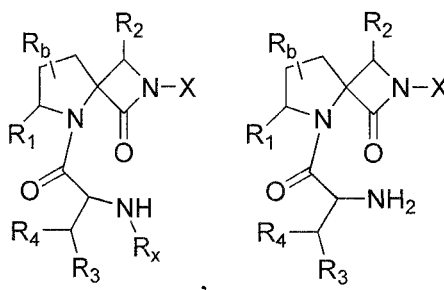


substituted with from 1-3 independently selected C₁-C₃ alkyl; -CH₂-C₃-C₁₀ cycloalkyl wherein the C₃-C₁₀ cycloalkyl is optionally substituted with from 1-3 independently selected C₁-C₃ alkyl; -CH₂-phenyl, wherein the phenyl is optionally substituted with from 1-2 substituents independently selected from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

R₃₂ is selected from the group consisting of: H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; phenyl, 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 pyridyl.

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



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

R_b is selected from the group consisting of H, halogen, hydroxyl, cyano and C₁-C₆ alkyl;

R₁ is H or C₁-C₆ alkyl;

R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆alkyl, -OH, C₁-C₆alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl, wherein the phenyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy;

R₄ is H or C₁-C₆ alkyl;

X is selected from the group consisting of:

(i) -C₁₋₆alkylene-C₃₋₆cycloalkyl;

(ii) -C₁₋₆alkylene-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; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

(iii) -C₁₋₆alkylene-C(O)-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; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

(iv) -C₁₋₆alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy; and

(v) branched C₃-C₆ alkyl substituted with -C(O)NH₂ on one carbon and -OH on another carbon;

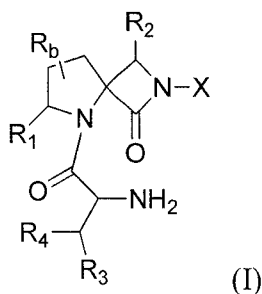
and wherein R_x is selected from the group consisting of H, -C(O)OR₃₁ and -C(O) R₃₂, wherein:

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 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.

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



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

R_b is selected from the group consisting of H, halogen, hydroxyl, cyano and C₁-C₆ alkyl;

R₁ is C₁-C₆ alkyl;

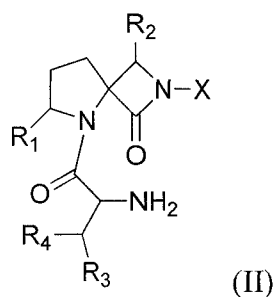
R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆alkyl, -OH, C₁-C₆alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

R₄ is H or C₁-C₆ alkyl; and

X is selected from the group consisting of -C₁₋₆alkylene-C₃₋₆cycloalkyl; C₁₋₆alkylene-heterocycle (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy), and -C₁₋₆alkylene-heteroaryl (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy).

[0009] Further disclosed herein are compounds represented by the formula:



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

R₁ is H or C₁-C₆ alkyl;

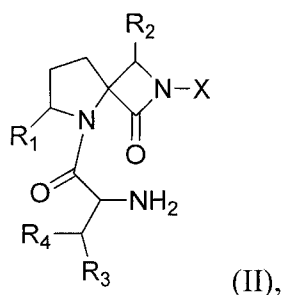
R₂ is H or C₁-C₆ alkyl;

- 5 R₃ is selected from the group consisting of H, C₁-C₆ alkyl, -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl;

R₄ is H or C₁-C₆ alkyl; and

- X is -C₁-C₆alkylene-X', wherein X' is a 4- to 6-membered heteroaryl having 1, 2, or 3
 10 heteroatoms selected from O, N, or S, where X' is optionally substituted on a free carbon by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy.

[0009a] In another aspect of the present disclosure, there is provided a compound represented by formula II:



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

R₁ is H or C₁-C₆ alkyl;

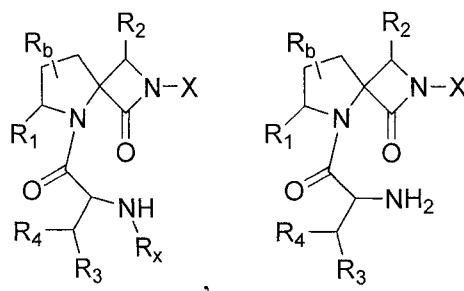
R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆ alkyl, -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl;

- 20 R₄ is H or C₁-C₆ alkyl; and

What is claimed is:

1. A compound represented by:



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

R_b is selected from the group consisting of H, halogen, hydroxyl, cyano and C₁-C₆ alkyl;

R₁ is H or C₁-C₆ alkyl;

R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆alkyl, -OH, C₁-C₆alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl, wherein the phenyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy;

R₄ is H or C₁-C₆ alkyl;

X is selected from the group consisting of:

(i) -C₁₋₆alkylene-C₃₋₆cycloalkyl;

(ii) -C₁₋₆alkylene-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; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

(iii) -C₁₋₆alkylene-C(O)-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; wherein the heterocyclyl is optionally substituted by

one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

(iv) -C₁₋₆alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy; and

(v) branched C₃-C₆ alkyl substituted with -C(O)NH₂ on one carbon and -OH on another carbon;

and wherein R_x is selected from the group consisting of H, -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 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.

2. The compound of claim 1, wherein R₁ is H.
3. The compound of claim 1, wherein R₁ is C₁-C₆ alkyl.
4. The compound of claim 1, wherein R₁ is methyl.
5. The compound of any one of claims 1-4, wherein R₂ is H.
6. The compound of any one of claims 1-5, wherein R₃ is -OH.

7. The compound of any one of claims 1-6, wherein R₄ is C₁-C₆ alkyl.
8. The compound of any one of claims 1-6, wherein R₄ is methyl.
9. The compound of any one of claims 1-8, wherein X is selected from the group consisting of:

(i) -C₁₋₆alkylene-C₃₋₆cycloalkyl;

(ii) -C₁₋₆alkylene-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; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

(iii) -C₁₋₆alkylene-C(O)-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; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy); and

(iv) -C₁₋₆alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy.

10. The compound of any one of claims 1-8, wherein X is selected from the group consisting of:

(i) -C₁₋₆alkylene-C₃₋₆cycloalkyl;

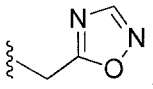
(ii) -C₁₋₆alkylene-heterocyclyl including from 3 to 6 ring atoms wherein 1, 2, or 3 of the ring atoms are independently selected from the group consisting of N, NH, N(C₁-C₃ alkyl), O, and S; wherein the heterocyclyl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy); and

(iv) $-C_{1-6}$ alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C_1-C_6 alkyl, and C_1-C_6 alkoxy.

11. The compound of any one of claims 1-8, wherein X is $-C_{1-6}$ alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C_1-C_6 alkyl, and C_1-C_6 alkoxy.

12. The compound of any one of claims 1-8, wherein X is C_{1-2} alkylene-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 the heteroaryl is optionally substituted by one, two or three substituents independently selected from the group consisting of halogen, hydroxyl, C_1-C_6 alkyl, and C_1-C_6 alkoxy.

13. The compound of any one of claims 1-8 and 10-13, wherein the heteroaryl is selected from the group consisting of 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, pyridyl, and pyrimidinyl.

14. The compound of any one of claims 1-8 and 10-14, wherein X is .

15. The compound of claim 1, wherein R_1 is H; R_2 is H; R_3 is $-OH$; and R_4 is methyl.

16. The compound of claim 1, wherein R_1 is methyl; R_2 is H; R_3 is $-OH$; and R_4 is methyl.

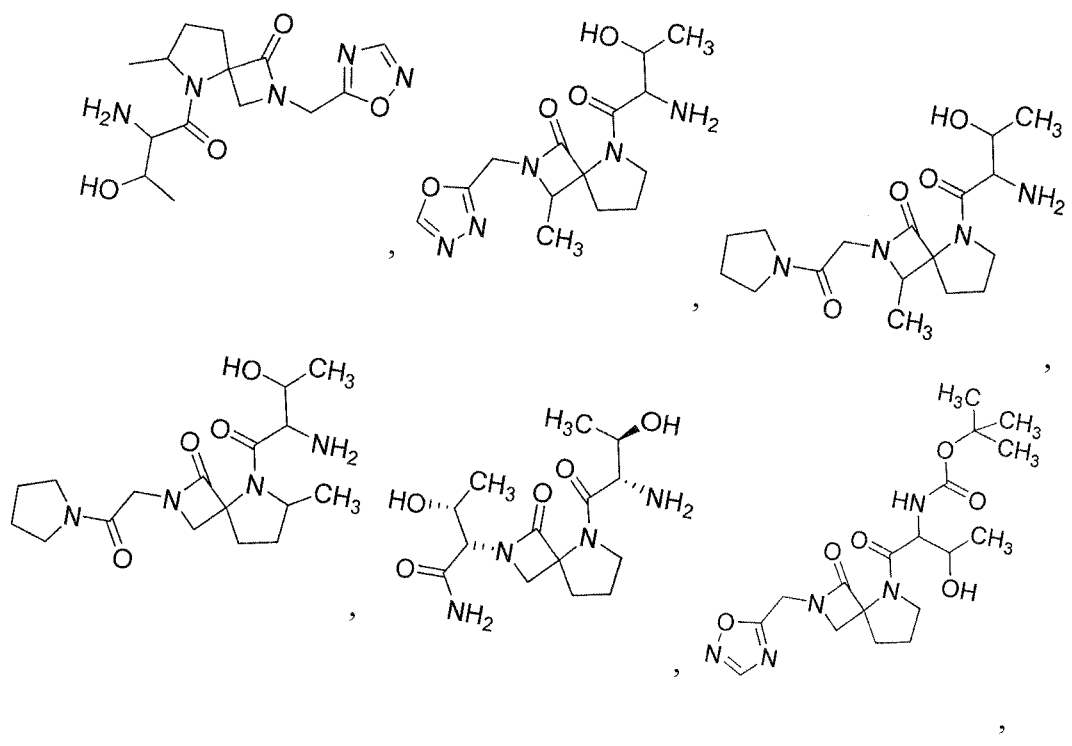
17. The compound of any one of claims 1-16, wherein R_x is H.

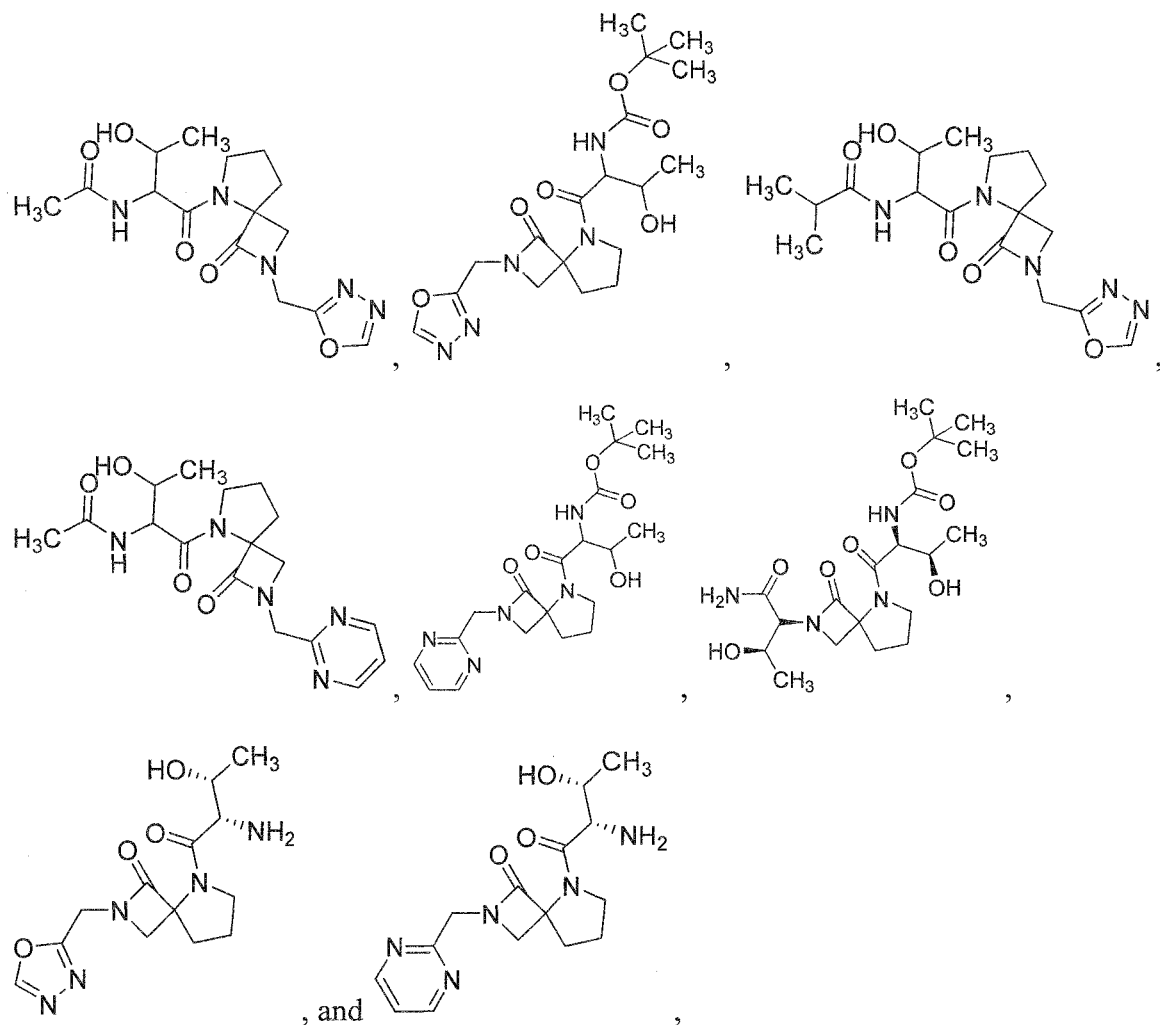
18. The compound of any one of claims 1-16, wherein the R_x is selected from the group consisting of $-C(O)OR_{31}$ and $-C(O)R_{32}$.

19. The compound of claim 18, wherein R_x is $-C(O)OR_{31}$.

20. The compound of claim 19, wherein R_{31} is C_1 - C_6 alkyl.
21. The compound of claim 20, wherein R_{31} is *tert*-butyl.
22. The compound of claim 18, wherein R_x is $-C(O)R_{32}$.
23. The compound of claim 22, wherein R_{32} is C_1 - C_6 alkyl.
24. The compound of claim 22, wherein R_{32} is $-CH_3$ or *iso*-propyl.
25. The compound of claim 1, wherein the compound is selected from:

- 81a -





or a pharmaceutically acceptable salt, a stereoisomer, and/or an N-oxide thereof.

26. A pharmaceutical composition comprising a compound of any one of claims 1-25, and a pharmaceutically acceptable excipient.

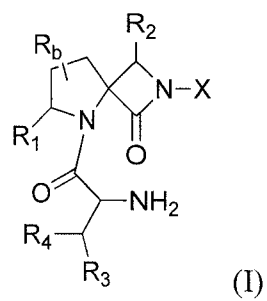
27. The pharmaceutical composition of claim 26, wherein the pharmaceutical composition is an oral dosage form.

28. The pharmaceutical composition of claim 26, wherein the pharmaceutical composition is an intravenous dosage form.

29. Use of a compound of any one of claims 1-25 in the manufacture of a medicament for treating depression, Alzheimer's disease, attention deficit disorder, schizophrenia, or anxiety, in a patient in need thereof.

30. A compound represented by formula I:

- 81c -



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

R_b is selected from the group consisting of H, halogen, hydroxyl, cyano and C₁-C₆ alkyl;

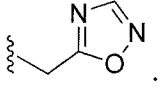
R₁ is C₁-C₆ alkyl;

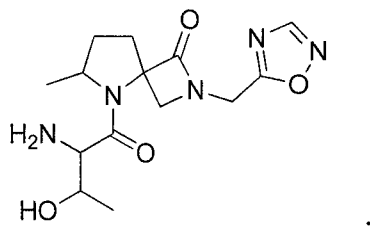
R₂ is H or C₁-C₆ alkyl;

R₃ is selected from the group consisting of H, C₁-C₆alkyl, -OH, C₁-C₆alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy);

R₄ is H or C₁-C₆ alkyl; and

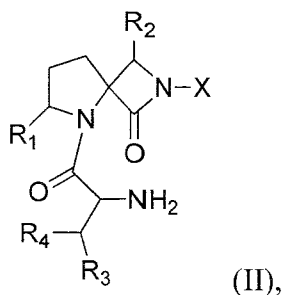
X is selected from the group consisting of -C₁₋₆alkylene-C₃₋₆cycloalkyl; C₁₋₆alkylene-heterocycle (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy), and -C₁₋₆alkylene-heteroaryl (optionally substituted by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy).

- 31. The compound of claim 30, wherein R₁ is C₁-C₆ alkyl.
- 32. The compound of claim 30, wherein R₁ is methyl.
- 33. The compound of any one of claims 30-32, wherein R₂ is H.
- 34. The compound of any one of claims 30-33, wherein R₃ is -OH.
- 35. The compound of any one of claims 30-34, wherein R₄ is C₁-C₆ alkyl.
- 36. The compound of any one of claims 30-35, wherein R₄ is methyl.
- 37. The compound of any one of claims 30-36, wherein X is C₁₋₂alkylene-heteroaryl.
- 38. The compound of any one of claims 30-36, wherein X is .
- 39. The compound of claim 30, wherein R₁ is methyl; R₂ is H; R₃ is -OH; and R₄ is methyl.
- 40. The compound of claim 1, wherein the compound is



or a pharmaceutically acceptable salt, a stereoisomer, and/or an N-oxide thereof.

41. A compound represented by formula II:



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

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, -OH, C₁-C₆ alkoxy, -OC(O)-C₁-C₆ alkyl and -OC(O)-phenyl;

R₄ is H or C₁-C₆ alkyl; and

X is -C₁-C₆alkylene-X', wherein X' is a 4- to 6-membered heteroaryl having 1, 2, or 3 heteroatoms selected from O, N, or S, where X' is optionally substituted on a free carbon by one, two or three substituents selected from the group consisting of halogen, hydroxyl, C₁-C₆alkyl, and C₁-C₆alkoxy.

42. A pharmaceutical composition comprising a compound of any one of claims 30-41, and a pharmaceutically acceptable excipient.

43. The pharmaceutical composition of claim 42, wherein the pharmaceutical composition is an oral dosage form.

44. The pharmaceutical composition of claim 42, wherein the pharmaceutical composition is an intravenous dosage form.

45. Use of a compound of any one of claims 30-41 in the manufacture of a medicament for treating depression, Alzheimer's disease, attention deficit disorder, schizophrenia, or anxiety, in a patient in need thereof.