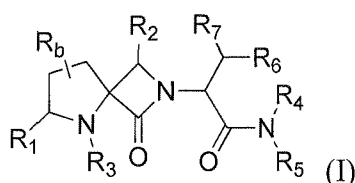


selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R';

R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, -OC(O)phenyl, and -N(R')R'; and

5 R₇ is H or C₁-C₆ alkyl.

[0008a] In one aspect of the present disclosure, there is provided a compound represented by formula I:



or a pharmaceutically acceptable salt, a stereoisomer, or an N-oxide thereof, wherein

10 R_b is H;

R₁ is H or C₁-C₆ alkyl;

R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆ alkyl and a nitrogen protecting group;

15 R₄ and R₅ are each independently selected from the group consisting of H, C₁-C₆ alkyl, X, and -C₁-C₆ alkylene-X, wherein X is selected from the group consisting of:

(i) C₃-C₆ cycloalkyl;

(ii) heteroaryl including from 5 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S;

20 (iii) heterocyclyl including from 3 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and

(iv) phenyl;

wherein C₃-C₆ cycloalkyl and heterocyclyl are each optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R', wherein R' is independently selected for each
25 occurrence from H and C₁-C₆ alkyl; and heteroaryl and phenyl are each optionally substituted

with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R';

or R₄ and R₅ together with the nitrogen to which they are attached form:

heterocyclyl including from 4 to 6 ring atoms; wherein the heterocyclyl includes not
 5 more than two ring heteroatoms (including the nitrogen atom attached to R₄ and R₅), and the second ring heteroatom, when present, is independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and wherein the heterocyclyl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R'; or

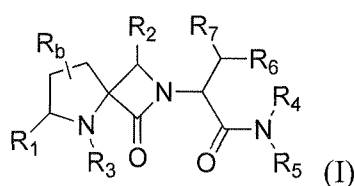
heteroaryl including from 5 to 6 ring atoms; wherein the heteroaryl includes not more
 10 than four ring heteroatoms (including the nitrogen atom attached to R₄ and R₅), and each additional ring heteroatom, when present, is independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and wherein the heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-
 15 C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R';

R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, -OC(O)phenyl, and -N(R')R'; and

R₇ is H or C₁-C₆ alkyl.

[0008b] In another aspect of the present disclosure, there is provided a compound

20 represented by formula I:



and pharmaceutically acceptable salts, stereoisomers, and N-oxides thereof, wherein

R_b is H;

R₁ is H or C₁-C₆ alkyl;

25 R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆ alkyl and a nitrogen protecting group;

R₄ and R₅ are independently H or C₁-C₆ alkyl, or R₄ and R₅ taken together with the nitrogen to which they are attached form a 4-, 5- or 6-membered heterocyclic or heteroaryl ring optionally substituted with one or more substituents selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, -OH, C₁-C₆ alkoxy, and -N(R')R', wherein R' is

5 independently selected for each occurrence from H and C₁-C₆ alkyl;

R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, and -OC(O)phenyl; and

R₇ is H or C₁-C₆ alkyl.

[0009] In a further aspect of the present disclosure, there is provided pharmaceutically
10 acceptable compositions comprising a disclosed compound, and a pharmaceutically acceptable excipient. For example, such compositions may be suitable for oral or intravenous administration to a patient.

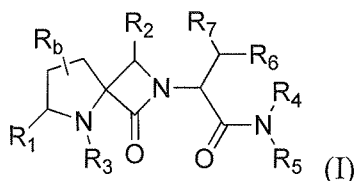
[0009a] In another aspect of the present disclosure, there is provided a use of a compound disclosed herein in the manufacture of a medicament for treating depression,
15 Alzheimer's disease, attention deficit disorder, schizophrenia, or anxiety, in a patient in need thereof.

[0010] There is also disclosed a method of treating a condition selected from the group consisting of autism, anxiety, depression, bipolar disorder, attention deficit disorder, attention deficit hyperactivity disorder (ADHD), schizophrenia, a psychotic disorder, a psychotic
20 symptom, social withdrawal, obsessive-compulsive disorder, phobia, post-traumatic stress syndrome, a behavior disorder, an impulse control disorder, a substance abuse disorder, a sleep disorder, a memory disorder, a learning disorder, urinary incontinence, multiple system atrophy, progressive supra-nuclear palsy, Friedrich's ataxia, Down's syndrome, fragile X syndrome, tuberous sclerosis, olivio-ponto-cerebellar atrophy, cerebral palsy, drug-induced
25 optic neuritis, ischemic retinopathy, diabetic retinopathy, glaucoma, dementia, AIDS dementia, Alzheimer's disease, Huntington's chorea, spasticity, myoclonus, muscle spasm, Tourette's syndrome, epilepsy, cerebral ischemia, stroke, a brain tumor, traumatic brain injury, cardiac arrest, myelopathy, spinal cord injury, peripheral neuropathy, acute neuropathic pain, and chronic neuropathic, in a patient in need thereof is provided. Such methods may comprise
30 administering to the patient a pharmaceutically effective amount of a disclosed compound or pharmaceutically acceptable salts, stereoisomers, N-oxides, and hydrates thereof.

[0011] In some embodiments, a contemplated method includes treating depression. For example, depression may include one or more of major depressive disorder, dysthymic

What is claimed is:

1. A compound represented by formula I:



or a pharmaceutically acceptable salt, a stereoisomer, or an N-oxide thereof, wherein

R_b is H;

R₁ is H or C₁-C₆ alkyl;

R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆ alkyl and a nitrogen protecting group;

R₄ and R₅ are each independently selected from the group consisting of H, C₁-C₆ alkyl, X, and -C₁-C₆ alkylene-X, wherein X is selected from the group consisting of:

(i) C₃-C₆ cycloalkyl;

(ii) heteroaryl including from 5 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S;

(iii) heterocyclyl including from 3 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and

(iv) phenyl;

wherein C₃-C₆ cycloalkyl and heterocyclyl are each optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R', wherein R' is independently selected for each occurrence from H and C₁-C₆ alkyl; and heteroaryl and phenyl are each optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R';

or R₄ and R₅ together with the nitrogen to which they are attached form:

heterocyclyl including from 4 to 6 ring atoms; wherein the heterocyclyl includes not more than two ring heteroatoms (including the nitrogen atom attached to R₄ and R₅), and the second ring heteroatom, when present, is independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and wherein the heterocyclyl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R'; or

heteroaryl including from 5 to 6 ring atoms; wherein the heteroaryl includes not more than four ring heteroatoms (including the nitrogen atom attached to R₄ and R₅), and each additional ring heteroatom, when present, is independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and wherein the heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R';

R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, -OC(O)phenyl, and -N(R')R'; and

R₇ is H or C₁-C₆ alkyl.

2. The compound of claim 1, wherein R₁ is H.
3. The compound of claim 1 or 2, wherein R₂ is H.
4. The compound of any one of claims 1-3, wherein R₃ is H.
5. The compound of any one of claims 1-3, wherein R₃ is a nitrogen protecting group.
6. The compound of any one of claims 1-3 and 5, wherein the nitrogen protecting group is selected from the group consisting of 9-fluorenylmethyloxycarbonyl, tert-butoxycarbonyl, carbobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, acetyl, trifluoroacetyl, benzoyl, phthalimido, benzyl, p-methoxybenzyl, p-methoxyphenyl, 3,4-dimethoxybenzyl, triphenylmethyl, benzylidene, p-toluenesulfonyl, -C(O)OR₃₁ and -C(O)R₃₂; wherein R₃₁ is selected from the group consisting of C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₁₀ cycloalkyl, -CH₂-C₃-C₁₀ cycloalkyl, -CH₂-phenyl, and -CH₂-pyridyl, wherein the cycloalkyl of the C₃-C₁₀ cycloalkyl or -CH₂-C₃-C₁₀ cycloalkyl is optionally substituted with from 1-3 independently selected C₁-C₃ alkyl, and wherein the phenyl is optionally substituted with from 1-2 substituents independently selected from C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkoxy, nitro, halo, SO₂Me, cyano, and -OC(O)CH₃; and

R₃₂ is selected from the group consisting of H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, phenyl, and pyridyl, wherein the phenyl is optionally substituted with from 1-2 substituents independently selected from C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkoxy, nitro, halo, SO₂Me, cyano, and -OC(O)CH₃.

7. The compound of claim 6, wherein R₃ has formula -C(O)OR₃₁.
8. The compound of claim 7, wherein R₃₁ is C₁-C₆ alkyl.
9. The compound of claim 8, wherein R₃₁ is *tert*-butyl.

10. The compound of claim 6, wherein R_3 has formula $-C(O)R_{32}$.
11. The compound of claim 10, wherein R_{32} is C_1-C_6 alkyl.
12. The compound of claim 11, wherein R_{32} is $-CH_3$ or *iso*-propyl.
13. The compound of any one of claims 1-12, wherein R_4 and R_5 are each independently selected from the group consisting of H, C_1-C_6 alkyl, and $-C_1-C_6$ alkylene-X.
14. The compound of claim 13, wherein R_4 and R_5 are each independently selected from the group consisting of H and $-C_1-C_6$ alkylene-X.
15. The compound of claim 14, wherein one of R_4 and R_5 is H, and the other is $-C_1-C_6$ alkylene-X.
16. The compound of any one of claims 1 and 13-15, wherein $-C_1-C_6$ alkylene-X is $-CH_2-X$.
17. The compound of any one of claims 1 and 13-16, wherein X is phenyl or heteroaryl including from 5 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, $N(C_1-C_3 \text{ alkyl})$, O, and S; wherein each phenyl or heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C_1-C_6 alkyl, hydroxyl, C_1-C_6 alkoxy, and $-N(R')R'$.
18. The compound of any one of claims 1-14, wherein R_4 and R_5 are H.
19. The compound of any one of claims 1-12, wherein R_4 and R_5 together with the nitrogen to which they are attached form:

heterocyclyl including from 4 to 6 ring atoms; wherein the heterocyclyl includes not more than two ring heteroatoms (including the nitrogen atom attached to R_4 and R_5), and the second ring heteroatom, when present, is independently selected from the group consisting of N, NH, $N(C_1-C_3 \text{ alkyl})$, O, and S; and wherein the heterocyclyl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, oxo, C_1-C_6 alkyl, hydroxyl, C_1-C_6 alkoxy, and $-N(R')R'$; or

heteroaryl including from 5 to 6 ring atoms; wherein the heteroaryl includes not more than four ring heteroatoms (including the nitrogen atom attached to R₄ and R₅), and each additional ring heteroatom, when present, is independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; and wherein the heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R'.

20. The compound of claim 19, wherein R₄ and R₅ taken together with the nitrogen to which they are attached form a ring selected from the group consisting of azetidiny, pyrrolidinyl, pyrazolidinyl, isooxazolidinyl, imidazolidinyl, oxazolidinyl, thiazolidinyl, and isothiazolidinyl.

21. The compound of claim 20, wherein R₄ and R₅ taken together with the nitrogen to which they are attached form a pyrrolidinyl ring.

22. The compound of claim 19, wherein R₄ and R₅ taken together with the nitrogen to which they are attached form a ring selected from the group consisting of imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridinyl, diazinyl, oxazinyl, and thiazinyl.

23. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is H; and R₄ and R₅ taken together form a pyrrolidinyl ring.

24. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is H; and R₄ and R₅ are H.

25. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is H; and one of R₄ and R₅ is H, and the other is -CH₂-X, wherein X is phenyl or heteroaryl including from 5 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; wherein each phenyl or heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R'.

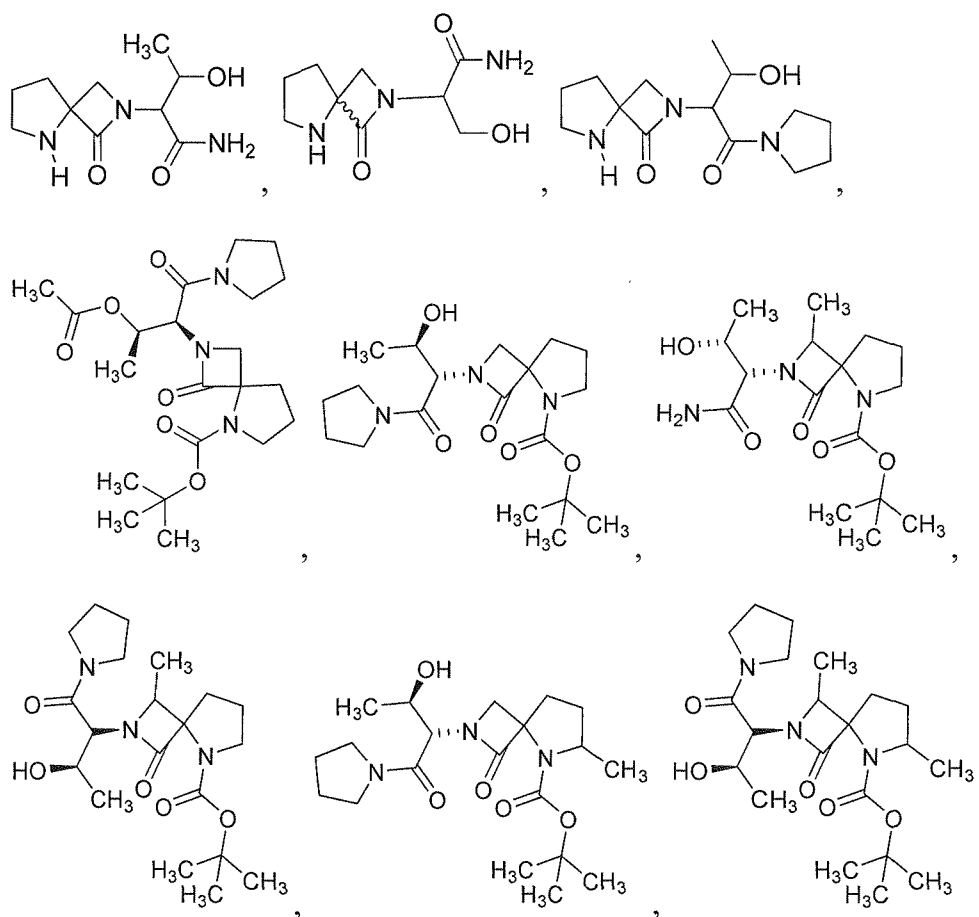
26. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is a nitrogen protecting group; and R₄ and R₅ taken together form a pyrrolidinyl ring.

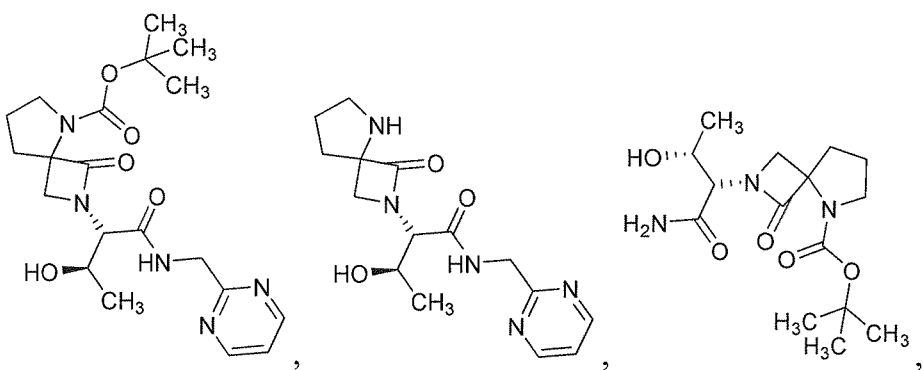
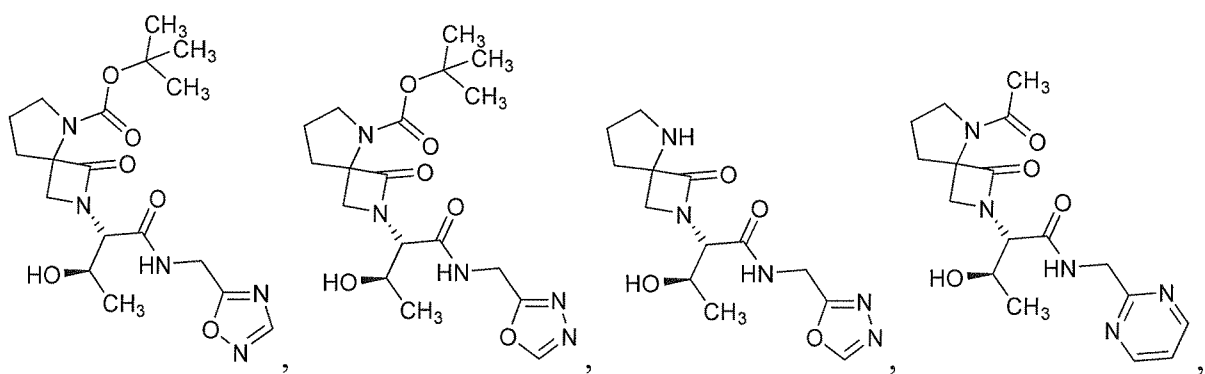
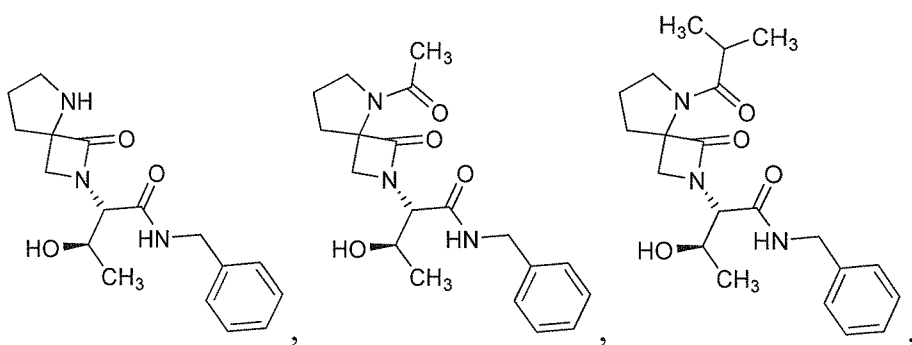
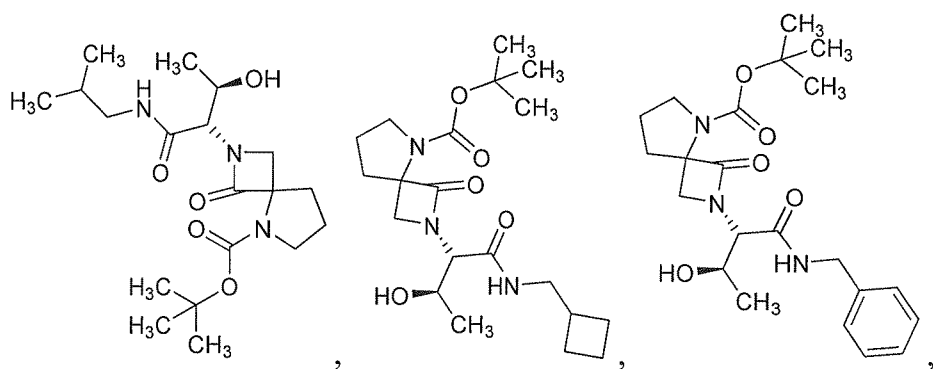
27. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is a nitrogen protecting group; and R₄ and R₅ are H.

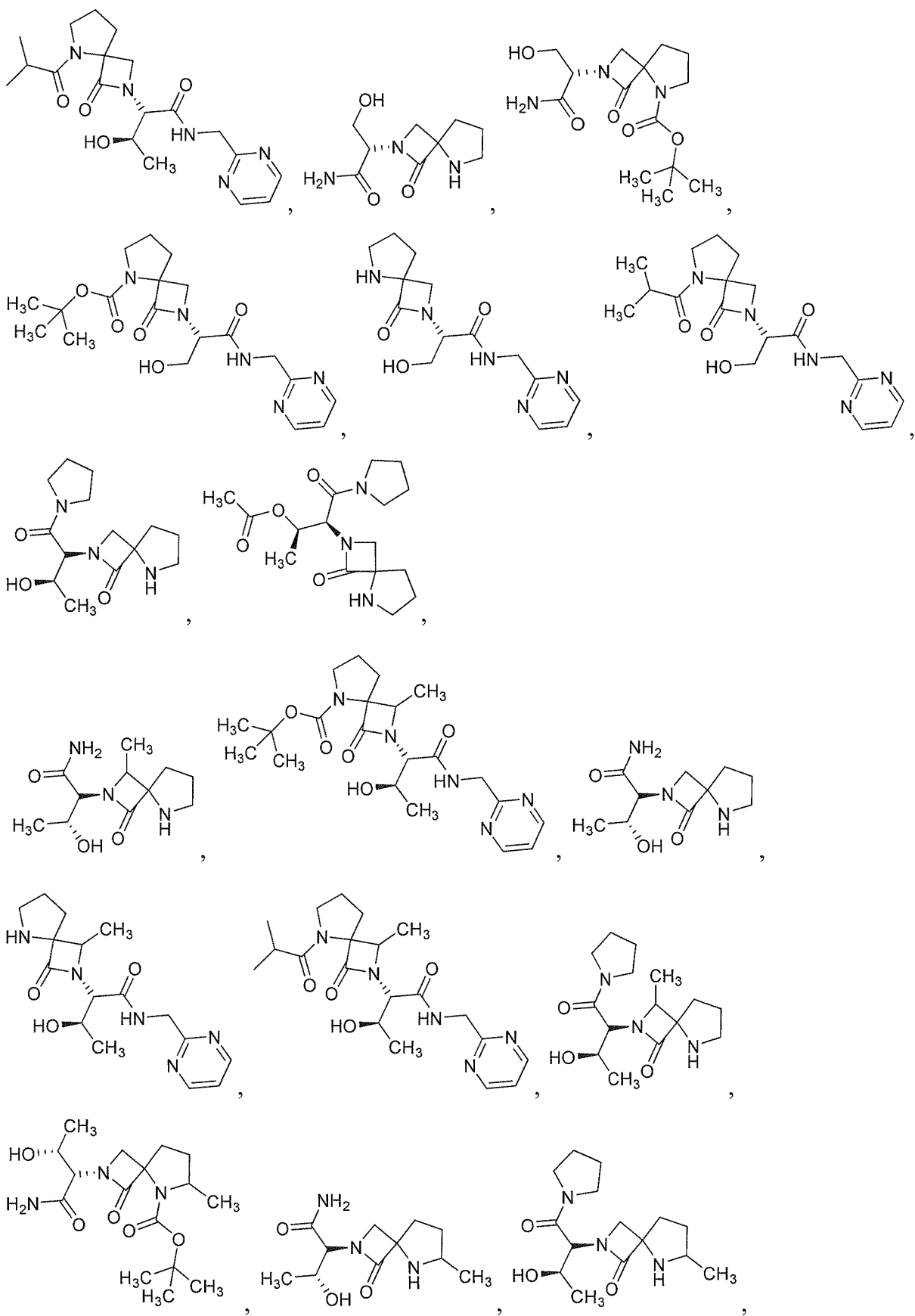
28. The compound of claim 1, wherein R₁ is H or CH₃; R₂ is H or CH₃; R₃ is a nitrogen protecting group; and one of R₄ and R₅ is H, and the other is -CH₂-X, wherein X is phenyl or

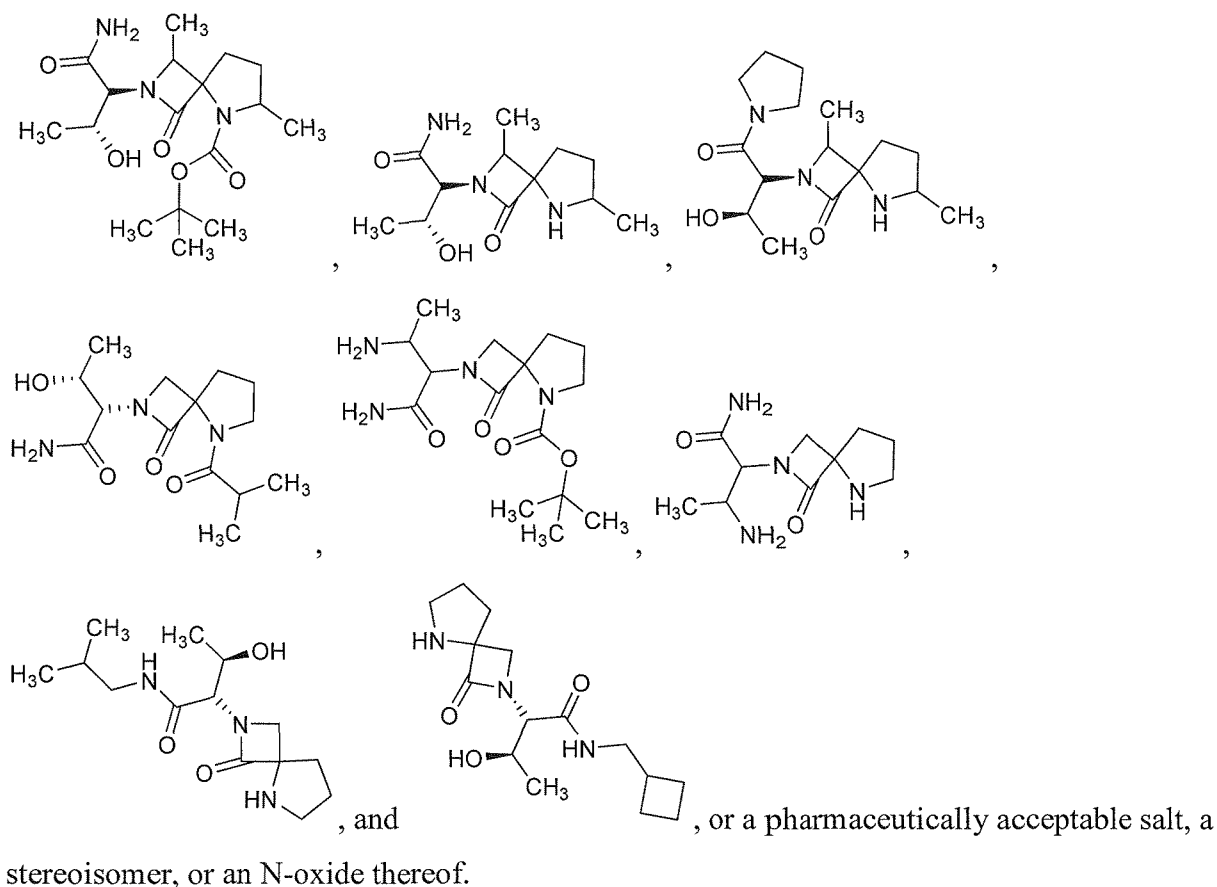
heteroaryl including from 5 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; wherein each phenyl or heteroaryl is optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, cyano, C₁-C₆ alkyl, hydroxyl, C₁-C₆ alkoxy, and -N(R')R'.

29. The compound of any one of claims 1-28, wherein R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, and -OC(O)phenyl.
30. The compound of claim 29, wherein R₆ is -OH.
31. The compound of any one of claims 1-30, wherein R₇ is C₁-C₆ alkyl.
32. The compound of claim 29, wherein R₇ is -CH₃.
33. The compound of claim 1, wherein the compound is selected from the group consisting of









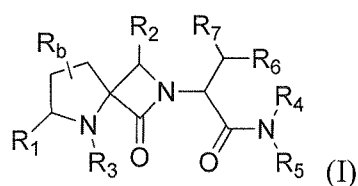
34. A pharmaceutical composition comprising a compound of any one of claims 1-33, and a pharmaceutically acceptable excipient.

35. The pharmaceutical composition of claim 34, suitable for oral administration.

36. The pharmaceutical composition of claim 34, suitable for intravenous administration.

37. Use of a compound of any one of claims 1-33 in the manufacture of a medicament for treating depression, Alzheimer's disease, attention deficit disorder, schizophrenia, or anxiety, in a patient in need thereof.

38. A compound represented by formula I:



and pharmaceutically acceptable salts, stereoisomers, and N-oxides thereof, wherein

R_6 is H;

R_1 is H or C_1-C_6 alkyl;

R_2 is H or C_1-C_6 alkyl;

R₃ is selected from the group consisting of H, C₁-C₆ alkyl and a nitrogen protecting group;

R₄ and R₅ are independently H or C₁-C₆ alkyl, or R₄ and R₅ taken together with the nitrogen to which they are attached form a 4-, 5- or 6-membered heterocyclic or heteroaryl ring optionally substituted with one or more substituents selected from the group consisting of halogen, cyano, oxo, C₁-C₆ alkyl, -OH, C₁-C₆ alkoxy, and -N(R')R', wherein R' is independently selected for each occurrence from H and C₁-C₆ alkyl;

R₆ is selected from the group consisting of -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl, and -OC(O)phenyl; and

R₇ is H or C₁-C₆ alkyl.

39. The compound of claim 38, wherein R₁ is H.

40. The compound of claim 38 or 39, wherein R₂ is H.

41. The compound of any one of claims 38-40, wherein the nitrogen protecting group is selected from the group consisting of 9-fluorenylmethyloxycarbonyl, tert-butoxycarbonyl, carbobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, acetyl, trifluoroacetyl, benzoyl, phthalimido, benzyl, p-methoxybenzyl, p-methoxyphenyl, 3,4-dimethoxybenzyl, triphenylmethyl, benzylidene, p-toluenesulfonyl, -C(O)OR₃₁ and -C(O)R₃₂; wherein

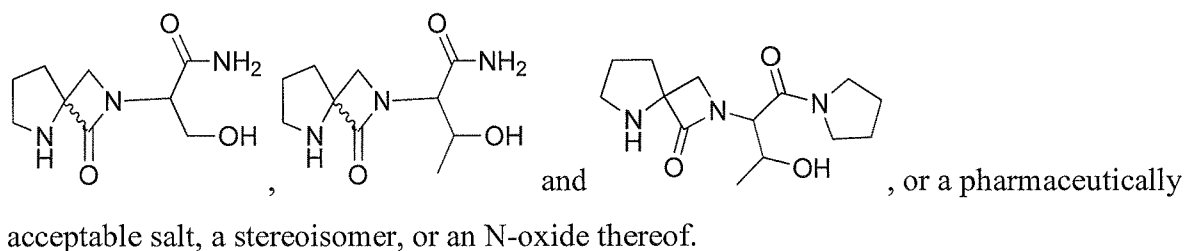
R₃₁ is selected from the group consisting of C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₁₀ cycloalkyl, -CH₂-C₃-C₁₀ cycloalkyl, -CH₂-phenyl, and -CH₂-pyridyl, wherein the cycloalkyl of the C₃-C₁₀ cycloalkyl or -CH₂-C₃-C₁₀ cycloalkyl is optionally substituted with from 1-3 independently selected C₁-C₃ alkyl, and wherein the phenyl is optionally substituted with from 1-2 substituents independently selected from C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkoxy, nitro, halo, SO₂Me, cyano, and -OC(O)CH₃; and

R₃₂ is selected from the group consisting of H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, phenyl, and pyridyl, wherein the phenyl is optionally substituted with from 1-2 substituents independently selected from C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkoxy, nitro, halo, SO₂Me, cyano, and -OC(O)CH₃.

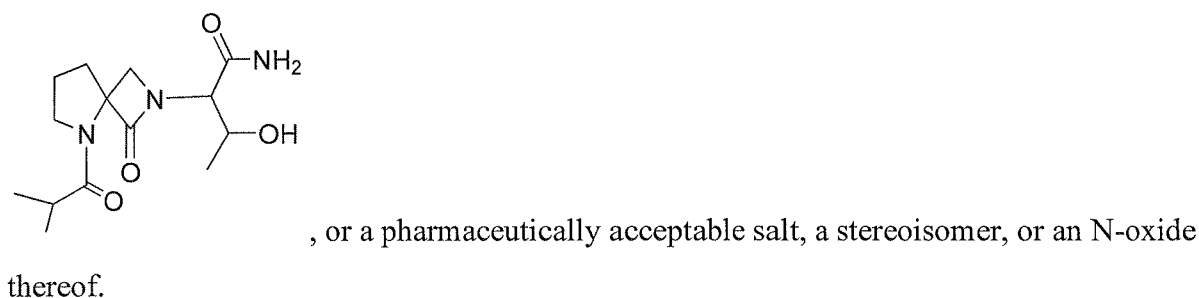
42. The compound of any one of claims 38-40, wherein R₃ is H.

43. The compound of any one of claims 38-42, wherein R₄ and R₅ are H.

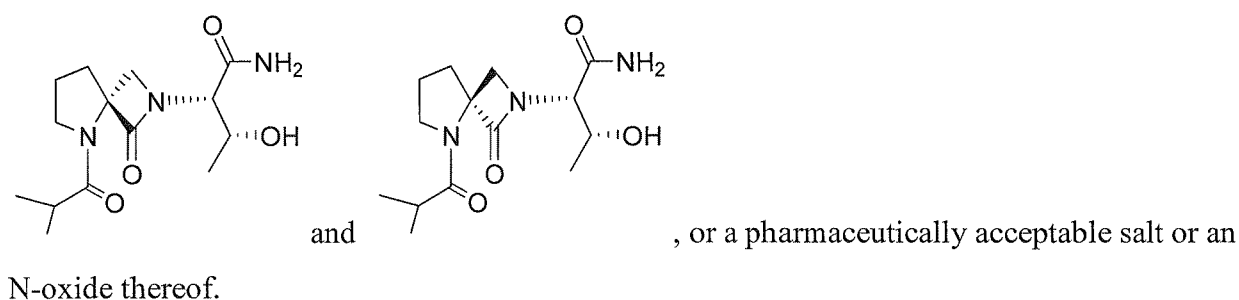
44. The compound of claims 38-42, wherein R_4 and R_5 taken together form a 4 or 5-membered heterocyclic ring selected from the group consisting of azetidine, pyrrolidine, pyrazolidine, isooxazolidine, imidazolidine, oxazolidine, thiazolidine, and isothiazolidine.
45. The compound of any one of claims 38-42, wherein R_4 and R_5 taken together form a pyrrolidine ring.
46. The compound of any one of claims 38-42, wherein R_4 and R_5 taken together form a heteroaromatic ring selected from the group consisting of imidazole, pyrazole, oxazole, isoxazole, thiazole, pyridine, diazine, oxazine, and thiazine.
47. The compound of claim 38, wherein R_1 is H; R_2 is H; R_3 is H; and R_4 and R_5 taken together form a pyrrolidine ring.
48. The compound of claim 38, wherein R_1 is H; R_2 is H; R_3 is H; and R_4 and R_5 are H.
49. The compound of claim 38, wherein the compound is selected from the group consisting of:



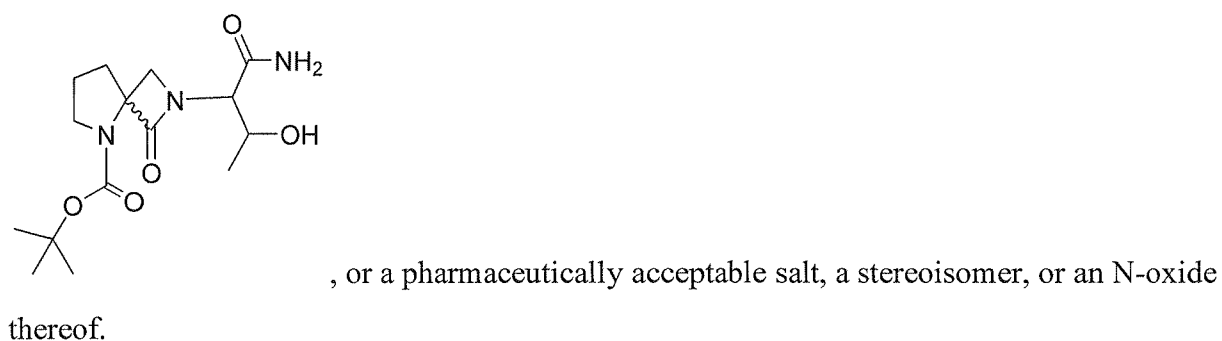
50. The compound of claim 1, wherein the compound is:



51. The compound of claim 1, wherein the compound is selected from the group consisting of:



52. The compound of claim 1, wherein the compound is:



53. A pharmaceutical composition comprising a compound of any one of claims 38-52, and a pharmaceutically acceptable excipient.

54. The pharmaceutical composition of claim 53, suitable for oral administration.

55. The pharmaceutical composition of claim 53, suitable for intravenous administration.

56. Use of a compound of any one of claims 38-52 in the manufacture of a medicament for treating depression, Alzheimer's disease, attention deficit disorder, schizophrenia, or anxiety, in a patient in need thereof.