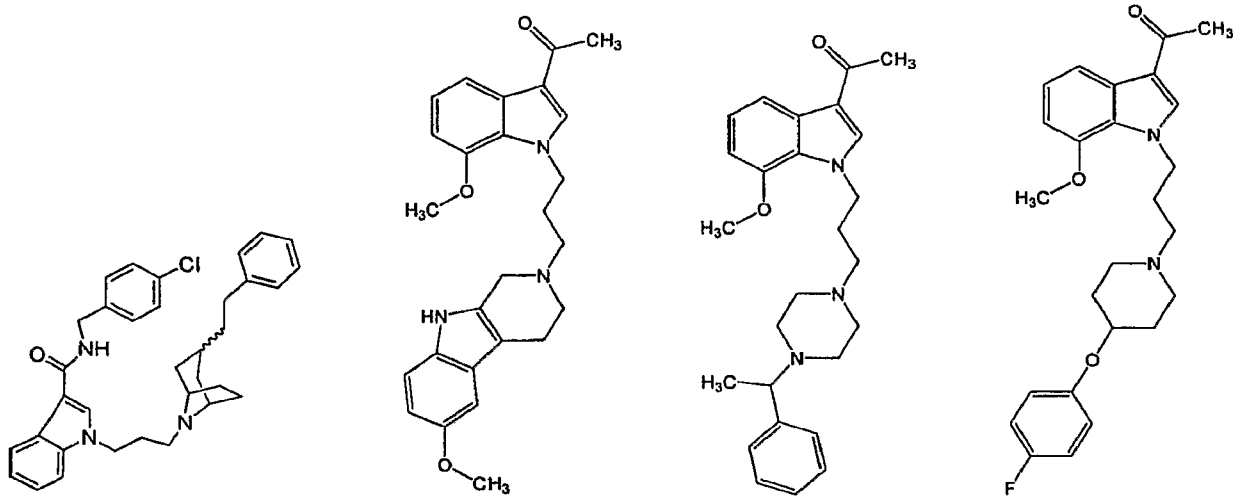
58. The compound of Claim 57, wherein the lower alkylene group is ethylene, propylene, or butylene.

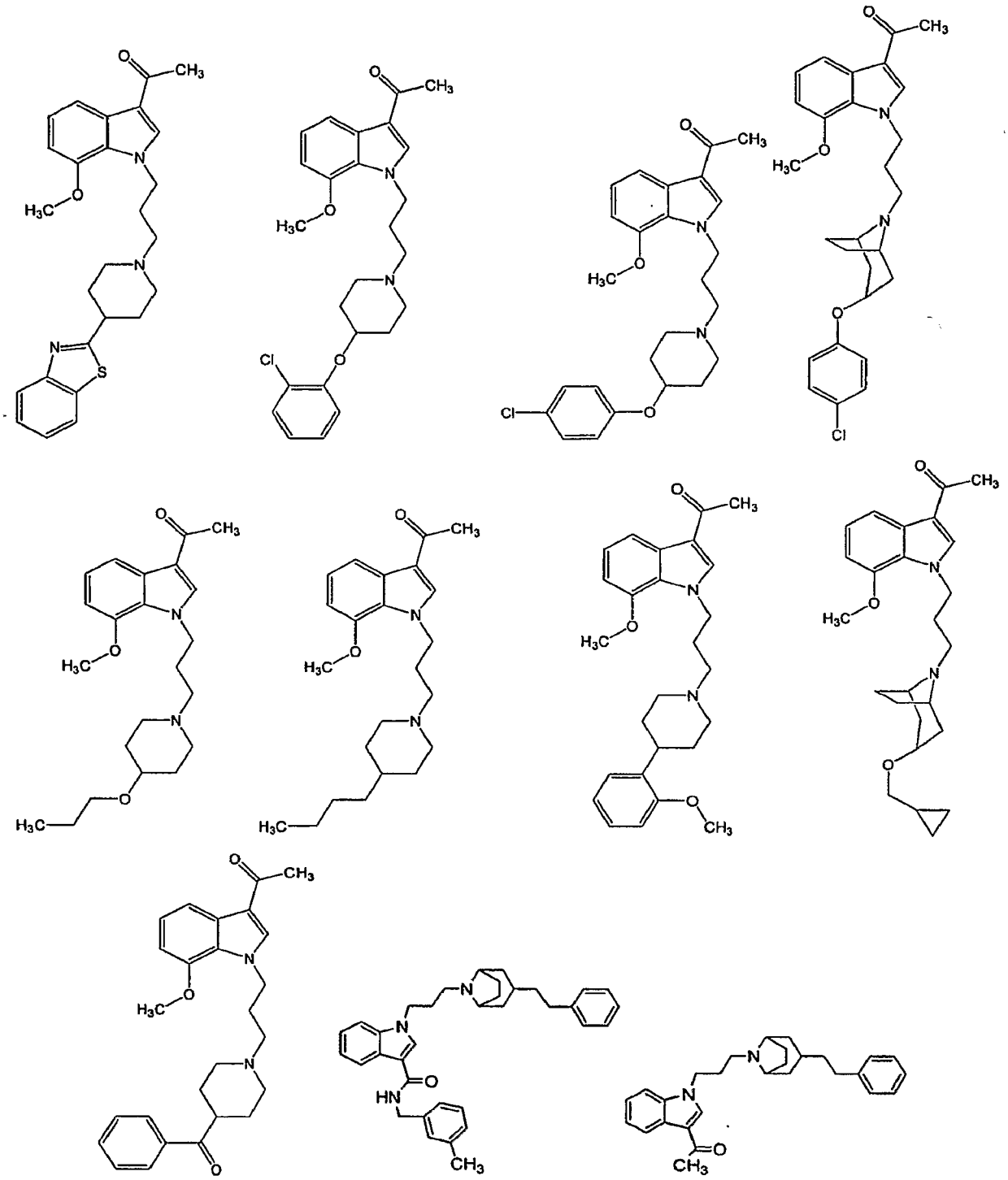
59. The compound of Claim 1, wherein the compound of Formula (I) is selected from the group consisting of:



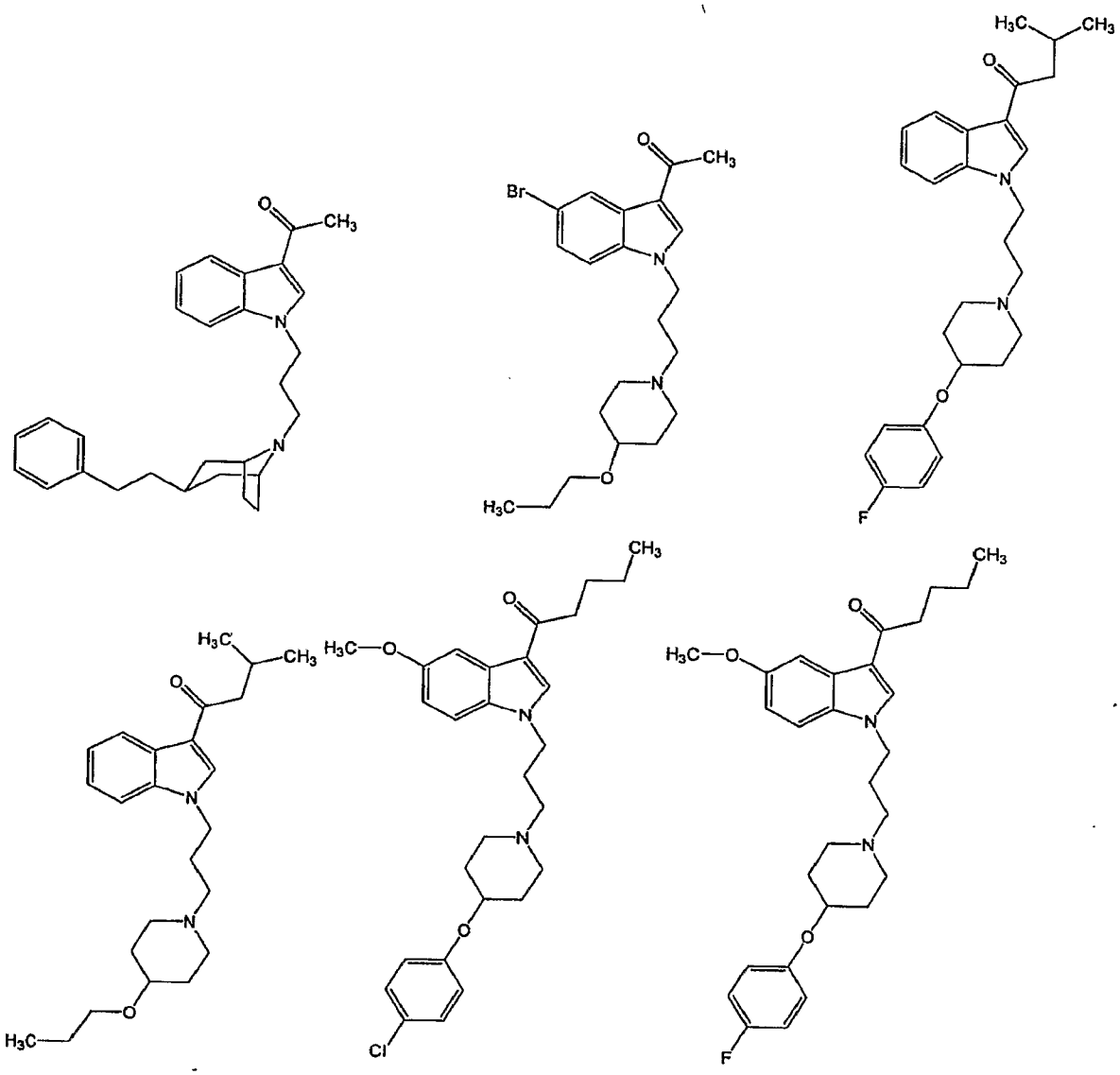


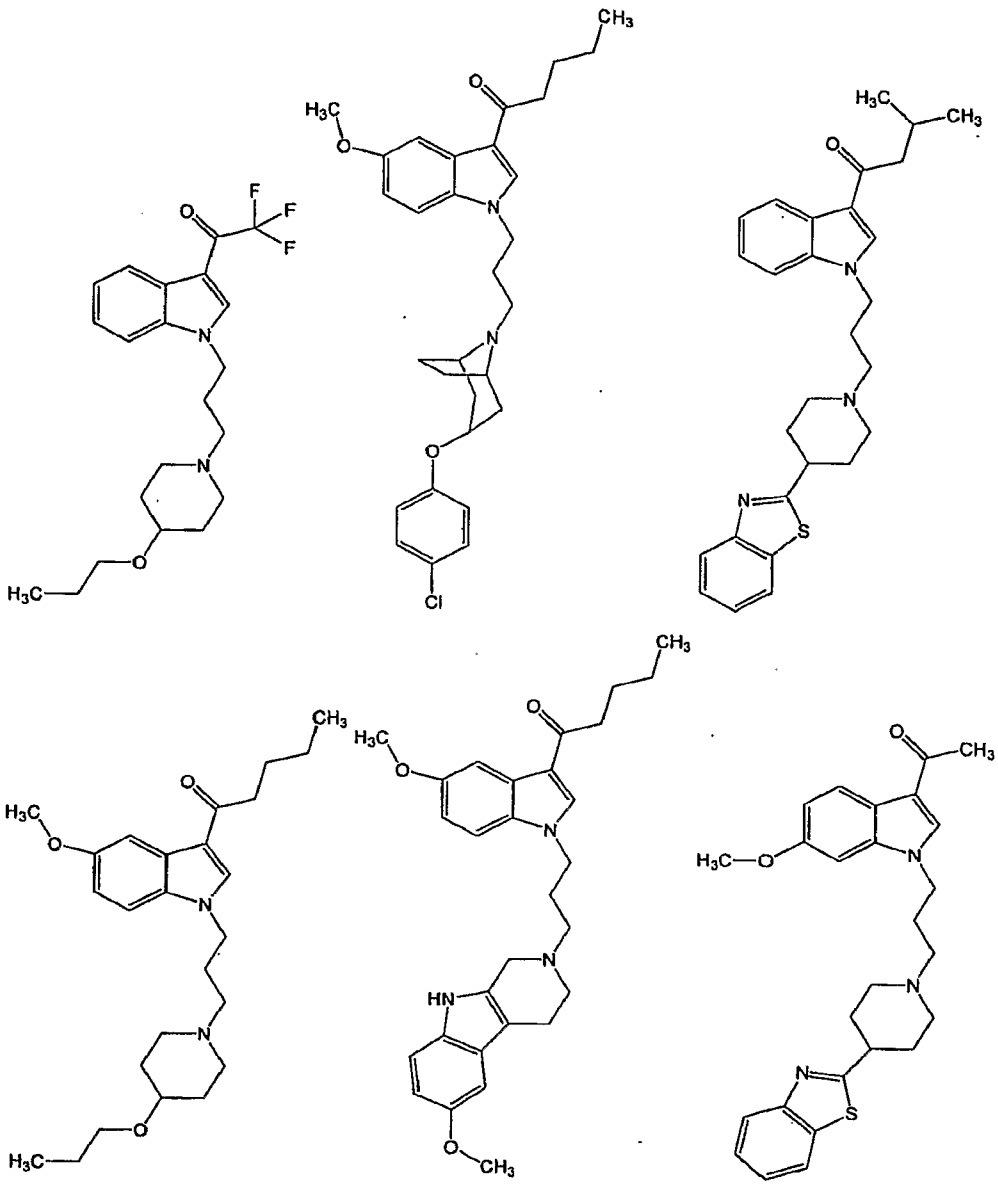
60. The compound of Claim 1, wherein the compound is selected from the group consisting of:

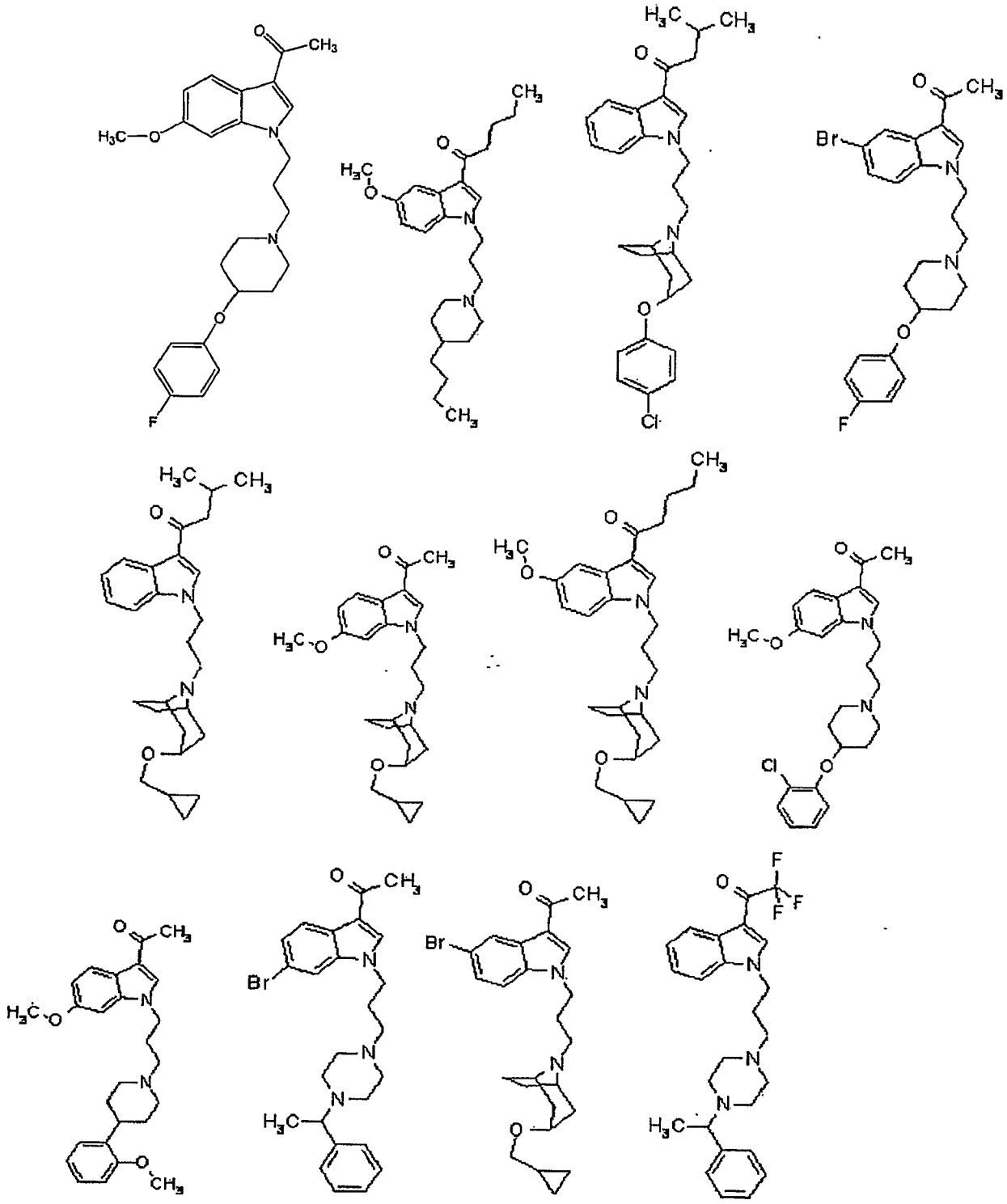


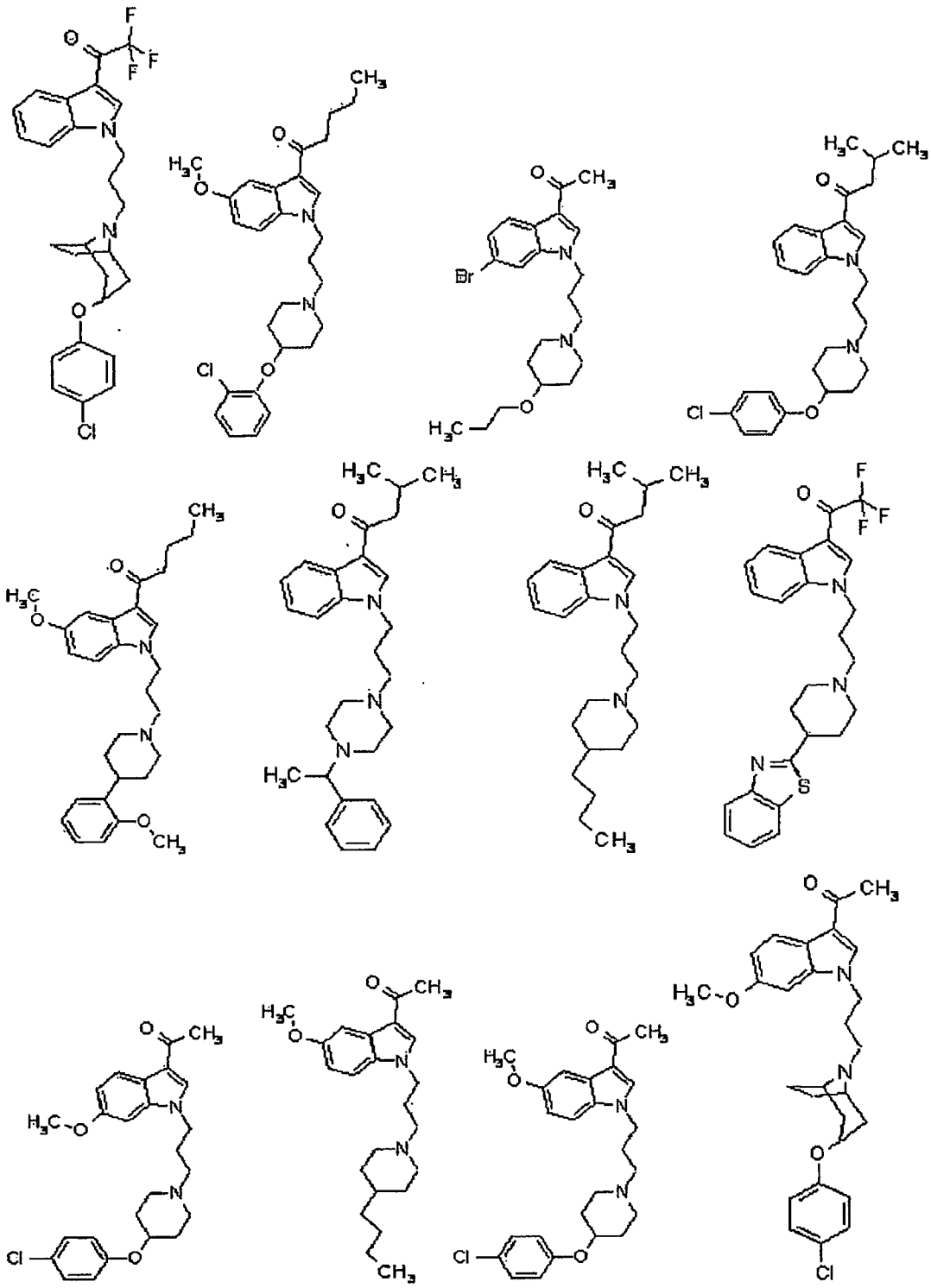


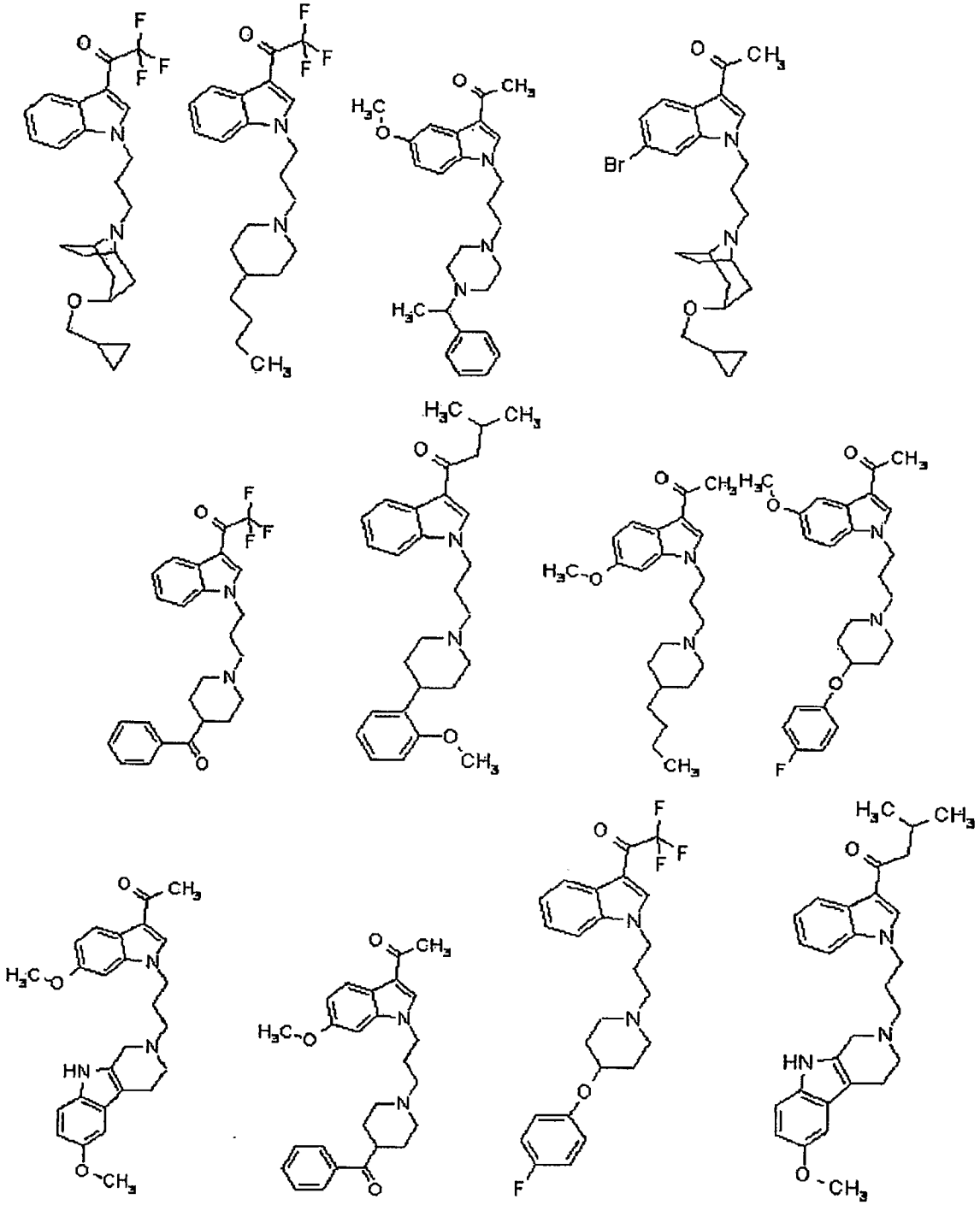
61. The compound of Claim 1, wherein the compound is selected from the group consisting of:

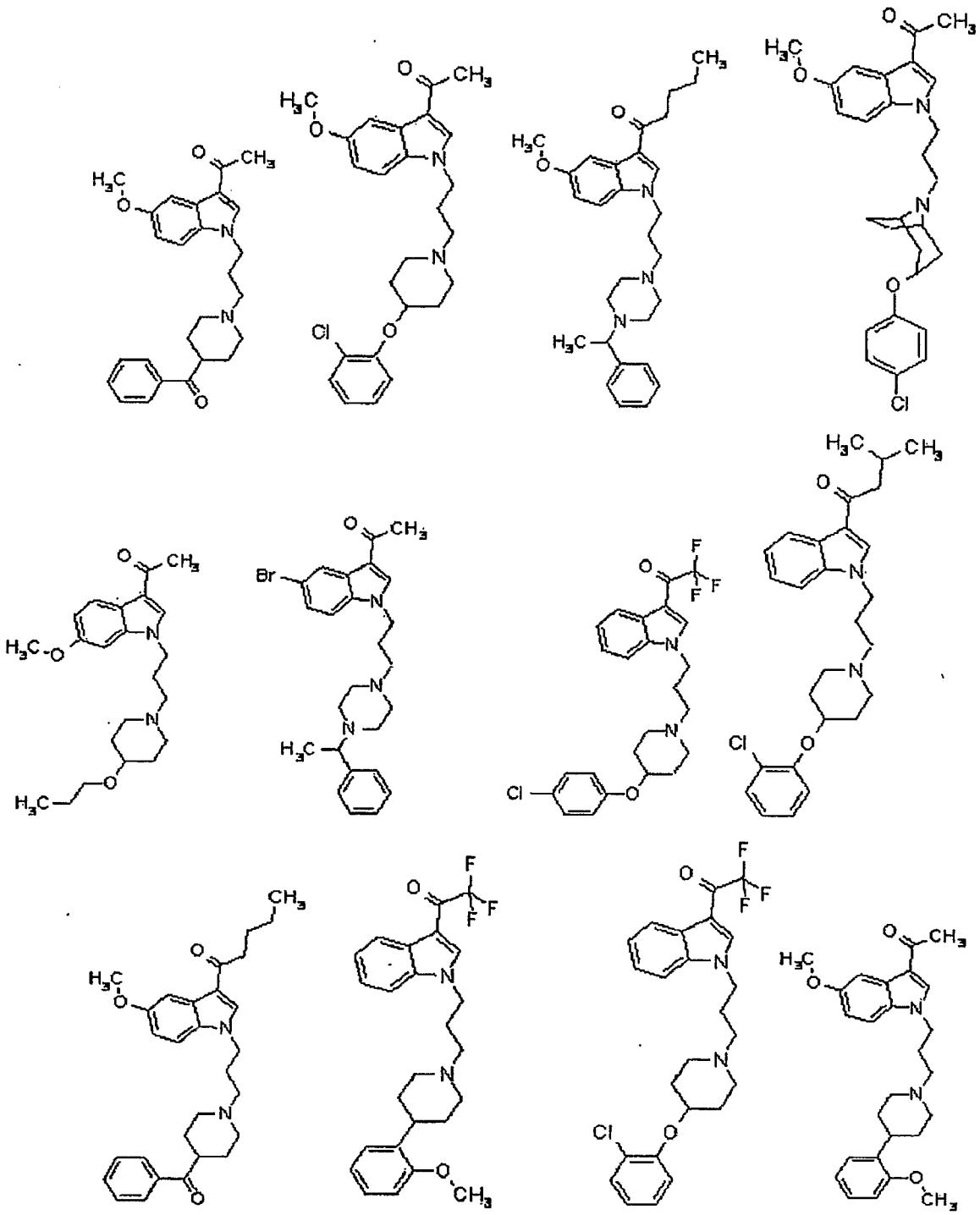


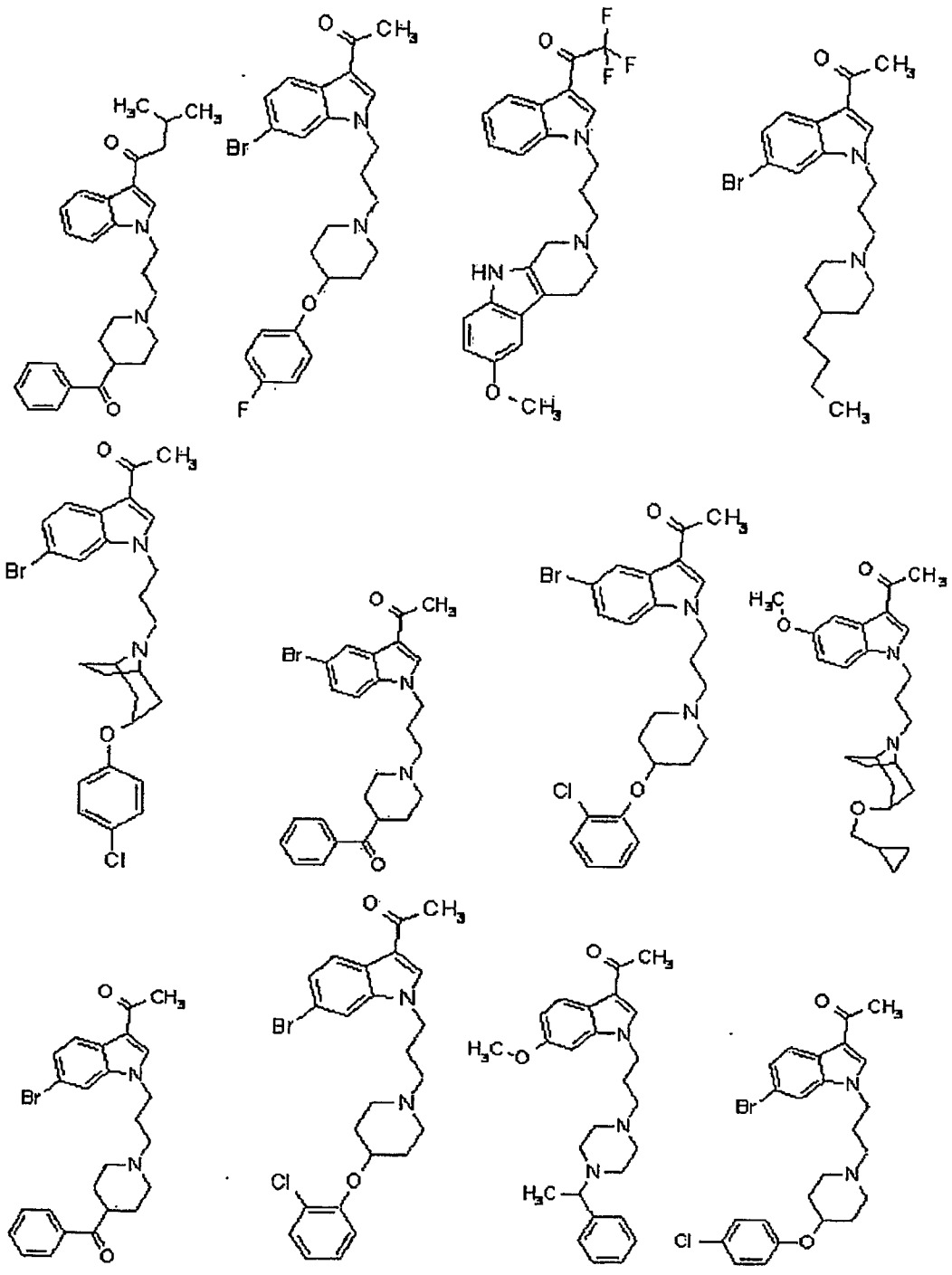


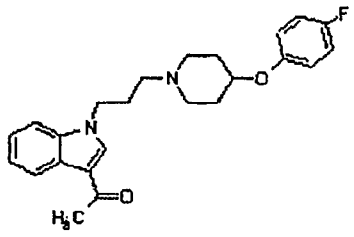


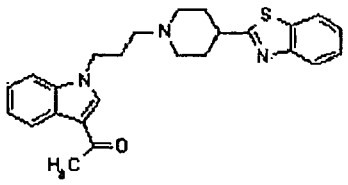


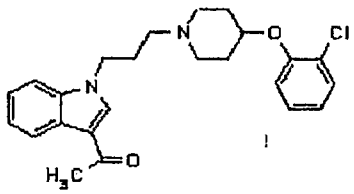


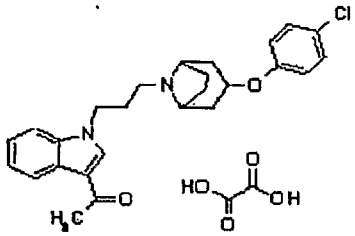


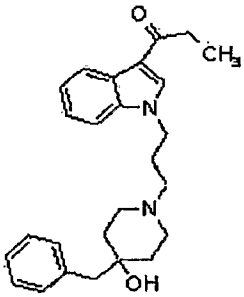


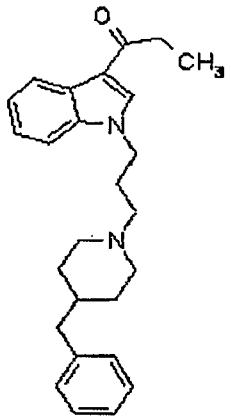


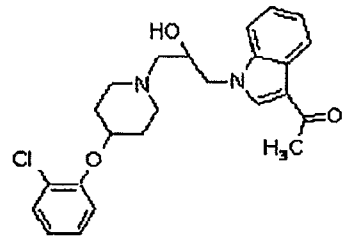


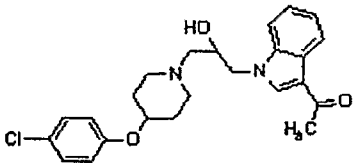


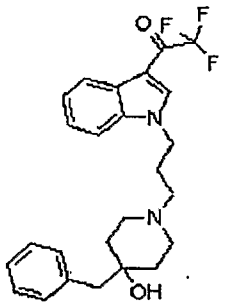


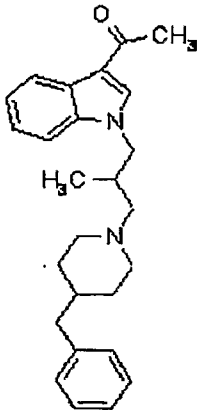


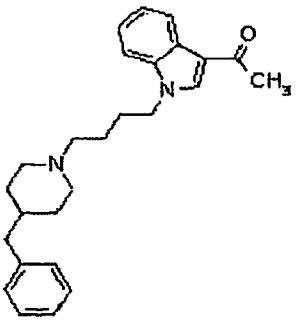


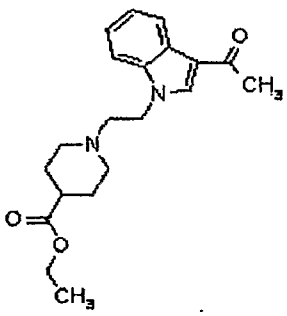


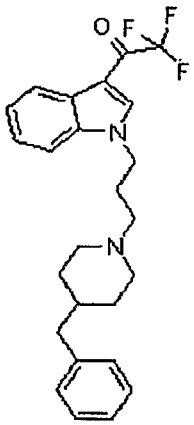


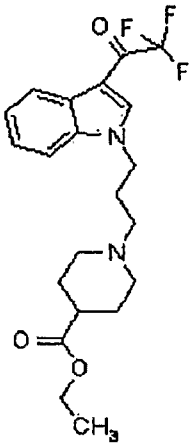


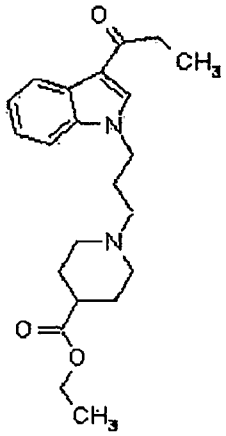


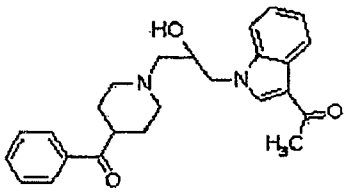


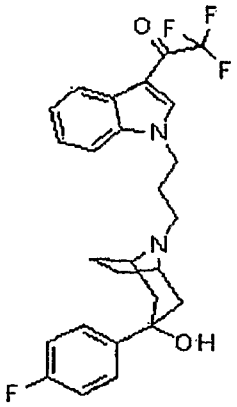


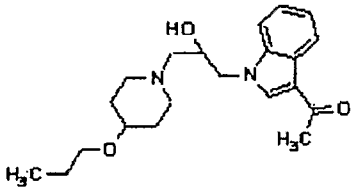


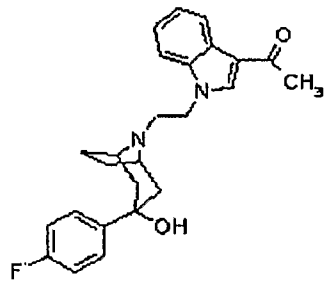


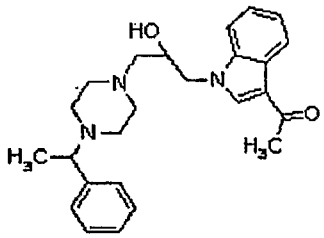


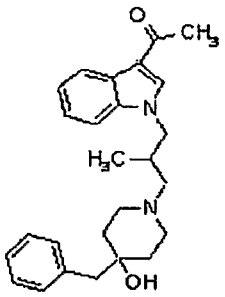


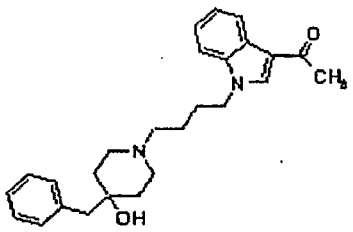


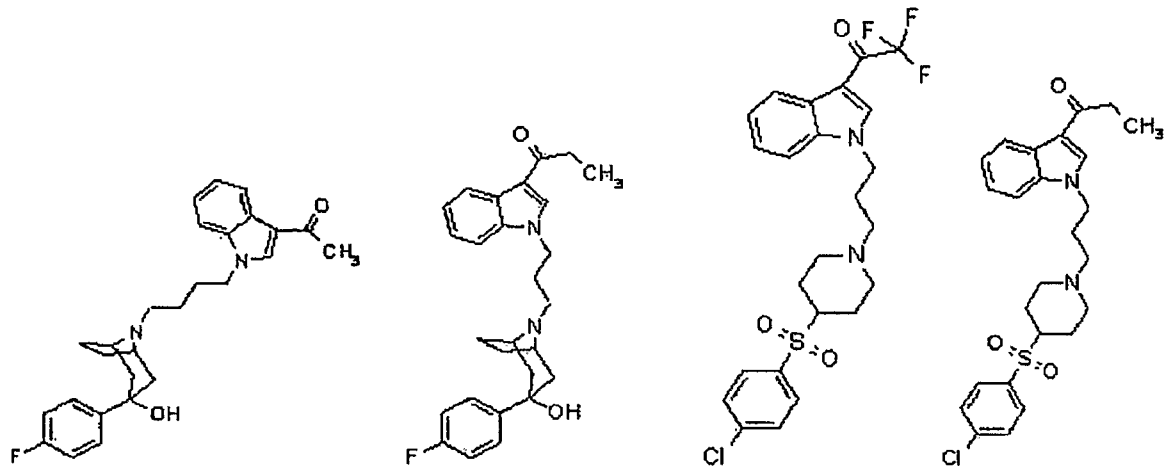




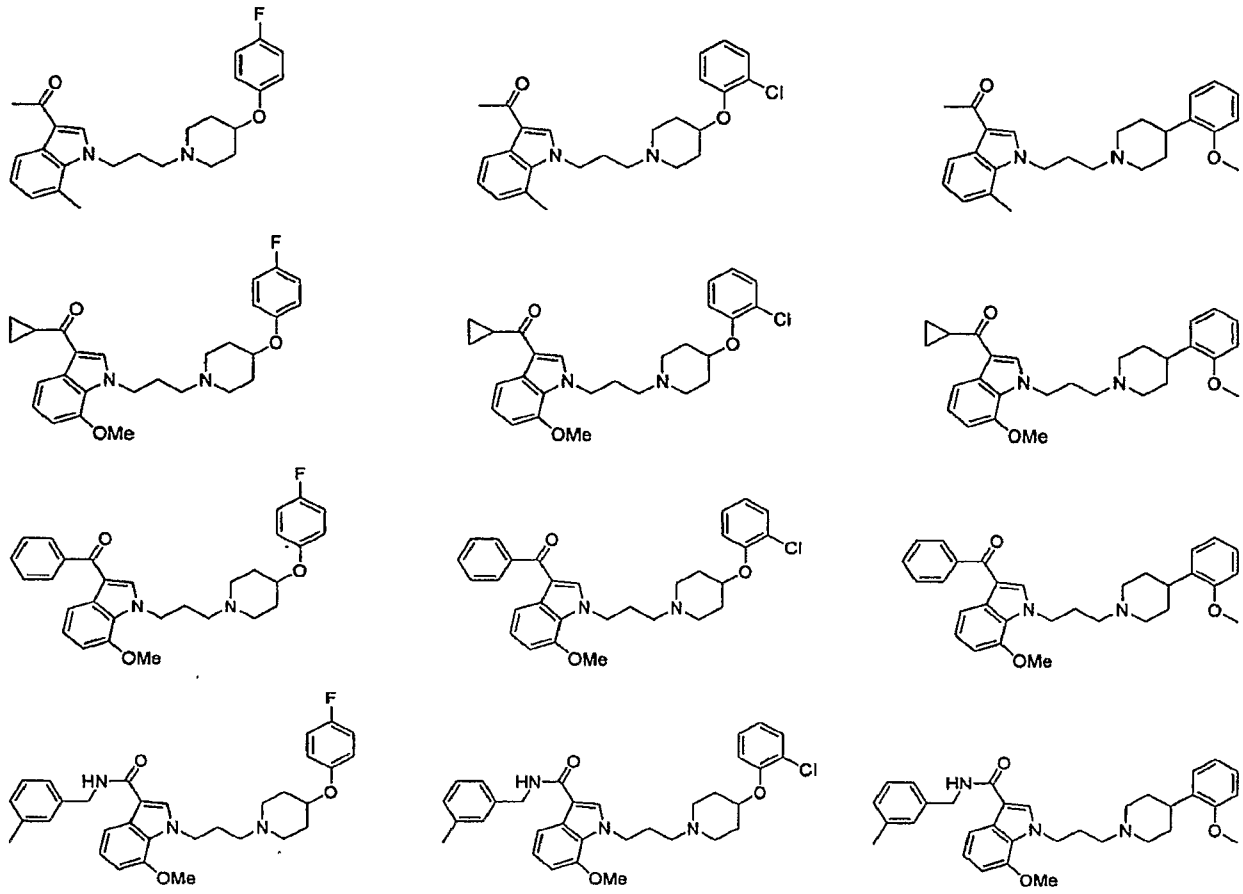


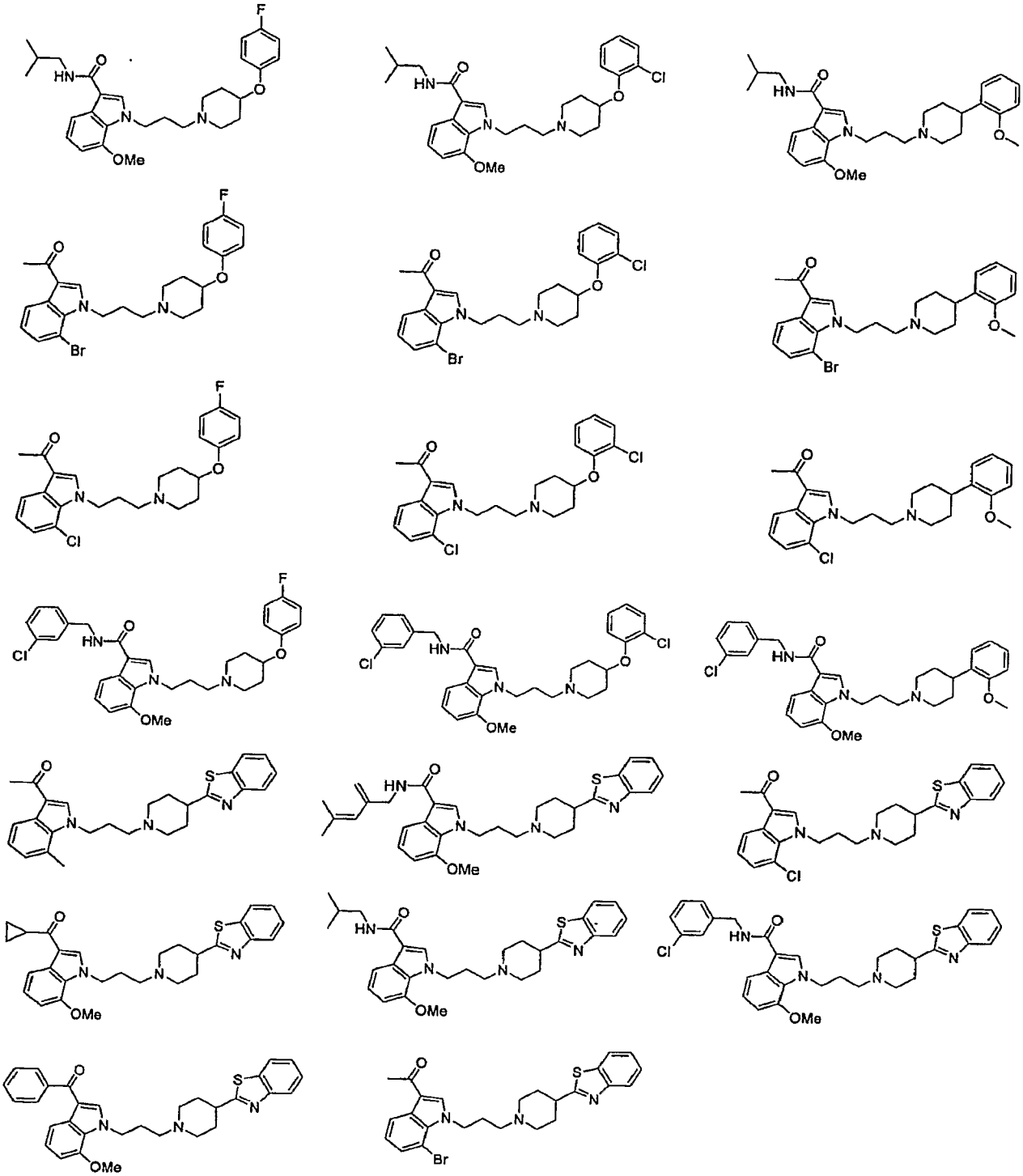




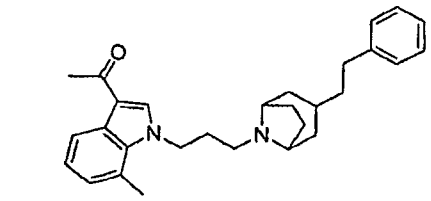
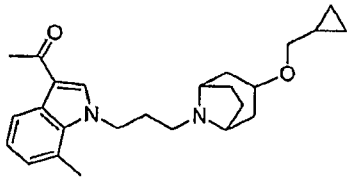
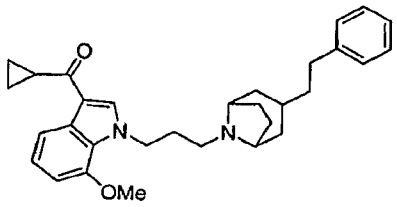
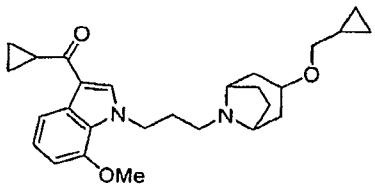
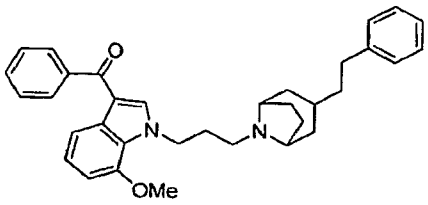
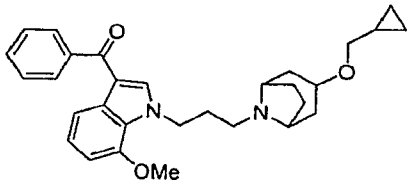
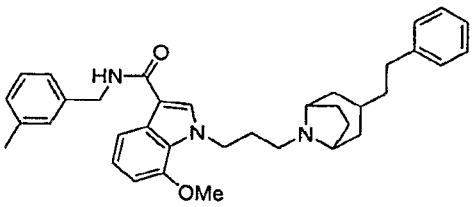
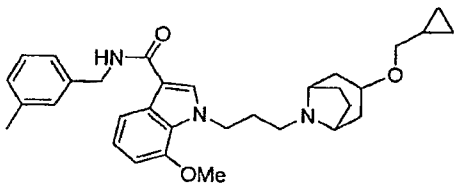
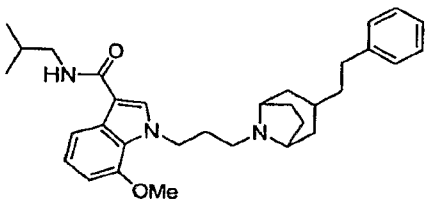
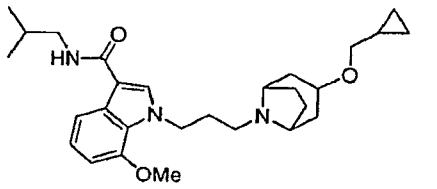
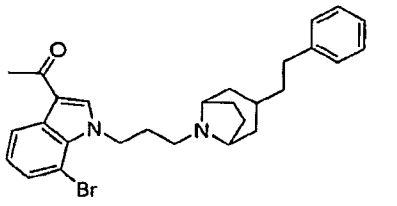
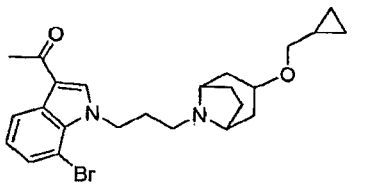
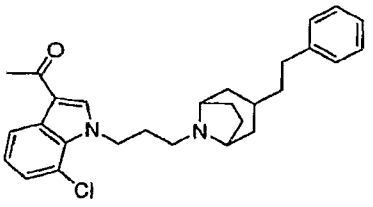
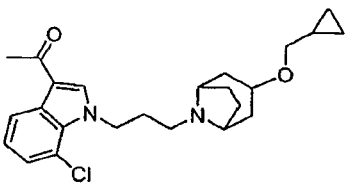


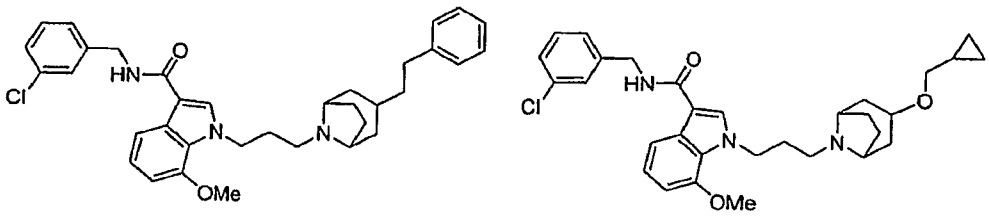
62. The compound of Claim 1, wherein the compound is selected from the group consisting of:



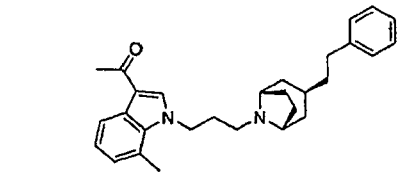
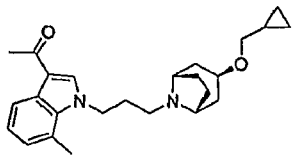
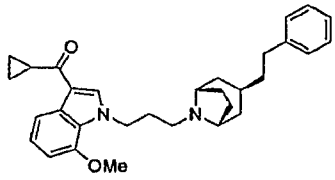
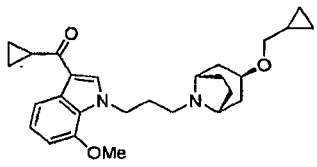
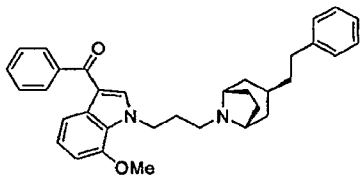
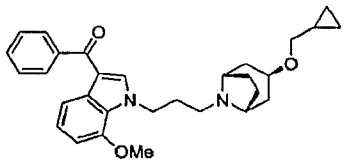
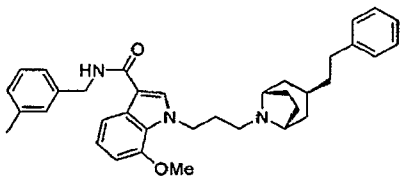
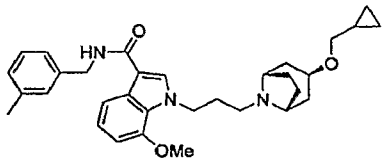
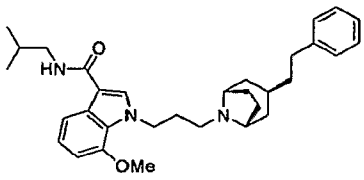
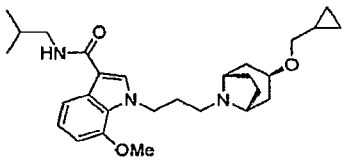
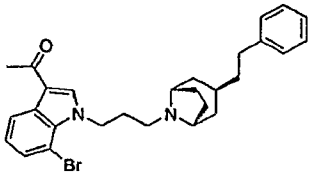
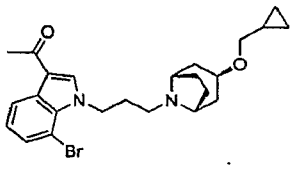
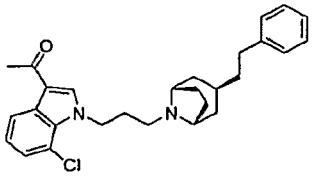
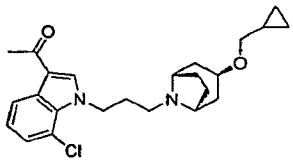
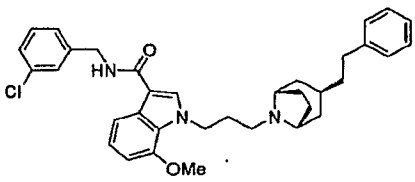
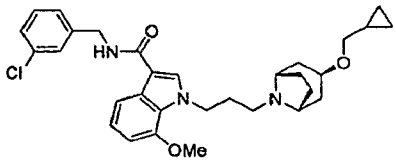


63. The compound of Claim 1, wherein the compound is selected from the group consisting of:

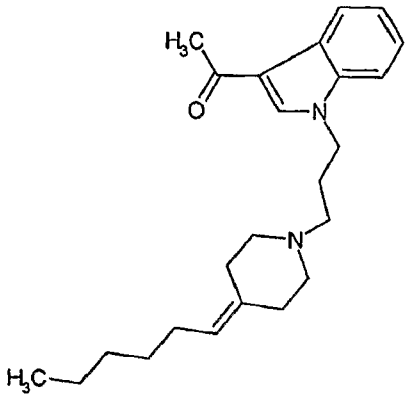
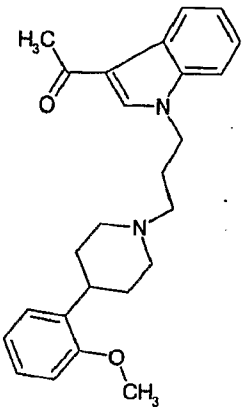
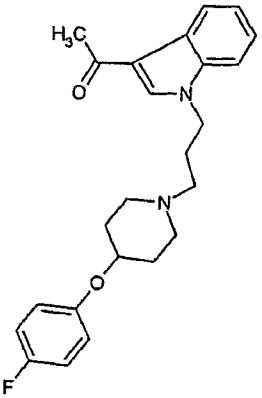
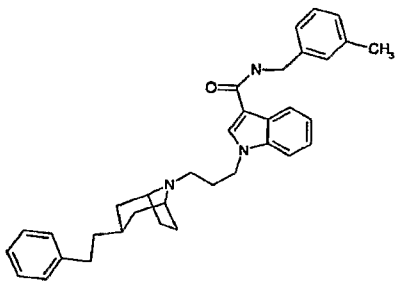
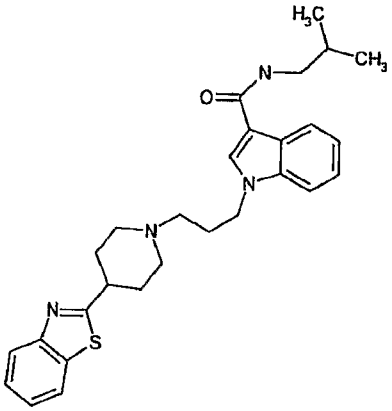
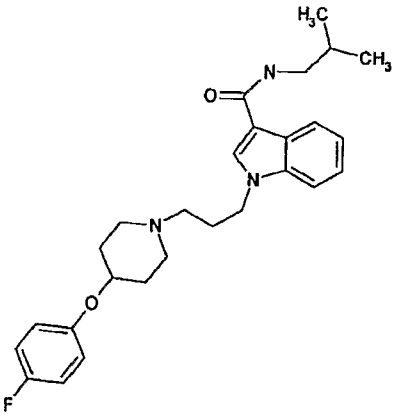
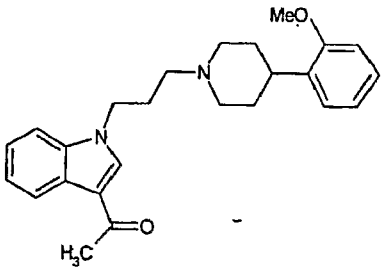
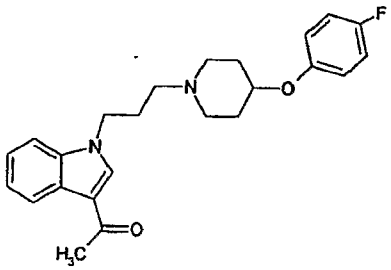
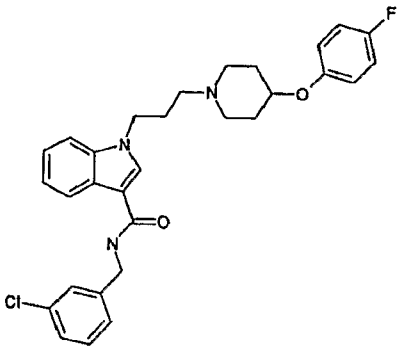















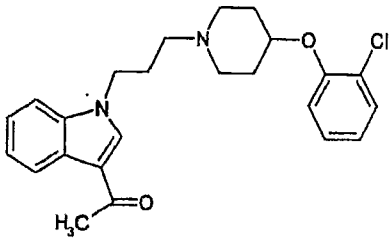


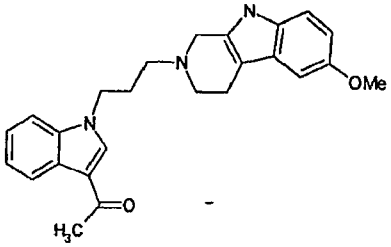
64. The compounds of Claim 63, wherein the compounds have the following stereochemistry:

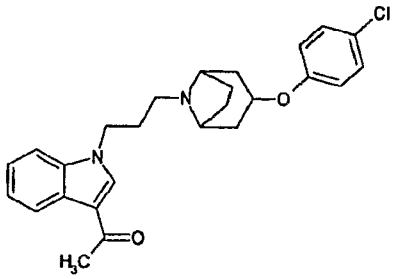

















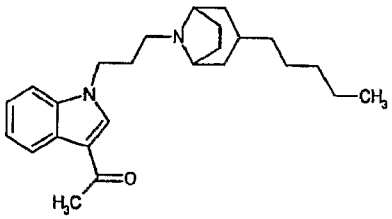
65. The compound of Claim 1, wherein the compound is selected from the group consisting of:

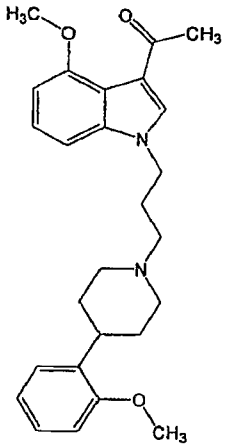










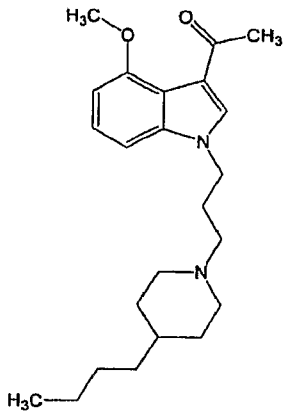


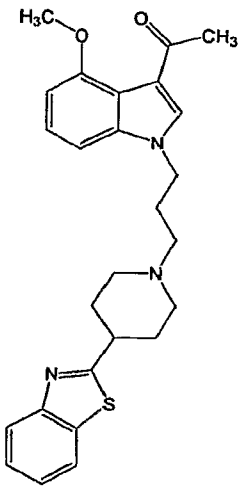


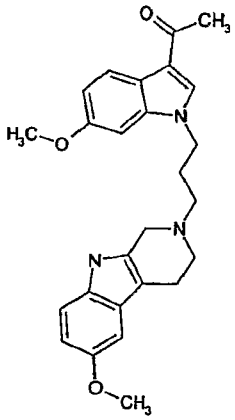


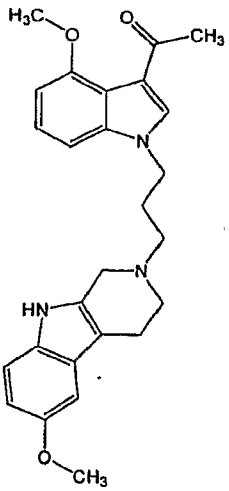


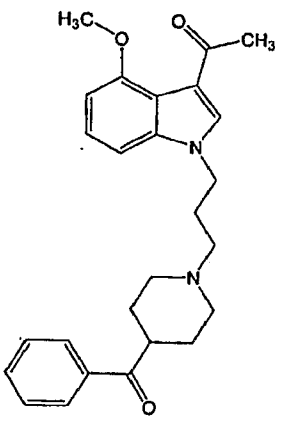


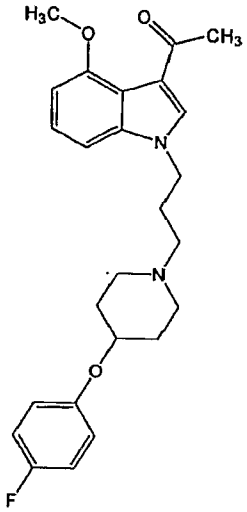


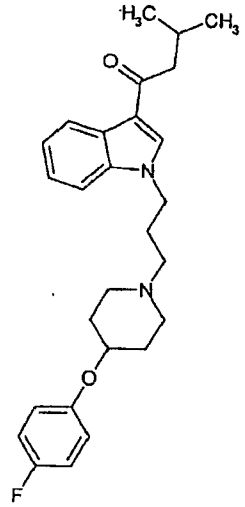


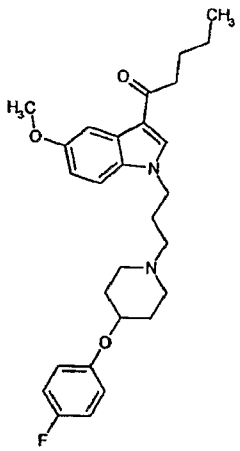


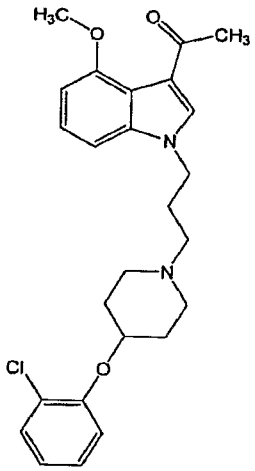


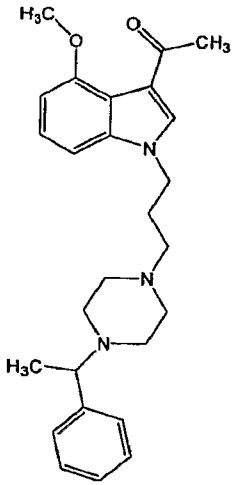


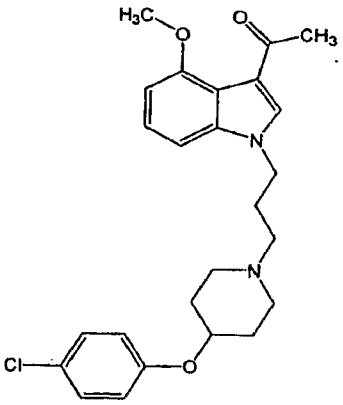


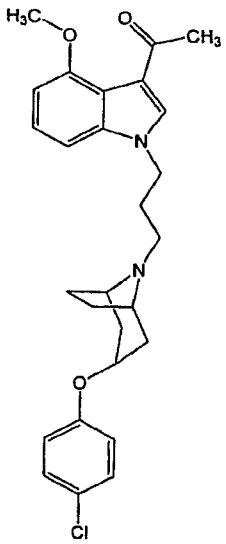


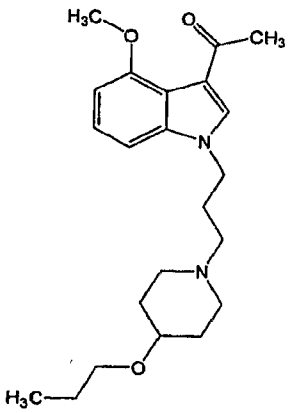


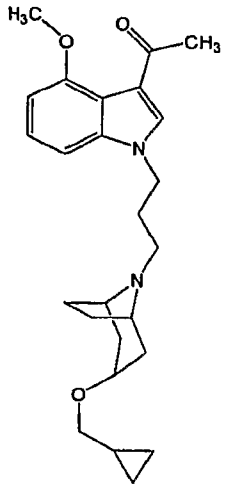


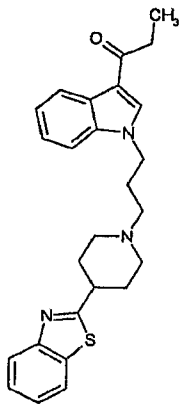


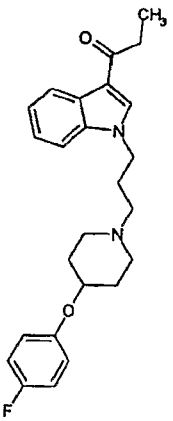


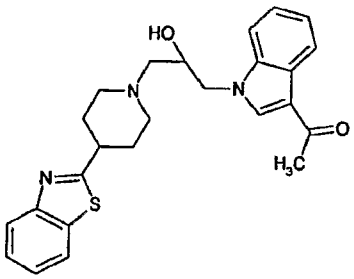


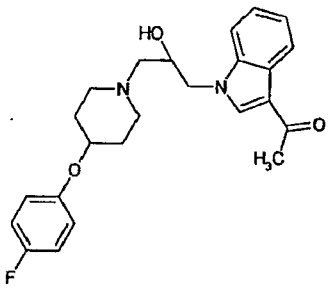


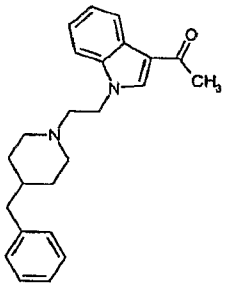


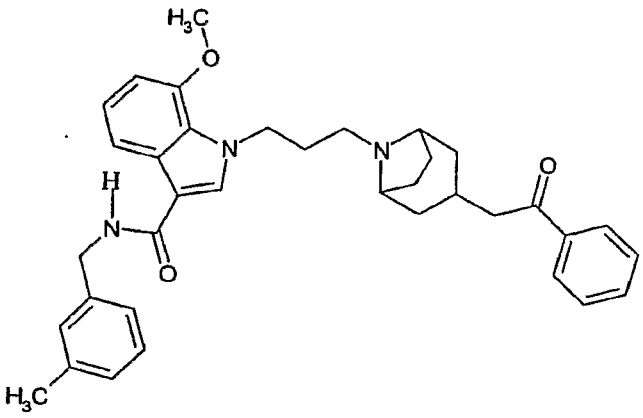


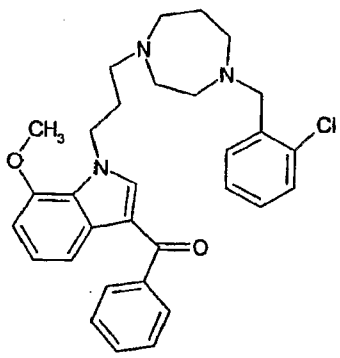


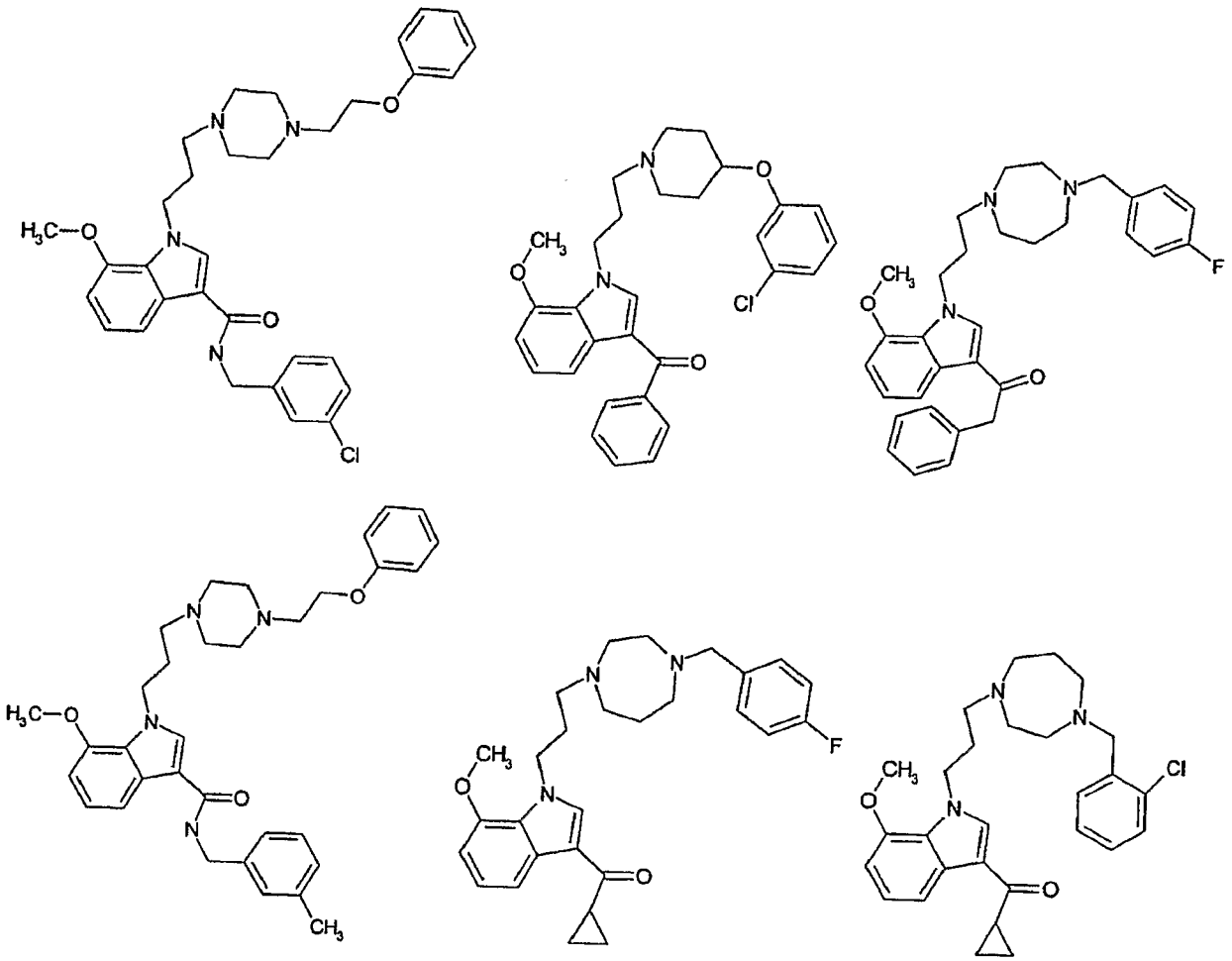




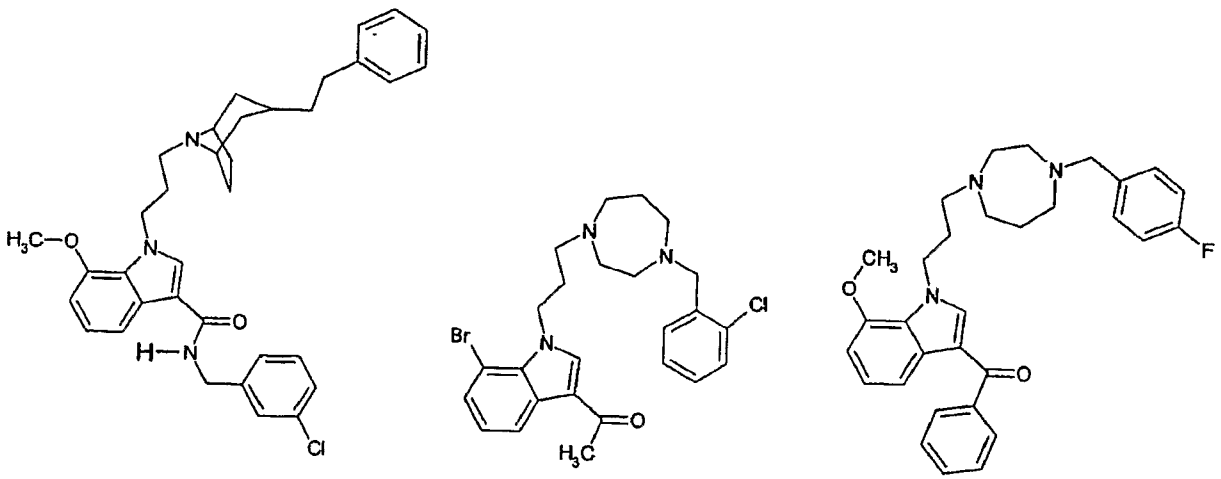


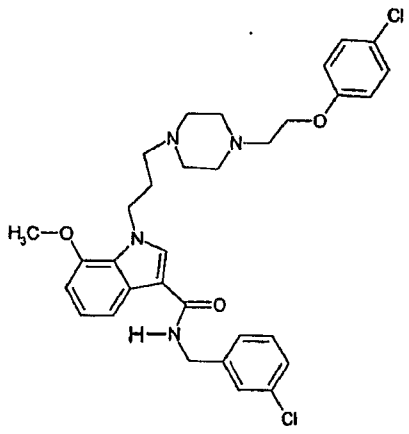


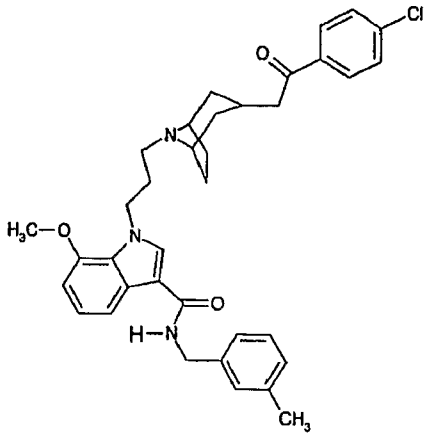


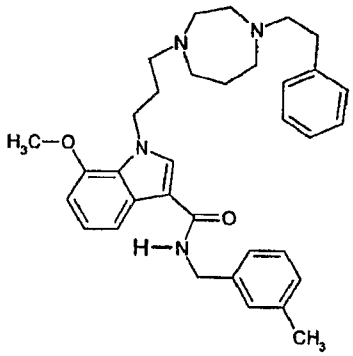


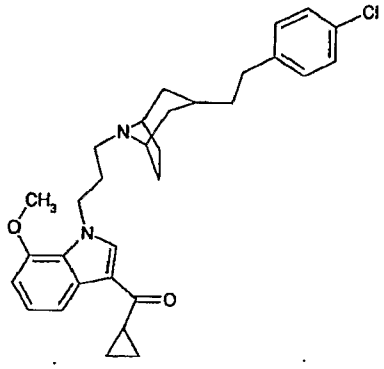
66. The compound of Claim 1, wherein the compound is selected from the group consisting of:

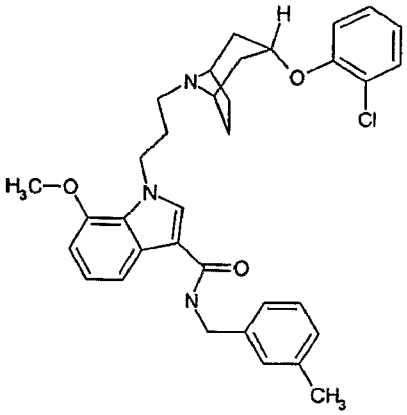


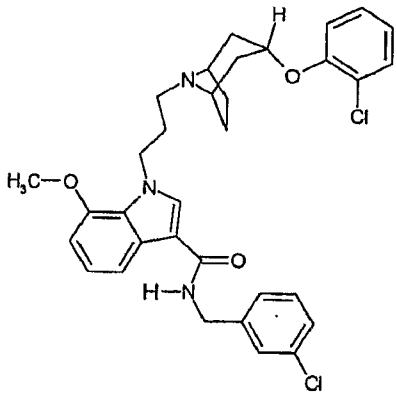


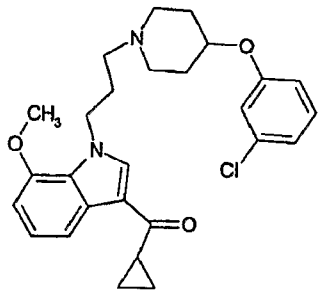


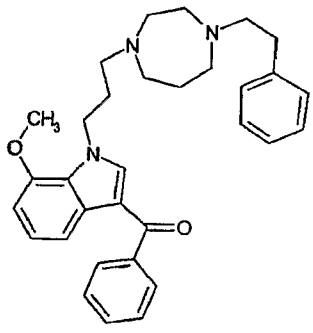


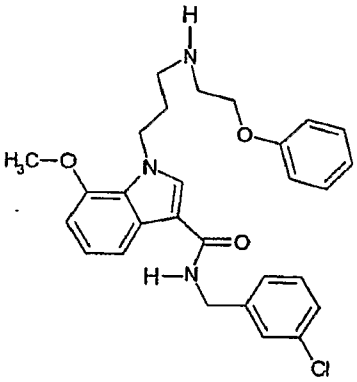


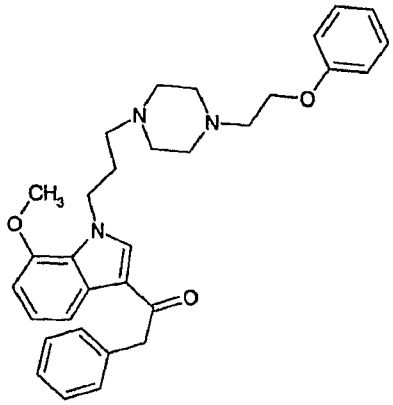


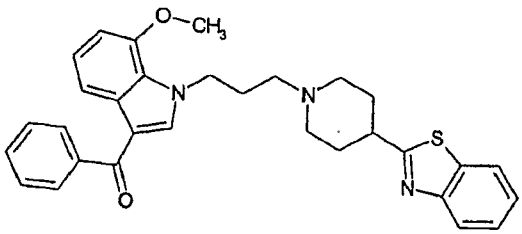


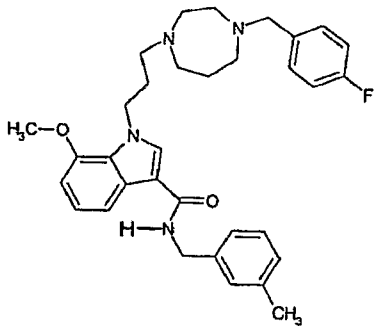


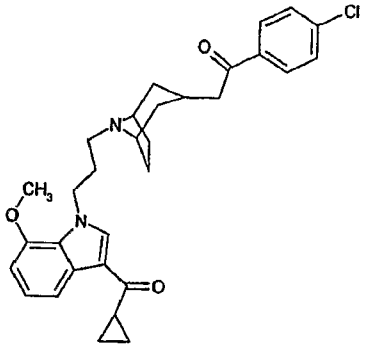


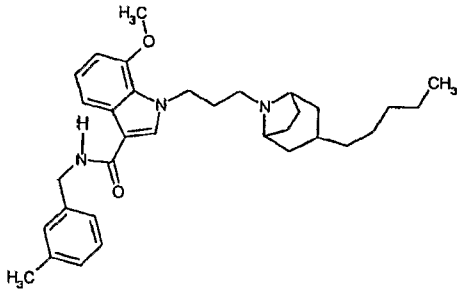


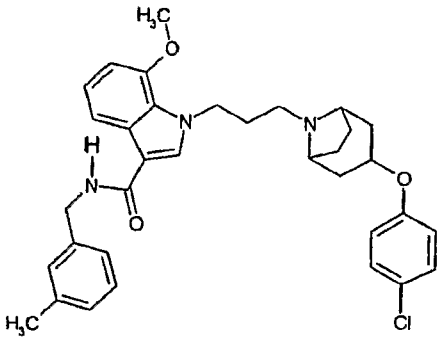


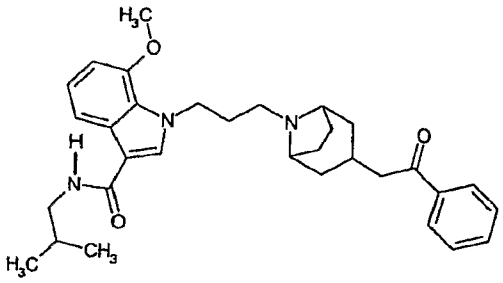


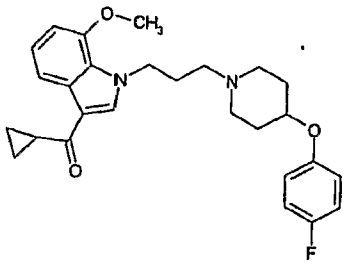


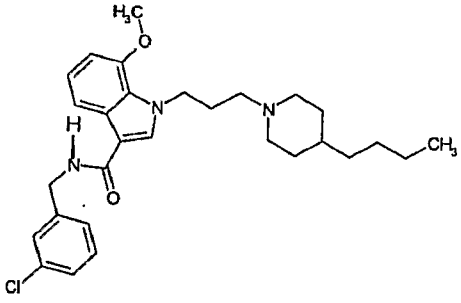


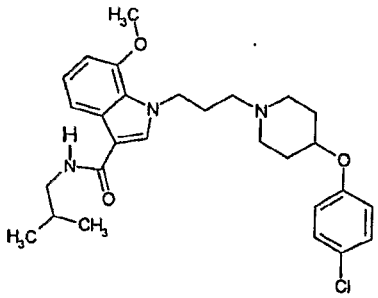


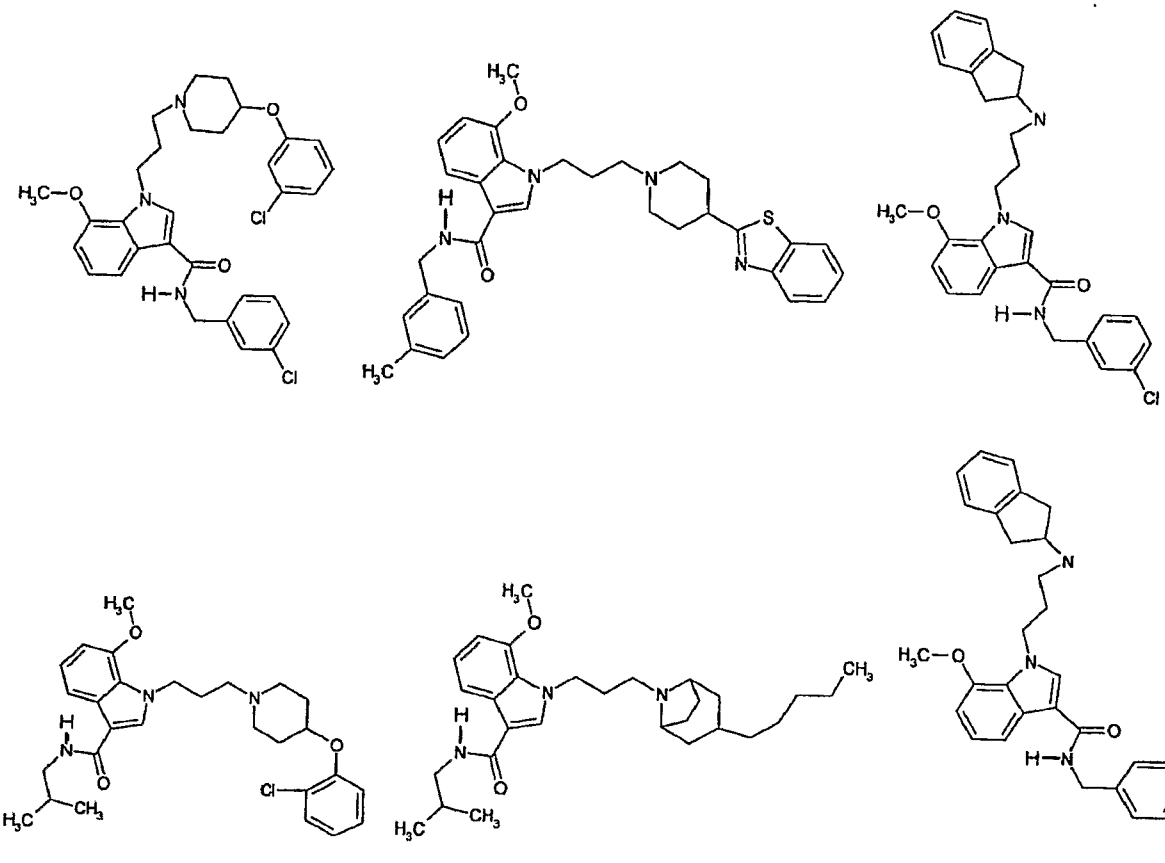




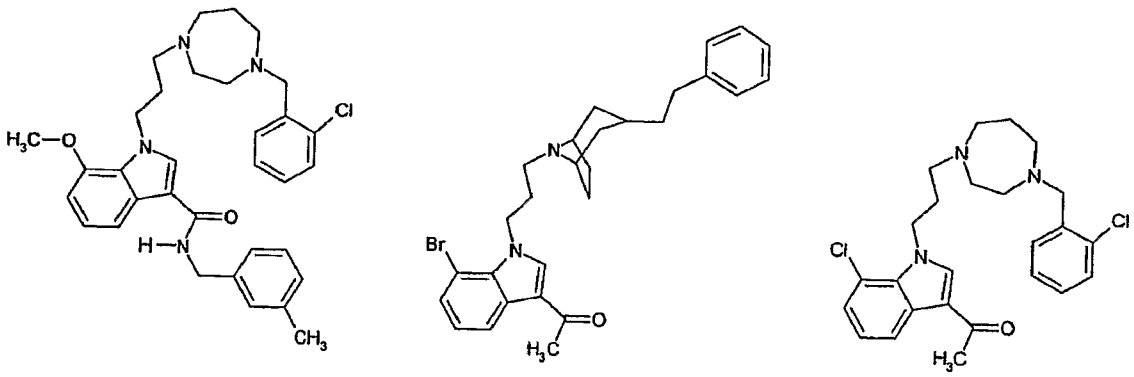


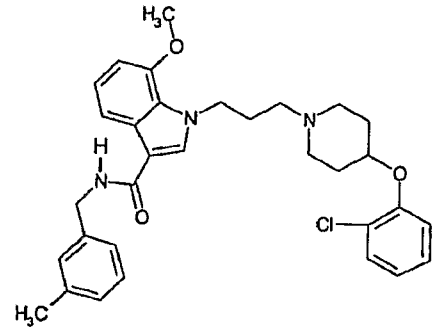


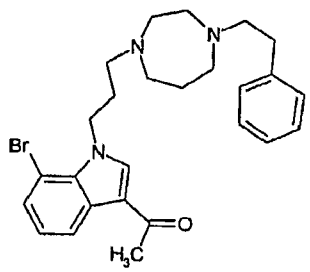


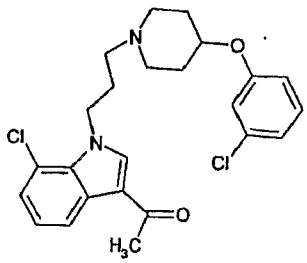


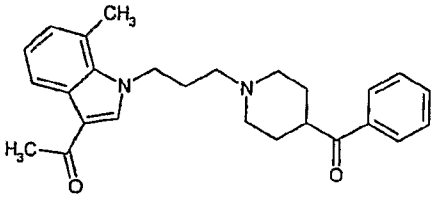
67. The compound of Claim 1, wherein the compound is selected from the group consisting of:

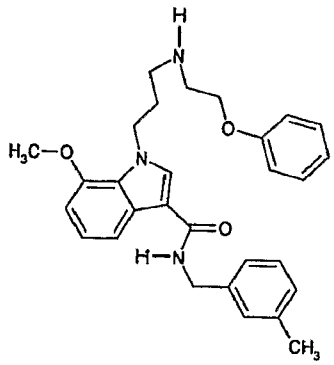


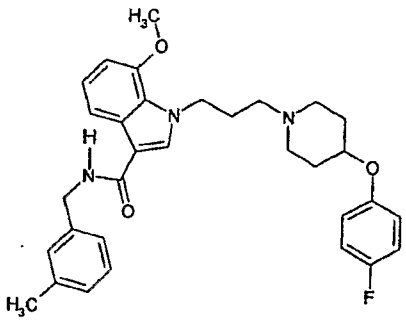


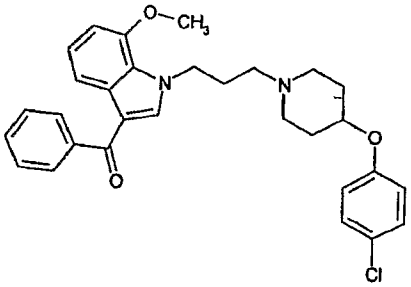


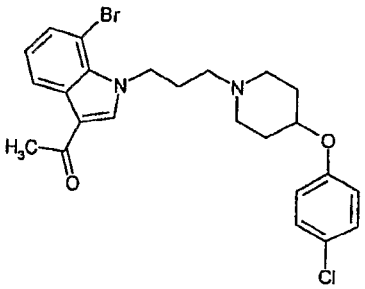


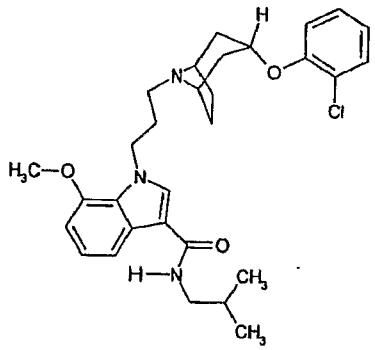


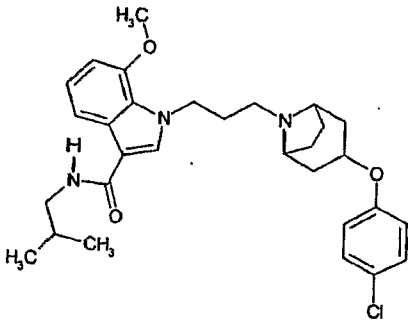


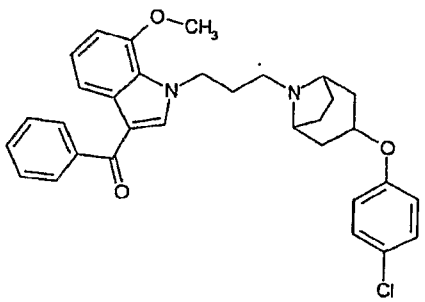


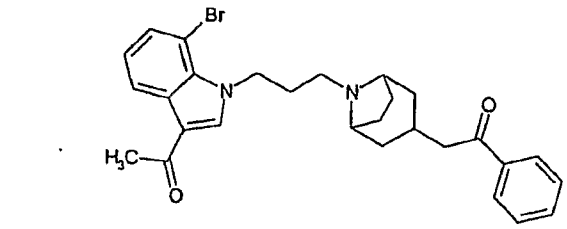


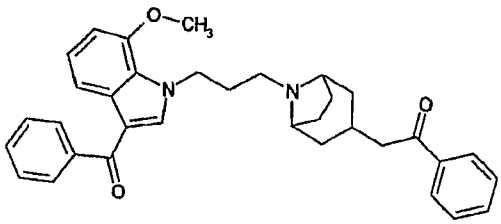


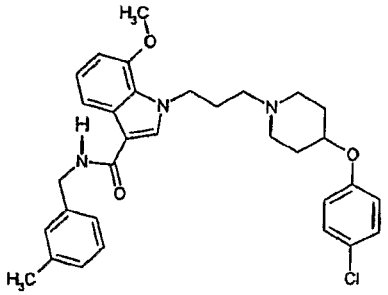


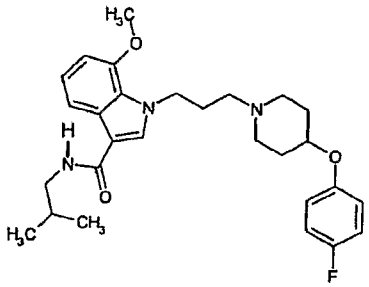


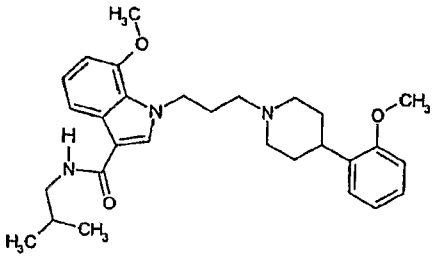


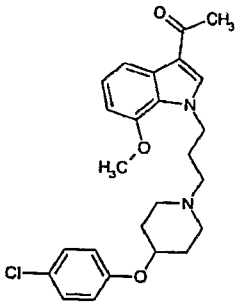


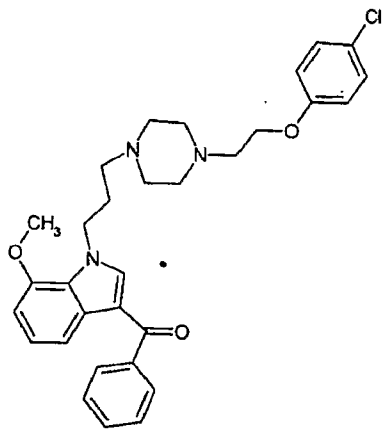


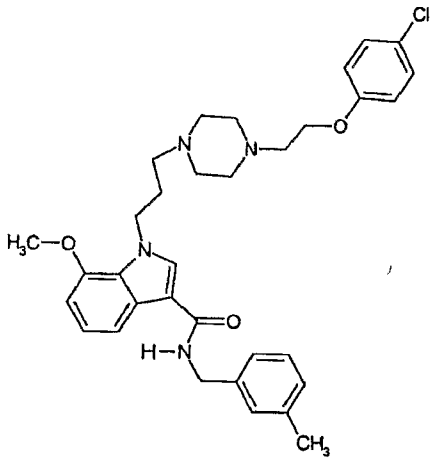


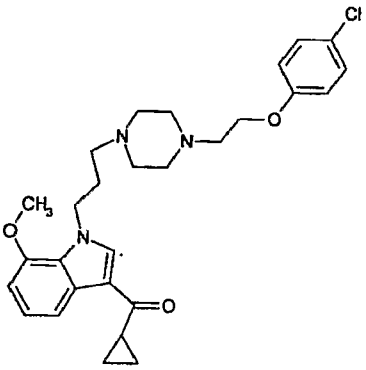


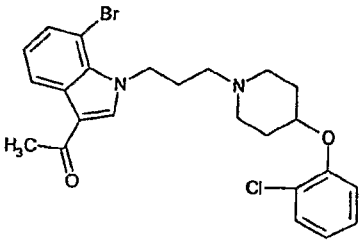


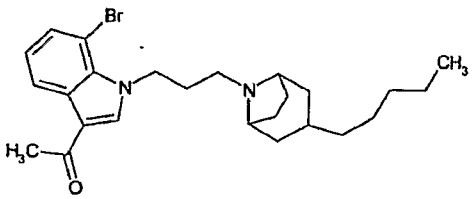


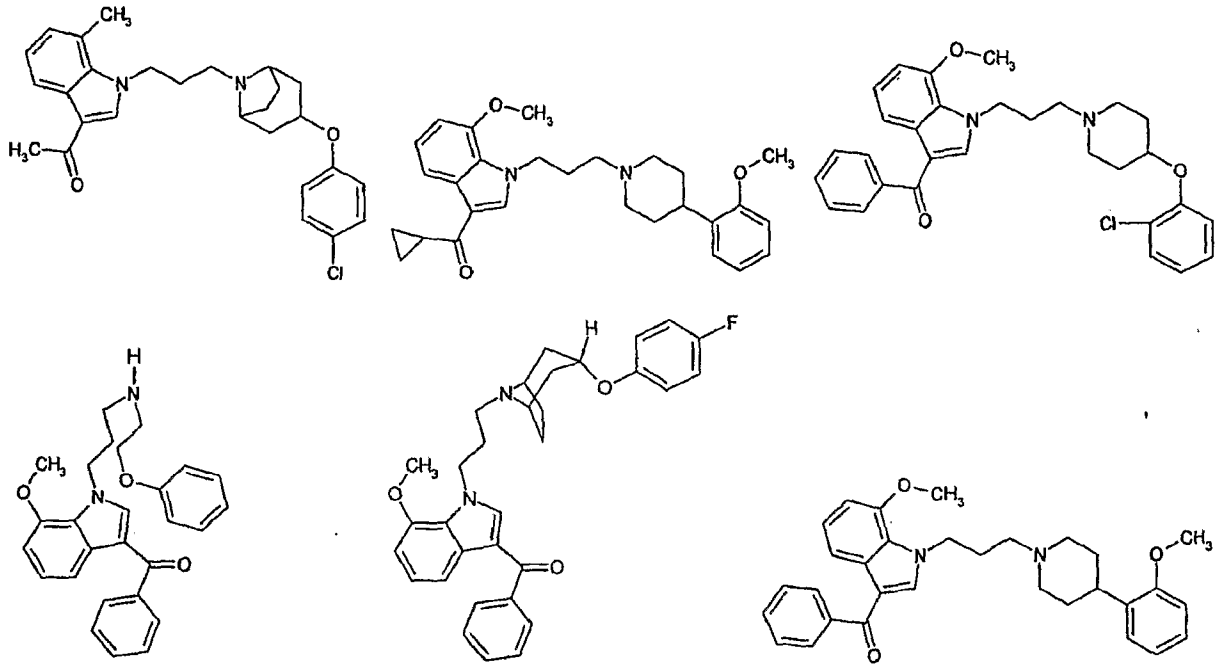




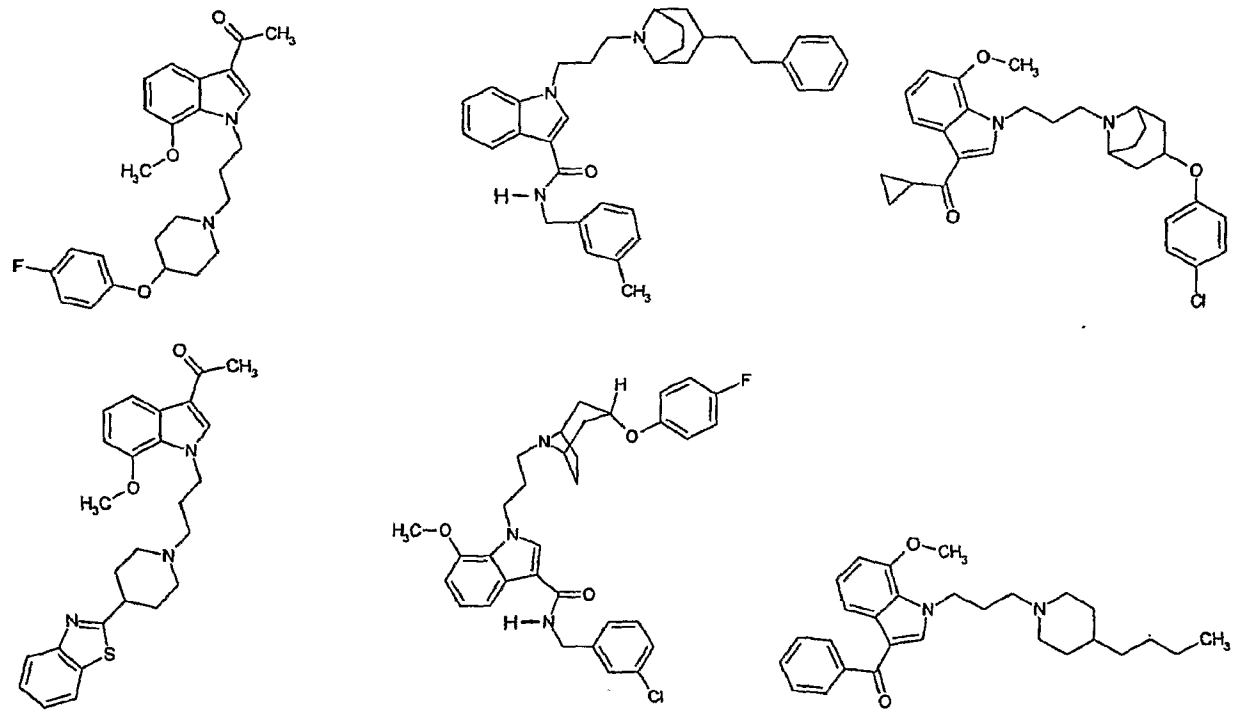


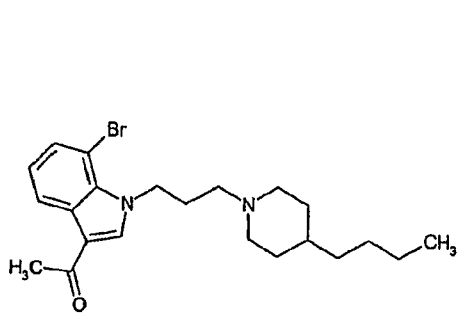


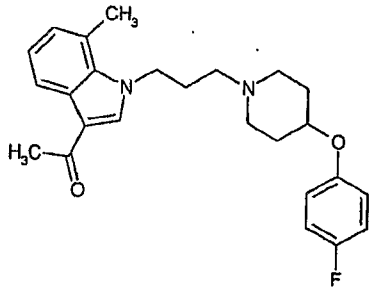


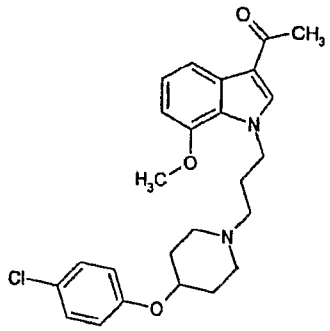


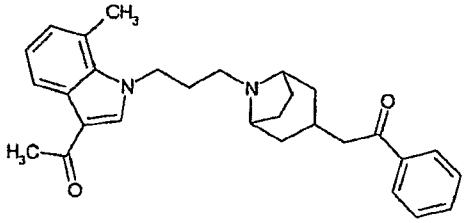
68. The compound of Claim 1, wherein the compound is selected from the group consisting of:

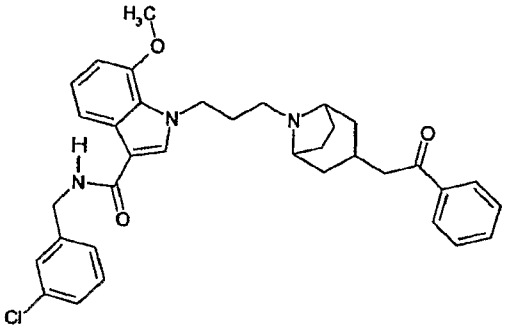


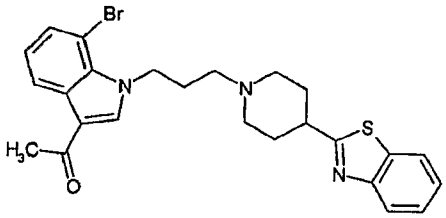


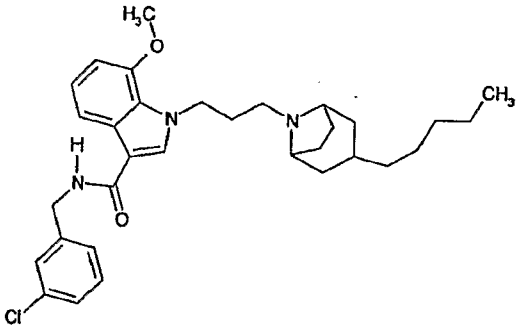


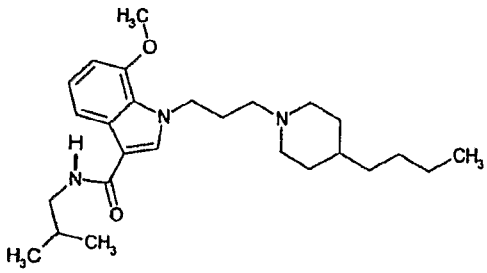


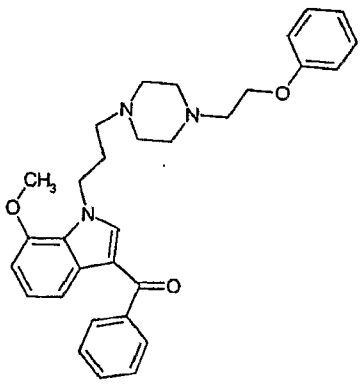


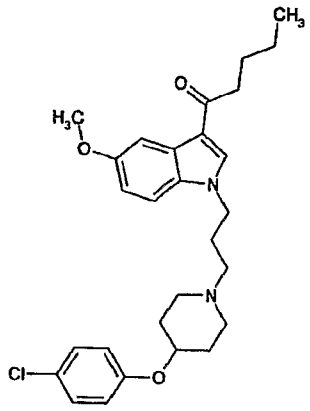


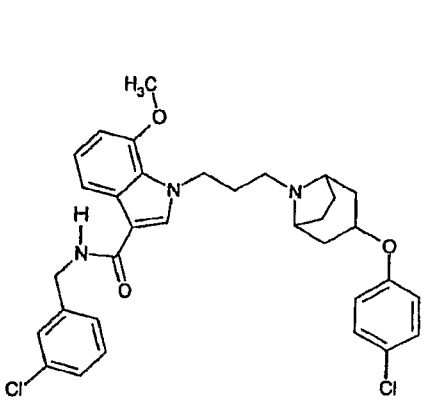


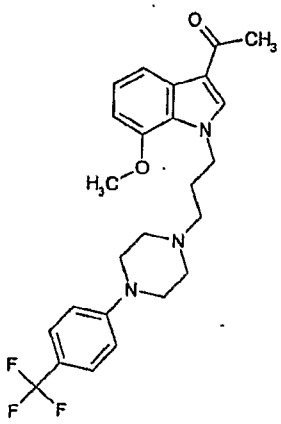


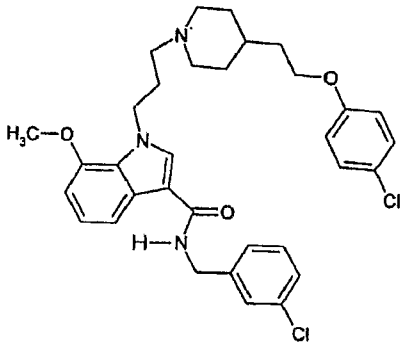


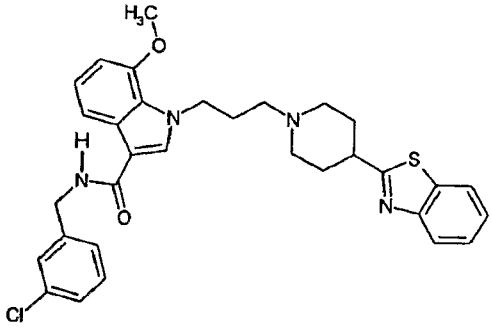


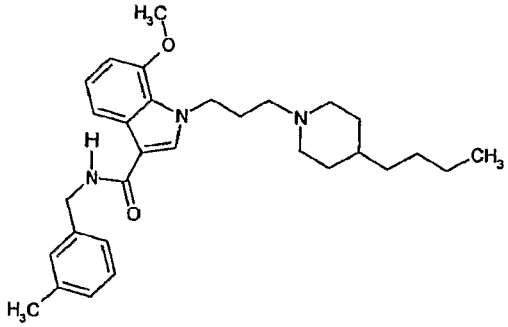


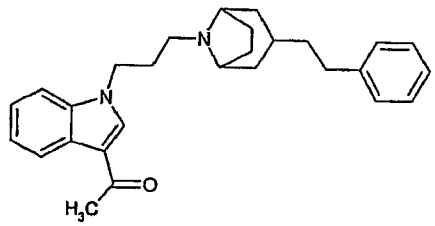


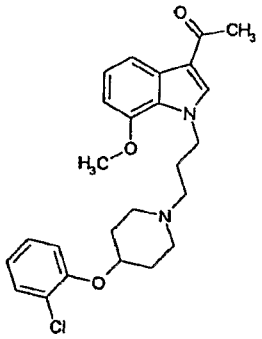


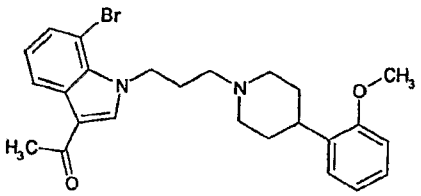


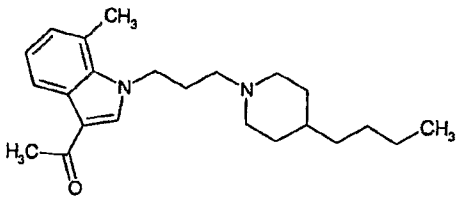


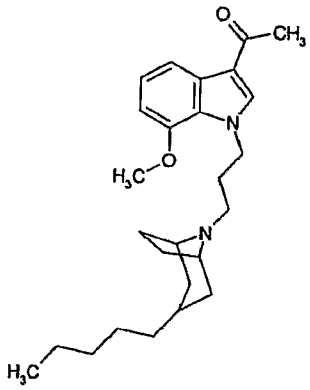


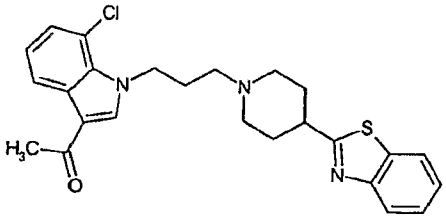


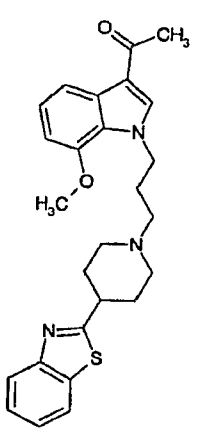
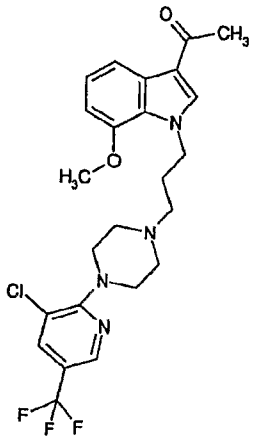
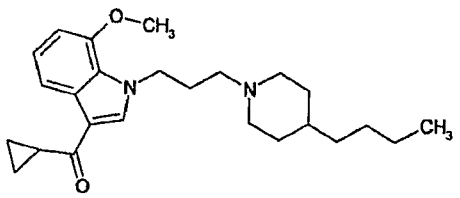
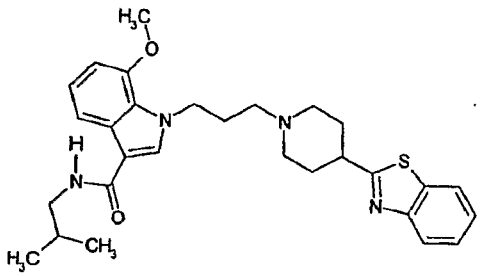
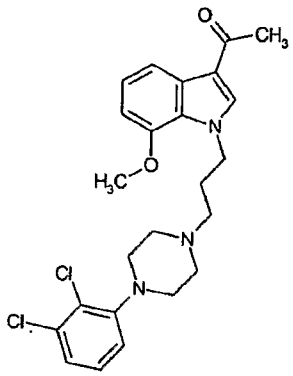
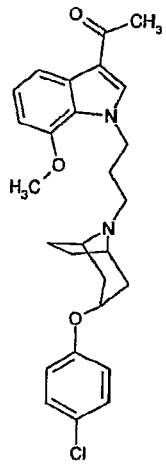




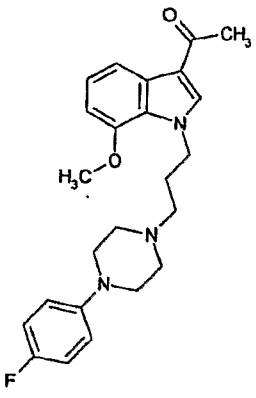
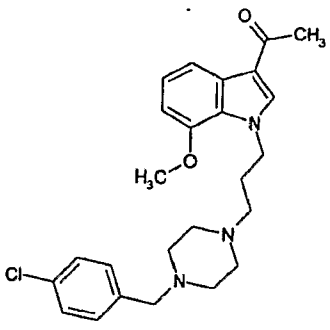
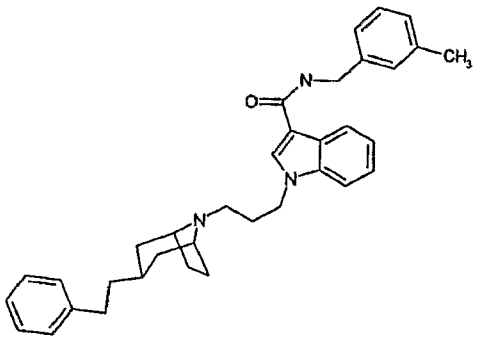


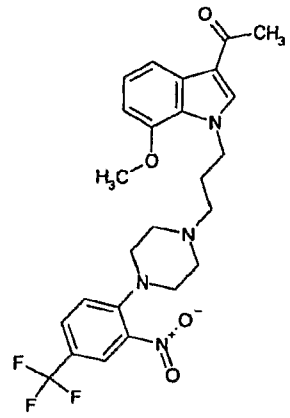


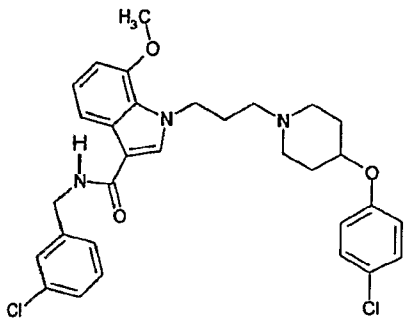


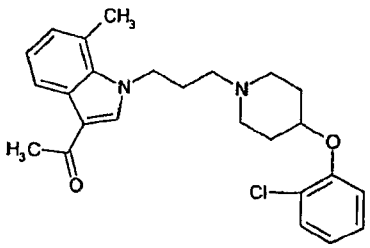







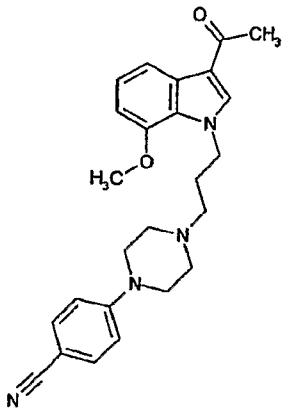
69. The compound of Claim 1, wherein the compound is selected from the group consisting of:

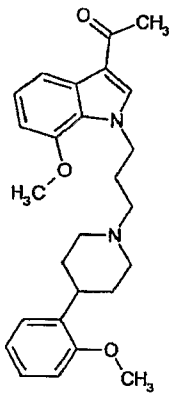




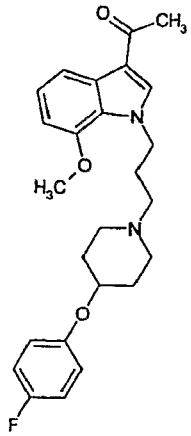


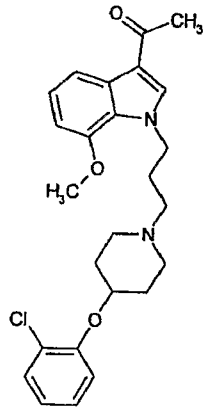


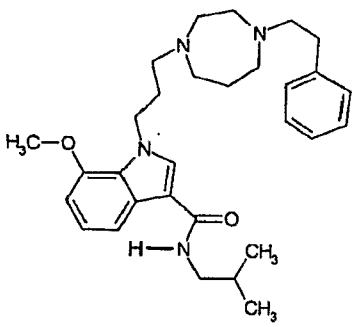


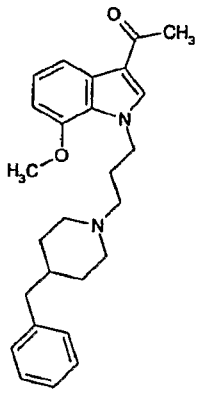


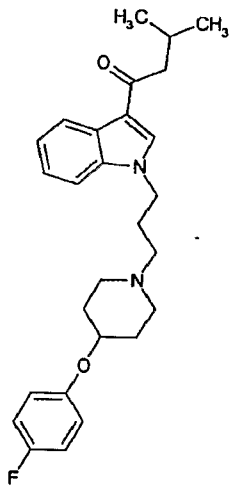


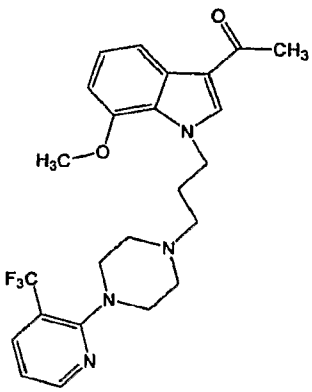


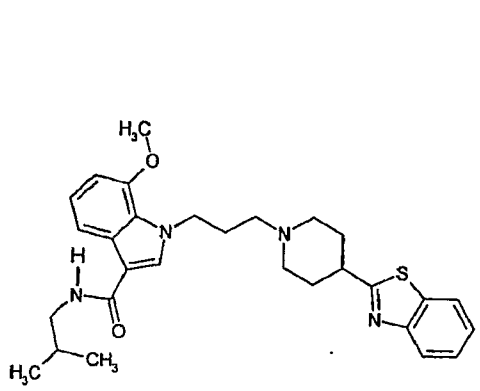


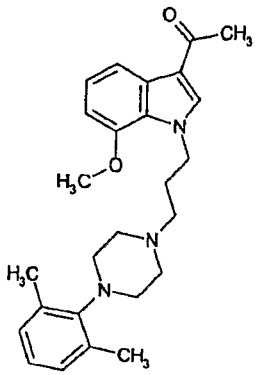


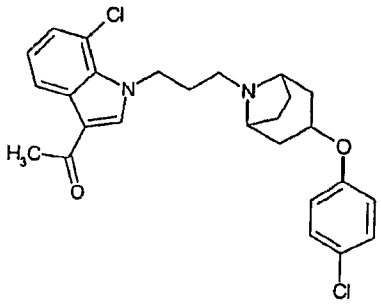


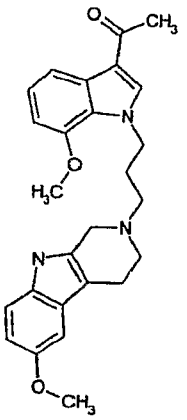


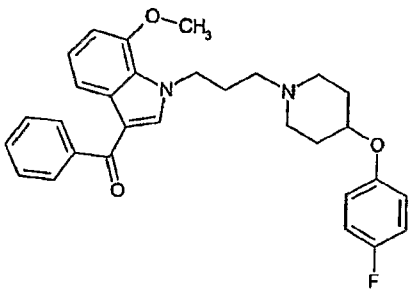


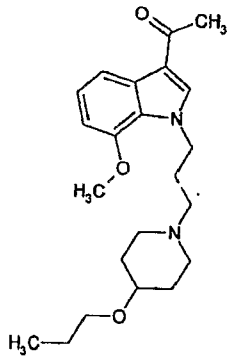


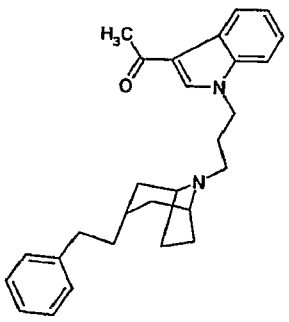


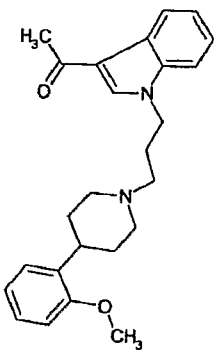


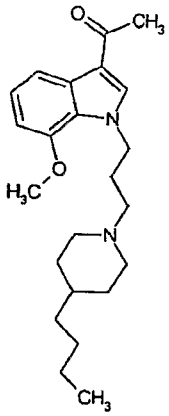


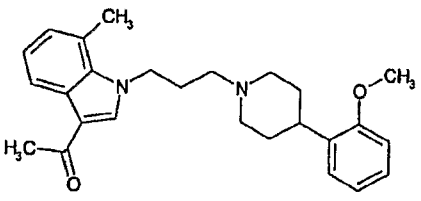


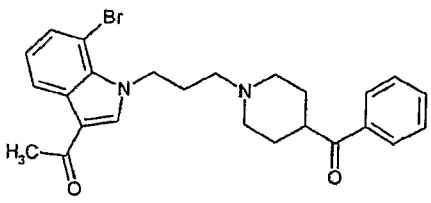


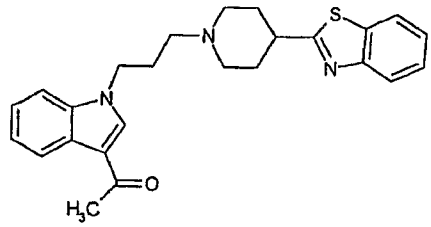


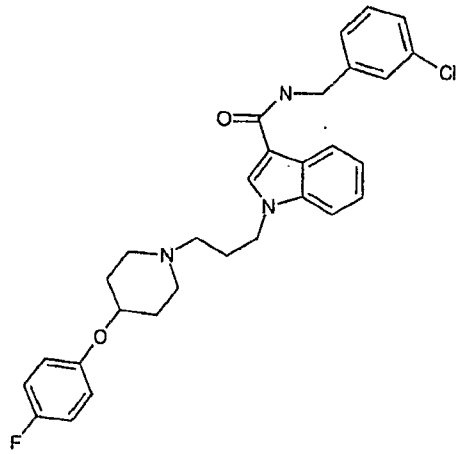




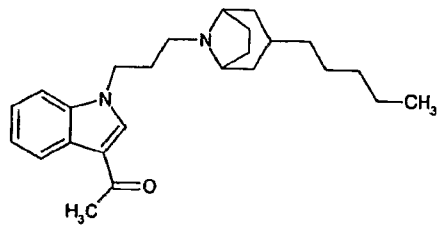


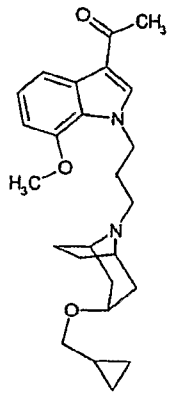


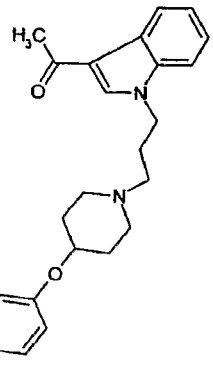


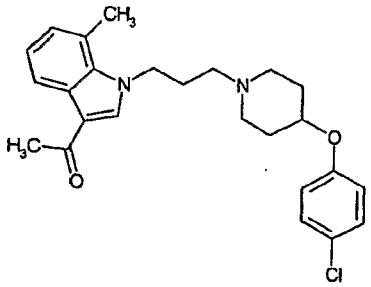


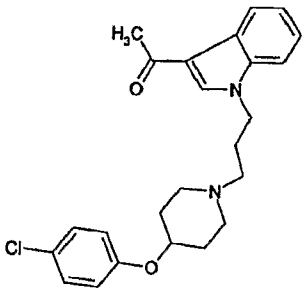
70. The compound of Claim 1, wherein the compound is selected from the group consisting of:

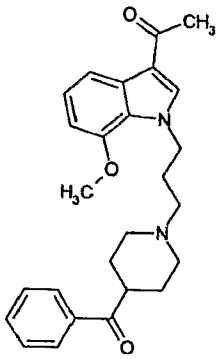


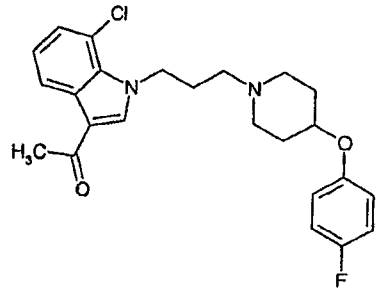


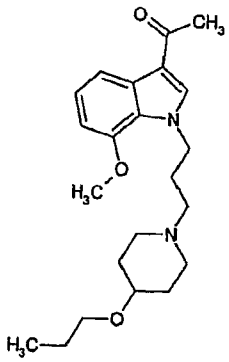


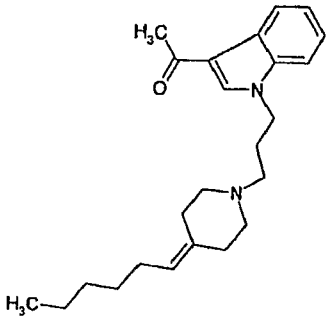


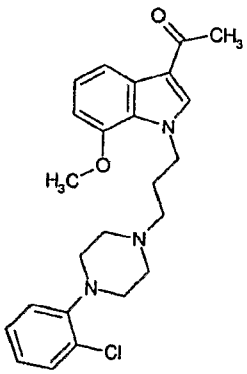


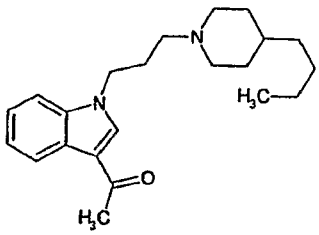


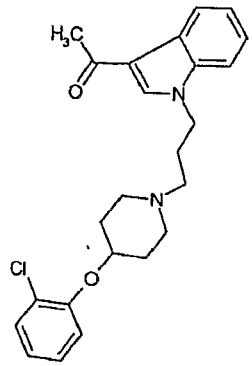


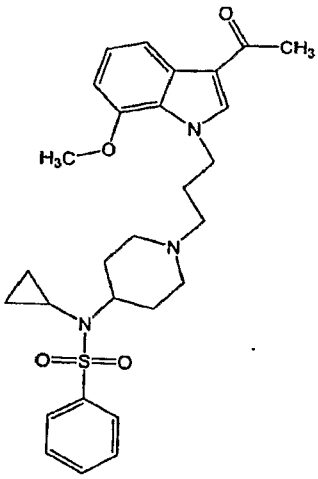


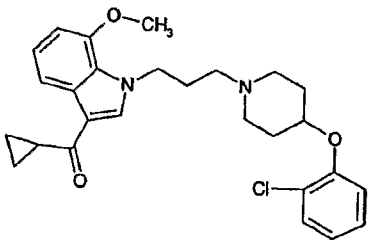


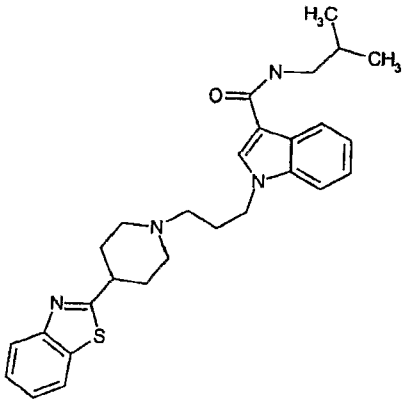


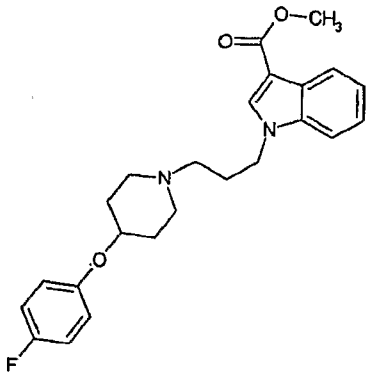


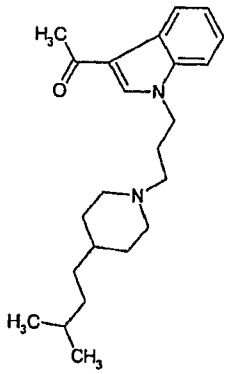


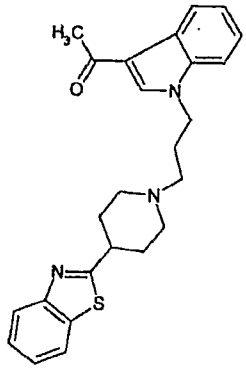


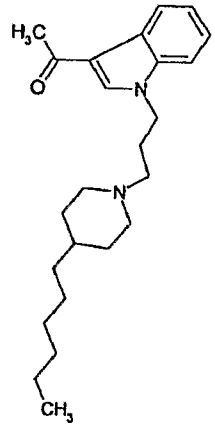


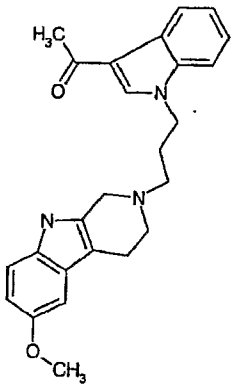


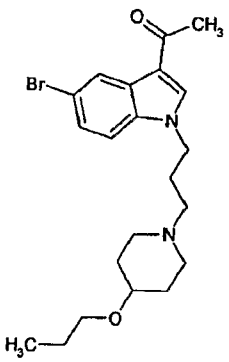


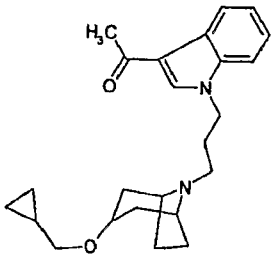


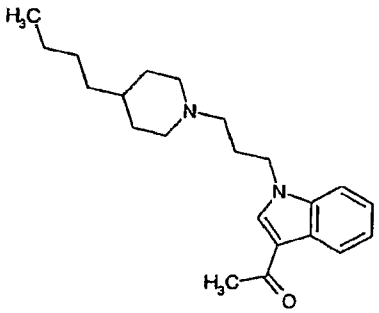


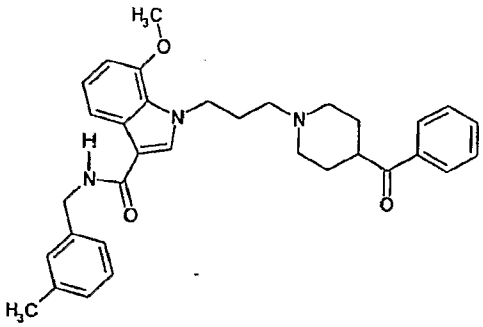


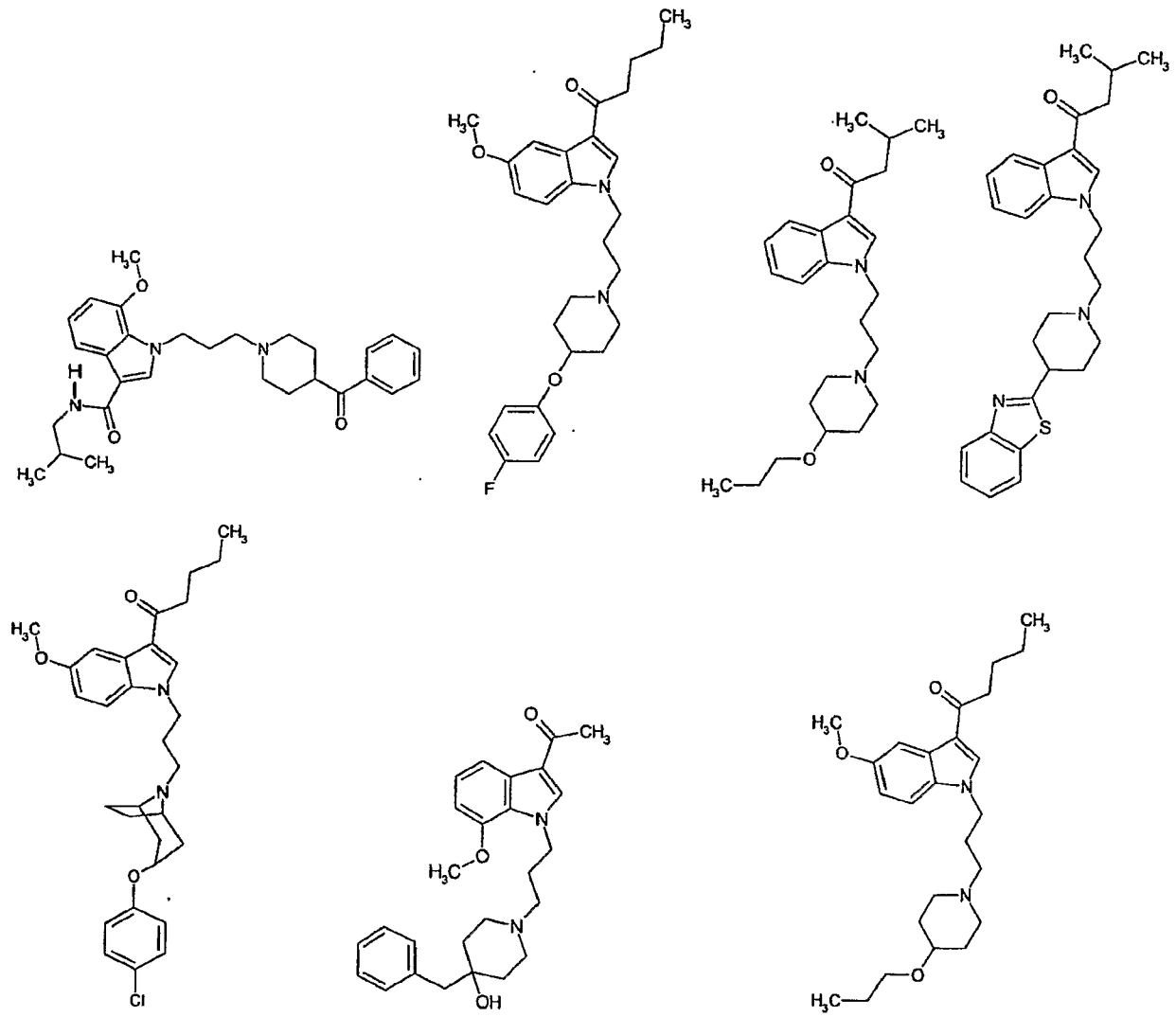




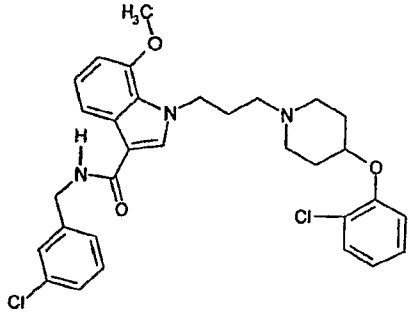


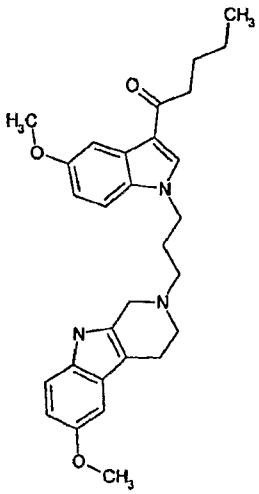


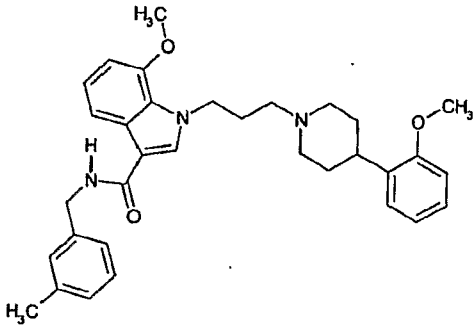


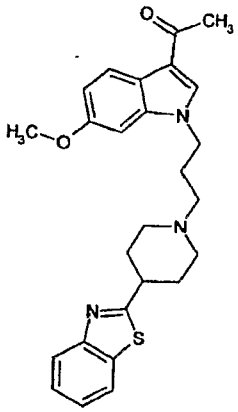


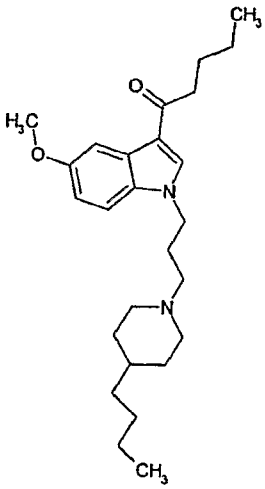
71. The compound of Claim 1, wherein the compound is selected from the group consisting of:

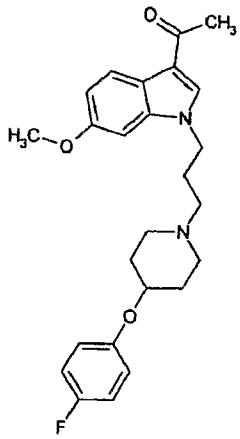


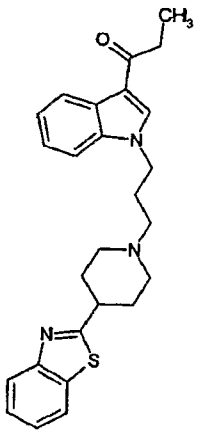


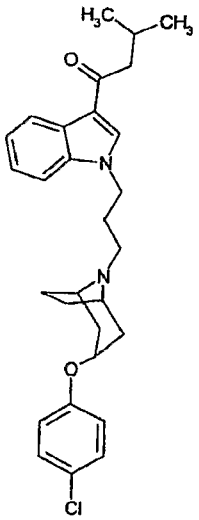


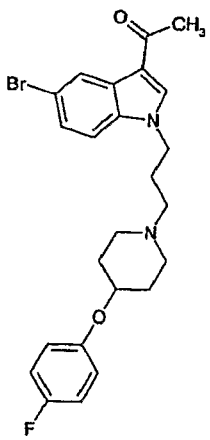


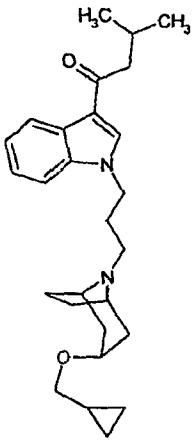


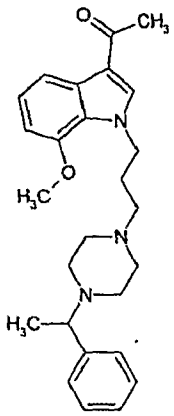


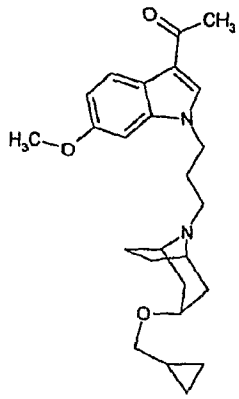


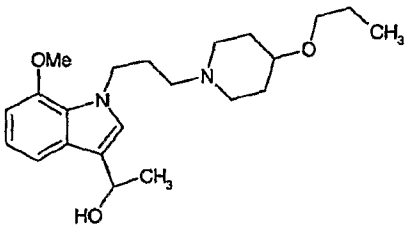


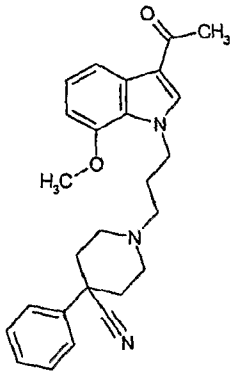


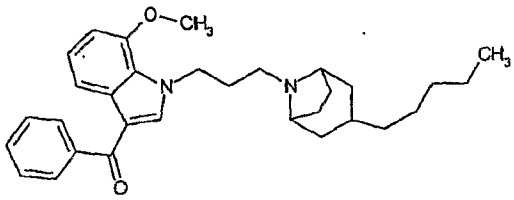


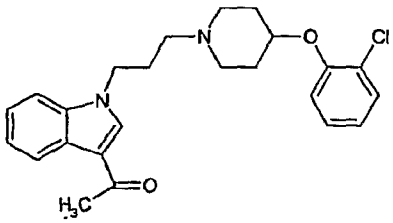


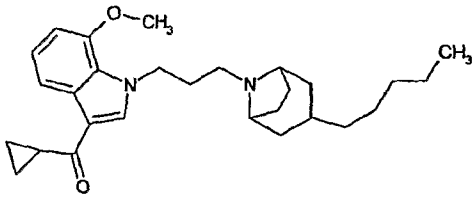


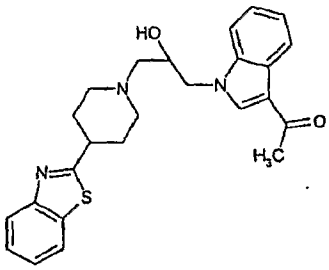


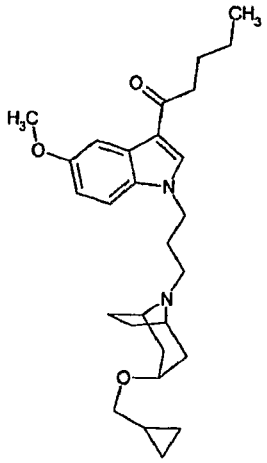


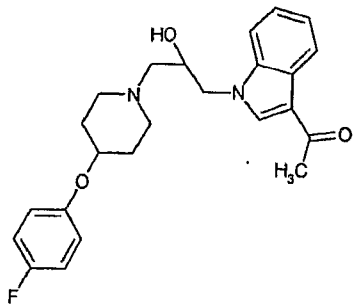


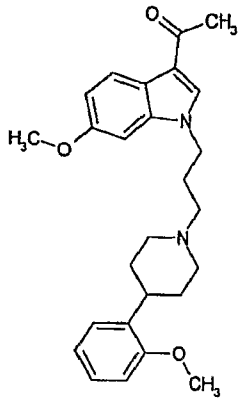


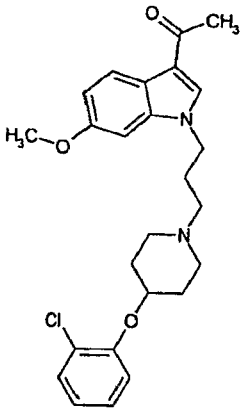


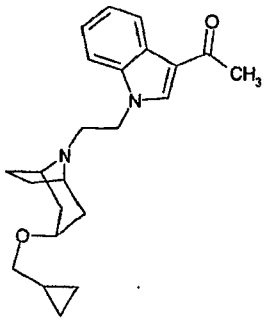


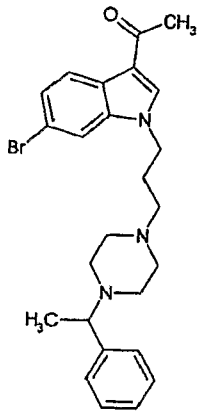


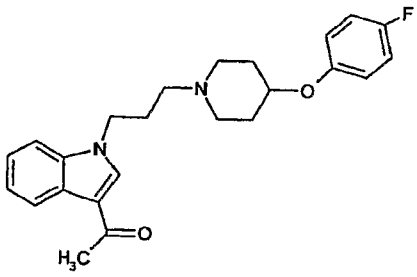


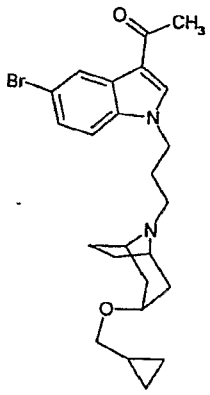


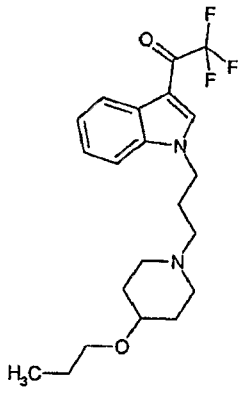


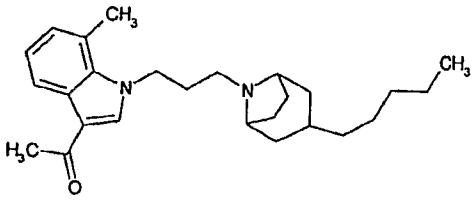


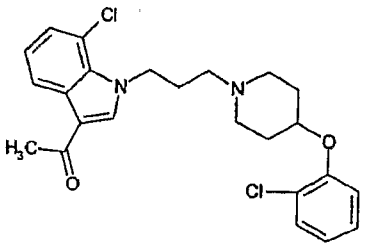


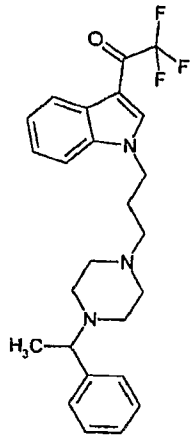




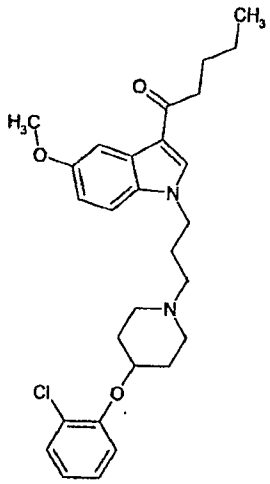


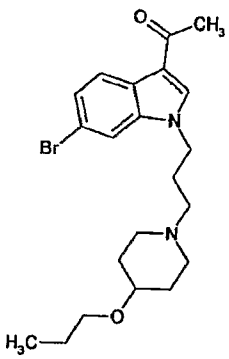


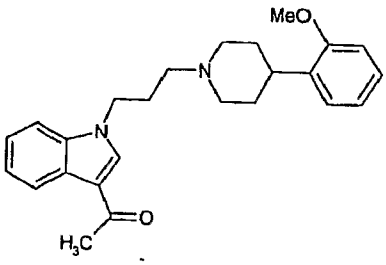


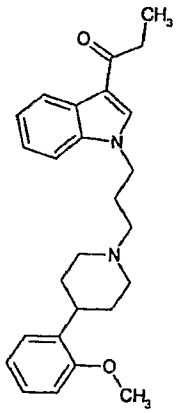


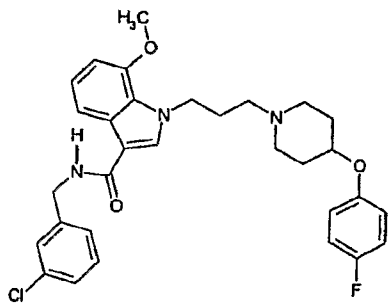
72. The compound of Claim 1, wherein the compound is selected from the group consisting of:

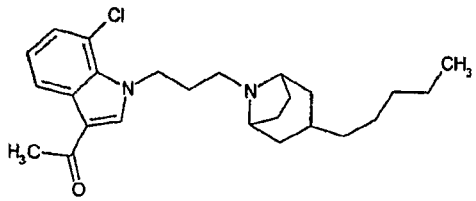


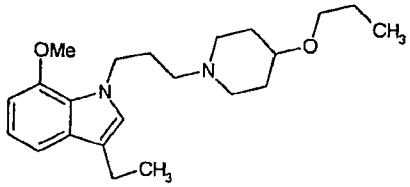


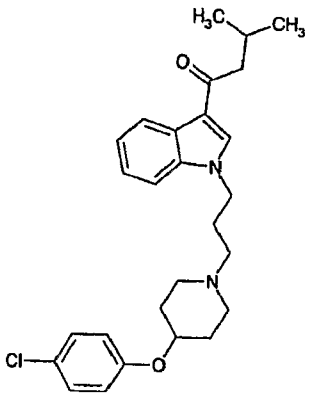


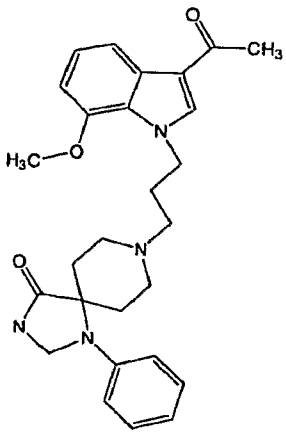


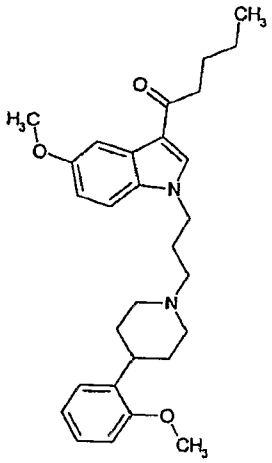


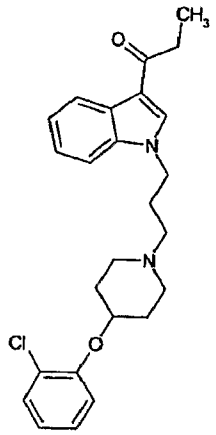


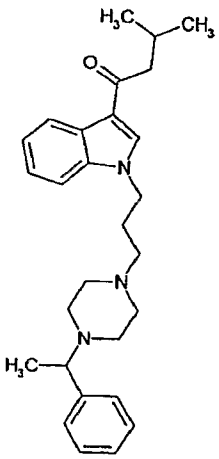


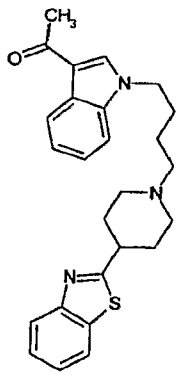


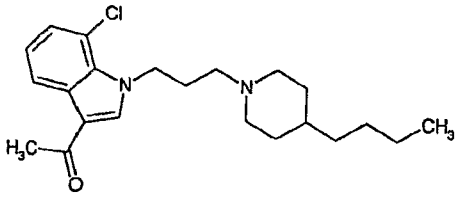


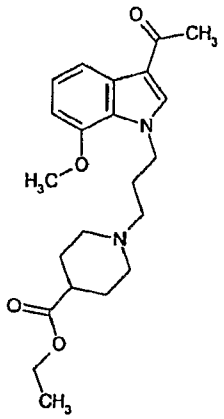


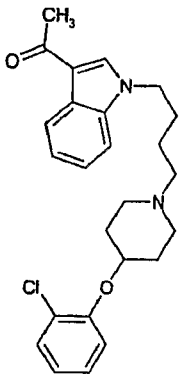


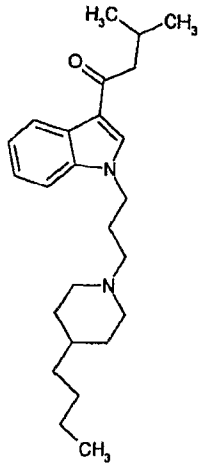


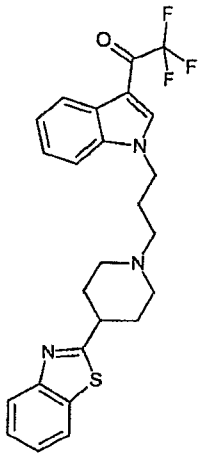


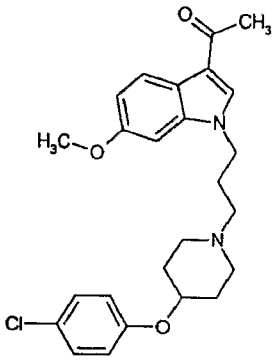


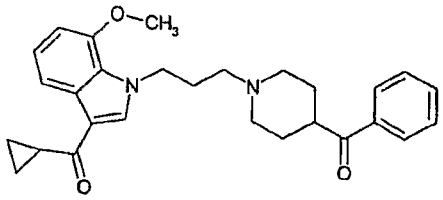


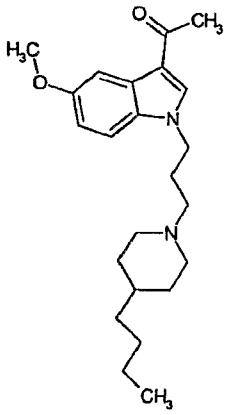


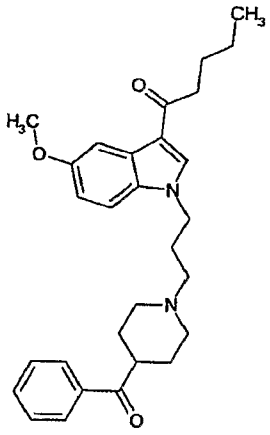


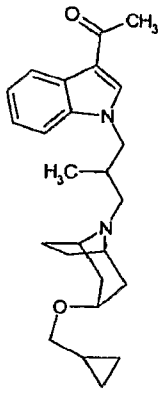


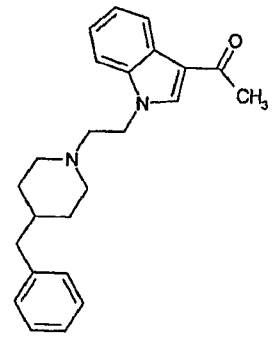
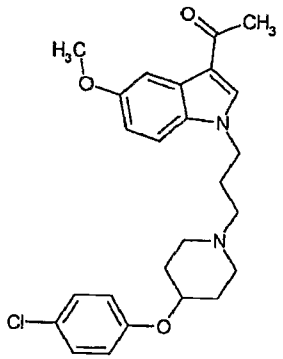
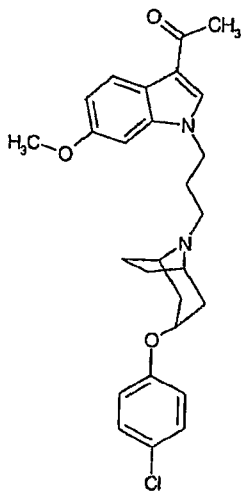
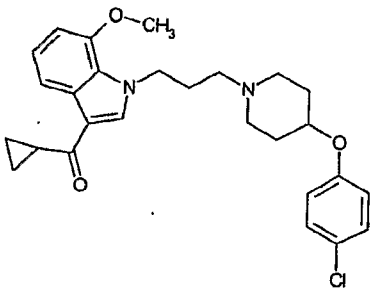
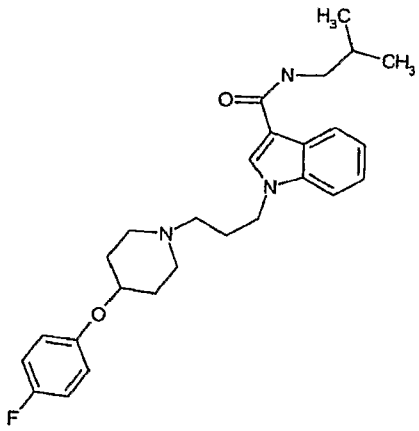
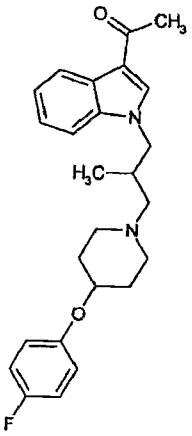




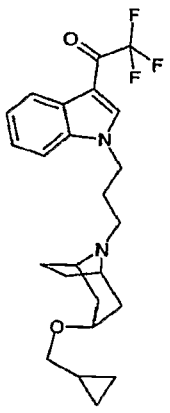
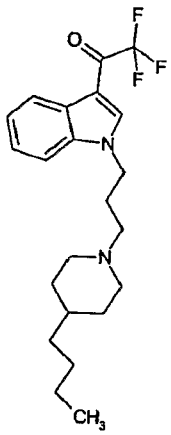
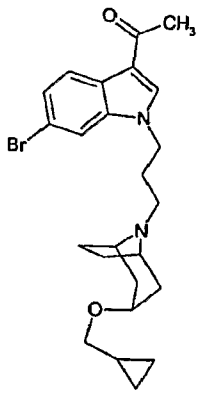


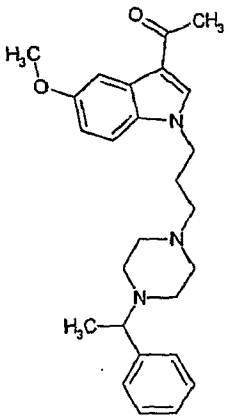


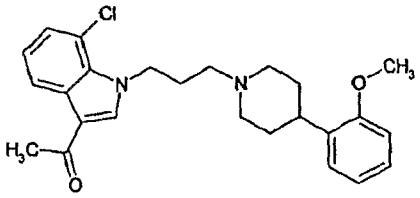


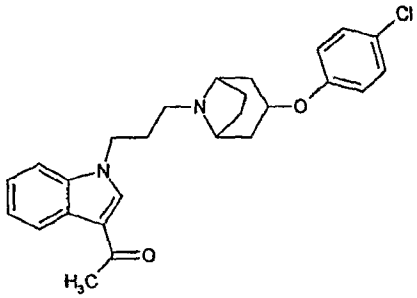







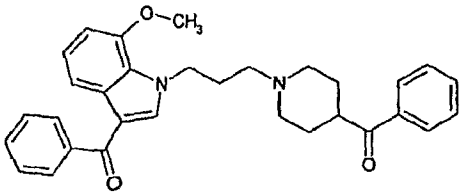
73. The compound of Claim 1, wherein the compound is selected from the group consisting of:

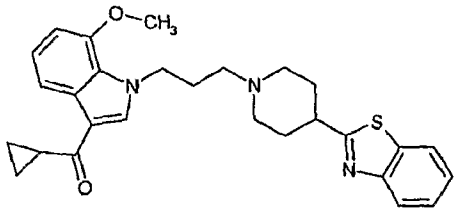




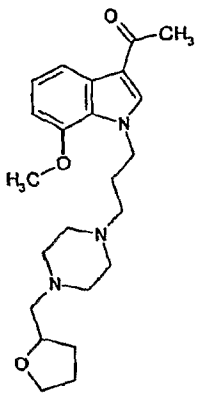


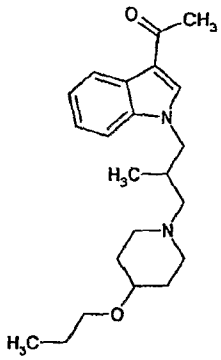


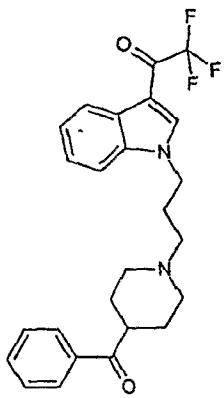


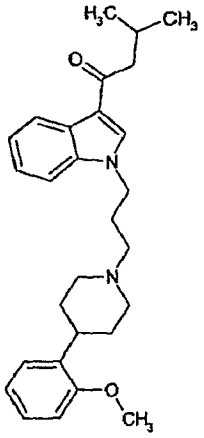


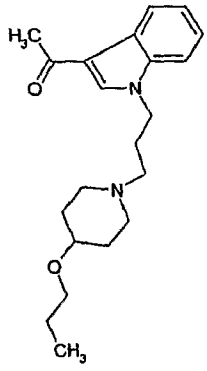


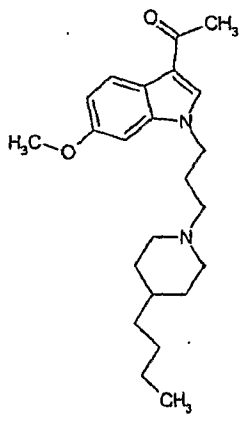


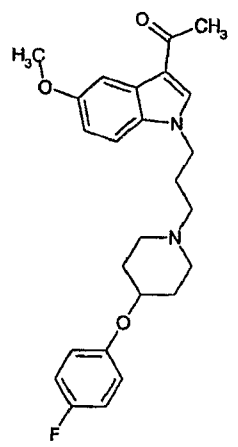


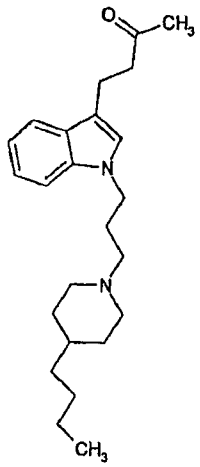


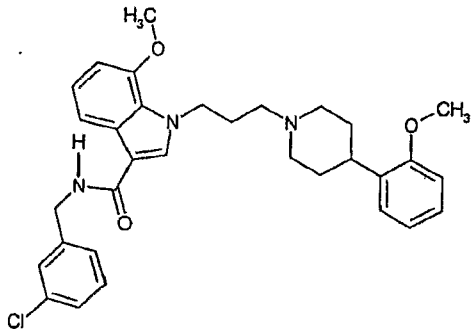


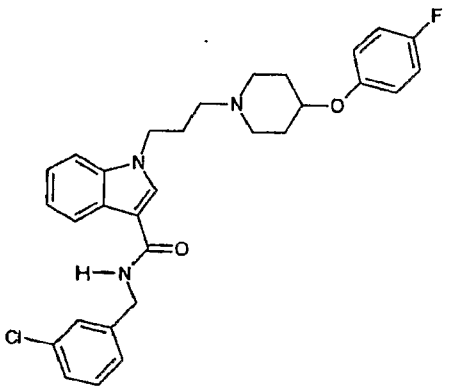


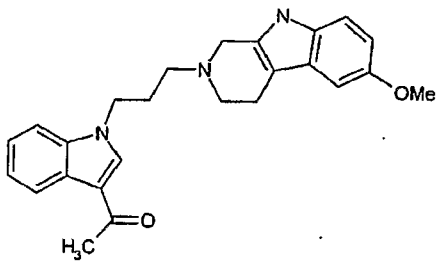


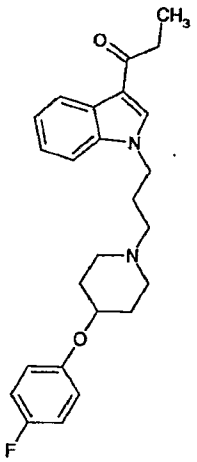


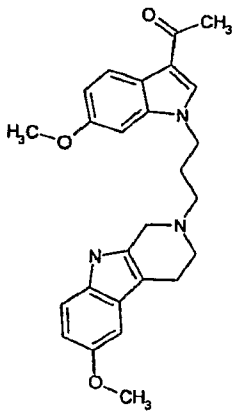


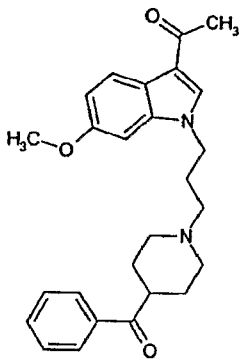


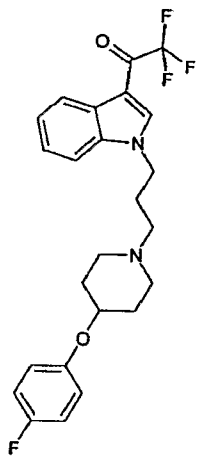


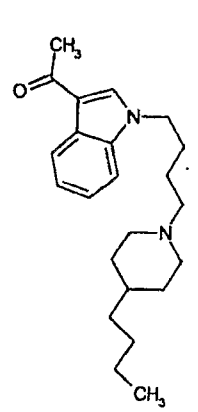
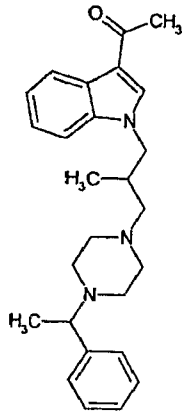
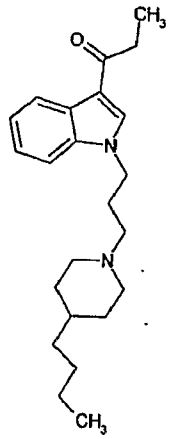
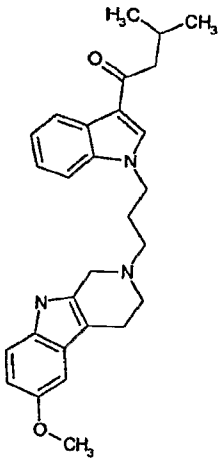
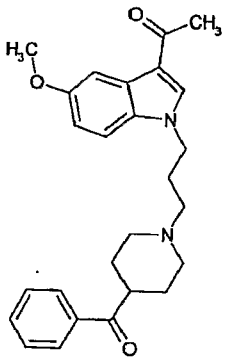
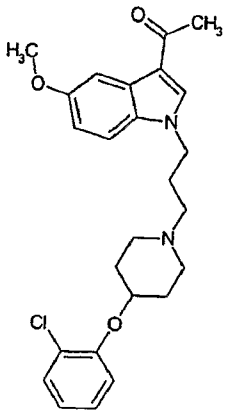
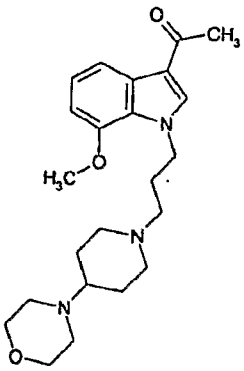
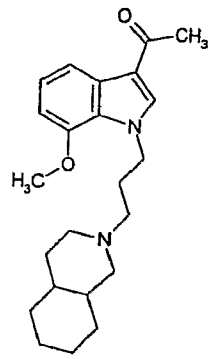
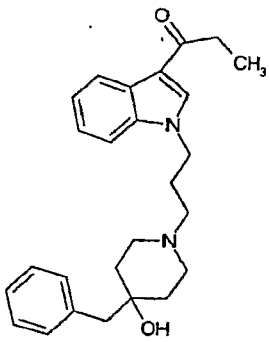




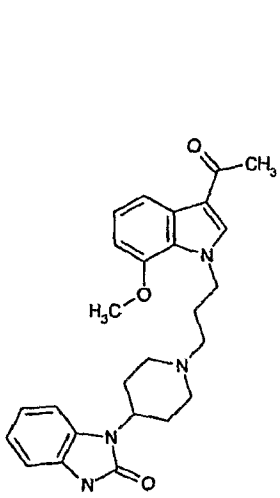


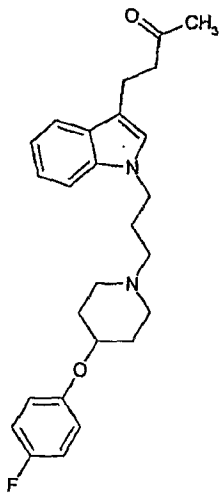


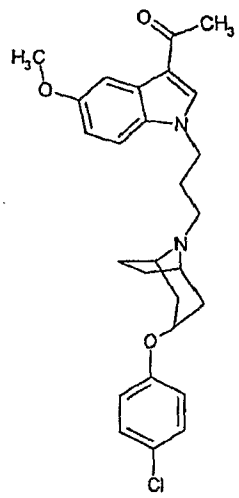


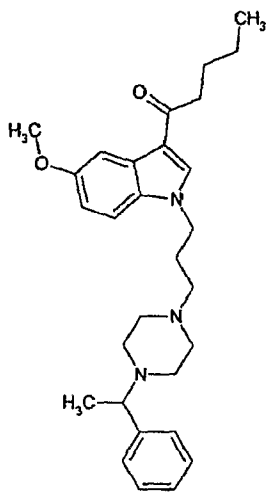










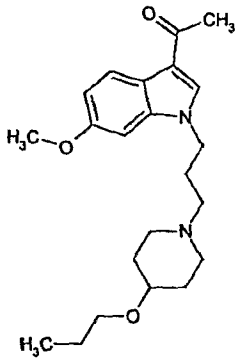
74. The compound of Claim 1, wherein the compound is selected from the group consisting of:

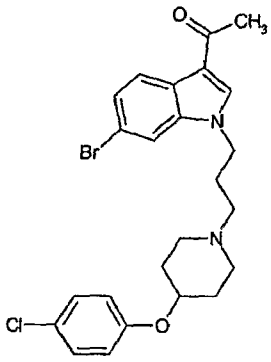


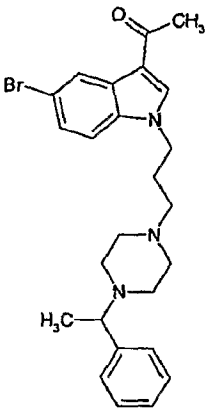


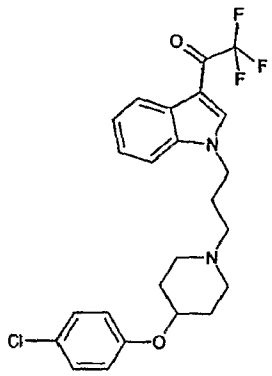


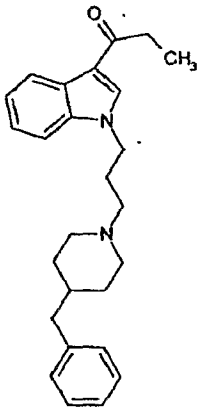


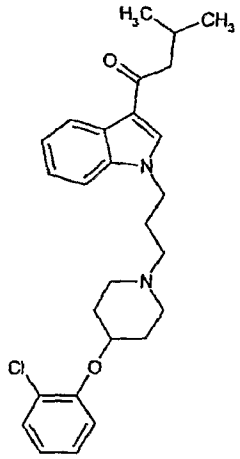


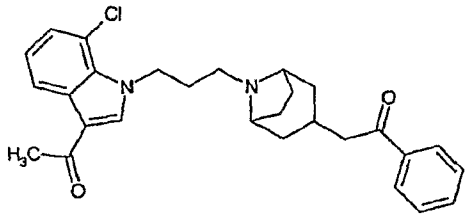


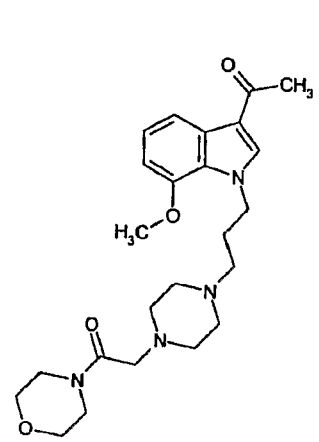


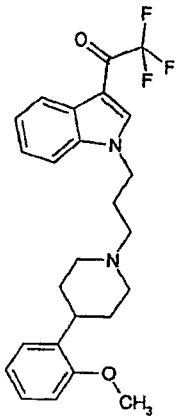


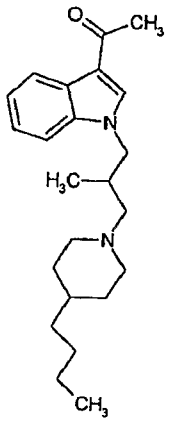


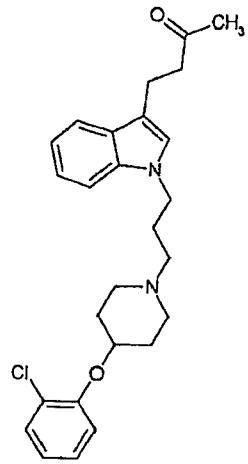


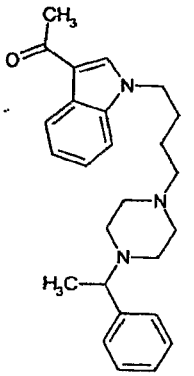


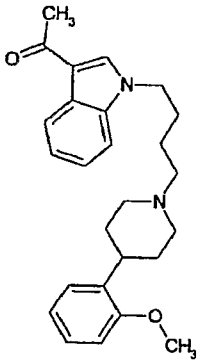


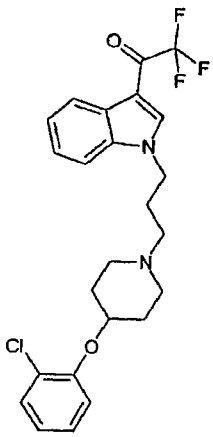


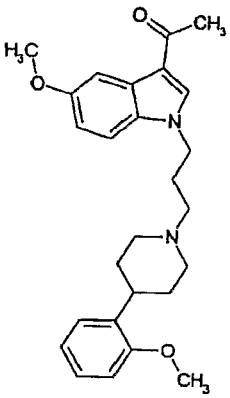


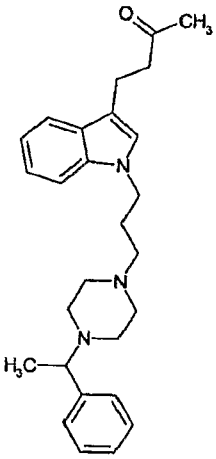


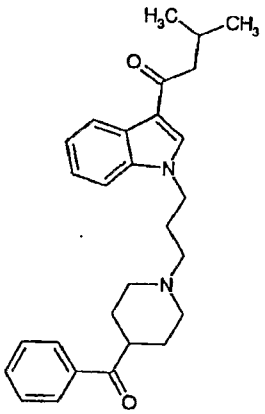


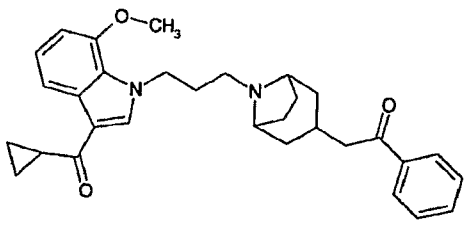


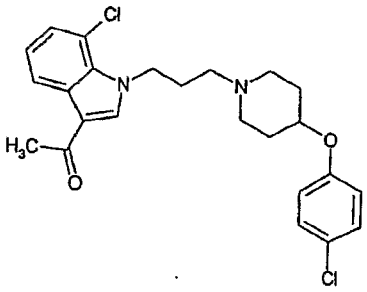


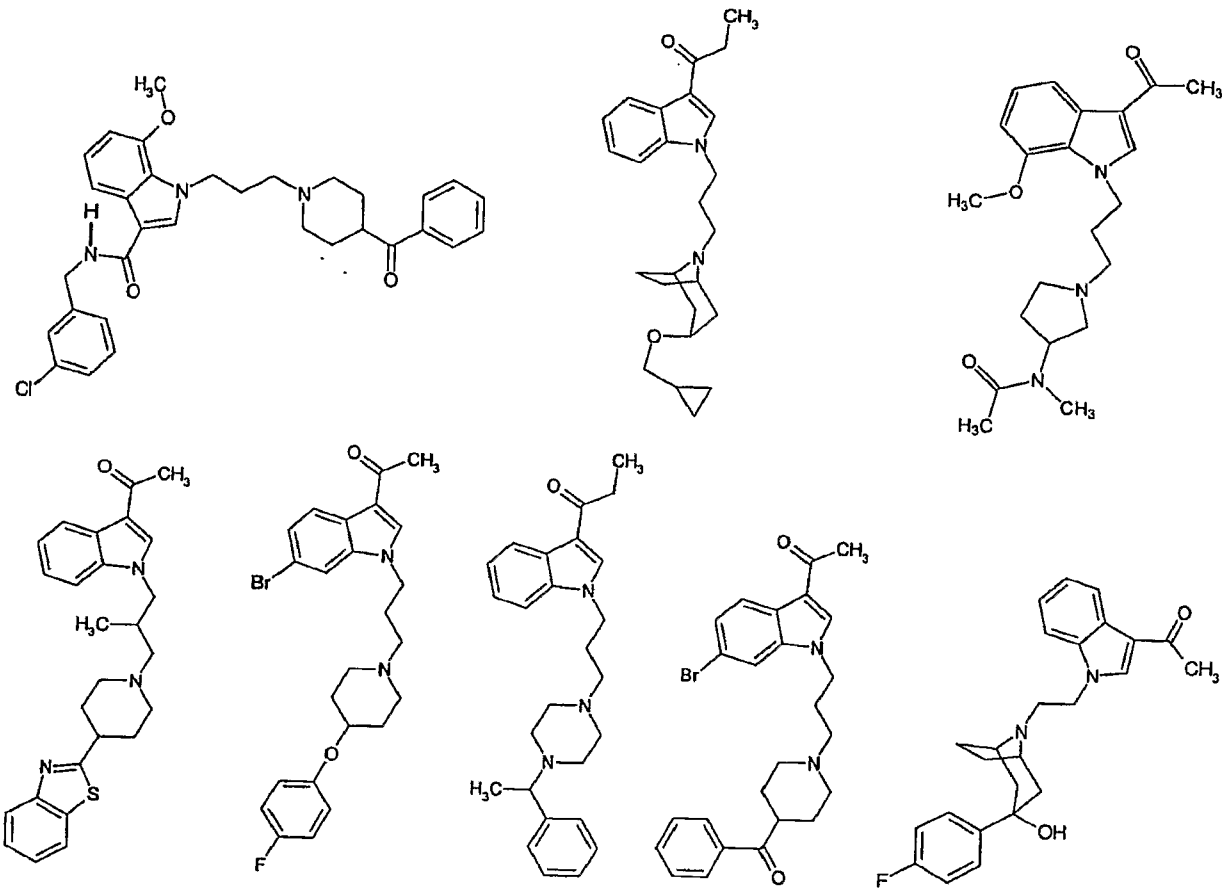




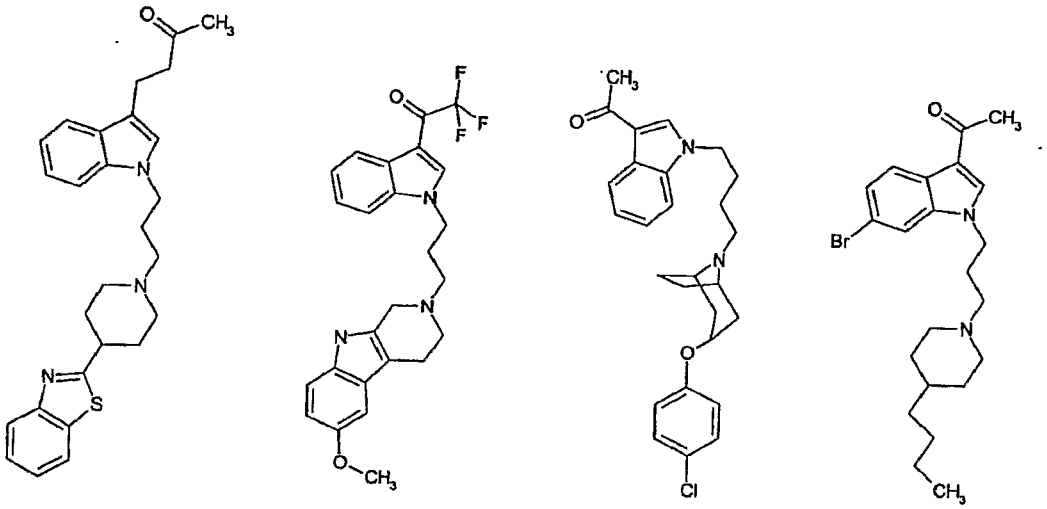


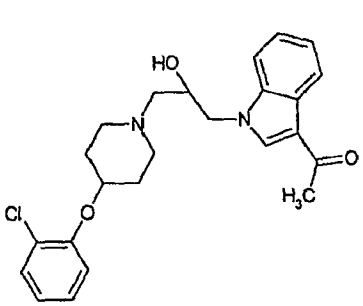
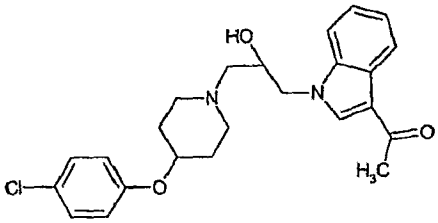
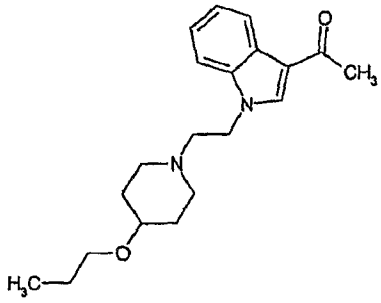
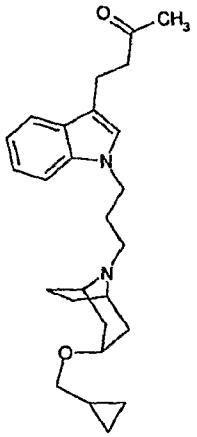
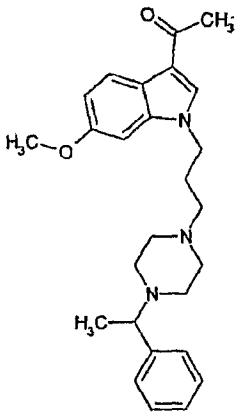
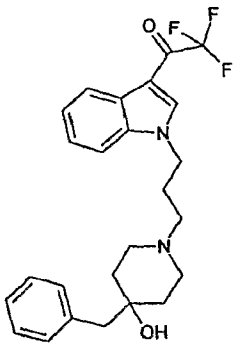
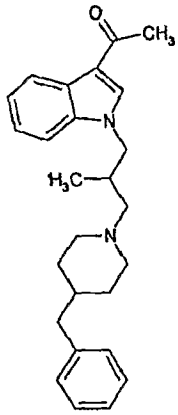
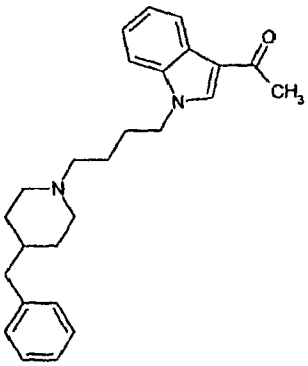
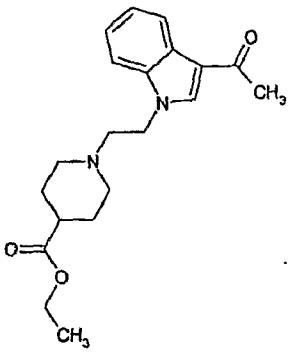
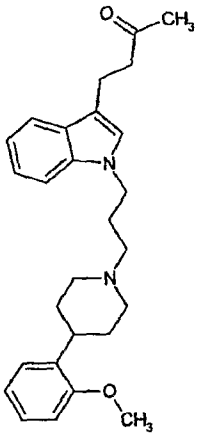
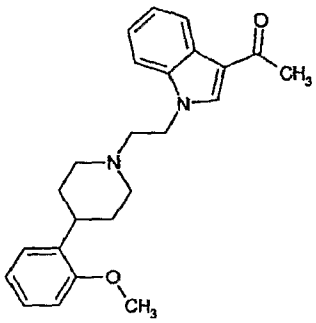


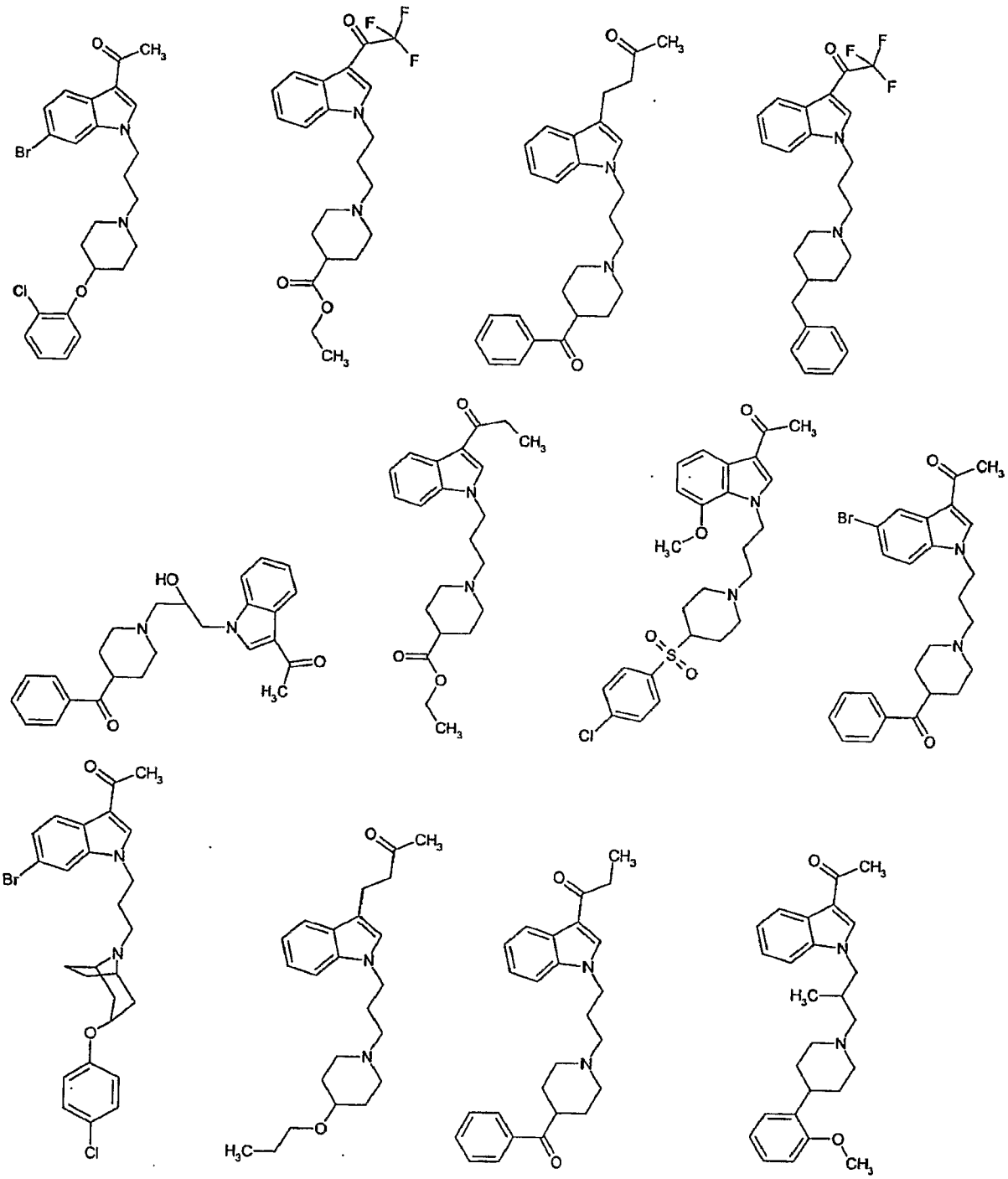


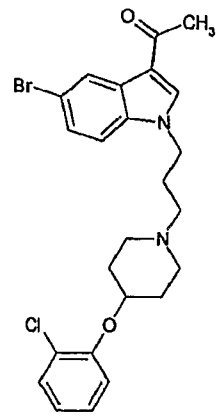
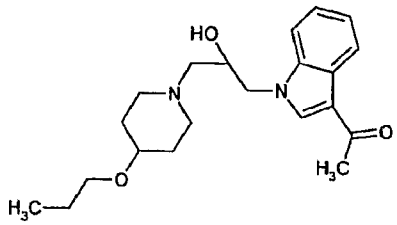
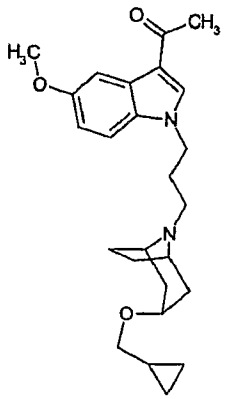
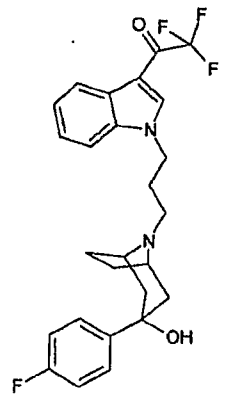


75. The compound of Claim 1, wherein the compound is selected from the group consisting of:

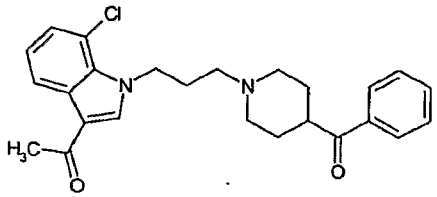
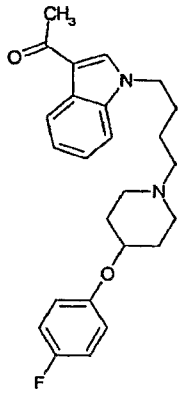
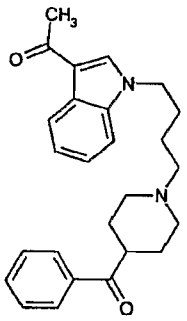
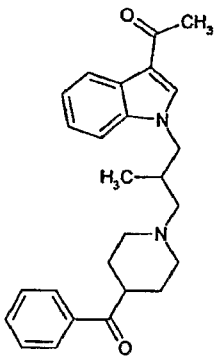
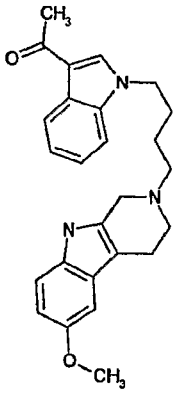
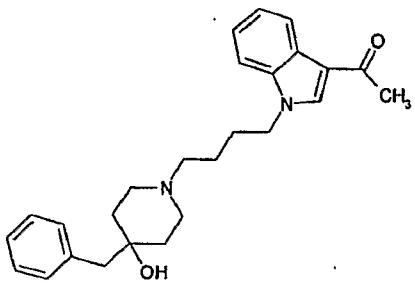


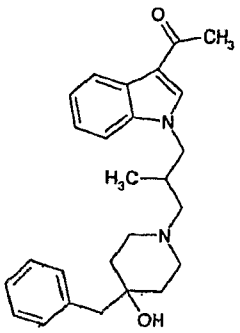












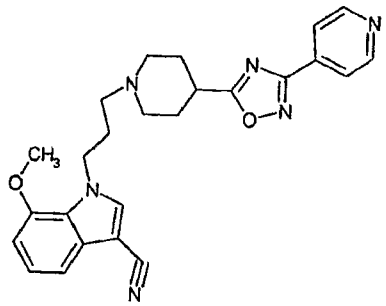


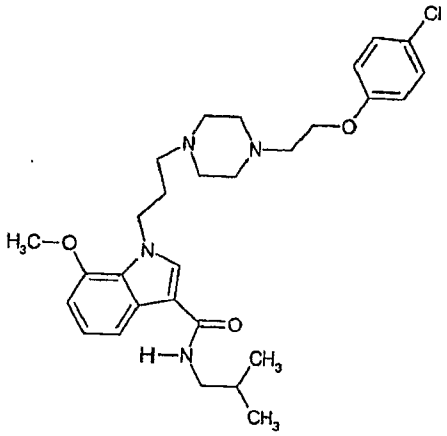





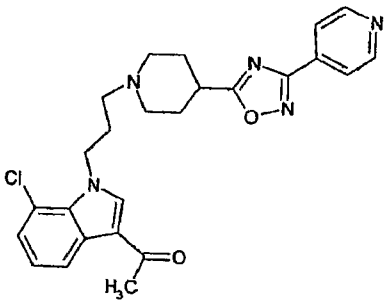
76. The compound of Claim 1, wherein the compound is selected from the group consisting of:

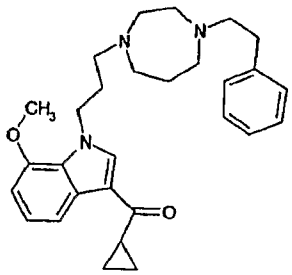







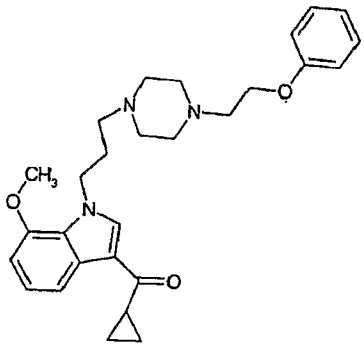


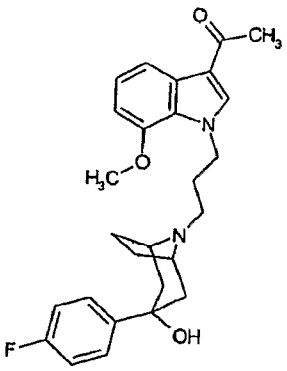


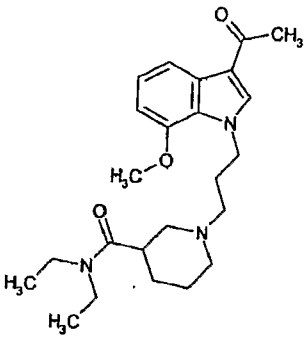


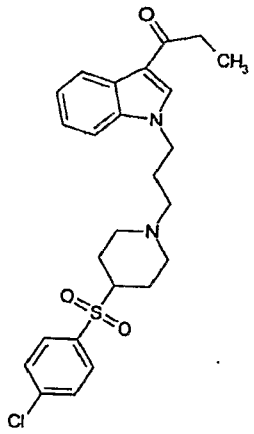


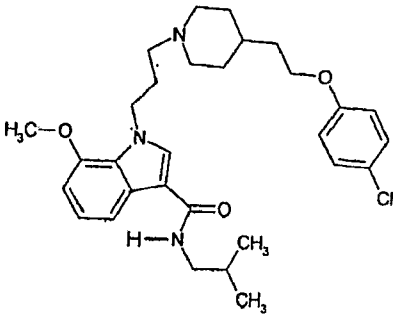


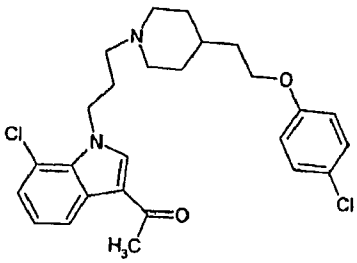


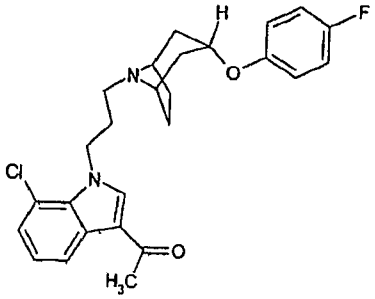


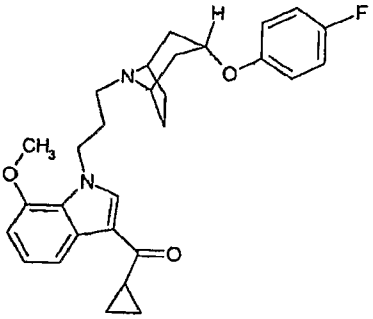


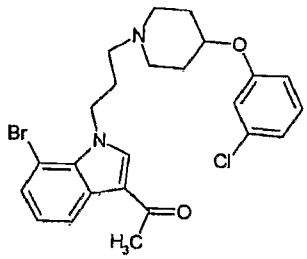


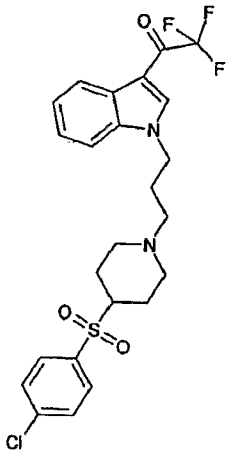


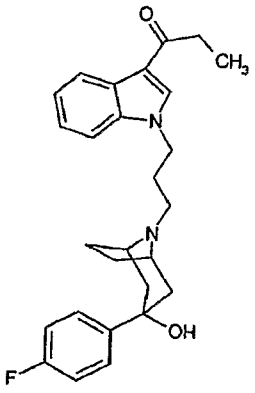


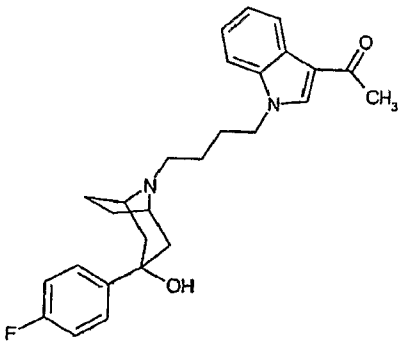


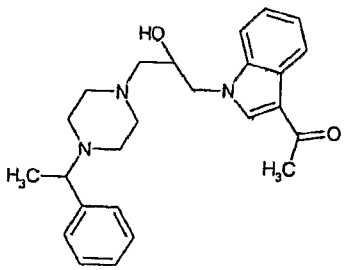


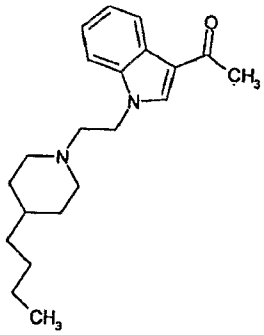


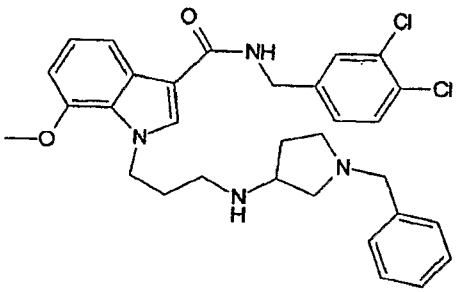


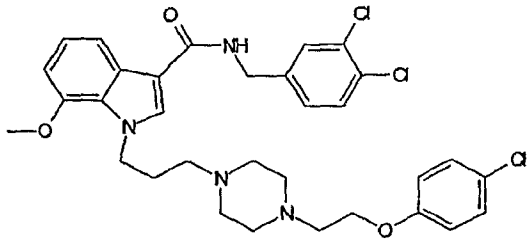


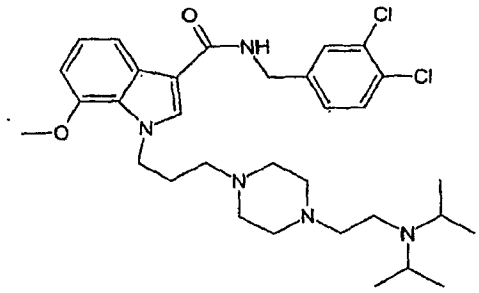


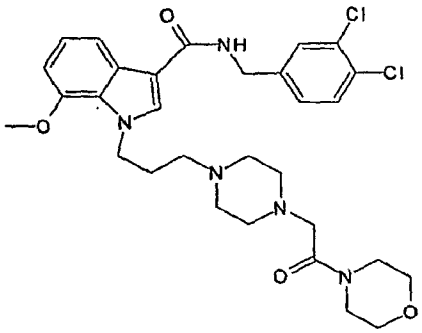


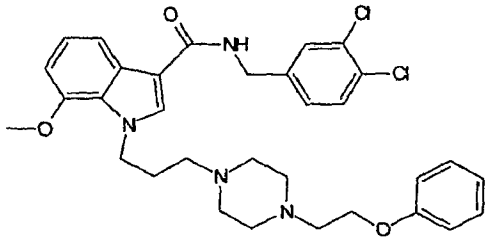




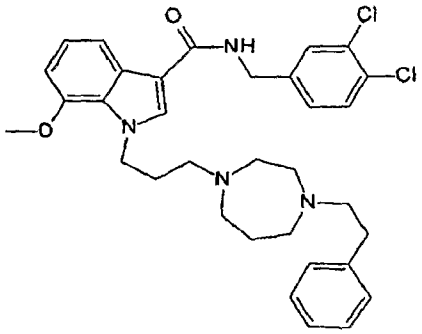


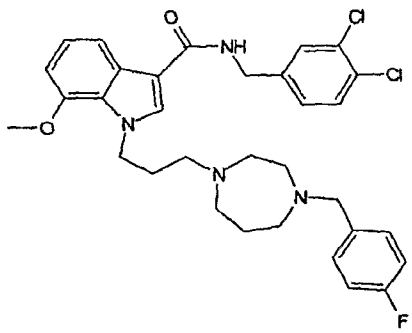


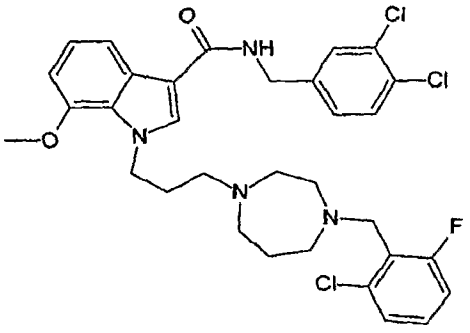


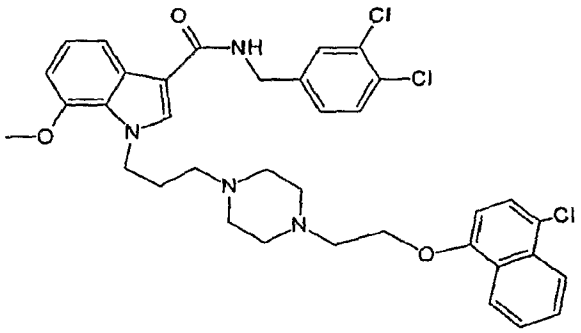


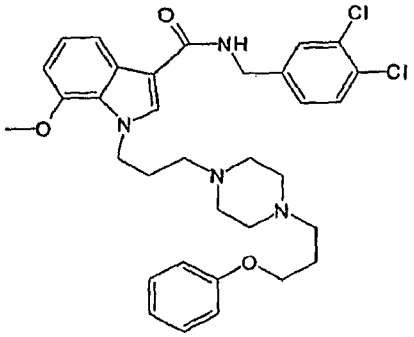
77. The compound of Claim 1, wherein the compound is selected from the group consisting of:

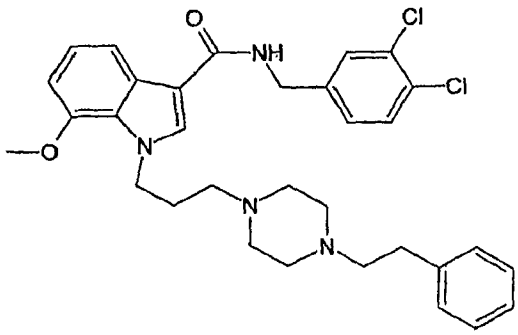


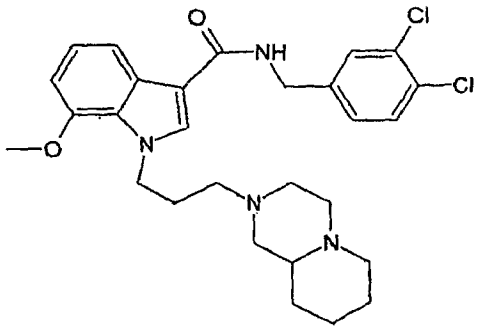


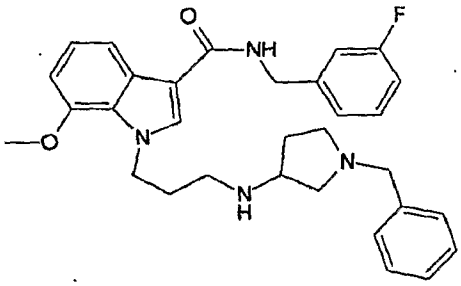


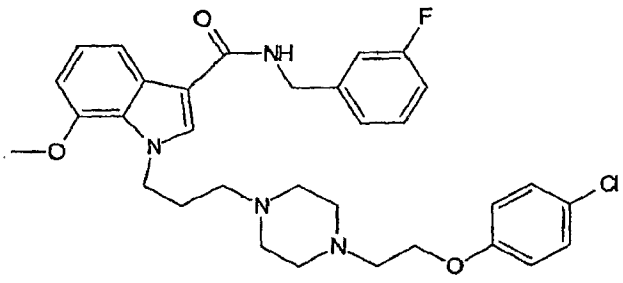


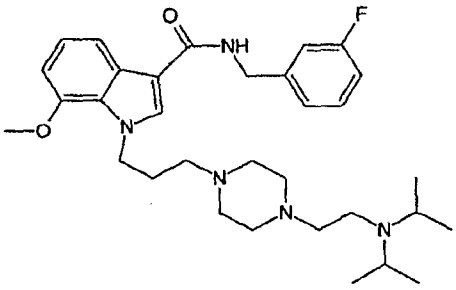


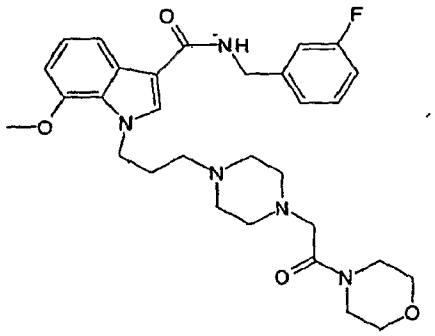


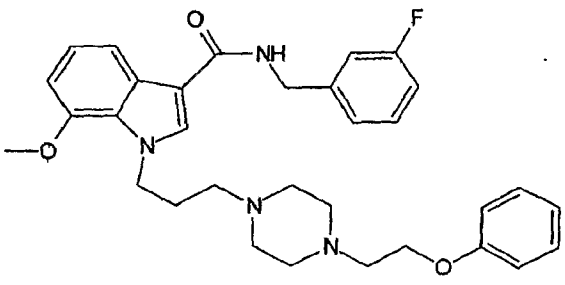


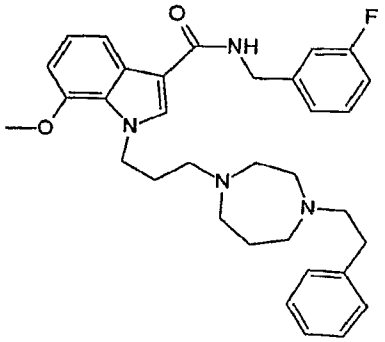


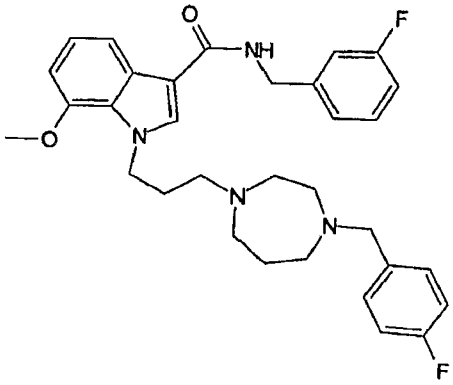


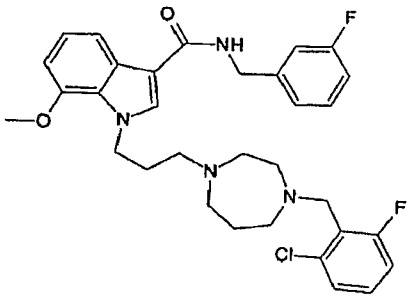


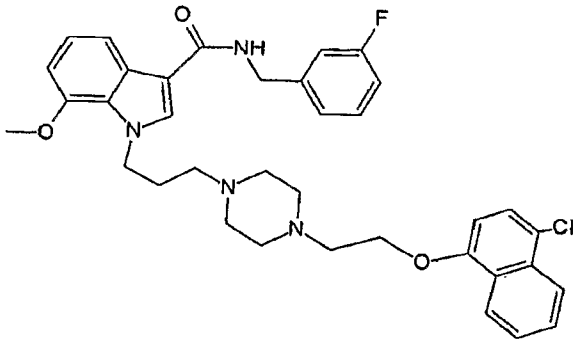


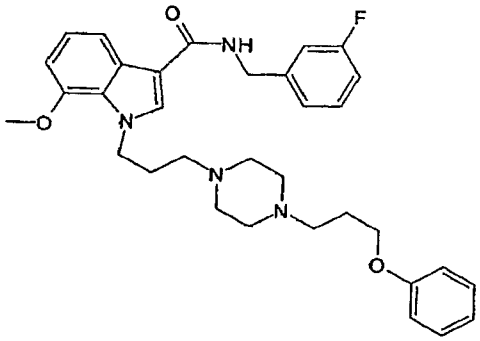


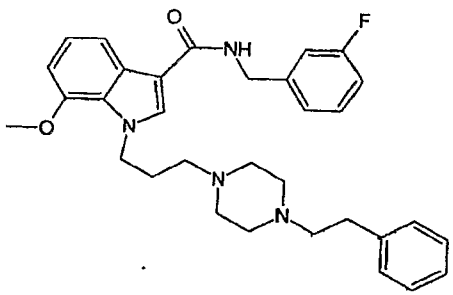


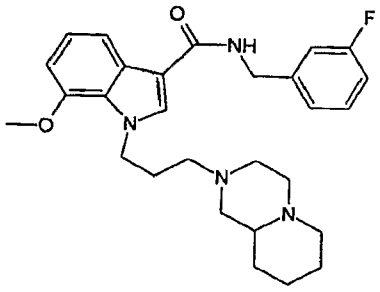


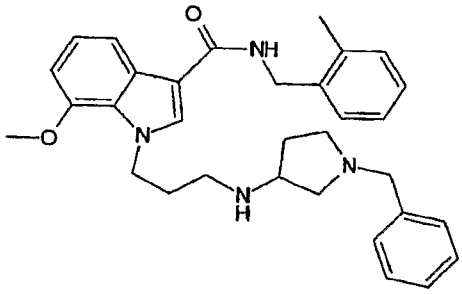


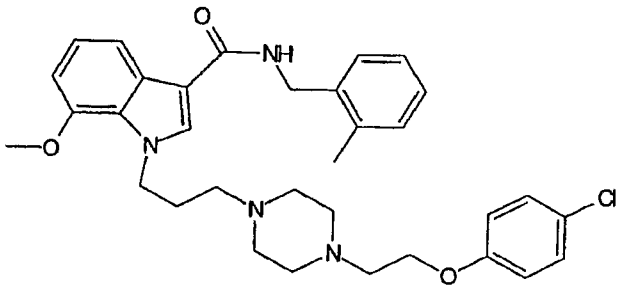


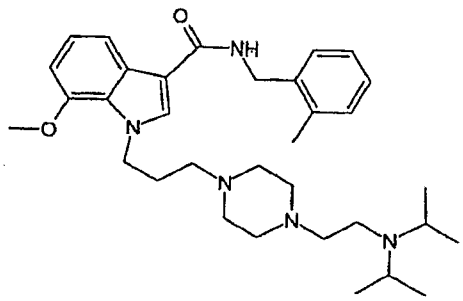


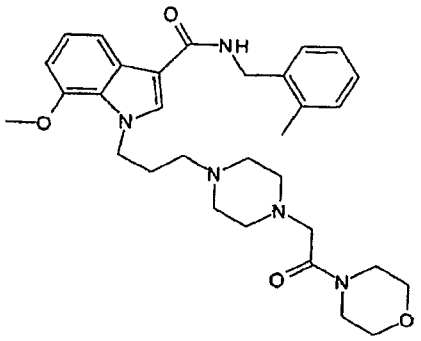


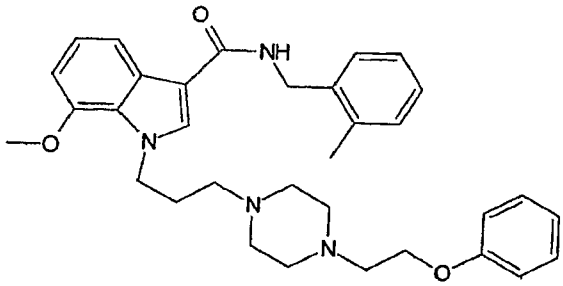


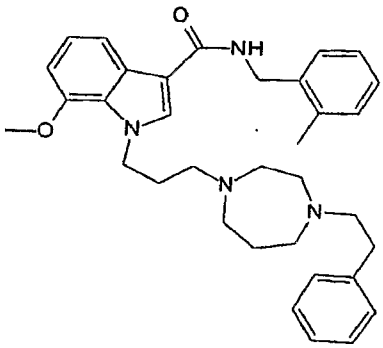


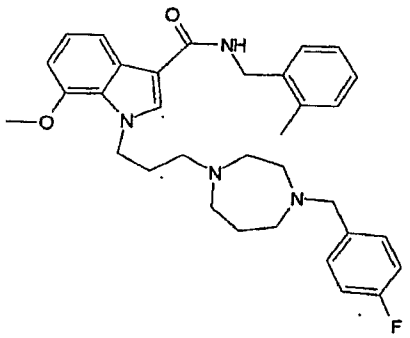


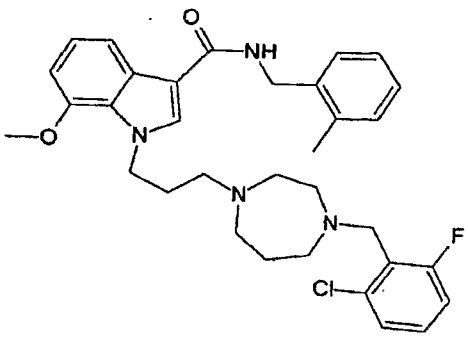


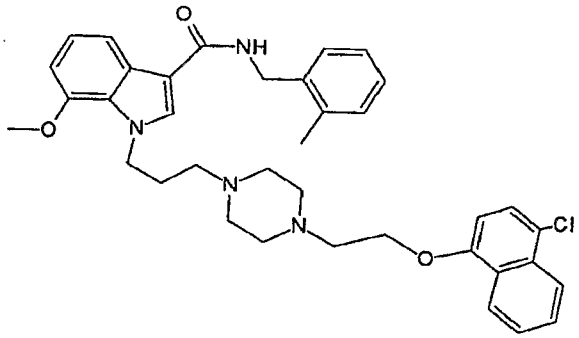


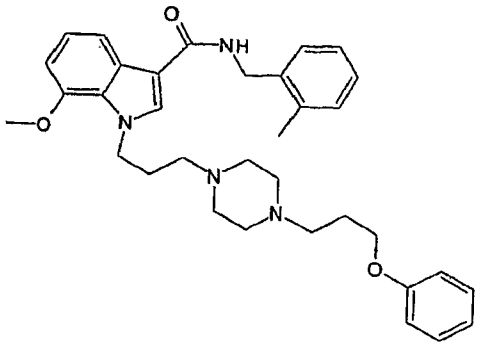


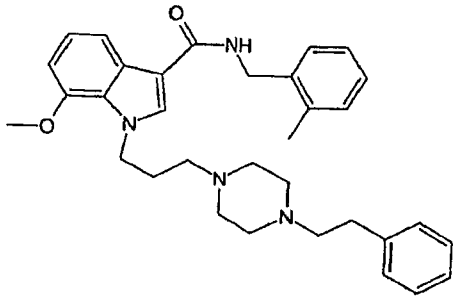


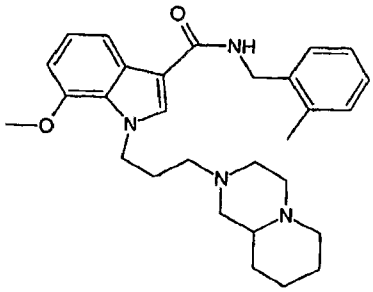




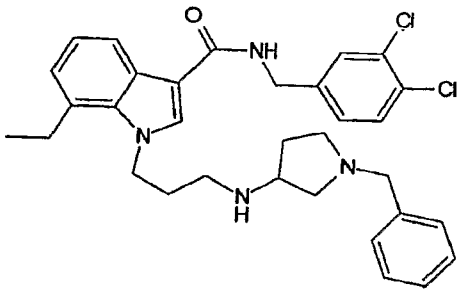


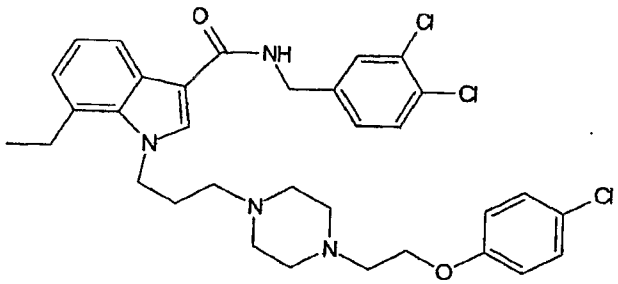


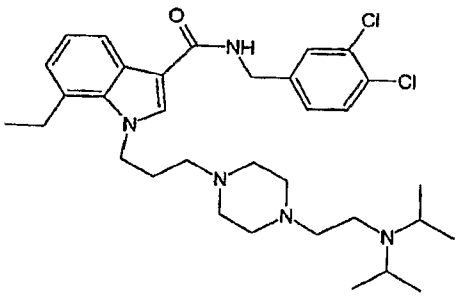


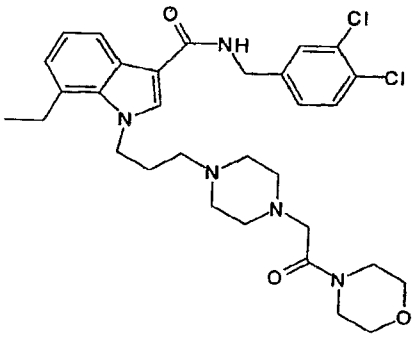


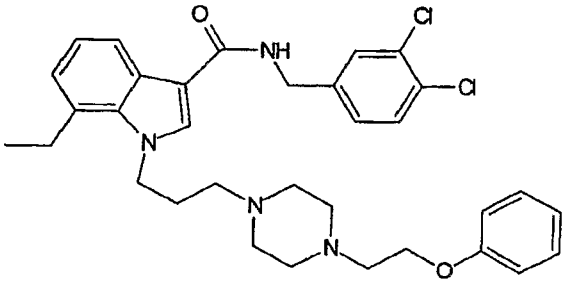
78. The compound of Claim 1, wherein the compound is selected from the group consisting of:

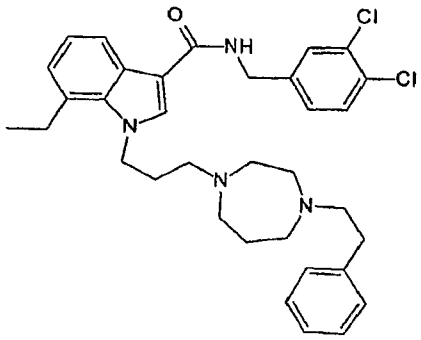


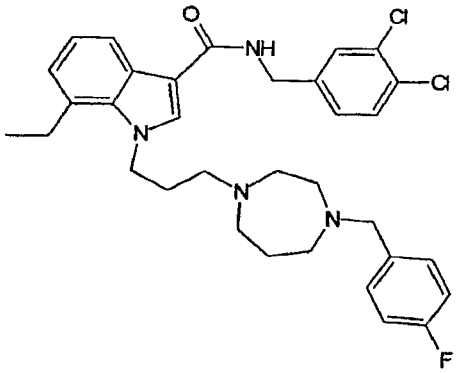


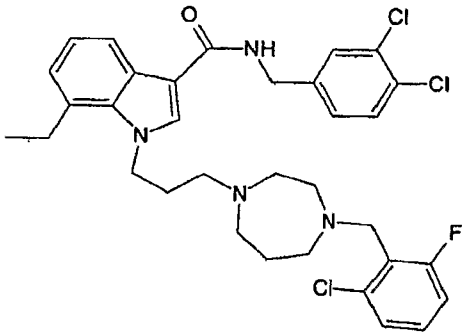


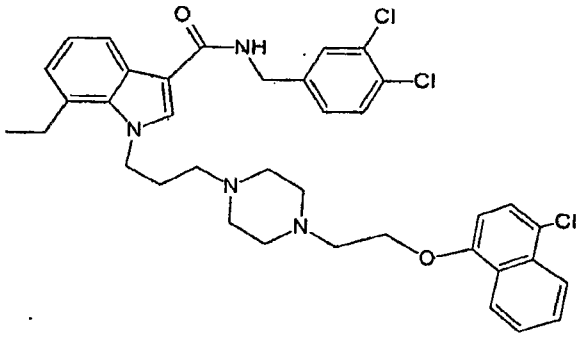


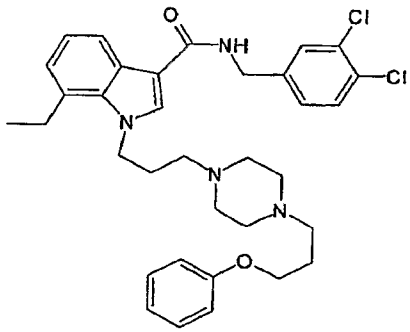


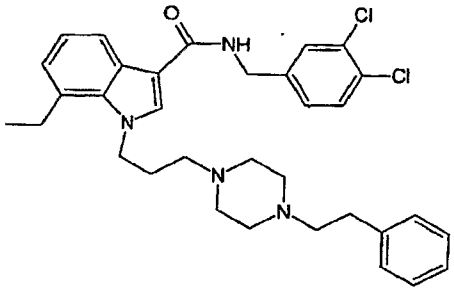


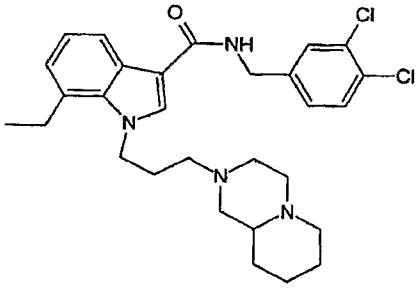


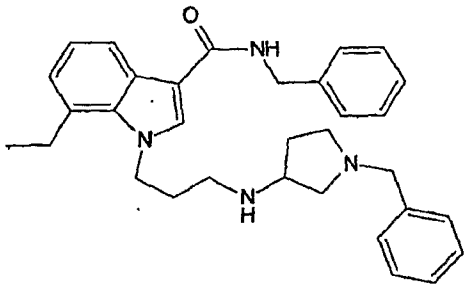


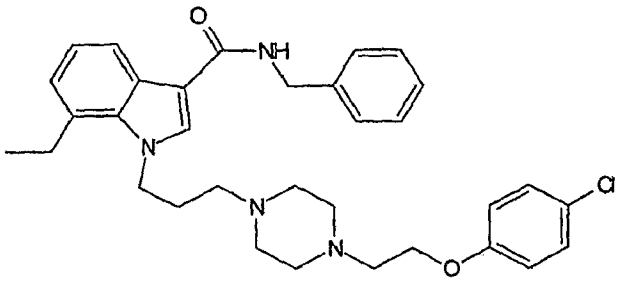


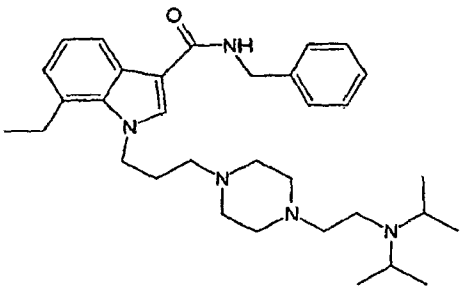


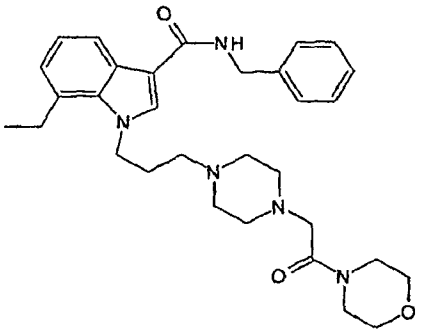


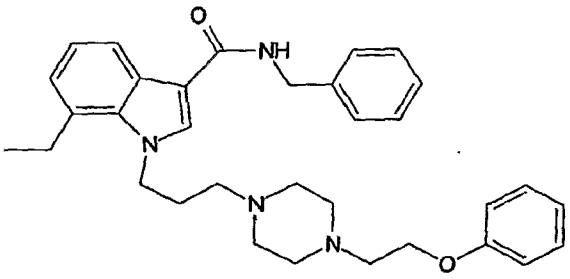


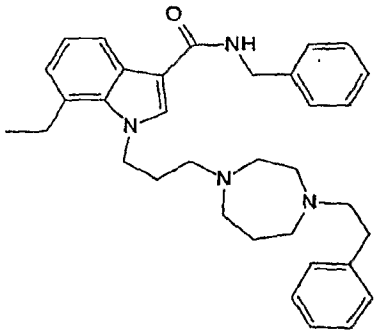


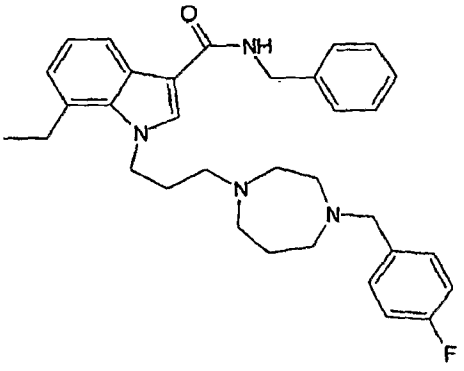


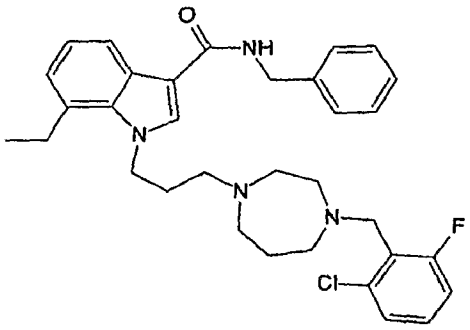


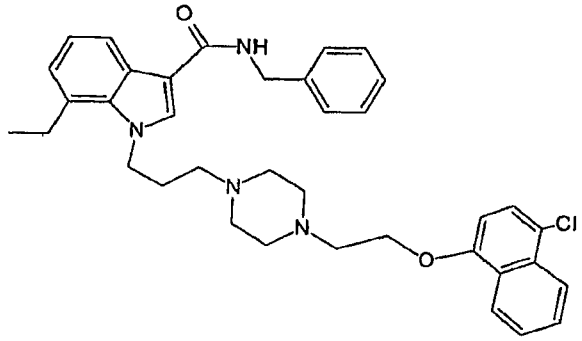


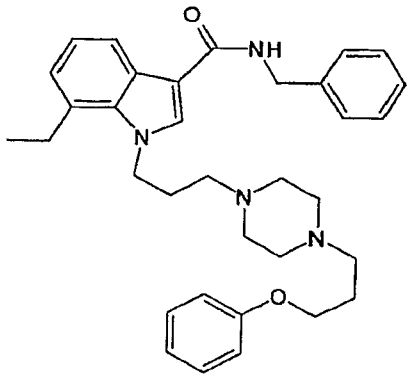


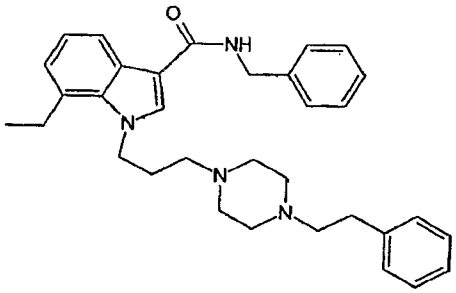


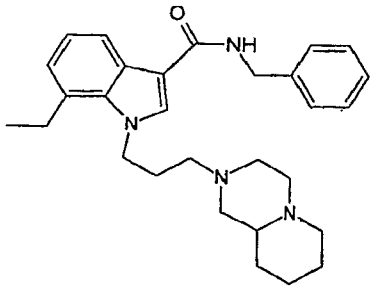


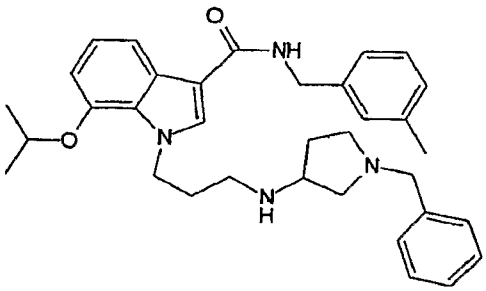


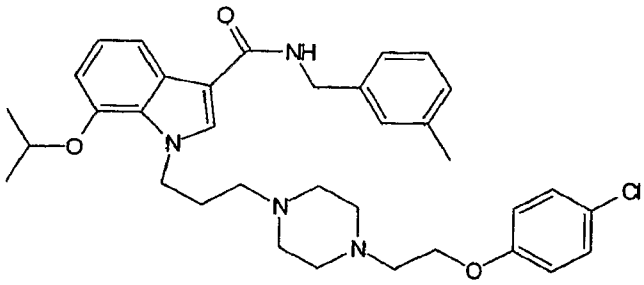


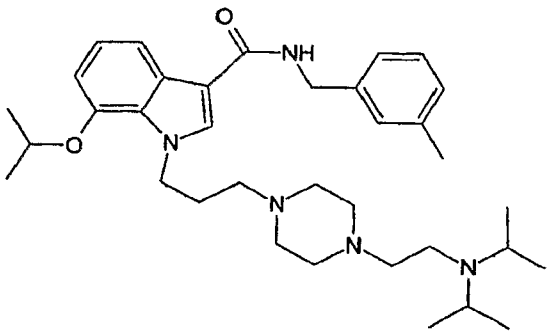


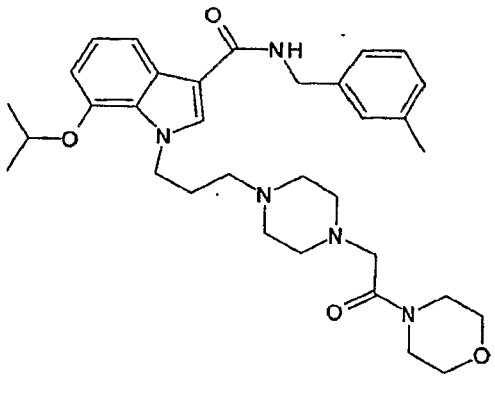


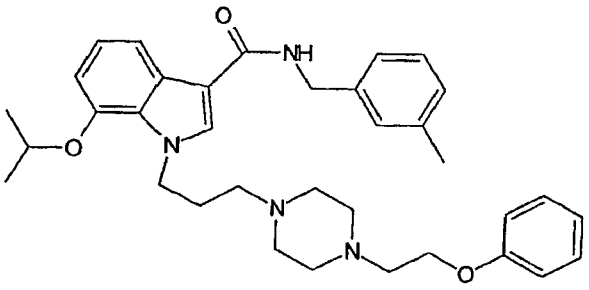


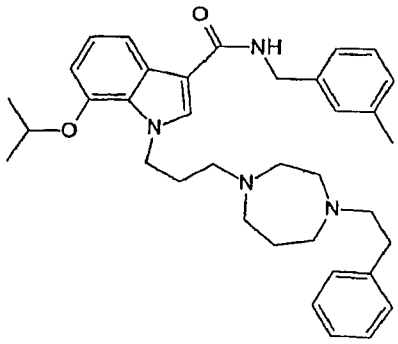




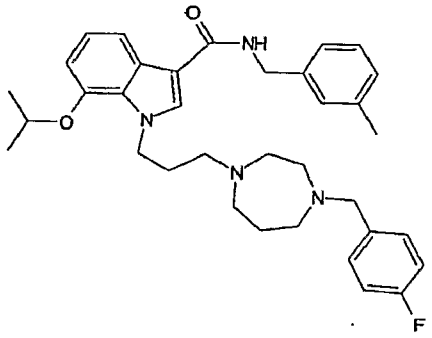


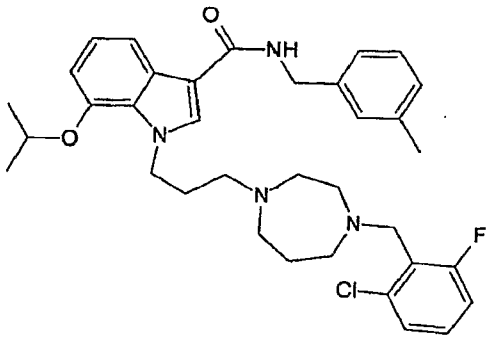


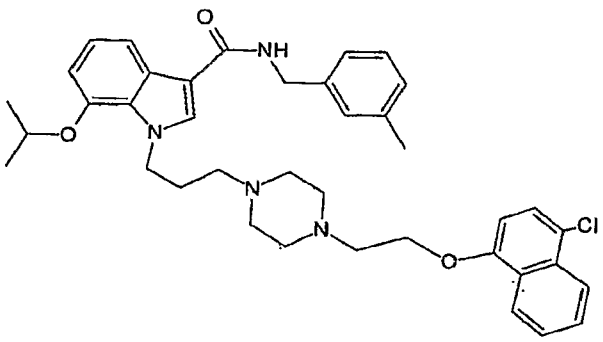


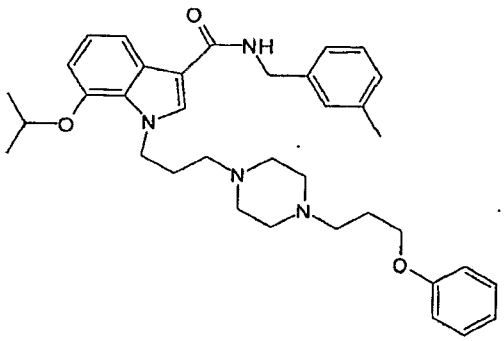


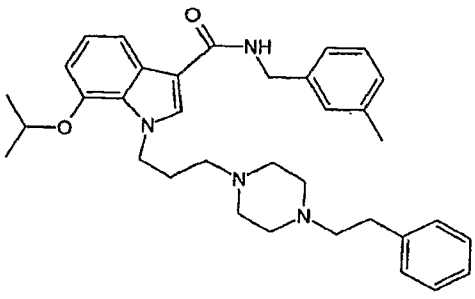
79. The compound of Claim 1, wherein the compound is selected from the group consisting of:

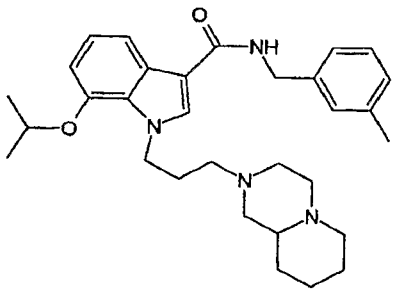


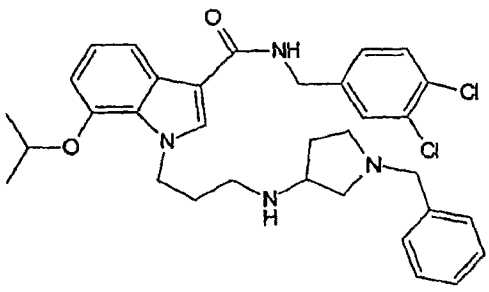


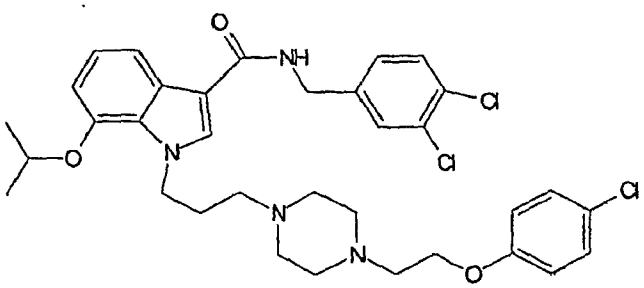


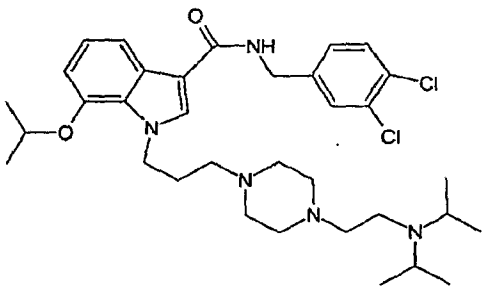


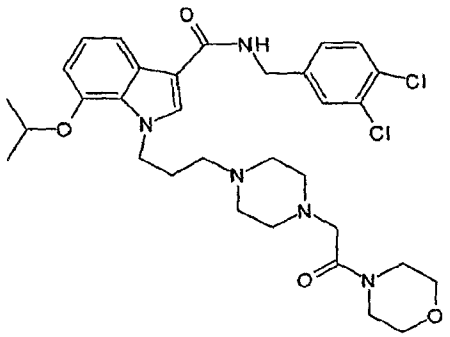


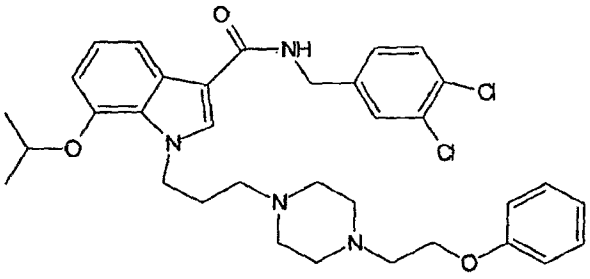


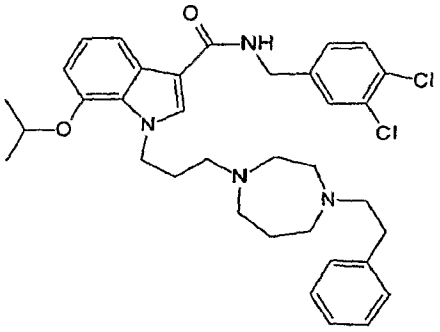


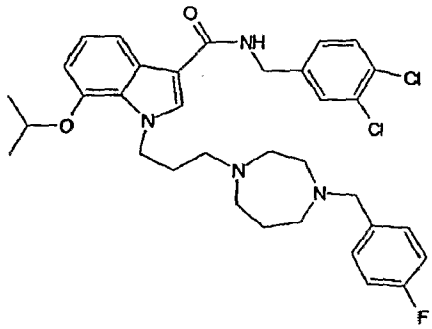


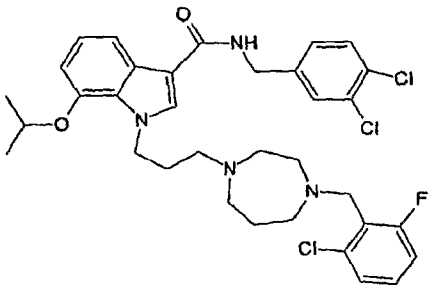


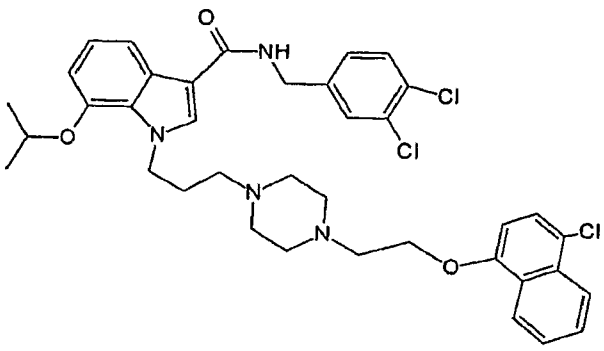


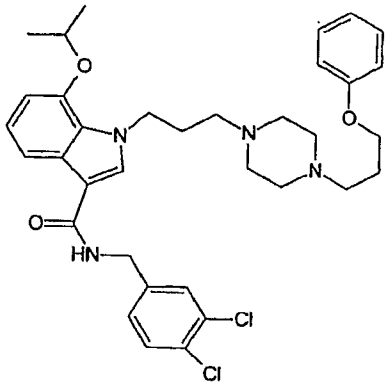


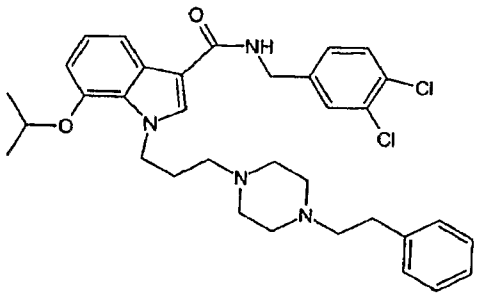


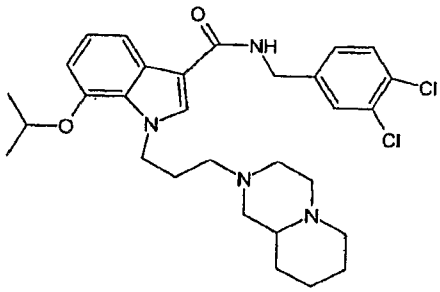


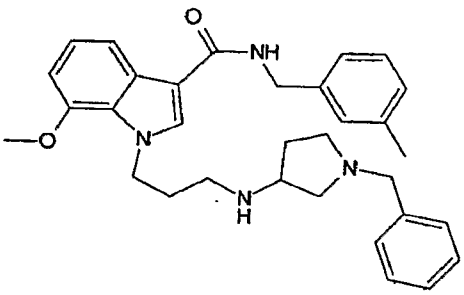


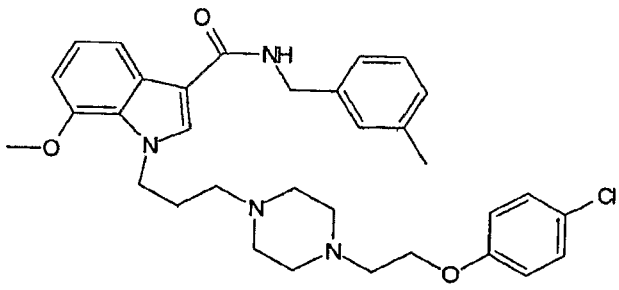


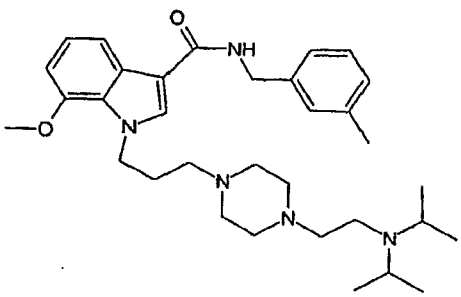


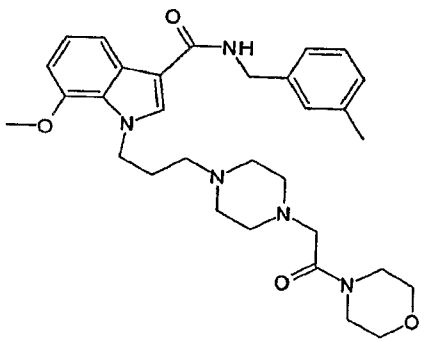


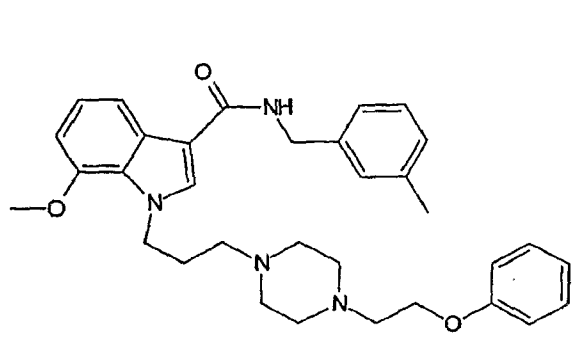
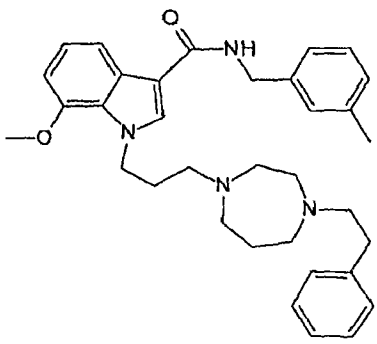
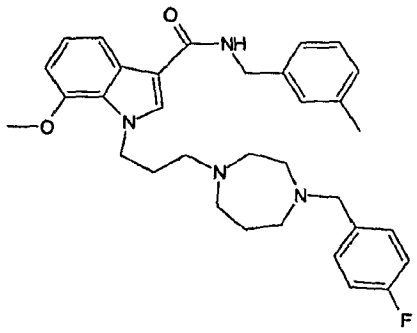
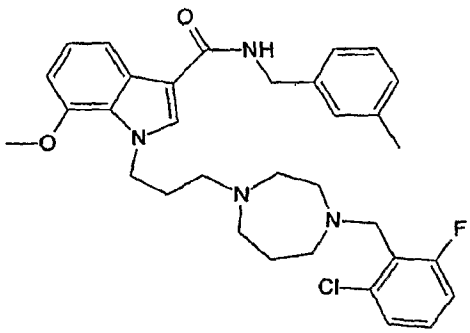
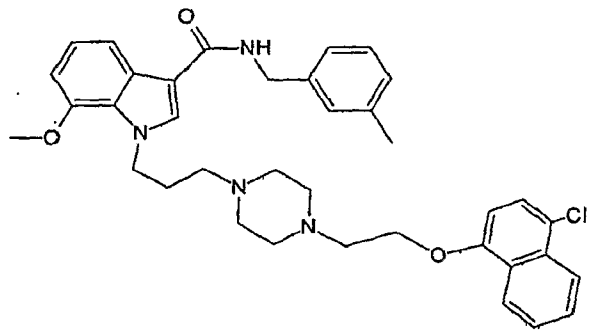
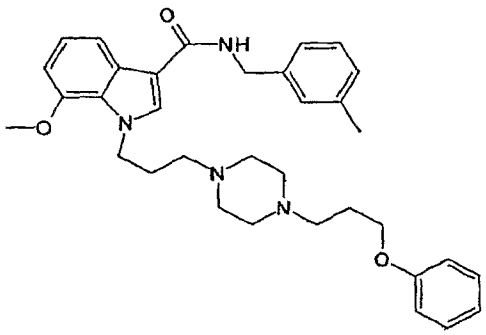
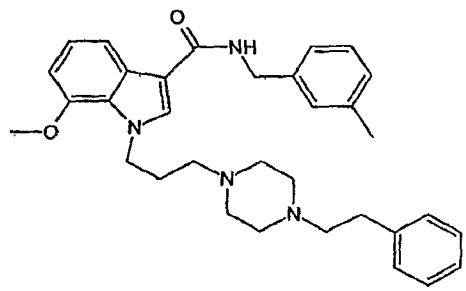
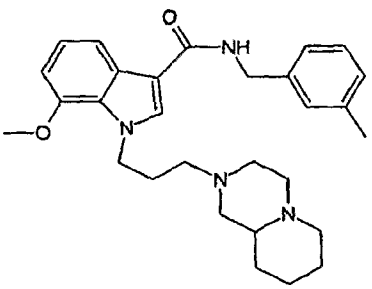




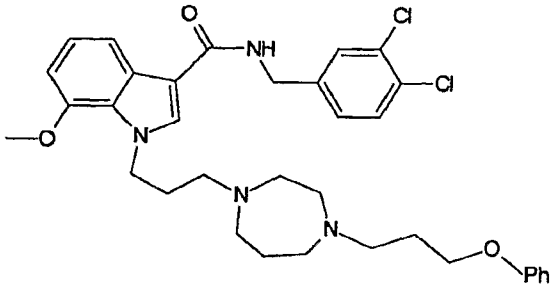


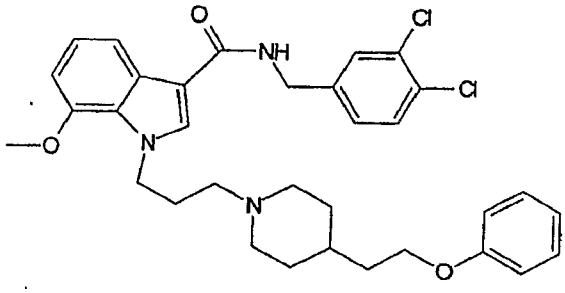


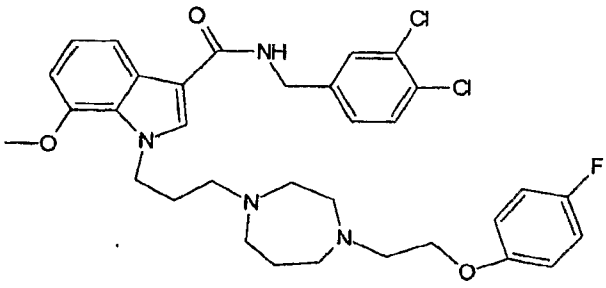


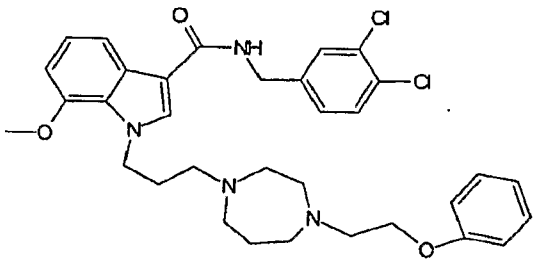









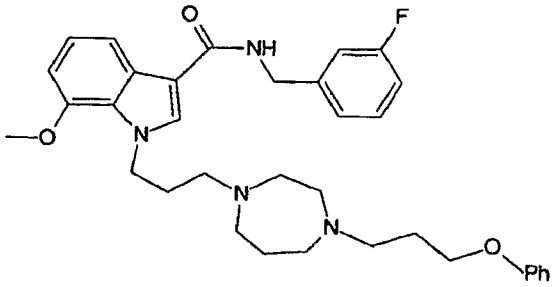
80. The compound of Claim 1, wherein the compound is selected from the group consisting of:

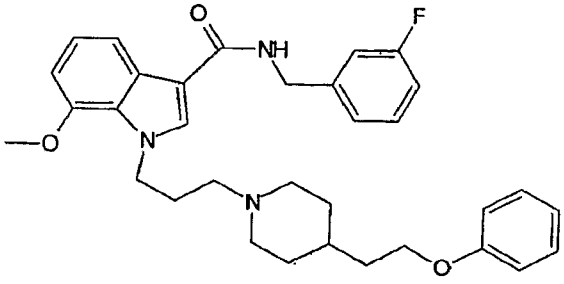


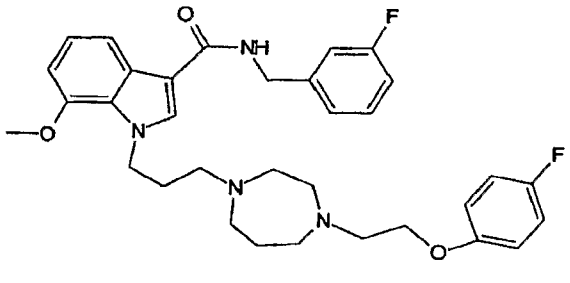


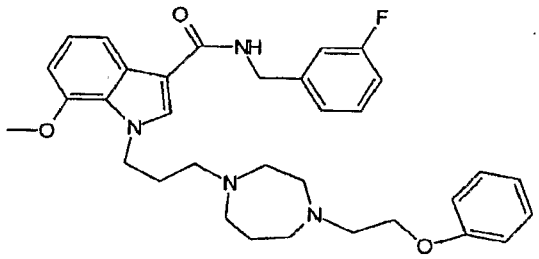


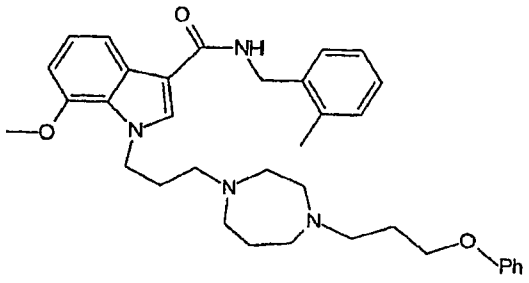


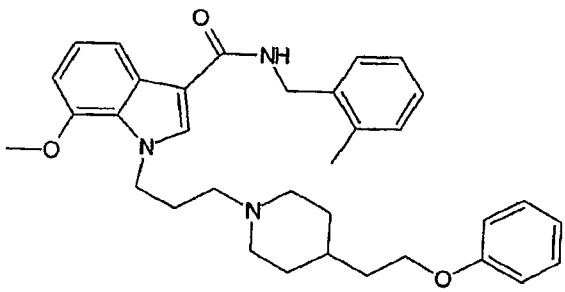


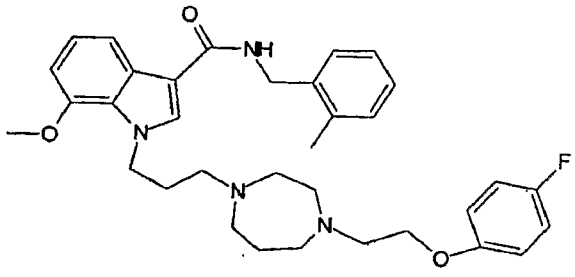


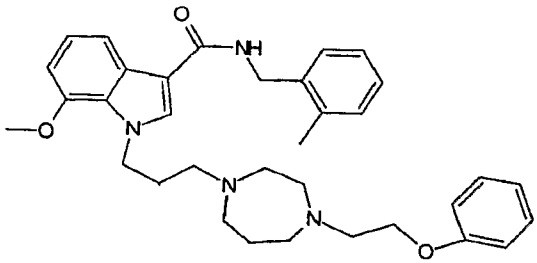


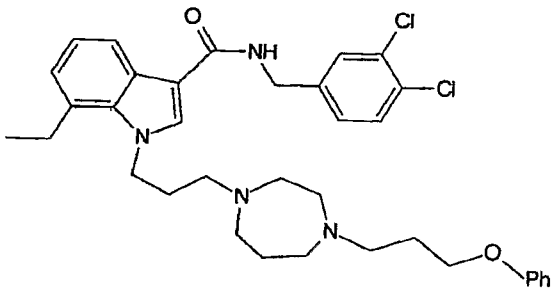


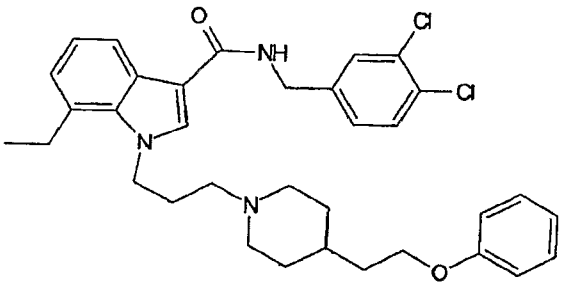


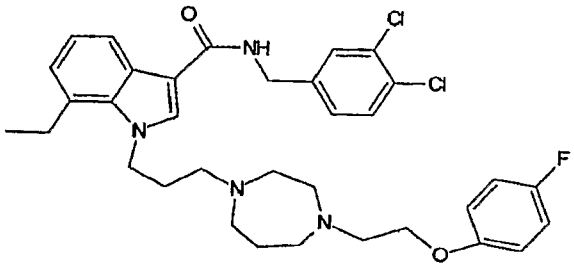


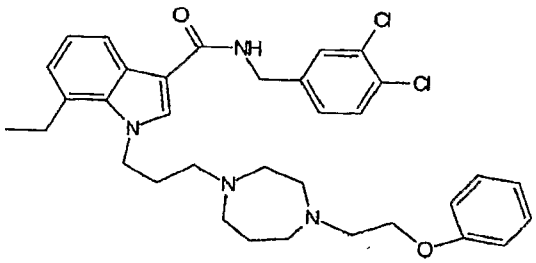


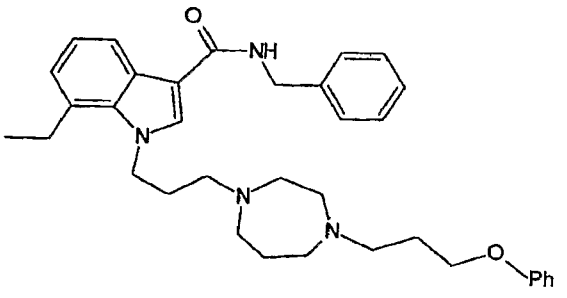


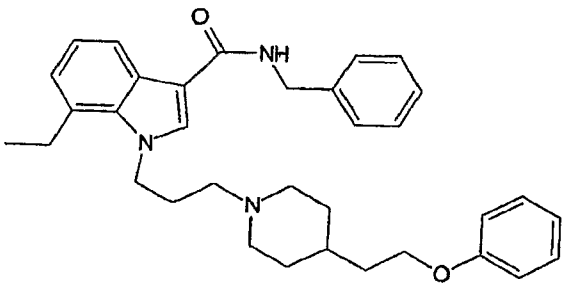


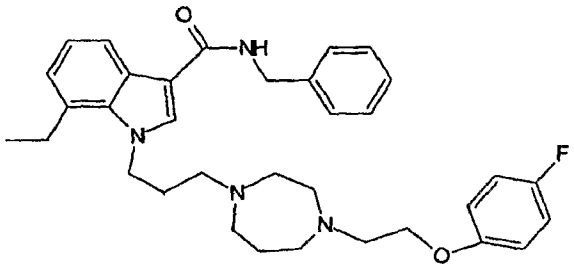


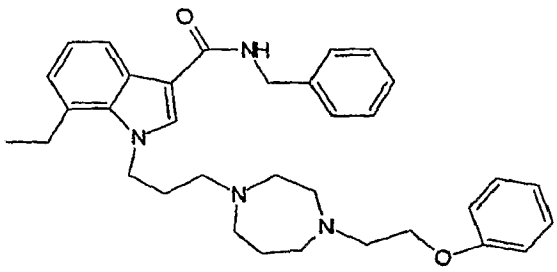


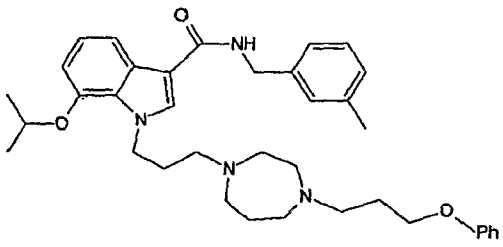


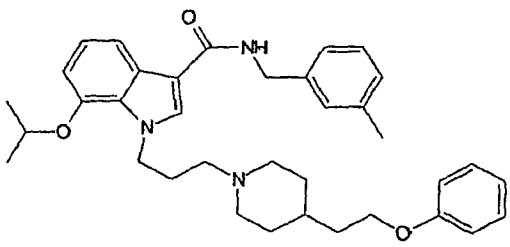


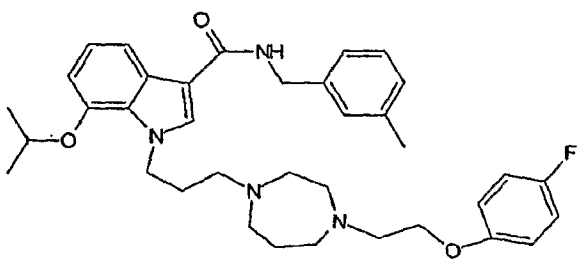


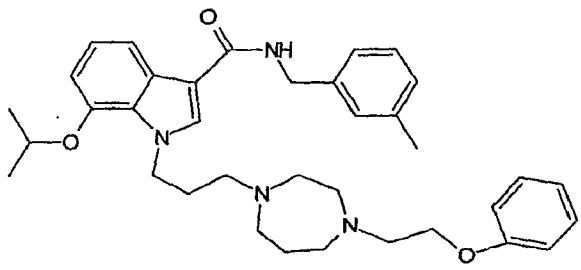


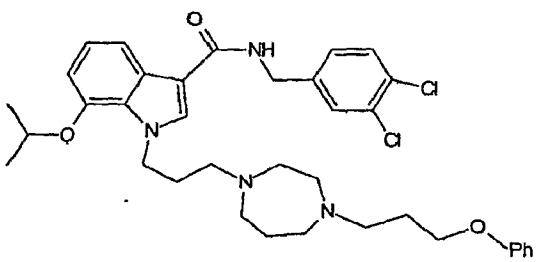


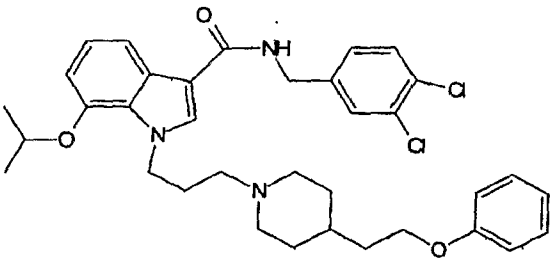


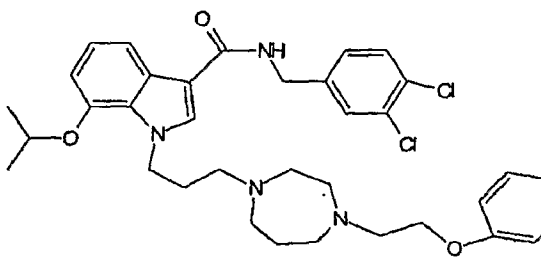


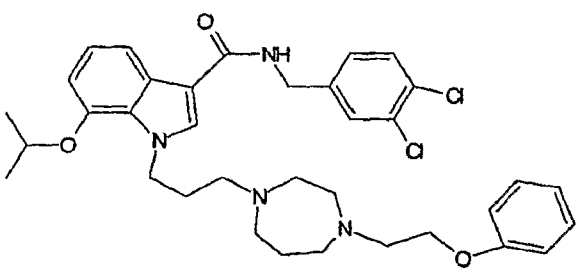


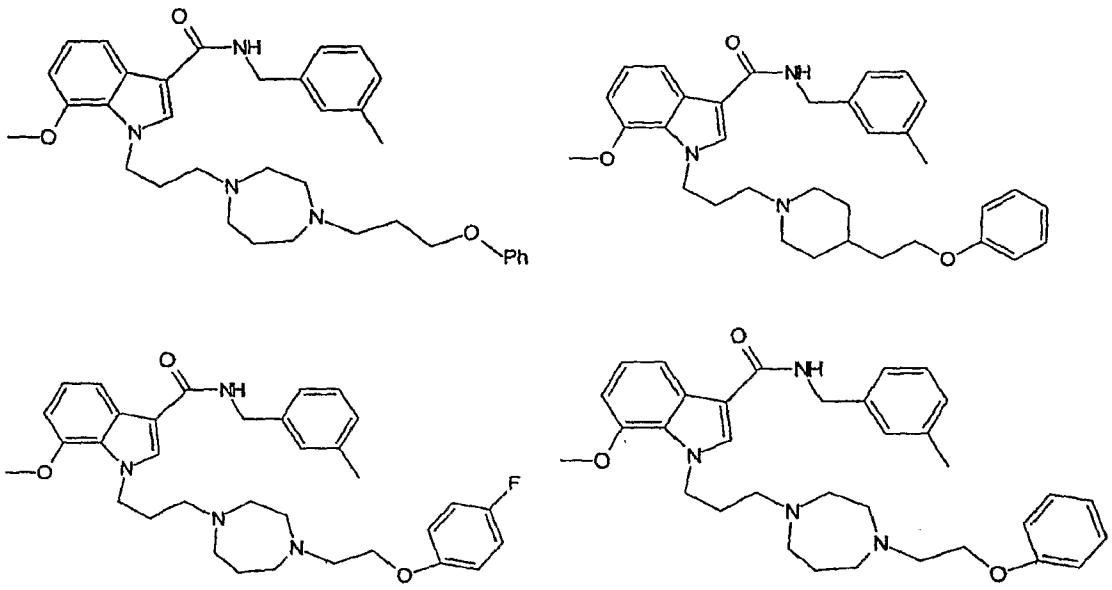




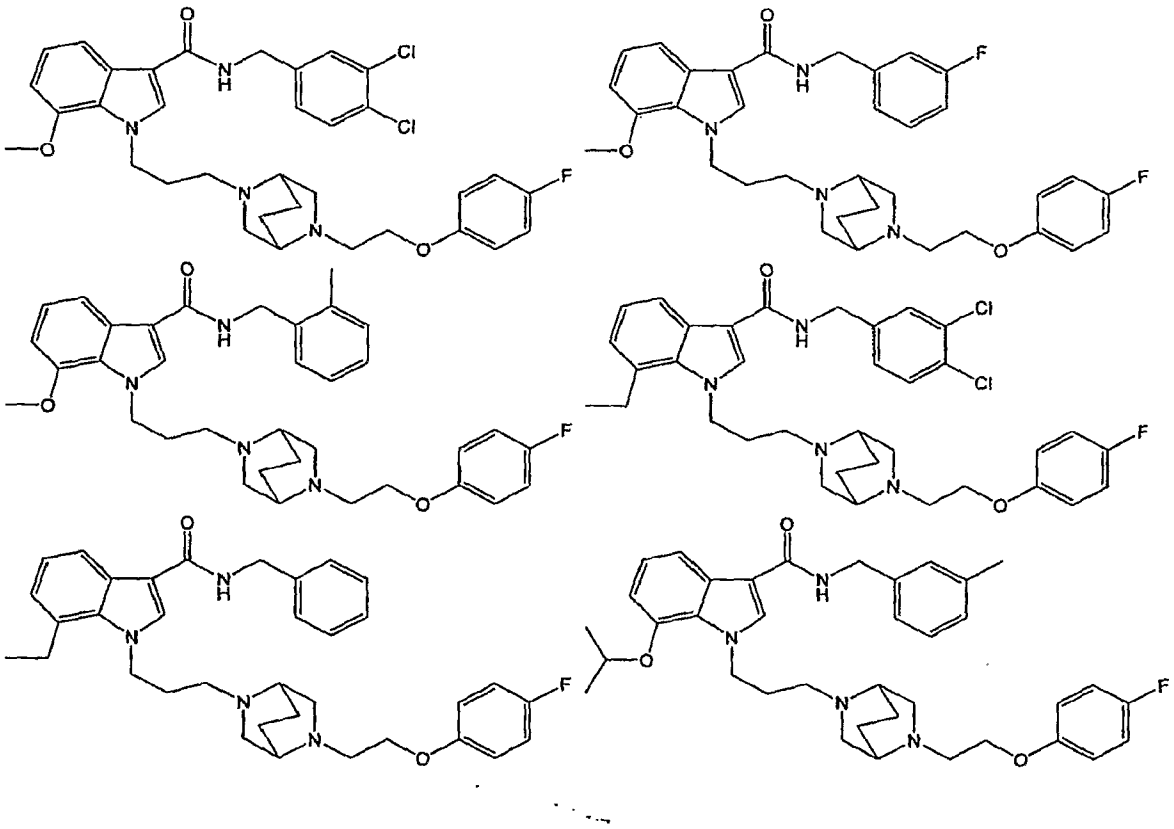


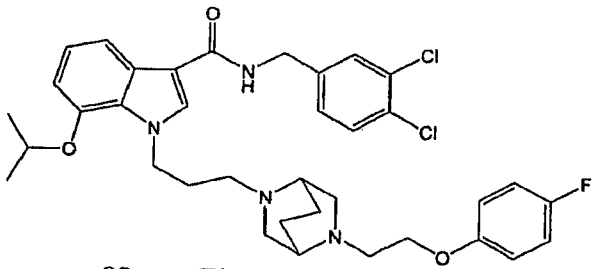


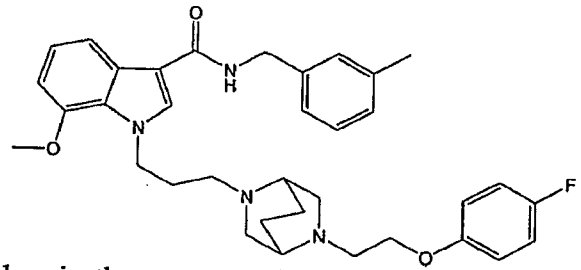




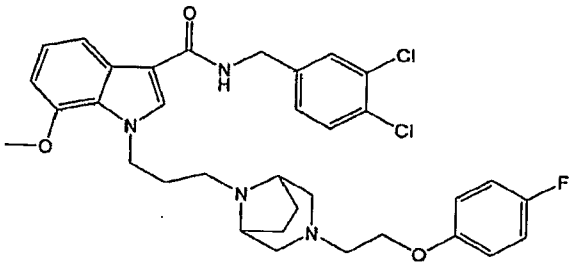
81. The compound of Claim 1, wherein the compound is selected from the group consisting of:

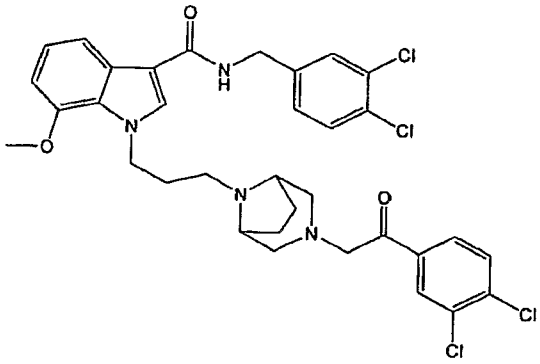


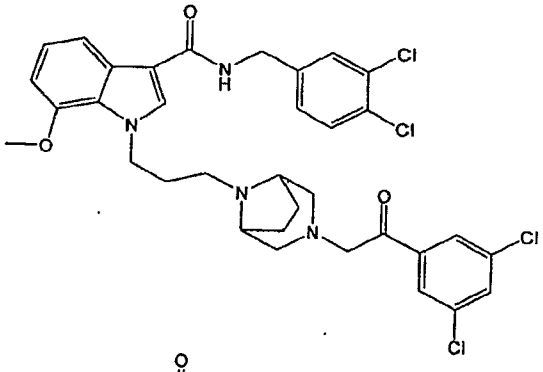


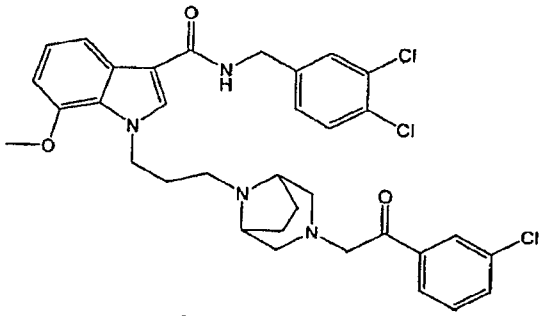


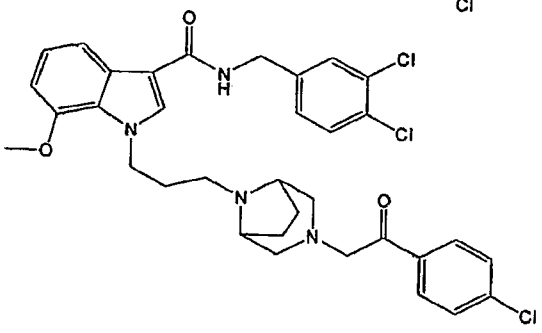
82. The compound of Claim 1, wherein the compound is selected from the group consisting of:

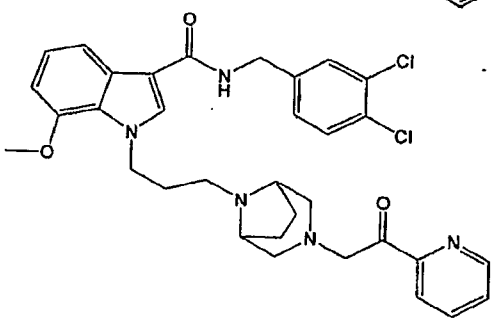


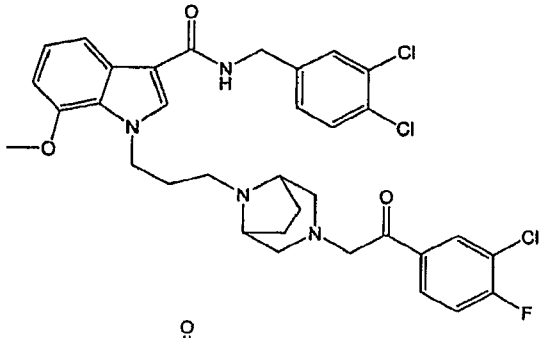


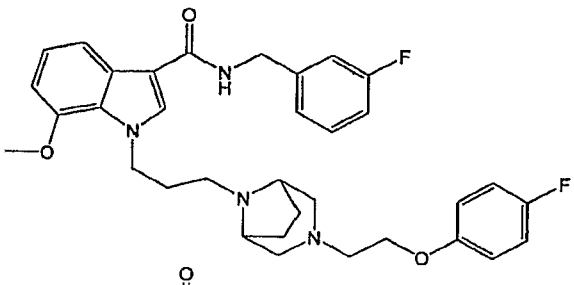


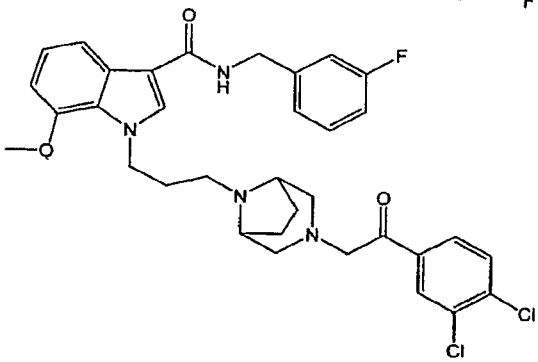


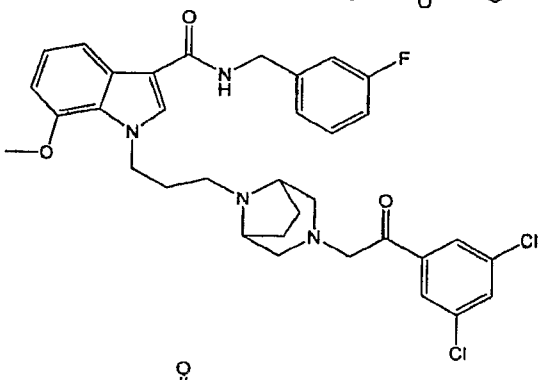


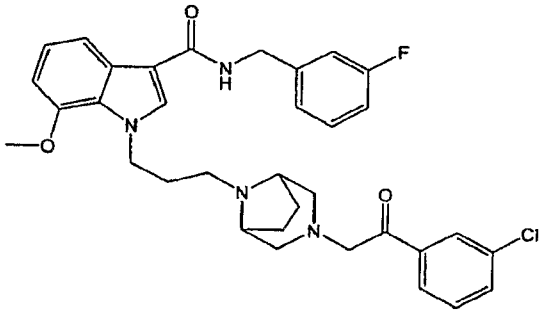


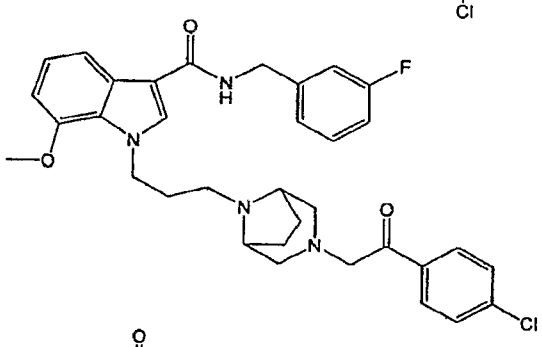


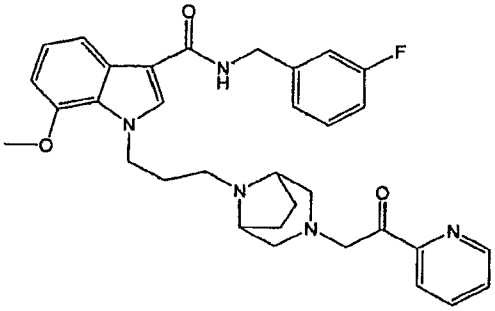


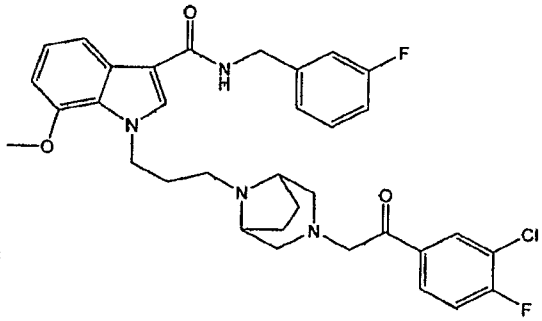


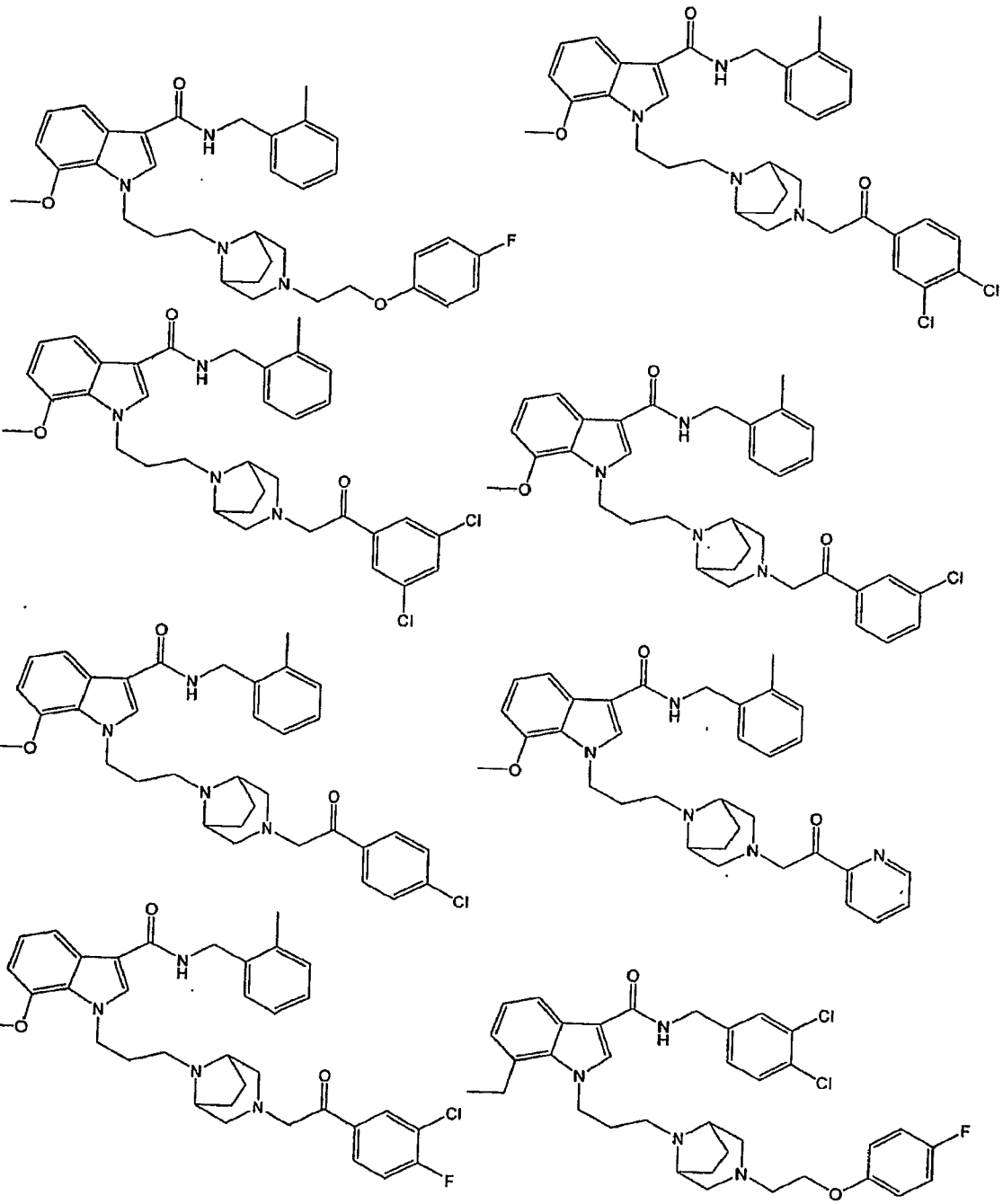


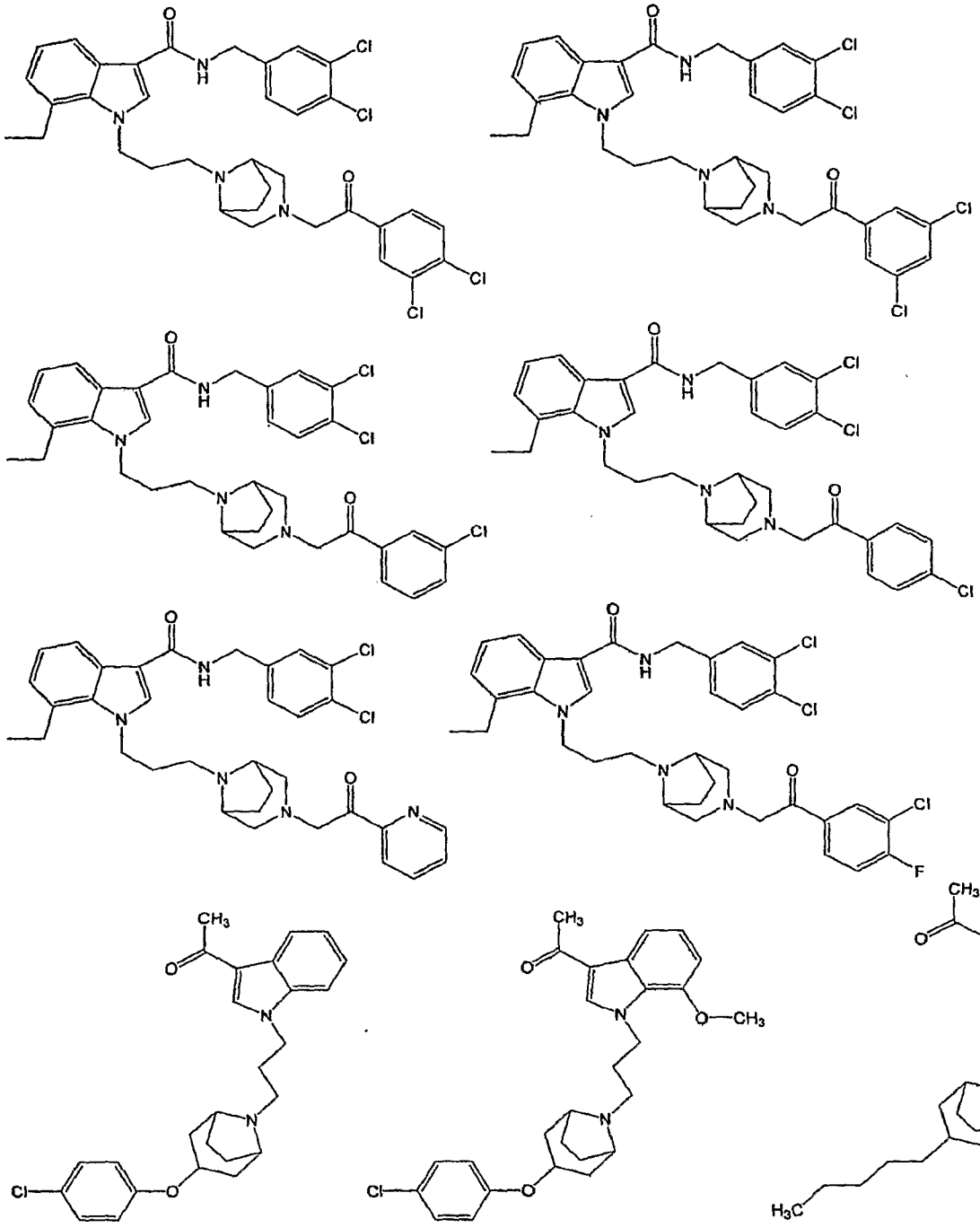




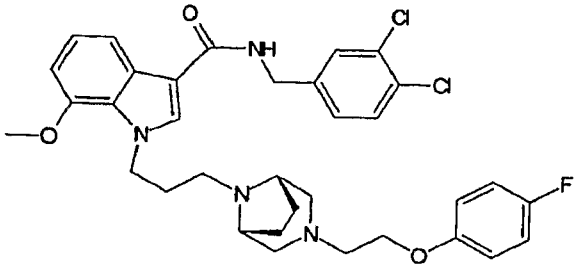


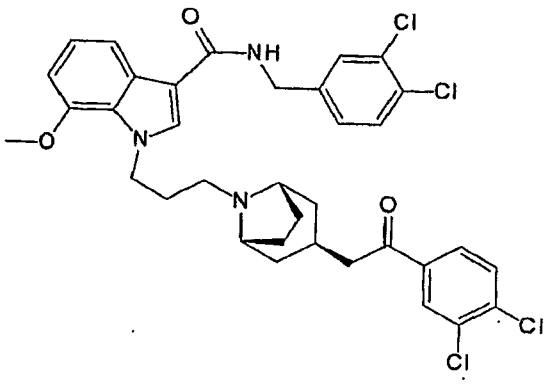


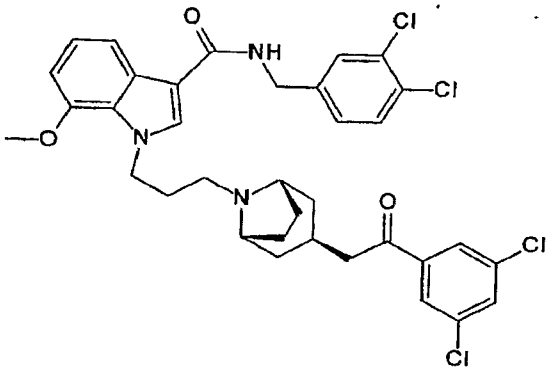


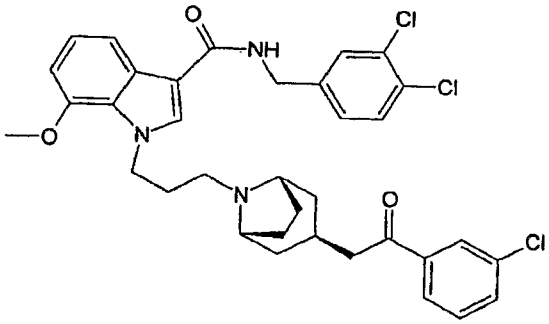


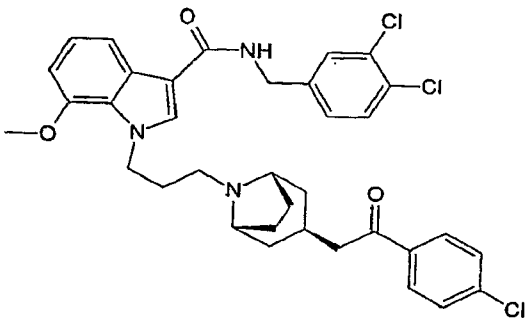
83. The compounds of Claim 82, wherein the compounds have the following stereochemistry:

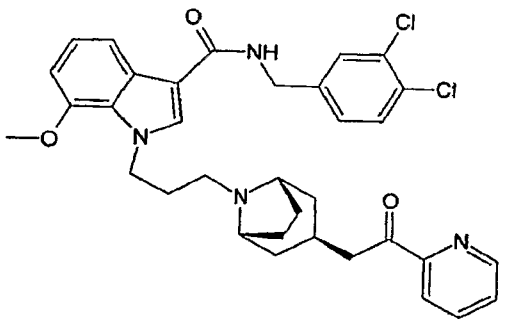


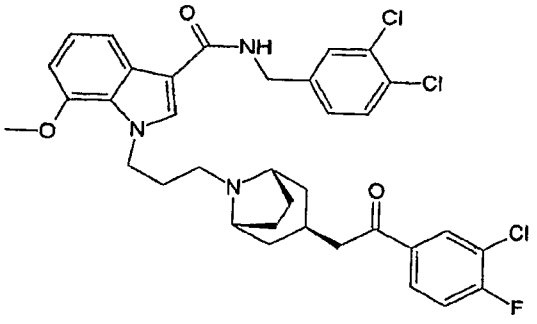


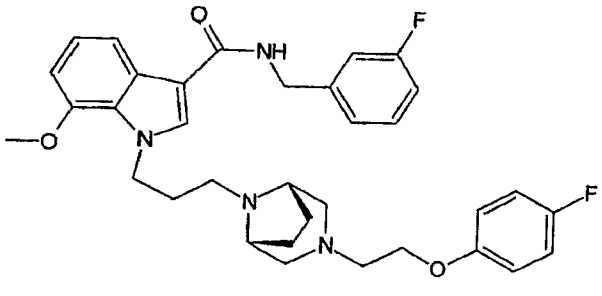


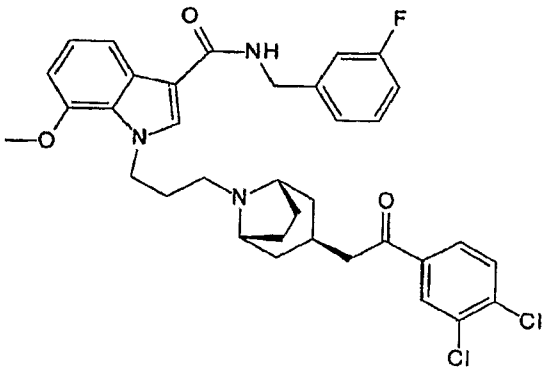


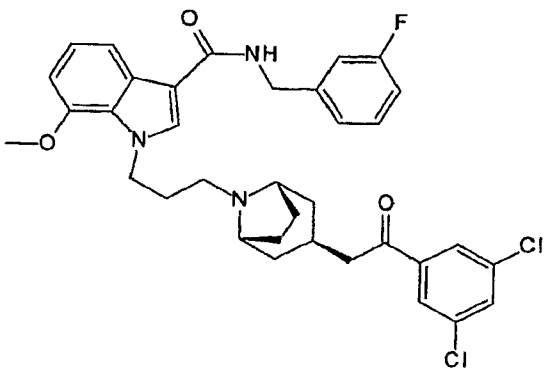


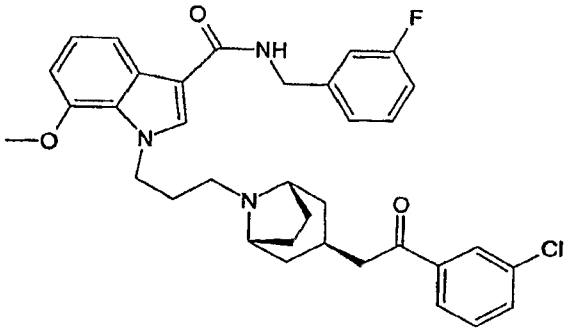


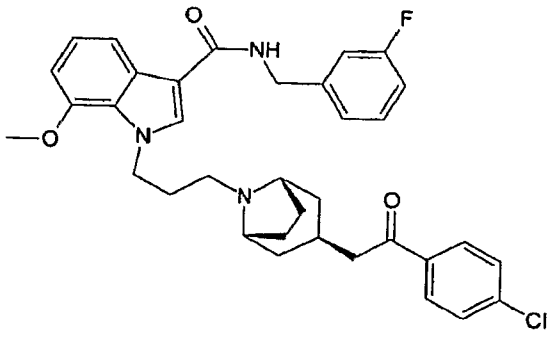


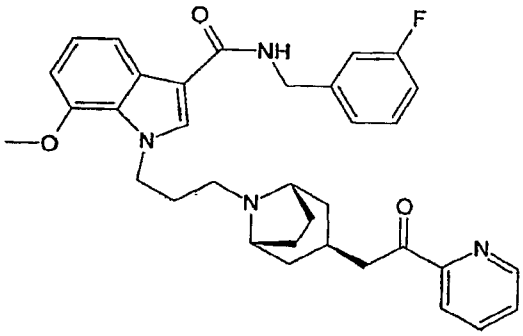


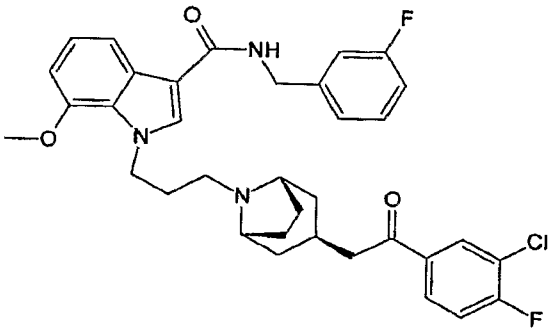


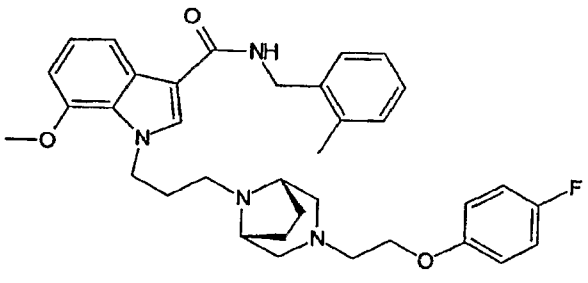


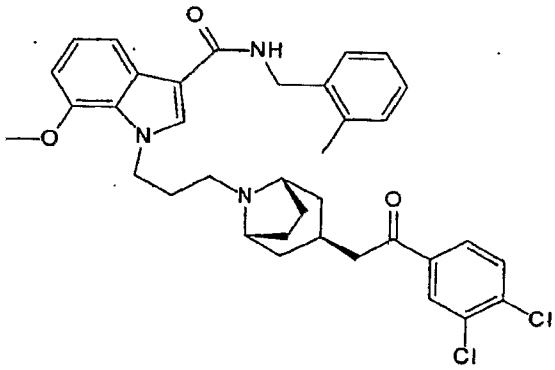


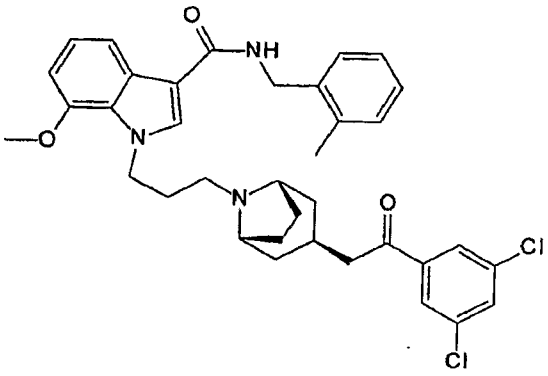


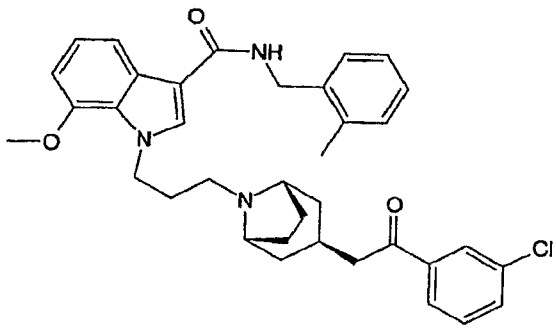


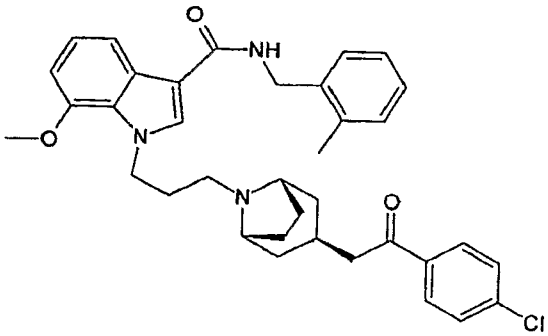


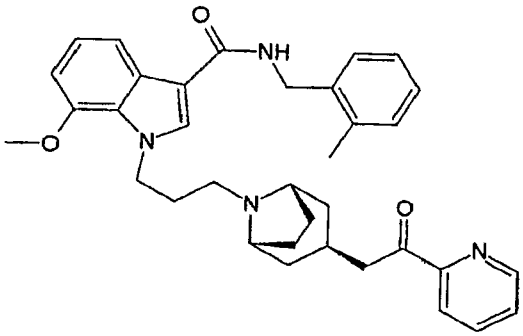


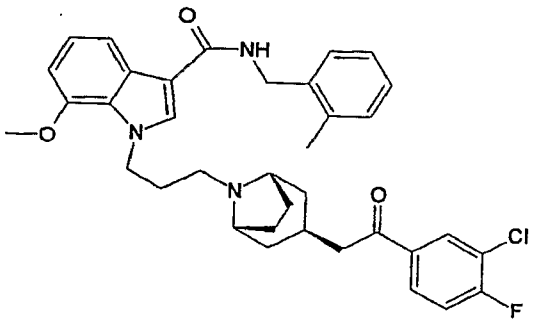


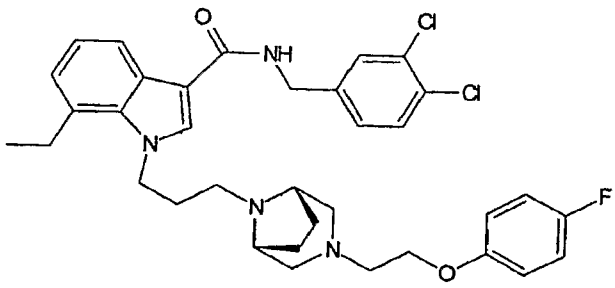


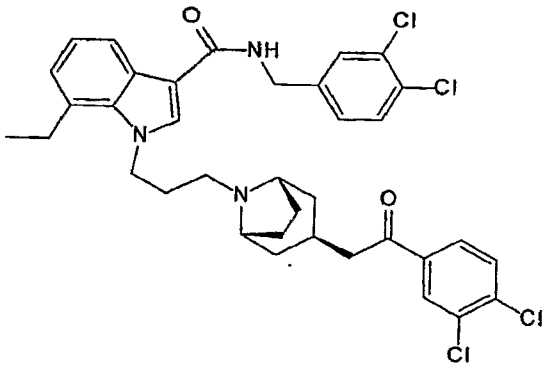


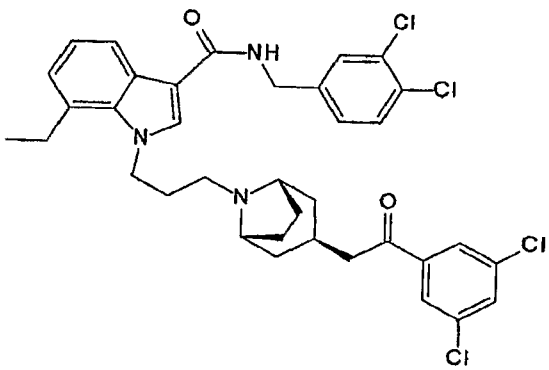


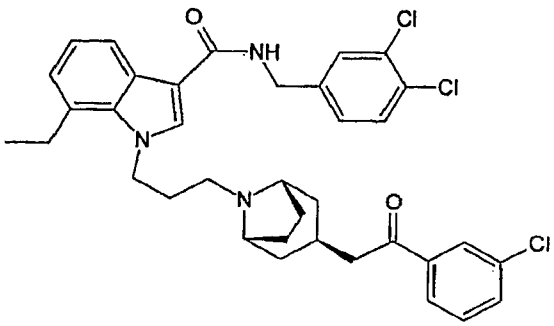


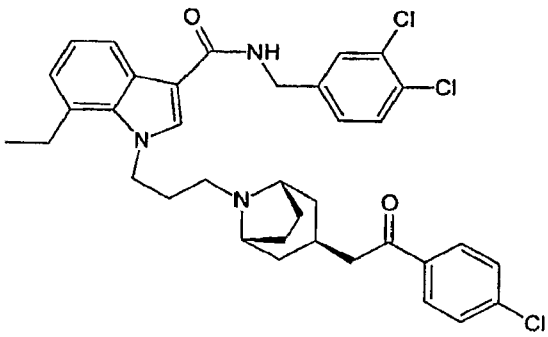


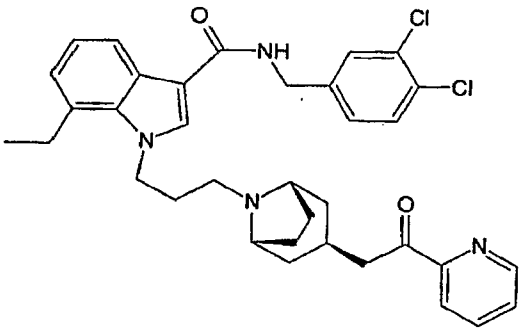


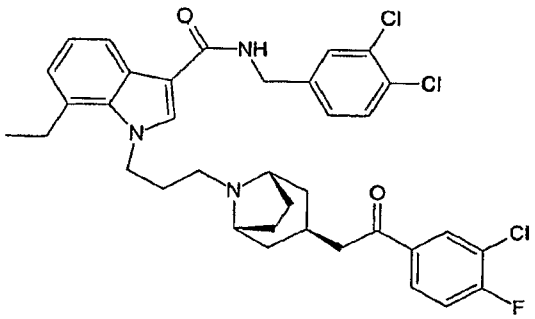


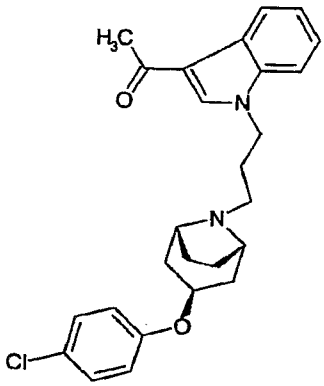


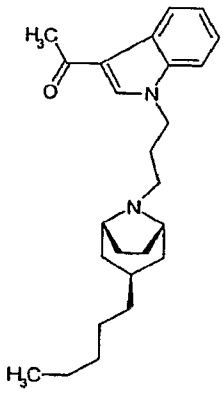


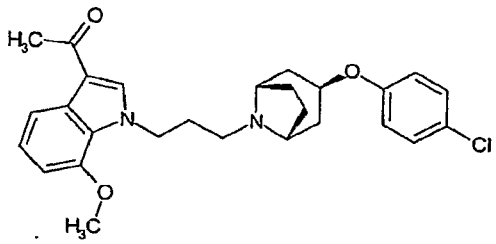




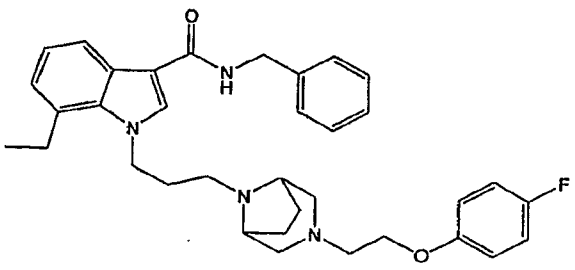


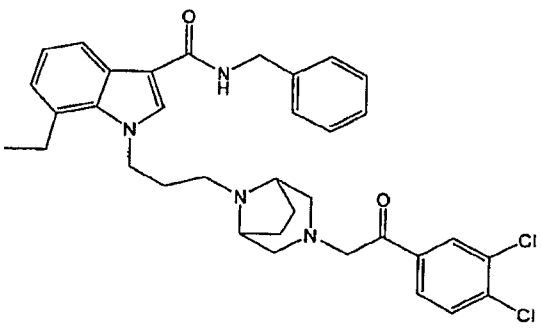


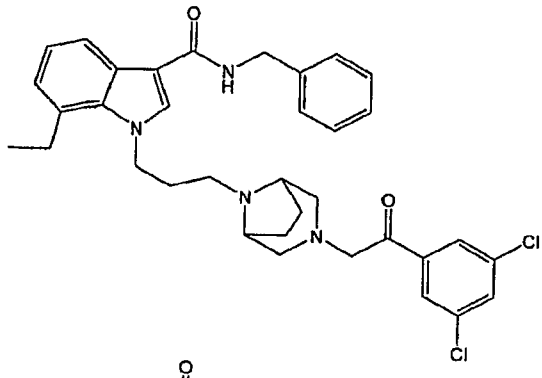


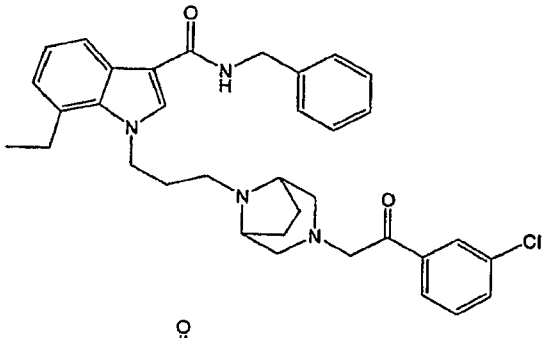


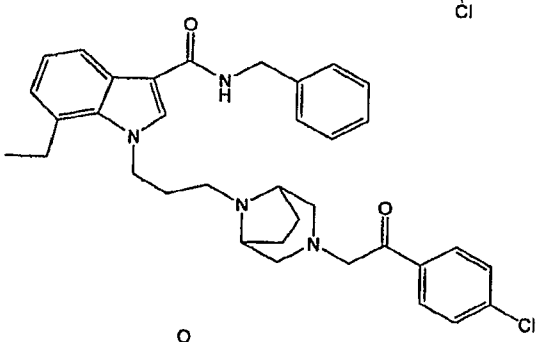
84. The compound of Claim 1, wherein the compound is selected from the group consisting of:

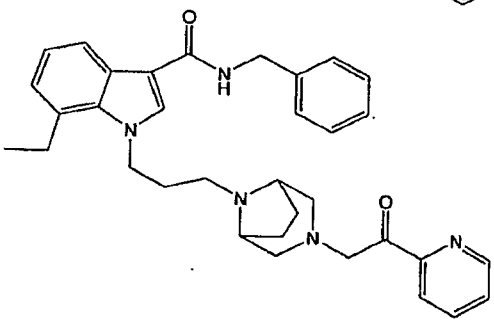


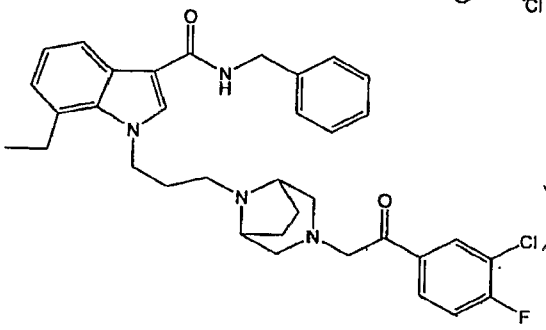


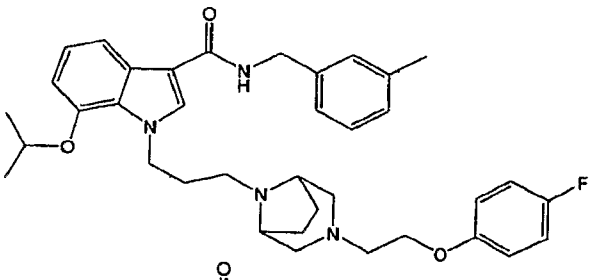


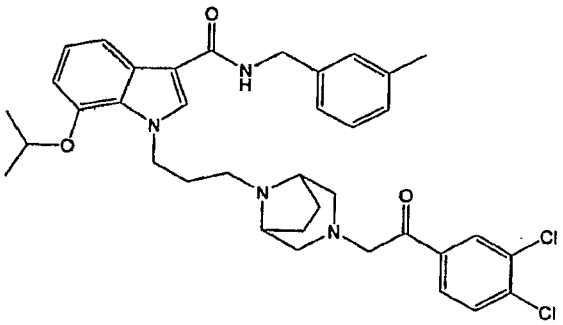


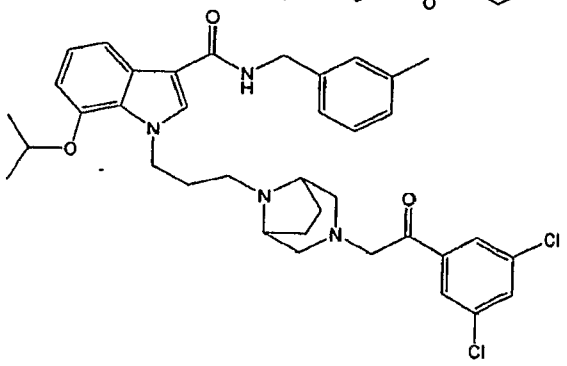


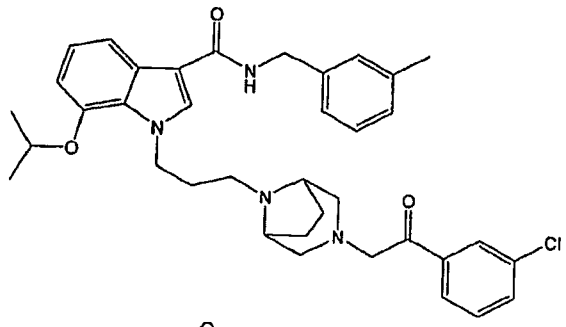


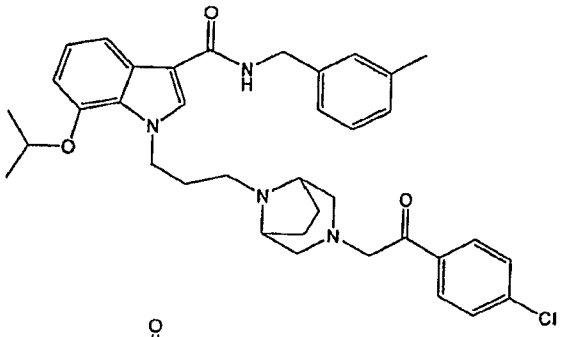


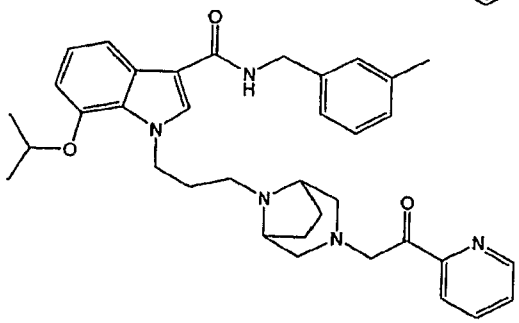


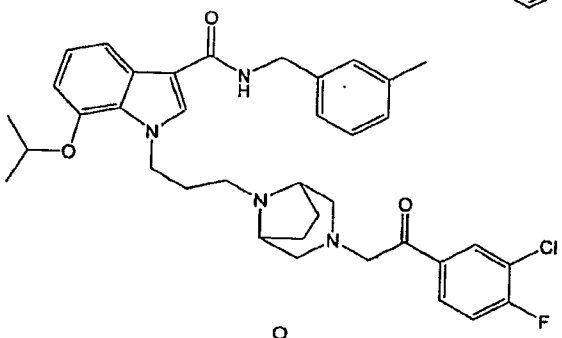


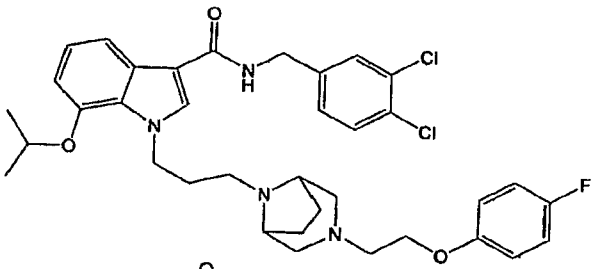


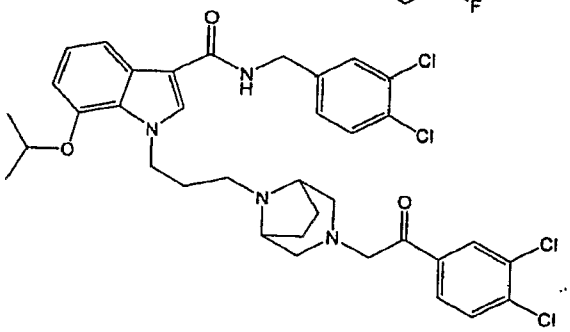


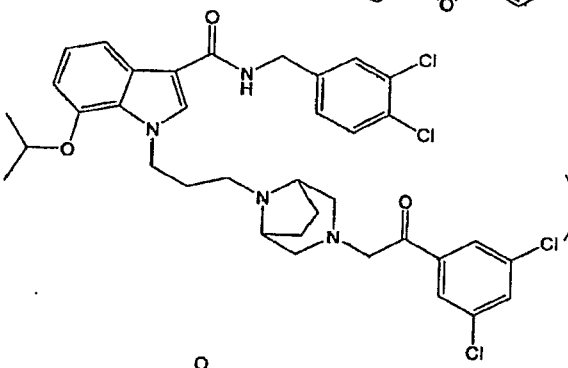


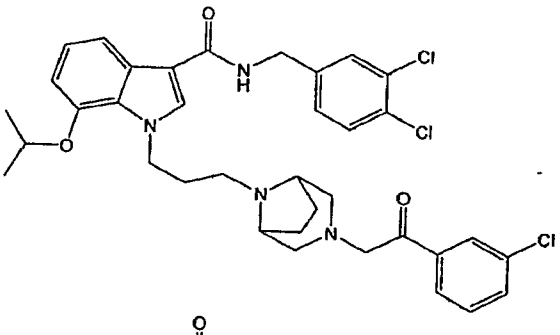


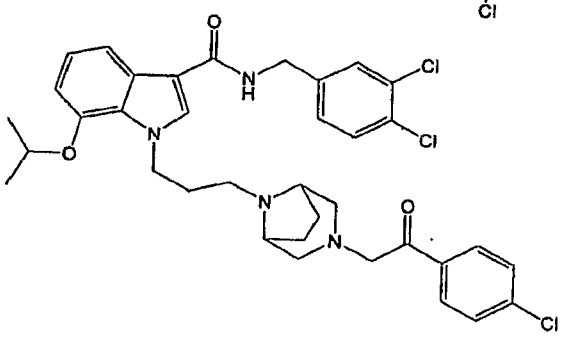


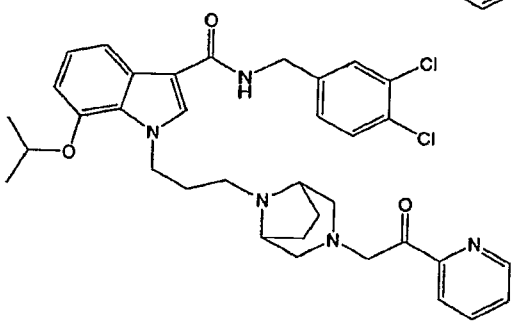


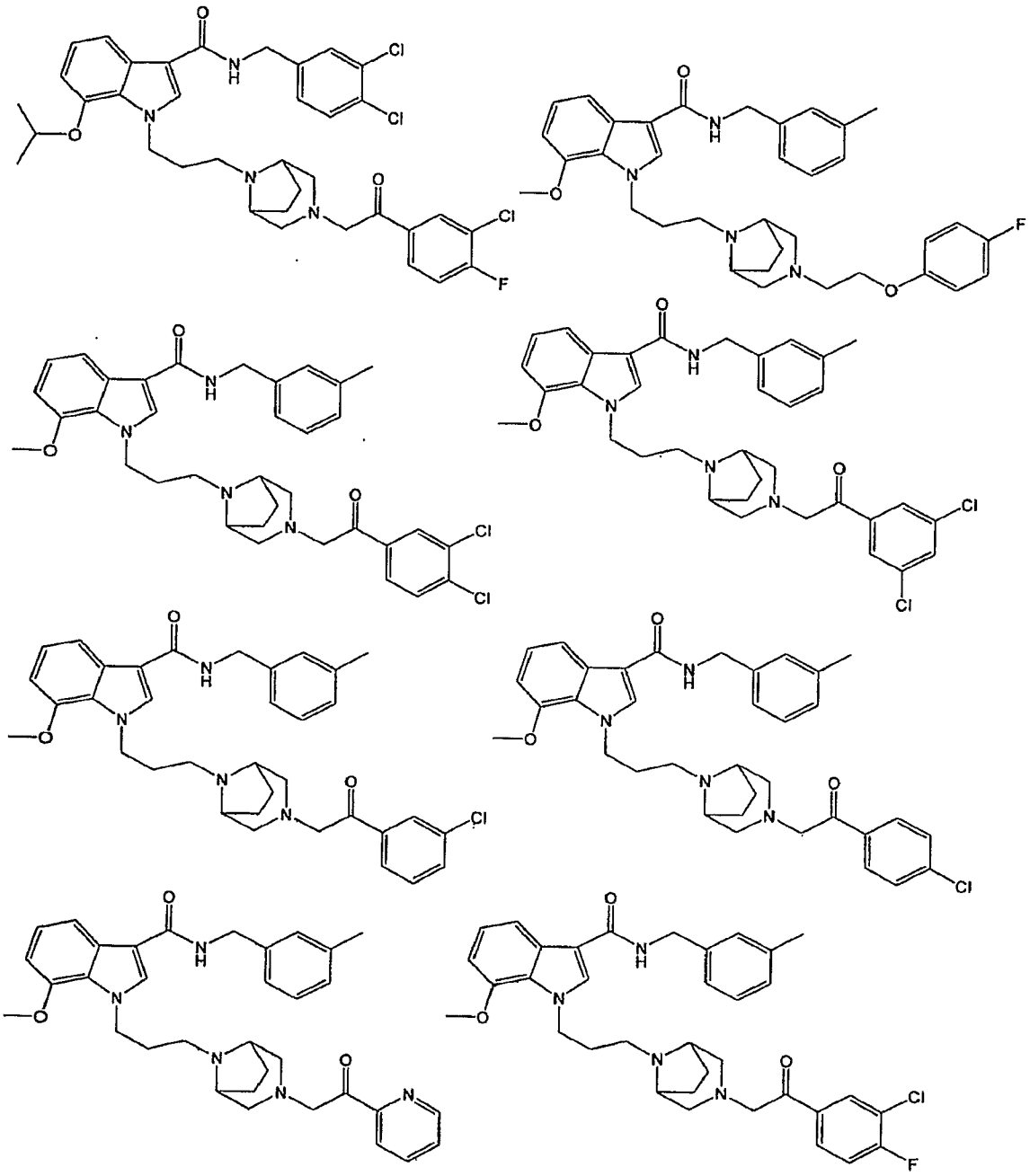


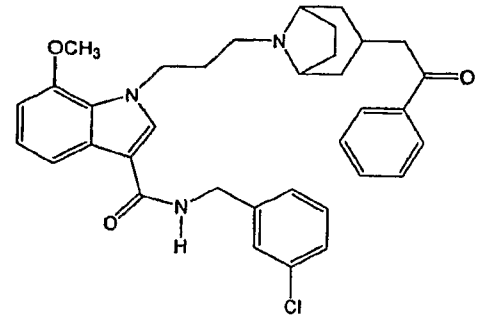
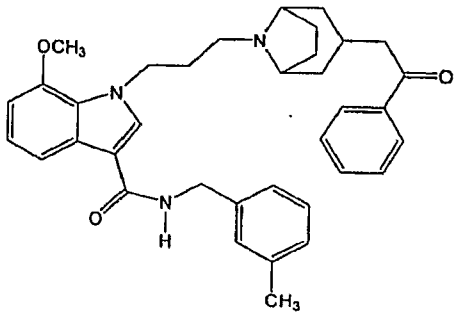
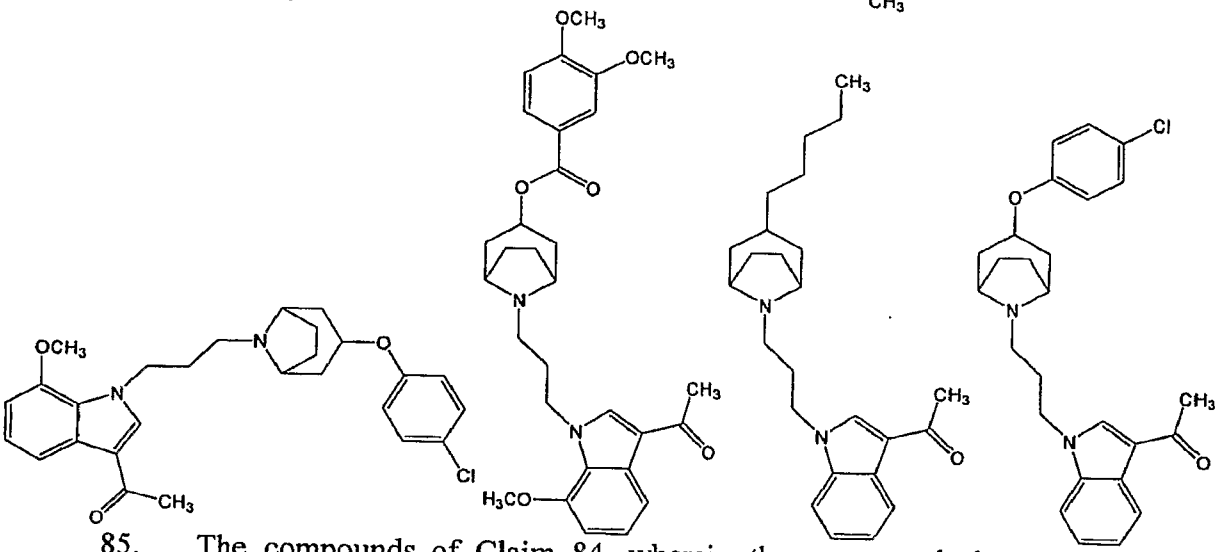




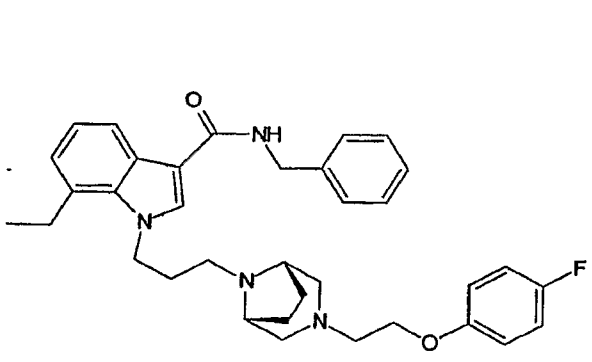
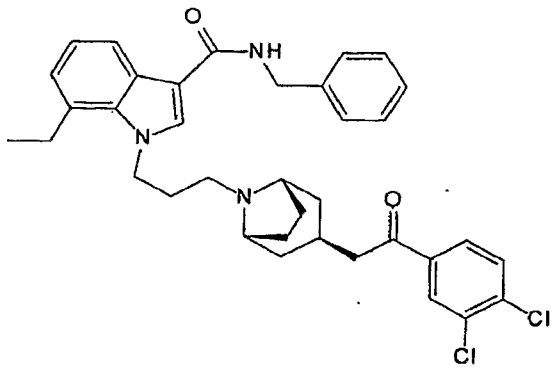


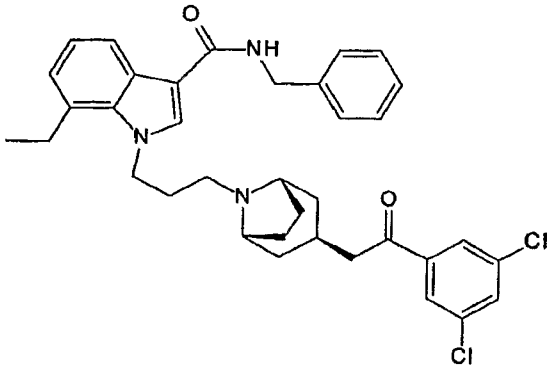


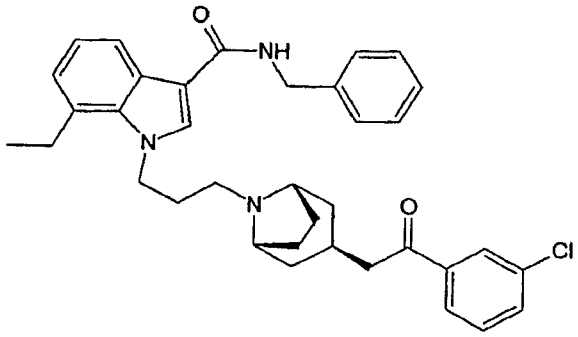


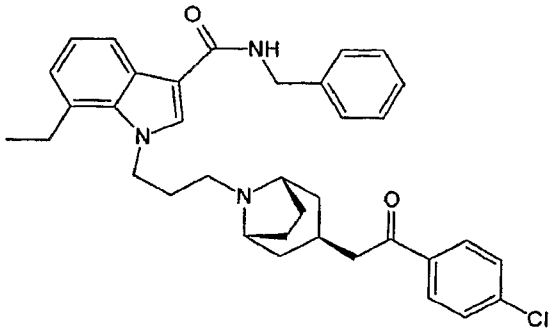




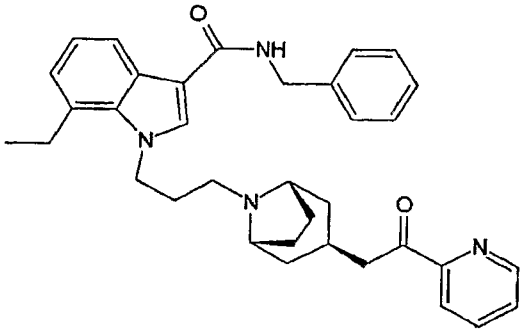
85. The compounds of Claim 84, wherein the compounds have the following stereochemistry:

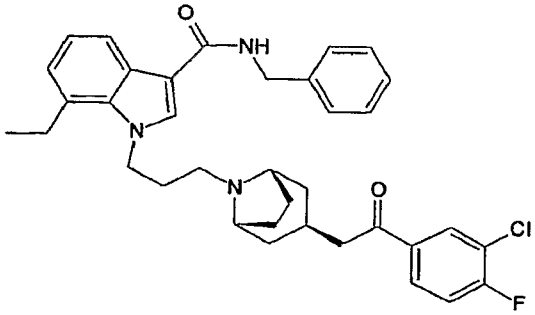



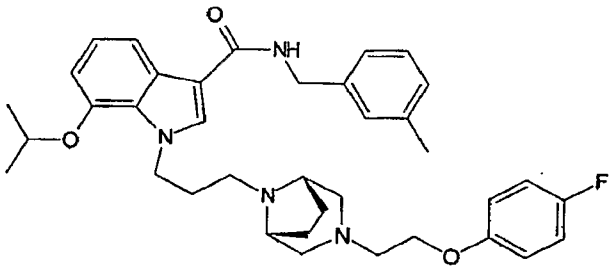


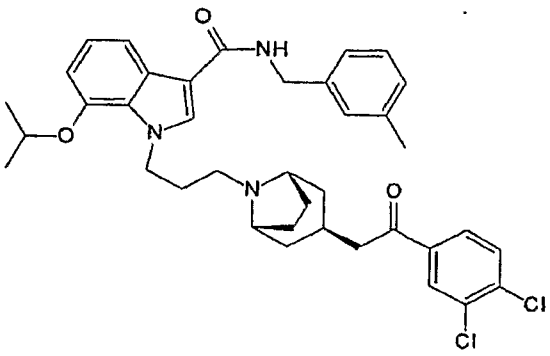


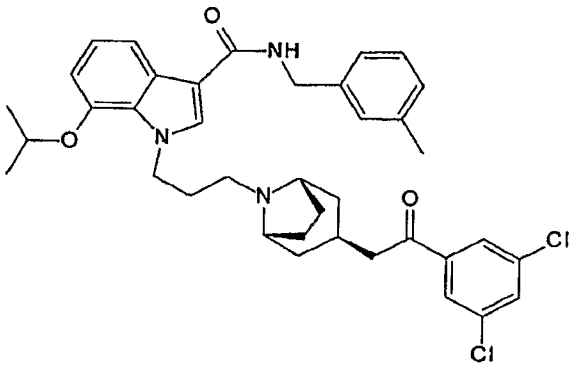


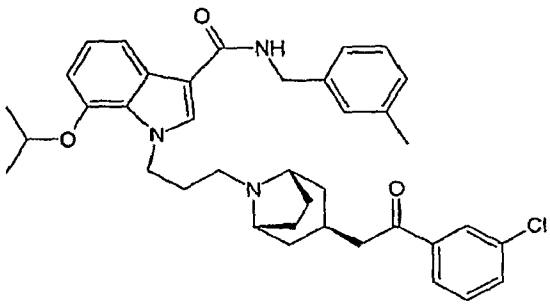


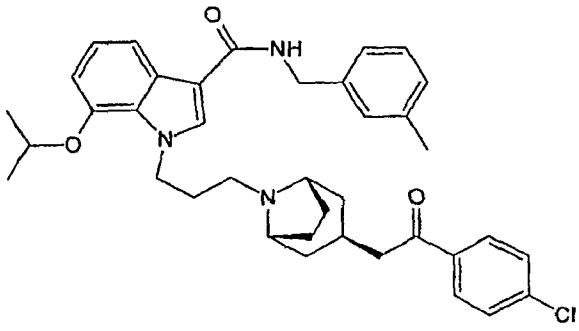


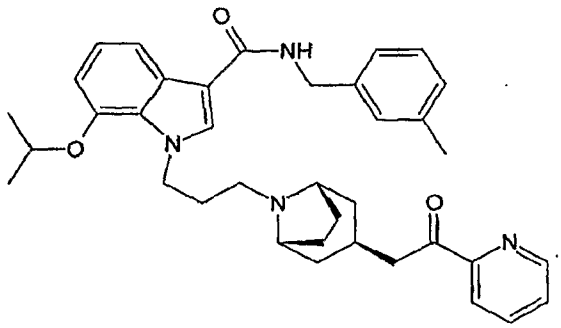


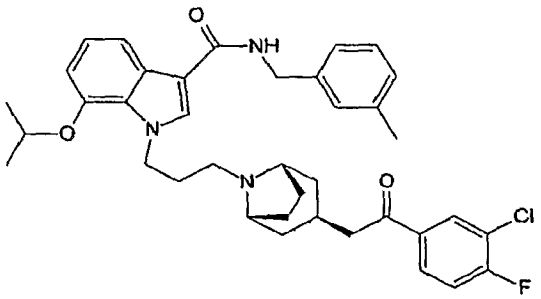


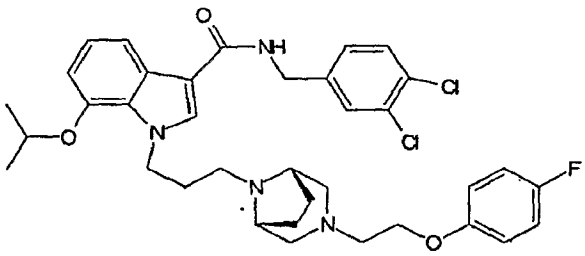


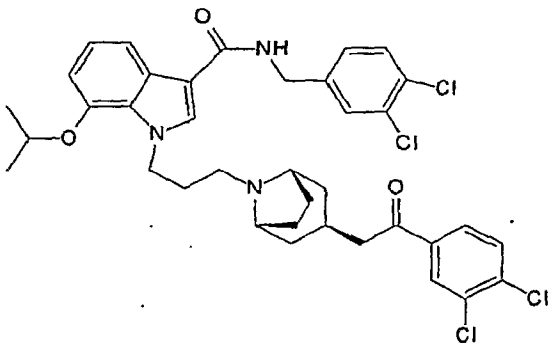


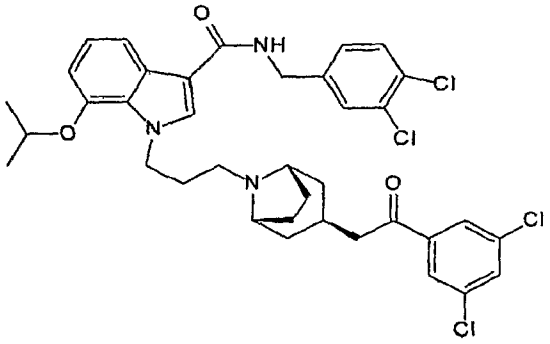


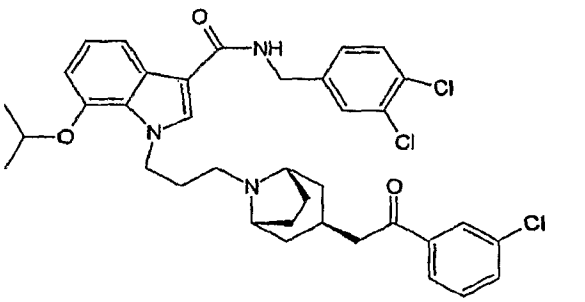


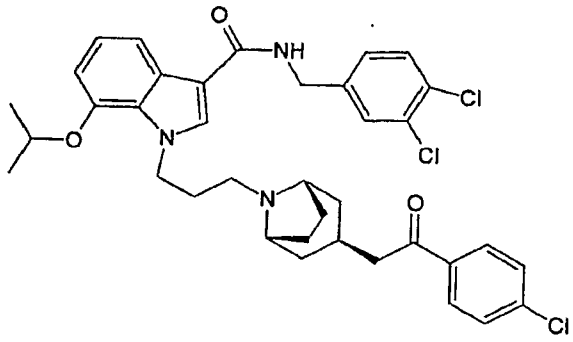


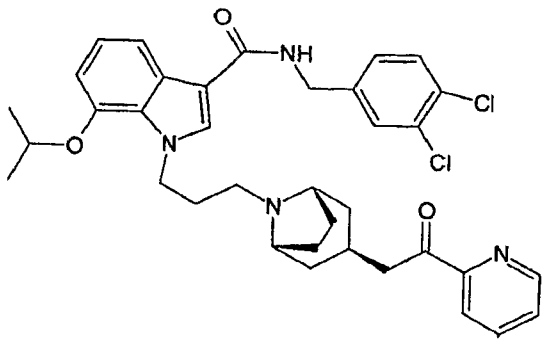


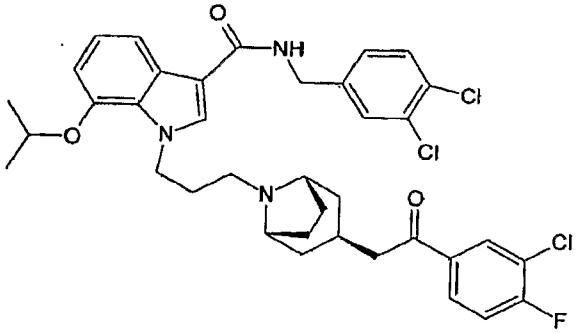


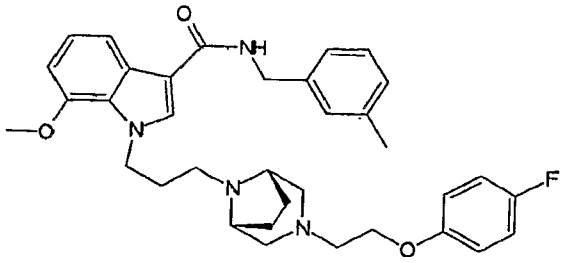


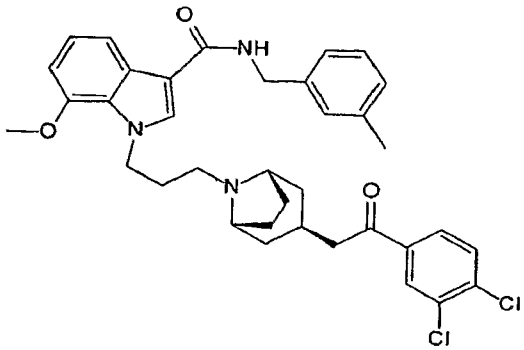


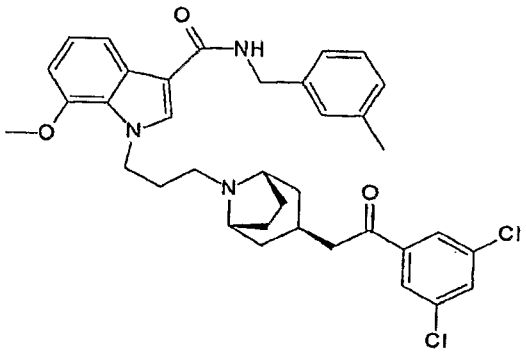


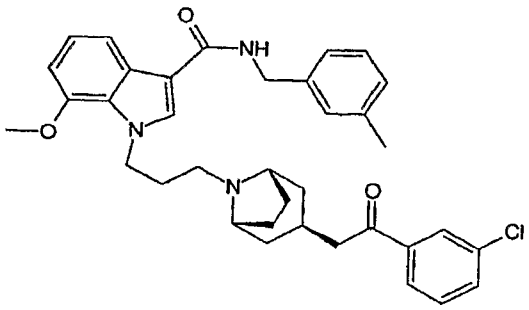


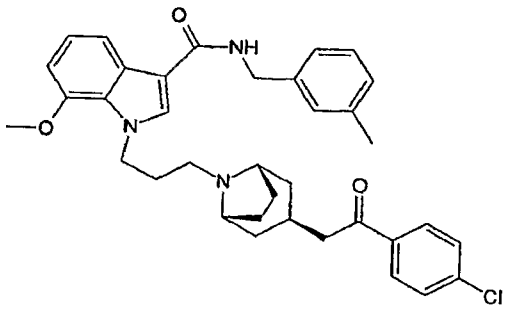


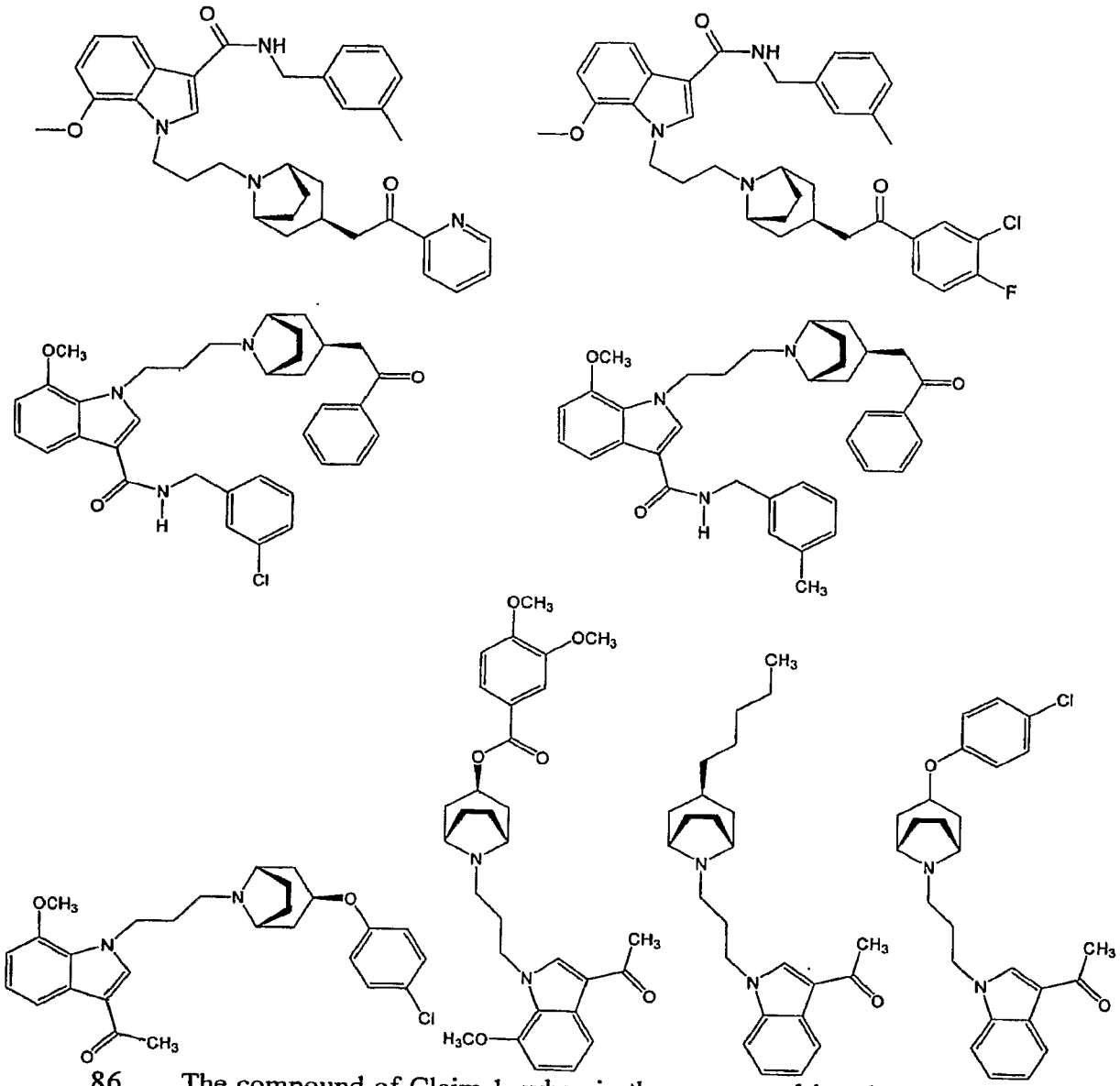




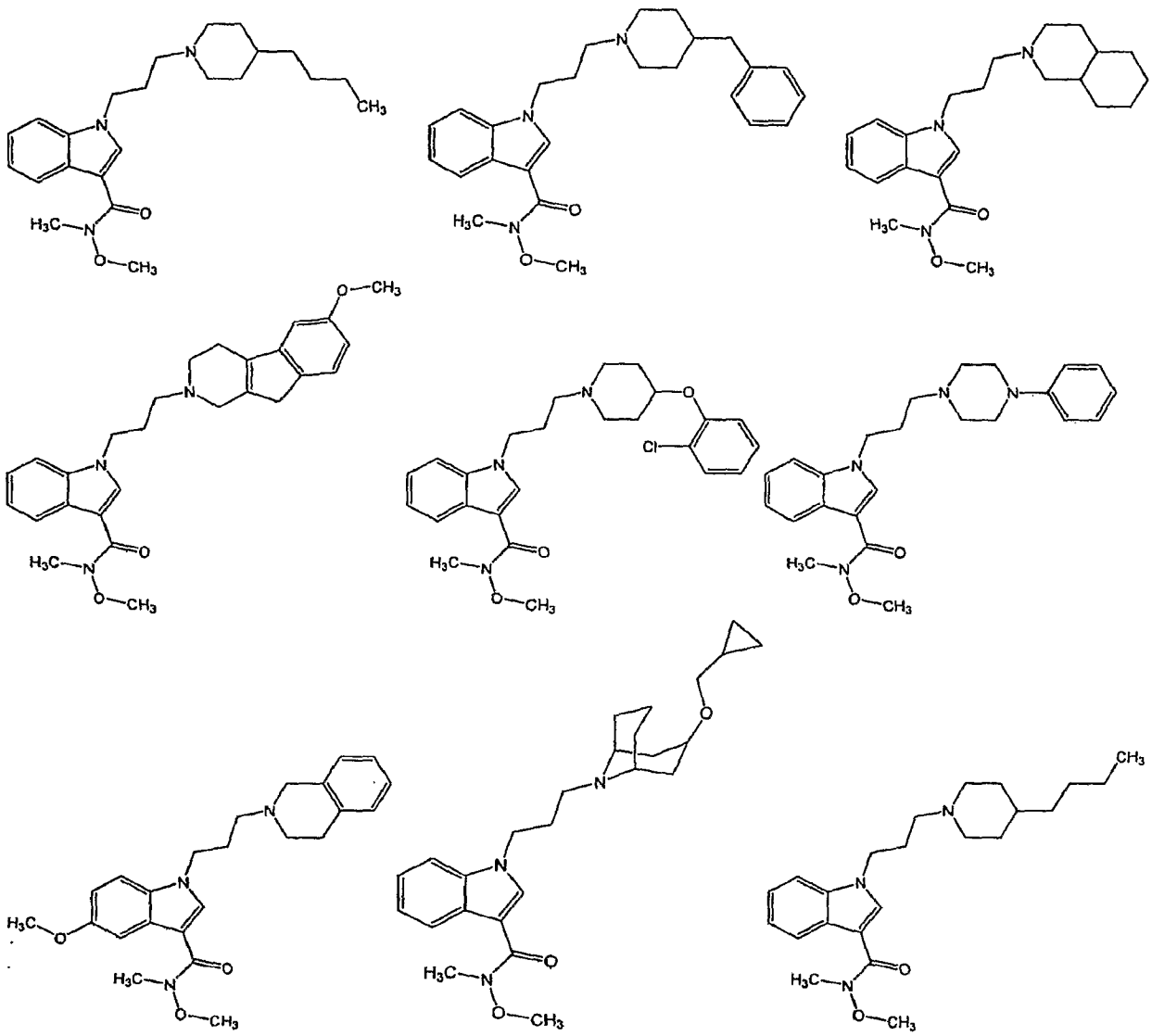








86. The compound of Claim 1, wherein the compound is selected from the group consisting of:



87. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C001, C002, C003, C004, C005, C006, C007, C008, C009, C010, C011, C012, C013, C014, C015, C016, C017, C018, C019, C020, C021, C022, C023, C024, C025, C026, C027, C028, C029, C030, C031, C032, C033, C034, C035, C036, C037, C038, C039, C040, C041, C042, C043, C044, C045, C046, C047, C048, C049,** and **C050.**

88. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C051, C052, C053, C054, C055, C056, C057, C058, C059, C060, C061, C062, C063, C064, C065, C066, C067, C068, C069, C070, C071, C072, C073, C074, C075, C076, C077, C078, C079, C080, C081, C082, C083, C084,**

C085, C086, C087, C088, C089, C090, C091, C092, C093, C094, C095, C096, C097, C098, C099, and **C100**.

89. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C101, C102, C103, C104, C105, C106, C107, C108, C109, C110, C111, C112, C113, C114, C115, C116, C117, C118, C119, C120, C121, C122, C123, C124, C125, C126, C127, C128, C129, C130, C131, C132, C133, C134, C135, C136, C137, C138, C139, C140, C141, C142, C143, C144, C145, C146, C147, C148, C149,** and **C150**.

90. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C151, C152, C153, C154, C155, C156, C157, C158, C159, C160, C161, C162, C163, C164, C165, C166, C167, C168, C169, C170, C171, C172, C173, C174, C175, C176, C177, C178, C179, C180, C181, C182, C183, C184, C185, C186, C187, C188, C189, C190, C191, C192, C193, C194, C195, C196, C197, C198, C199,** and **C200**.

91. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C201, C202, C203, C204, C205, C206, C207, C208, C209, C210, C211, C212, C213, C214, C215, C216, C217, C218, C219, C220, C221, C222, C223, C224, C225, C226, C227, C228, C229, C230, C231, C232, C233, C234, C235, C236, C237, C238, C239, C240, C241, C242, C243, C244, C245, C246, C247, C248, C249,** and **C250**.

92. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C251, C252, C253, C254, C255, C256, C257, C258, C259, C260, C261, C262, C263, C264, C265, C266, C267, C268, C269, C270, C271, C272, C273, C274, C275, C276, C277, C278, C279, C280, C281, C282, C283, C284, C285, C286, C287, C288, C289, C290, C291, C292, C293, C294, C295, C296, C297, C298, C299,** and **C300**.

93. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C301, C302, C303, C304, C305, C306, C307, C308, C309, C310, C311, C312, C313, C314, C315, C316, C317, C318, C319, C320, C321, C322, C323, C324, C325, C326, C327, C328, C329, C330, C331, C332, C333, C334,**

C335, C336, C337, C338, C339, C340, C341, C342, C343, C344, C345, C346, C347, C348, C349, and **C350**.

94. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C351, C352, C353, C354, C355, C356, C357, C358, C359, C360, C361, C362, C363, C364, C365, C366, C367, C368, C369, C370, C371, C372, C373, C374, C375, C376, C377, C378, C379, C380, C381, C382, C383, C384, C385, C386, C387, C388, C389, C390, C391, C392, C393, C394, C395, C396, C397, C398, C399,** and **C400**.

95. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C401, C402, C403, C404, C405, C406, C407, C408, C409, C410, C411, C412, C413, C414, C415, C416, C417, C418, C419, C420, C421, C422, C423, C424, C425, C426, C427, C428, C429, C430, C431, C432, C433, C434, C435, C436, C437, C438, C439, C440, C441, C442, C443, C444, C445, C446, C447, C448, C449,** and **C450**.

96. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C451, C452, C453, C454, C455, C456, C457, C458, C459, C460, C461, C462, C463, C464, C465, C466, C467, C468, C469, C470, C471, C472, C473, C474, C475, C476, C477, C478, C479, C480, C481, C482, C483, C484, C485, C486, C487, C488, C489, C490, C491, C492, C493, C494, C495, C496, C497, C498, C499,** and **C500**.

97. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C501, C502, C503, C504, C505, C506, C507, C508, C509, C510, C511, C512, C513, C514, C515, C516, C517, C518, C519, C520, C521, C522, C523, C524, C525, C526, C527, C528, C529, C530, C531, C532, C533, C534, C535, C536, C537, C538, C539, C540, C541, C542, C543, C544, C545, C546, C547, C548, C549,** and **C550**.

98. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C551, C552, C553, C554, C555, C556, C557, C558, C559, C560, C561, C562, C563, C564, C565, C566, C567, C568, C569, C570, C571, C573, C574, C575, C576, C577, C578, C579, C580, C581, C582, C583, C584, C585,**

C586, C587, C588, C589, C590, C591, C592, C593, C594, C595, C596, C597, C598, C599, and **C600**.

99. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C601, C602, C603, C604, C605, C606, C607, C608, C609, C610, C611, C612, C613, C614, C615, C616, C617, C618, C619, C620, C621, C622, C623, C624, C625, C626, C627, C628, C629, C630, C631, C632, C633, C634, C635, C636, C637, C638, C639, C640, C641, C642, C643, C644, C645, C646, C647, C648, C649,** and **C650**.

100. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C651, C652, C653, C654, C655, C656, C657, C658, C659, C660, C661, C662, C663, C664, C665, C666, C667, C668, C669, C670, C671, C672, C673, C674, C675, C676, C677, C678, C679, C680, C681, C682, C683, C684, C685, C686, C687, C688, C689, C690, C691, C692, C693, C694, C695, C696, C697, C698, C699,** and **C700**.

101. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C701, C702, C703, C704, C705, C706, C707, C708, C709, C710, C711, C712, C713, C714, C715, C716, C717, C718, C719, C720, C721, C722, C723, C724, C725, C726, C727, C728, C729, C730, C731, C732, C733, C734, C735, C736, C737, C738, C739, C740, C741, C742, C743, C744, C745, C746, C747, C748, C749,** and **C750**.

102. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C751, C752, C753, C754, C755, C756, C757, C758, C759, C760, C761, C762, C763, C764, C765, C766, C767, C768, C769, C770, C771, C772, C773, C774, C775, C776, C777, C778, C779, C780, C781, C782, C783, C784, C785, C786, C787, C788, C789, C790, C791, C792, C793, C794, C795, C796, C797, C798, C799,** and **C800**.

103. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C801, C802, C803, C804, C805, C806, C807, C808, C809, C810, C811, C812, C813, C814, C815, C816, C817, C818, C819, C820, C821, C822, C823, C824, C825, C826, C827, C828, C829, C830, C831, C832, C833, C834,**

C835, C836, C837, C838, C839, C840, C841, C842, C843, C901, C902, C903, C904, and **C905.**

104. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C844, C845, C846, C847, C848, C849, C850. C851, C852, C853, C854, C855, C856, C857, C858, C859, C860, C861, C862, C863, C864, C865, C866, C867, C868, C869, C870, C871, C872, C873, C874, C875, C876, C877, C878, C879,**

105. The compound of Claim 1, wherein the compound is selected from the group consisting of a compound identified as **C880, C881, C882, C883, C884, C885, C886, C887, C888, C889, C890, C891, C892, C893, C894, C895, C896, C897, C898, C899,**

106. A pharmaceutical composition, comprising a therapeutically effective amount of a compound of any one of Claims 1 to 105 and a pharmaceutically acceptable carrier, excipient, or diluent.

107. A method of treating or preventing a disorder or condition selected from the group consisting of obesity, an obesity-associated disorder, a metabolic disorder, metabolic syndrome, an endocrine disorder, an appetite disorder, an eating disorder, an eating disorder requiring appetite control, atherosclerosis, diabetes, diabetes mellitus, high cholesterol, hyperlipidemia, cachexia, anorexia, bulimia, inflammation, a chronic inflammatory disorder, rheumatoid arthritis, asthma, psoriasis, a cardiovascular disorder, angina, cardiac ischemia, cardiac failure, heart disease, congestive heart failure, ischemic heart disease, chronic heart disease, hemorrhagic shock, septic shock, cirrhosis, a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, a cognitive disorder, a gastrointestinal disorder, reduced gastric motility, reduced gastric and intestinal motility, excessive gastric motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, diarrhea, gastroparesis, diabetic gastroparesis, opioid-induced bowel dysfunction, chronic intestinal pseudoobstruction, a sleep disorder, insomnia, a hyperproliferative disorder, cancer, cancer cachexia, dwarfism, osteoporosis, a catabolic state, somatopause, osteopenia, a disorder of the pancreas, a hormone deficiency, gastrointestinal dumping syndrome, postgastroenterectomy syndrome, celiac disease, AIDS, wasting, age-related decline in body composition, hypertension, retinopathy, dyslipidemia, a

gall stone, osteoarthritis, congestive heart failure, insulin resistance, burn, wound, protein loss, sexual dysfunction, a central nervous system disorder, a genetic disorder, irritable bowel syndrome (IBS), non-ulcer dyspepsia, Crohn's disease, a gastroesophogeal reflux disorder, constipation, ulcerative colitis, pancreatitis, infantile hypertrophic pyloric stenosis, carcinoid syndrome, malabsorption syndrome, atrophic colitis, gastritis, gastric stasis, frailty, acromegaly, and protein loss comprising administering to a subject a pharmaceutically effective amount of a compound of any one of Claims 1 to 105.

108. The method of Claim 107, wherein said compound alleviates or treats a disorder or condition by modulating, agonizing, inverse agonizing, or antagonizing a ghrelin receptor.

109. The method of Claim 107, wherein said compound alleviates or treats a disorder or condition by inverse agonizing or antagonizing a ghrelin receptor.

110. The method of Claim 107, wherein the disorder or condition is a disorder selected from the group consisting of a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, and a cognitive disorder.

111. The method of Claim 107, wherein the disorder or condition is selected from the group consisting of obesity, metabolic syndrome, an appetite disorder, an eating disorder, an eating disorder requiring appetite control, atherosclerosis, diabetes, heart disease, high cholesterol, hyperlipidemia, cachexia, anorexia, and bulimia.

112. The method of Claim 107, wherein the disorder or condition is a sleep disorder.

113. The method of Claim 112, wherein the sleep disorder is insomnia or narcolepsy.

114. The method of Claim 107, wherein the disorder or condition is selected from the group consisting of a reduced gastric motility, reduced gastric and intestinal motility, excessive gastric motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, diarrhea and a gastrointestinal disorder.

115. The method of Claim 107, wherein the inflammation is caused by a disorder or condition selected from the group consisting of a chronic inflammatory disorder, rheumatoid arthritis, asthma, an allergy, and psoriasis.

116. The method of Claim 107, wherein the disorder or condition is selected from the group consisting of a cardiovascular disorder, angina, cardiac ischemia, cardiac failure, heart disease, hemorrhagic shock, septic shock, and cirrhosis.

117. The method of Claim 107, wherein the disorder or condition is selected from the group consisting of dwarfism, osteoporosis, a catabolic state, somatopause, and osteopenia.

118. The method of Claim 107, wherein the disorder or condition is a hyperproliferative disorder or cancer.

119. The method of Claim 107, wherein the disorder or condition is a disorder of the pancreas.

120. The method of Claim 107, wherein the disorder or condition is a hormone deficiency.

121. A method of treating or alleviating obesity comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

122. A method of alleviating or controlling a symptom associated with an eating disorder comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

123. The method of Claim 122, wherein the symptom is increased appetite or binge eating.

124. A method of promoting weight loss in a subject comprising administering to the subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

125. A method of preventing weight gain in a subject comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

126. The method of Claim 125, wherein the subject is taking a medication selected from the group consisting of insulin, thiazolidinedione, sulfonylurea, corticosteroid, progestational steroid, antihistamine, alpha-adrenergic blocker, beta-adrenergic blocker, an antidepressant, antipsychotic, and anticonvulsant.

127. A method of preventing weight loss in a subject comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

128. The method of Claim 127, wherein the weight loss is caused by chemotherapy, radiation therapy, temporary immobilization, permanent immobilization or dialysis.

129. A method for maintaining the weight of a subject comprising administering a therapeutically effective amount of a compound of any one of Claims 1 to 105.

130. A method of improving sleep architecture, facilitating induction of sleep, or improving the quality of sleep of a subject comprising administering to the subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

131. The method of Claim 130, further comprising a sleep agent.

132. A method for maintaining the sleep of a subject comprising administering a therapeutically effective amount of a compound of any one of Claims 1 to 105.

133. The method of Claim 132, further comprising a sleep agent.

134. A method for facilitating alertness or awakefulness of a subject comprising administering a therapeutically effective amount of a compound of any one of Claims 1 to 105.

135. The method of Claim 134, wherein the subject is taking an agent that causes drowsiness or induces sleep.

136. A method of controlling the level of glucose in a subject comprising administering to the subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

137. A method of treating cancer comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

138. A method of treating diabetes comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

139. A method of preventing or alleviating inflammation comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

140. The method of Claim 139, wherein the inflammation is caused by a chronic inflammatory disease, rheumatoid arthritis, asthma, an allergy, or psoriasis.

141. A method of diagnosing a hormone deficiency comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

142. A method of modulating production of a hormone comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

143. A method of improving the memory of a subject comprising administering to the subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

144. A method of alleviating or treating a symptom associated with a neurological disorder comprising administering to a subject with altered cognition a therapeutically effective amount of a compound of any one of Claims 1 to 105.

145. A method for treating post-operative ileus or cachexia comprising administering to a subject with altered cognition a therapeutically effective amount of a compound of any one of Claims 1 to 105.

146. The method of Claim 145, wherein the post-operative ileus or cachexia is caused by cancer, AIDS, a cardiac disease, a renal disease, or gastroparesis.

147. A method of modulating, agonizing, inverse agonizing, or antagonizing a ghrelin receptor comprising administering to a subject a therapeutically effective amount of a compound of any one of Claims 1 to 105.

148. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of a disorder or condition selected from the group consisting of obesity, an obesity-associated disorder, a metabolic disorder, metabolic syndrome, an endocrine disorder, an appetite disorder, an eating disorder, an eating disorder requiring appetite control, atherosclerosis, diabetes, diabetes mellitus, high cholesterol, hyperlipidemia, cachexia, anorexia, bulimia, inflammation, a chronic inflammatory disorder, rheumatoid arthritis, asthma, psoriasis, a cardiovascular disorder, angina, cardiac ischemia, cardiac failure, heart disease, congestive heart failure, ischemic heart disease, chronic heart disease, hemorrhagic shock, septic shock, cirrhosis, a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, a cognitive disorder, a gastrointestinal disorder, reduced gastric motility, reduced gastric and intestinal motility, excessive gastric motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, diarrhea, gastroparesis, diabetic gastroparesis, opioid-induced bowel dysfunction, chronic intestinal pseudoobstruction, a sleep disorder, insomnia, a hyperproliferative disorder, cancer, cancer cachexia, dwarfism, osteoporosis, a

catabolic state, somatopause, osteopenia, a disorder of the pancreas, a hormone deficiency, gastrointestinal dumping syndrome, postgastroenterectomy syndrome, celiac disease, AIDS, wasting, age-related decline in body composition, hypertension, retinopathy, dyslipidemia, a gall stone, osteoarthritis, congestive heart failure, insulin resistance, burn, wound, protein loss, sexual dysfunction, a central nervous system disorder, a genetic disorder, irritable bowel syndrome (IBS), non-ulcer dyspepsia, Crohn's disease, a gastroesophogeal reflux disorder, constipation, ulcerative colitis, pancreatitis, infantile hypertrophic pyloric stenosis, carcinoid syndrome, malabsorption syndrome, atrophic colitis, gastritis, gastric stasis, frailty, acromegaly, and protein loss.

149. The use Claim 148, wherein said compound alleviates or treats a disorder or condition by modulating, agonizing, inverse agonizing, or antagonizing a ghrelin receptor.

150. The use Claim 148, wherein the disorder or condition is a disorder selected from the group consisting of a neurological disorder, anxiety, depression, an attention deficit disorder, a memory disorder, and a cognitive disorder.

151. The use Claim 148, wherein the disorder or condition is selected from the group consisting of obesity, metabolic syndrome, an appetite disorder, an eating disorder, an eating disorder requiring appetite control, atherosclerosis, diabetes, heart disease, high cholesterol, hyperlipidemia, cachexia, anorexia, and bulimia.

152. The use Claim 148, wherein the disorder or condition is a sleep disorder.

153. The use Claim 152, wherein the sleep disorder is insomnia or narcolepsy.

154. The use Claim 148, wherein the disorder or condition is selected from the group consisting of a reduced gastric motility, reduced gastric and intestinal motility, excessive gastric motility, post-operative gastric ileus, delayed gastric emptying, delayed gastric emptying due to diabetes, delayed gastric emptying post-operatively, short bowel syndrome, a gastric ulcer, nausea, emesis, diarrhea and a gastrointestinal disorder.

155. The use Claim 148, wherein the inflammation is caused by a disorder or condition selected from the group consisting of a chronic inflammatory disorder, rheumatoid arthritis, asthma, an allergy, and psoriasis.

156. The use Claim 148, wherein the disorder or condition is selected from the group consisting of a cardiovascular disorder, angina, cardiac ischemia, cardiac failure, heart disease, hemorrhagic shock, septic shock, and cirrhosis.

157. The use Claim 148, wherein the disorder or condition is selected from the group consisting of dwarfism, osteoporosis, a catabolic state, somatopause, and osteopenia.

158. The use Claim 148, wherein the disorder or condition is a hyperproliferative disorder or cancer.

159. The use Claim 148, wherein the disorder or condition is a disorder of the pancreas.

160. The use Claim 148, wherein the disorder or condition is a hormone deficiency.

161. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in treating or alleviating obesity.

162. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of a symptom associated with an eating disorder.

163. The use of Claim 162, wherein the symptom is increased appetite or binge eating.

164. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in promoting weight loss in a subject.

165. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in preventing weight gain in a subject.

166. The use of Claim 165, wherein the subject is taking a medication selected from the group consisting of insulin, thiazolidinedione, sulfonylurea, corticosteroid, progestational steroid, antihistamine, alpha-adrenergic blocker, beta-adrenergic blocker, an antidepressant, antipsychotic, and anticonvulsant.

167. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in preventing weight loss in a subject.

168. The use of Claim 167, wherein the weight loss is caused by chemotherapy, radiation therapy, temporary immobilization, permanent immobilization or dialysis.

169. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in maintaining the weight of a subject.

170. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in improving sleep architecture, facilitating induction of sleep, or improving the quality of sleep of a subject.

171. The use of Claim 170, further comprising a sleep agent.

172. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in maintaining the sleep of a subject.

173. The use of Claim 172, further comprising a sleep agent.

174. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in facilitating alertness or awakefulness of a subject.

175. The use of Claim 174, wherein the subject is taking an agent that causes drowsiness or induces sleep.

176. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in controlling the level of glucose in a subject.

177. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of cancer.

178. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of diabetes.

179. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of inflammation.

180. The use of Claim 179, wherein the inflammation is caused by a chronic inflammatory disease, rheumatoid arthritis, asthma, an allergy, or psoriasis.

181. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in diagnosing a hormone deficiency.

182. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in modulating production of a hormone.

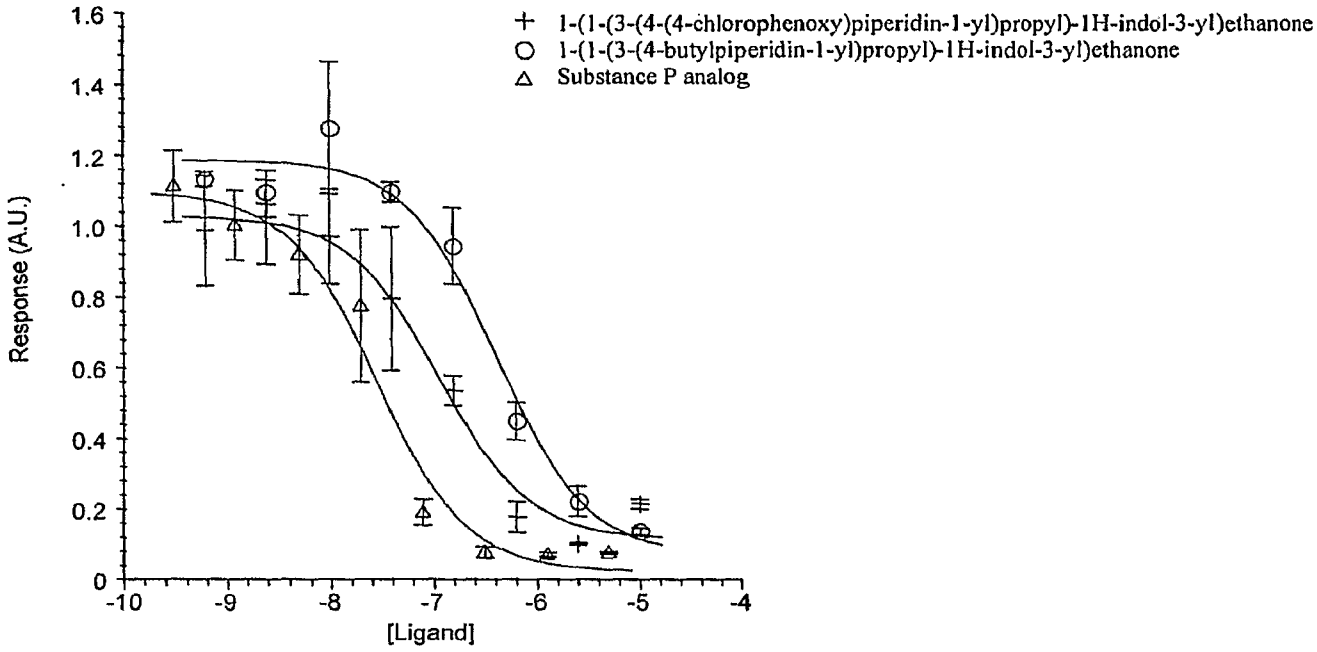
183. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in improving the memory of a subject.

184. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in the treatment of a symptom associated with a neurological disorder.

185. Use of a compound according to any one of Claims 1-105 for the manufacture of a medicament for use in treating post-operative ileus or cachexia.

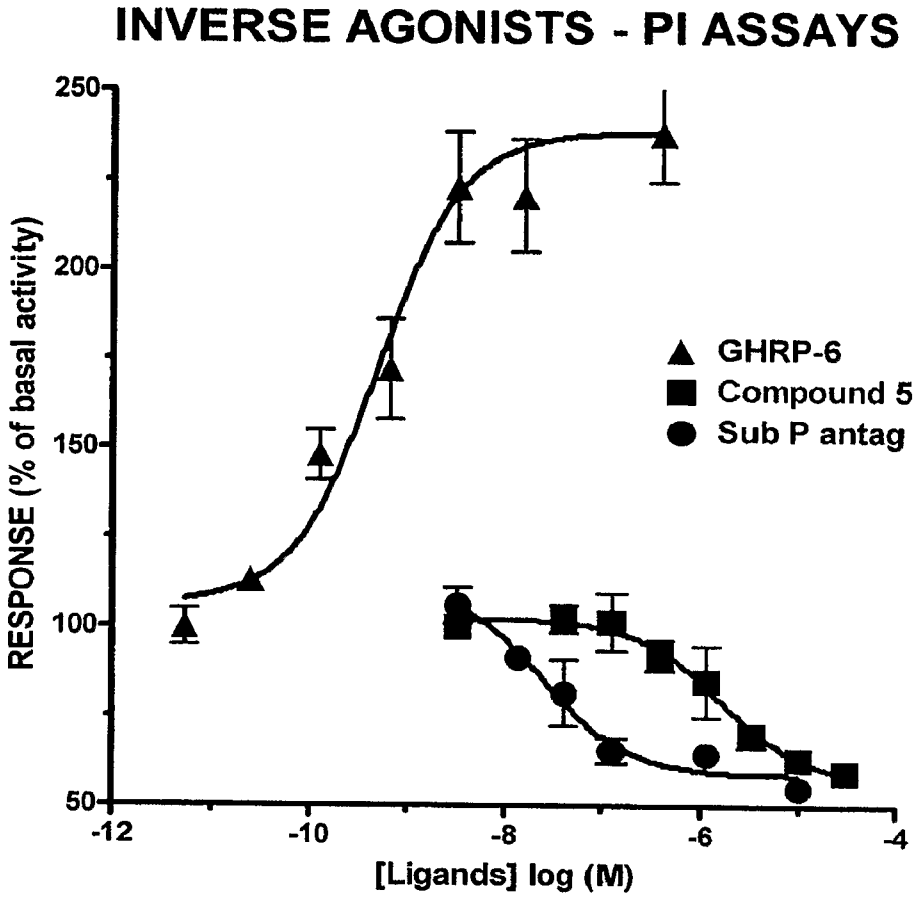
186. The use of Claim 185, wherein the post-operative ileus or cachexia is caused by cancer, AIDS, a cardiac disease, a renal disease, or gastroparesis.

Figure 1



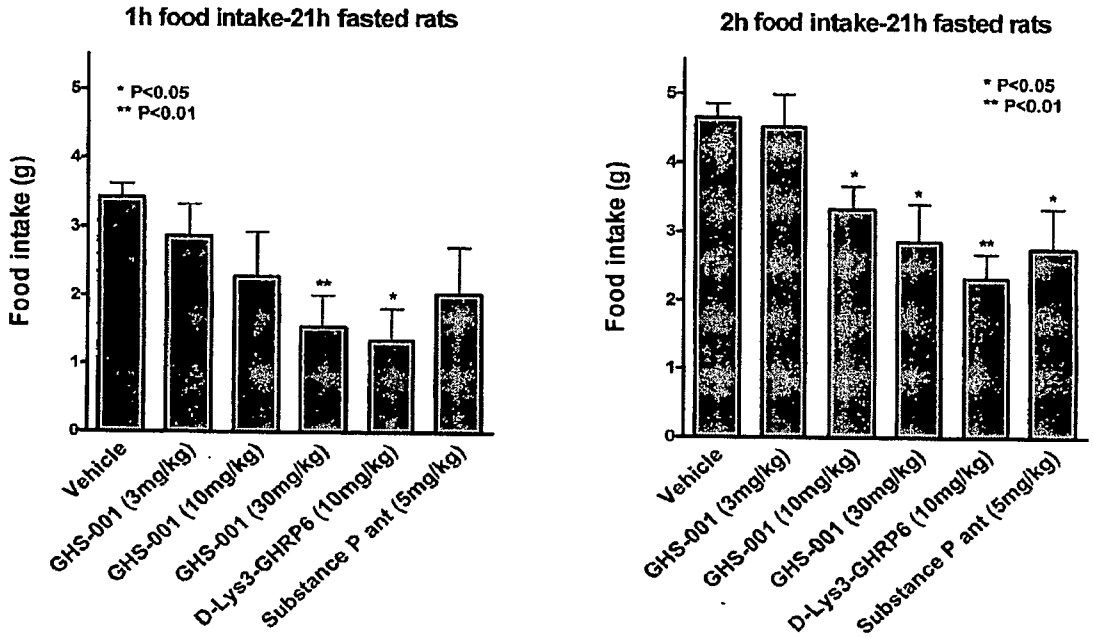
Ligand ID	pIC_{50}	Inhibition (%)
Substance P analog	7.6	100
1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone	6.8	100
1-(1-(3-(4-(4-chlorophenoxy)piperidin-1-yl)propyl)-1H-indol-3-yl)ethanone	6.9	100

Figure 2



Ligand ID	pIC_{50} or pEC_{50} (GHRP-6)	Response (%)
Substance P analog	7.5	60
1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone (compound 5)	6.0	60
GHRP-6	9.2	235

Figure 3



* GHS-001 is 1-(1-(3-(4-butylpiperidin-1-yl)propyl)-1H-indol-3-yl)ethanone