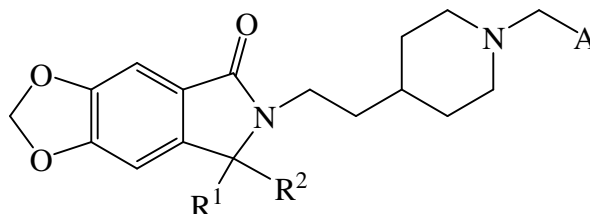


CLAIMS

1. A compound represented by formula (I)



(I)

- 5 or a pharmaceutically acceptable salt, wherein:

R¹ and R² are independently selected from the group consisting of hydrogen, methyl and ethyl; R¹ and R² together can be =O; also, R¹ and R² together with the carbon atom connecting them can form a 3-membered carbon ring;

- 10 A is selected from the group consisting of phenyl, R³-substituted phenyl, pyridinyl, R⁴-substituted pyridinyl, pyrimidinyl, R⁵-substituted pyrimidinyl, pyrrolyl, R⁶-substituted pyrrolyl, pyridazinyl, R⁷-substituted pyridazinyl, pyrazolyl, and R⁸-substituted pyrazolyl;

R³ is 1 to 5 substituents independently selected from the group consisting of halogen, (C₁–C₃) alkyl, (C₂–C₃) alkenyl, (C₃–C₄) cycloalkyl, (C₁–C₃) alkoxy, trifluoromethyl and cyano;

- 15 R⁴ is 1 to 4 substituents independently selected from the group consisting of halogen, (C₁–C₃) alkyl, (C₂–C₃) alkenyl, (C₃–C₄) cycloalkyl, (C₁–C₃) alkoxy, trifluoromethyl and cyano;

- 20 R⁵ is 1 to 3 substituents independently selected from the group consisting of halogen, (C₁–C₃) alkyl, (C₂–C₃) alkenyl, (C₃–C₄) cycloalkyl, (C₁–C₃) alkoxy, trifluoromethyl and cyano;

R⁶ is 1 to 4 substituents independently selected from the group consisting of (C₁–C₃) alkyl, (C₂–C₃) alkenyl and (C₃–C₄) cycloalkyl;

- 25 R⁷ is 1 to 3 substituents independently selected from the group consisting of halogen, (C₁–C₃) alkyl, (C₂–C₃) alkenyl, (C₃–C₄) cycloalkyl, (C₁–C₃) alkoxy, trifluoromethyl and cyano;

R⁸ is 1 to 3 substituents independently selected from the group consisting of (C₁–C₃) alkyl, (C₂–C₃) alkenyl and (C₃–C₄) cycloalkyl.

2. The compound according to claim 1, wherein A is selected from phenyl, R³-substituted phenyl, pyridinyl, R⁴-substituted pyridinyl, pyrimidinyl, ~~or~~ R⁵-substituted pyrimidinyl, pyrrolyl, R⁶-substituted pyrrolyl, pyridazinyl, R⁷-substituted pyridazinyl, pyrazolyl, or R⁸-substituted pyrazolyl.

3. The compound according to claim 1 ~~or claim 2~~, wherein, R¹ and R² are independently selected from hydrogen or methyl; R¹ and R² together are =O; or R¹ and R² together with the carbon atom connecting them form a 3-membered carbon ring.

10

~~4. The compound according to claim 3, wherein R¹ and R² together with the carbon atom connecting them form a 3-membered carbon ring.~~

~~5~~4. The compound according to ~~any one of the previous claims~~ claim 1, wherein A is selected from phenyl, R³-substituted phenyl, pyridinyl, R⁴-substituted pyridinyl, pyrimidinyl, R⁵-substituted pyrimidinyl, pyrrolyl, R⁶-substituted pyrrolyl, pyridazinyl, R⁷-substituted pyridazinyl, pyrazolyl, or R⁸-substituted pyrazolyl;

wherein R³ is 1 to 5 substituents independently selected from the group consisting of halogen, (C₁-C₃) alkyl, (C₁-C₃) alkoxy, trifluoromethyl and cyano;

20 R⁴ is 1 to 4 substituents independently selected from the group consisting of halogen, (C₁-C₃) alkyl, (C₁-C₃) alkoxy, trifluoromethyl and cyano;

R⁵ is 1 to 3 substituents independently selected from the group consisting of halogen, (C₁-C₃) alkyl, (C₁-C₃) alkoxy, trifluoromethyl and cyano;

R⁶ is 1 to 4 substituents independently selected from (C₁-C₃) alkyl;

25 R⁷ is 1 to 3 substituents independently selected from the group consisting of halogen, (C₁-C₃) alkyl, (C₁-C₃) alkoxy, trifluoromethyl and cyano; and

R⁸ is 1 to 3 substituents independently selected from (C₁-C₃) alkyl.

~~6~~5. The compound according to claim ~~5~~ 4, wherein A is selected from phenyl, R³-substituted phenyl, pyridinyl, pyrimidinyl, pyrrolyl, R⁶-substituted pyrrolyl, pyridazinyl, or pyrazolyl;

30

wherein R³ is 1 to 5 substituents independently selected from the group consisting of halogen, (C₁–C₃) alkyl, (C₁–C₃) alkoxy, trifluoromethyl and cyano; and

R⁶ is 1 to 4 substituents independently selected from (C₁–C₃) alkyl.

5 ~~76.~~ The compound according to claim ~~6~~ 5, wherein A is selected from phenyl ~~or~~;

~~8. — The compound according to claim 6, wherein A is selected from R³-substituted phenyl, preferably 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, or 2,6-difluorophenyl.~~

10 ~~97.~~ The compound according to claim ~~6~~ 5, wherein A is selected from pyridinyl, preferably ~~2-pyridinyl or 3-pyridinyl~~.

~~108.~~ The compound according to claim ~~6~~ 5, wherein A is selected from pyrimidinyl, preferably ~~pyrimidin 2-yl~~.

15

~~119.~~ The compound according to claim ~~6~~ 5, wherein A is selected from pyrrolyl, preferably ~~pyrrol 2-yl~~.

20 ~~1210.~~ The compound according to claim ~~6~~ 5, wherein A is selected from R⁶-substituted pyrrolyl, preferably ~~5-methylpyrrol 2-yl~~.

~~1311.~~ The compound according to claim ~~6~~ 5, wherein A is selected from pyridazinyl, preferably ~~pyridazin 3-yl~~.

25 ~~1412.~~ The compound according to claim ~~6~~ 5, wherein A is selected from pyrazolyl, preferably ~~1H-pyrazol 5-yl~~.

~~1513.~~ The compound according to claim 1, wherein said compound of formula (I) is selected from:

30 6-[2-(1-benzyl-4-piperidyl)ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-1**);

- 6-[2-[1-[(2-fluorophenyl)methyl]-4-piperidyl]ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-2**);
- 6-[2-[1-[(3-fluorophenyl)methyl]-4-piperidyl]ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-3**);
- 5 6-[2-[1-[(4-fluorophenyl)methyl]-4-piperidyl]ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-4**);
- 6-[2-(1-benzyl-4-piperidyl)ethyl]-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-5**);
- 6-[2-[1-[(2-fluorophenyl)methyl]-4-piperidyl]ethyl]-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-6**);
- 10 6-[2-[1-[(3-fluorophenyl)methyl]-4-piperidyl]ethyl]-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-7**);
- 6-[2-[1-[(4-fluorophenyl)methyl]-4-piperidyl]ethyl]-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-8**);
- 6-[2-(1-benzyl-4-piperidyl)ethyl]-5-methyl-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-9**);
- 15 6-[2-[1-[(2-fluorophenyl)methyl]-4-piperidyl]ethyl]-5-methyl-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-10**);
- 6-[2-[1-[(3-fluorophenyl)methyl]-4-piperidyl]ethyl]-5-methyl-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-11**);
- 20 6-[2-[1-[(4-fluorophenyl)methyl]-4-piperidyl]ethyl]-5-methyl-5H-[1,3]dioxolo[4,5-f]isoindol-7-one (**I-12**);
- 6-[2-(1-benzyl-4-piperidyl)ethyl]-7,7-dimethyl-[1,3]dioxolo[4,5-f]isoindol-5-one (**I-13**);
- 6-[2-[1-[(2-fluorophenyl)methyl]-4-piperidyl]ethyl]-7,7-dimethyl-[1,3]dioxolo[4,5-f]isoindol-5-one (**I-14**);
- 25 6-[2-[1-[(3-fluorophenyl)methyl]-4-piperidyl]ethyl]-7,7-dimethyl-[1,3]dioxolo[4,5-f]isoindol-5-one (**I-15**);
- 6-[2-[1-[(4-fluorophenyl)methyl]-4-piperidyl]ethyl]-7,7-dimethyl-[1,3]dioxolo[4,5-f]isoindol-5-one (**I-16**);
- 30 6-[2-(1-benzyl-4-piperidyl)ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropan

e]-5-one (**I-17**);

6-[2-[1-[(2-fluorophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindol
e-7,1'-cyclopropane]-5-one (**I-18**);

6-[2-[1-[(3-fluorophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindol
5 e-7,1'-cyclopropane]-5-one (**I-19**);

6-[2-[1-[(4-fluorophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindol
e-7,1'-cyclopropane]-5-one (**I-20**);

6-[2-[1-[(2-chlorophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindol
e-7,1'-cyclopropane]-5-one (**I-21**);

10 6-[2-[1-[[2-(trifluoromethyl)phenyl]methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5
-f]isoindole-7,1'-cyclopropane]-5-one (**I-22**);

6-[2-[1-(o-tolylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyc
lopropane]-5-one (**I-23**);

15 6-[2-[1-[(2-cyanophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindol
e-7,1'-cyclopropane]-5-one (**I-24**);

6-[2-[1-[(2,6-difluorophenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoi
ndole-7,1'-cyclopropane]-5-one (**I-25**);

6-[2-[1-[(2-methoxyphenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoin
dole-7,1'-cyclopropane]-5-one (**I-26**);

20 6-[2-[1-[(3-methoxyphenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoin
dole-7,1'-cyclopropane]-5-one (**I-27**);

6-[2-[1-[(4-methoxyphenyl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoin
dole-7,1'-cyclopropane]-5-one (**I-28**);

25 6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-c
yclopropane]-5-one (**I-29**);

6-[2-[1-(3-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-c
yclopropane]-5-one (**I-30**);

6-[2-[1-(4-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-c
yclopropane]-5-one (**I-31**);

30 6-[2-[1-(pyrimidin-2-ylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-

7,1'-cyclopropane]-5-one (**I-32**);

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one hydrochloride (**I-33**);

5 6-[2-(1-benzyl-4-piperidyl)ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one hydrochloride (**I-34**);

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one phosphate (**I-35**);

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-36**);

10 6-[2-[1-(pyridazin-3-ylmethyl)-4-piperidyl]ethyl]-[1,3]dioxolo[4,5-f]isoindole-5,7-dione (**I-37**);

6-[2-[1-(pyridazin-3-ylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-38**);

15 6-[2-[1-(1H-pyrrol-2-ylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-39**);

6-[2-[1-[(5-methyl-1H-pyrrol-2-yl)methyl]-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-40**);

6-[2-[1-(1H-pyrazol-5-ylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-41**);

20 or a pharmaceutically acceptable salt thereof.

~~16. The compound according to claim 1, wherein said compound is:~~

~~6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-29**)~~

25 ~~or a pharmaceutically acceptable salt thereof.~~

~~17~~14. The compound according to claim ~~16~~13, wherein said compound is:

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one (**I-29**);

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one hydrochloride (**I-33**); or

6-[2-[1-(2-pyridylmethyl)-4-piperidyl]ethyl]spiro[[1,3]dioxolo[4,5-f]isoindole-7,1'-cyclopropane]-5-one phosphate (**I-35**).

5

~~18~~15. A pharmaceutical composition comprising an effective amount of the compound represented by formula (I) or a pharmaceutically acceptable salt thereof according to any one of claims 1 to ~~17~~ 14 for use in the treatment or prevention of Alzheimer's disease.

10

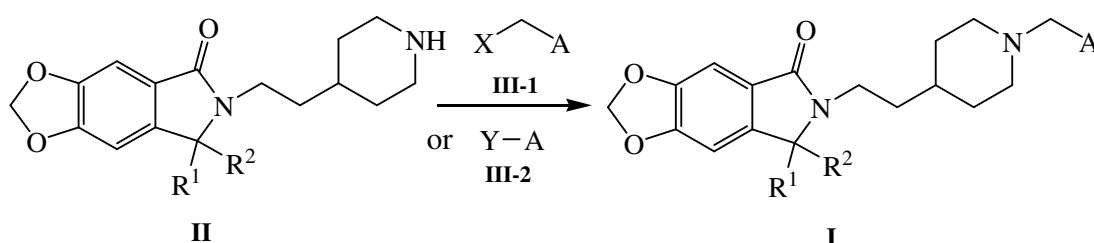
~~19.~~ Use of the compound according to any one of claims 1 to 17 in the preparation of a medicament for the treatment or prevention of diseases associated with inhibitors of acetylcholinesterase.

15

~~20.~~ Use of the compound according to any one of claims 1 to 17 in the preparation of a medicament for the treatment or prevention of Alzheimer's disease.

20

~~21~~16. A method for preparing the compound of formula (I) according to claim 1, comprising reacting a compound represented by formula II or a salt thereof with a compound represented by formula III-1 or III-2:



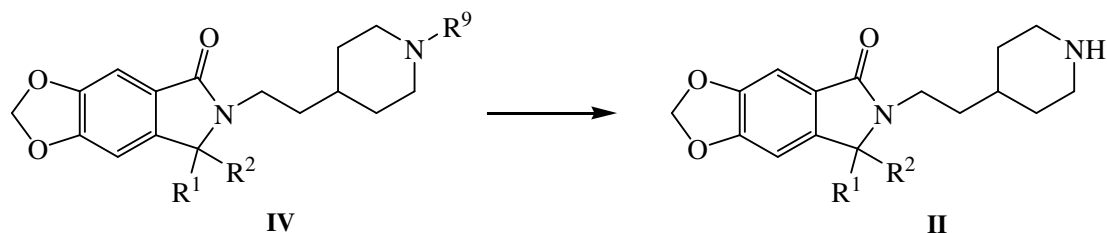
Wherein,

R^1 , R^2 and A are as defined in claim 1; X is halogen or hydroxyl; and Y is formyl or alkoxycarbonyl.

25

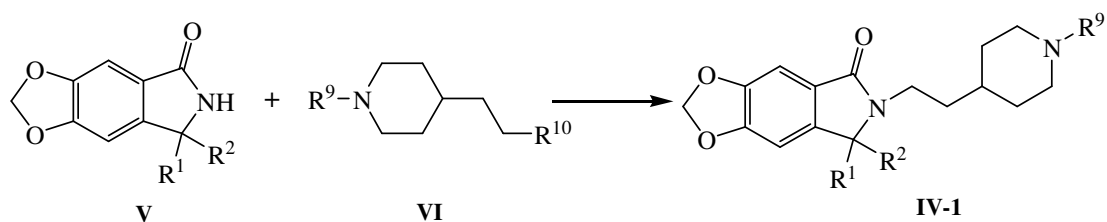
~~22~~17. The method according to claim ~~21~~ 16, wherein the compound of formula (II) or a salt thereof is prepared according to the following method, comprising removal of the

amino-protecting group in the compound represented by formula IV:



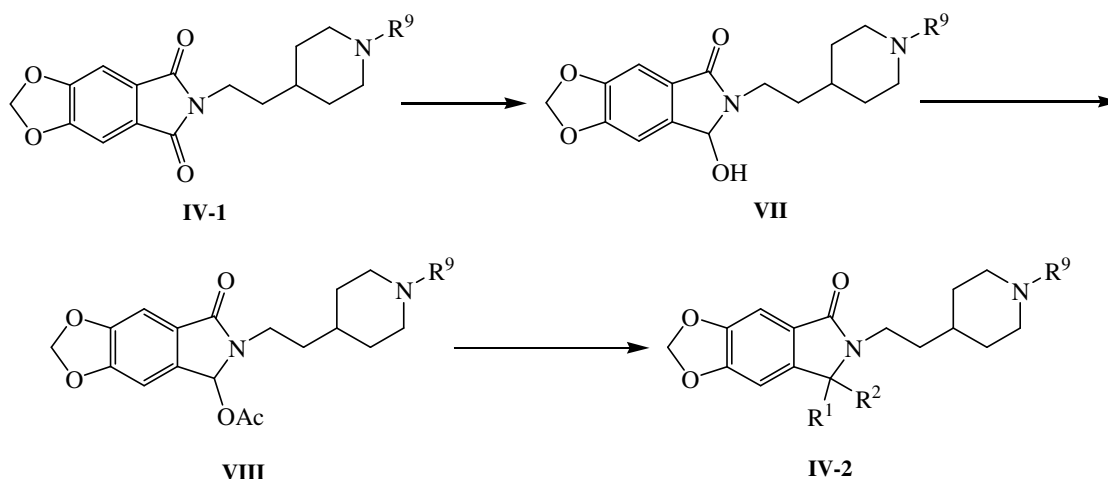
wherein, R^1 and R^2 are as defined in claim 1; R^9 is ~~an amino protecting group, preferably~~
 5 ~~tert-butoxycarbonyl (Boc).~~

~~23~~18. The method according to claim ~~22~~ 17, wherein the compound of formula IV
 wherein R^1 and R^2 together are =O, i.e., the compound of formula IV-1, is prepared
 according to the following method, comprising reacting the compound represented by
 10 formula V and the compound represented by formula VI:



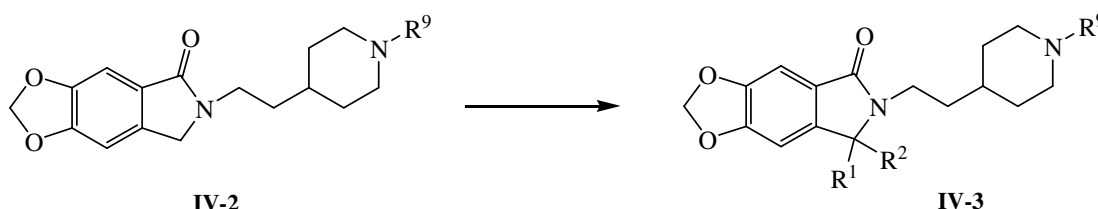
Wherein, R^1 and R^2 together are =O ; R^9 is ~~an amino protecting group, preferably~~
~~tert-butoxycarbonyl (Boc);~~ and R^{10} is halogen or tosyloxy.

15 ~~24~~19. The method according to claim ~~22~~ 17, wherein the compound of formula IV
 wherein R^1 and R^2 are each hydrogen, i.e., the compound of formula IV-2, is prepared
 according to the following method, comprising first reducing the compound represented
 by formula IV-1 to an alcohol, and then converting the resultant hydroxyl to an easily
 leavable acetoxy group, and finally removing the acetoxy group by catalytic
 20 hydrogenolysis:



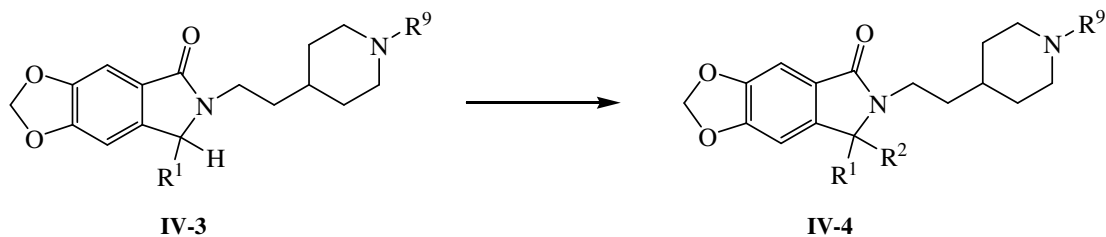
wherein, R^1 and R^2 are each hydrogen; R^9 is ~~an amino protecting group, preferably~~ tert-butoxycarbonyl (~~Boc~~).

- 5 ~~2520~~. The method according to claim ~~22~~ 17, wherein the compound of formula IV wherein R^1 is methyl or ethyl, and R^2 is hydrogen, i.e., the compound of formula IV-3, is prepared according to the following method, comprising carrying out mono-alkylation of the methylene group in the 5-membered lactam in the compound represented by formula IV-2:



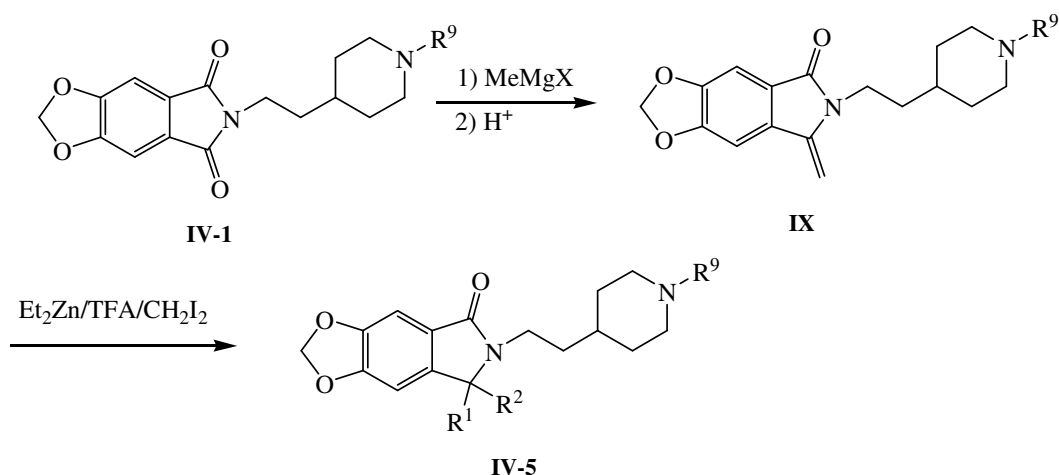
Wherein, R^1 is methyl or ethyl, and R^2 is hydrogen; R^9 is ~~an amino protecting group, preferably~~ tert-butoxycarbonyl (~~Boc~~).

- 15 ~~2621~~. The method according to claim ~~22~~ 17, wherein the compound of formula IV wherein R^1 and R^2 are each independently methyl or ethyl, i.e., the compound of formula IV-4, is prepared according to the following method, comprising carrying out further alkylation of the carbon atom connecting R^1 in the compound represented by formula IV-3:



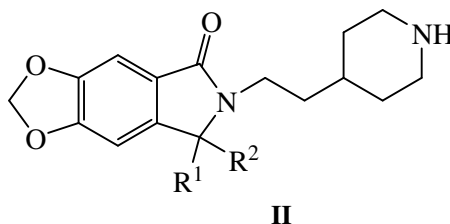
Wherein, R^1 and R^2 are each independently methyl or ethyl; R^9 is ~~an amino-protecting group, preferably~~ tert-butoxycarbonyl (~~Boc~~).

- 5 ~~2722~~. The method according to claim ~~22~~ 17, wherein the compound of formula IV wherein R^1 and R^2 together with the carbon atom connecting them form a 3-membered carbon ring, i.e., the compound of formula IV-5, is prepared according to the following method, comprising first reacting the compound represented by formula IV-1 with methyl Grignard reagent to form an alcohol, and then dehydrating the resultant alcohol to an
10 alkene under acidic conditions, finally converting the generated carbon-carbon double bond to a 3-membered ring by using $Et_2Zn/TFA/CH_2I_2$:



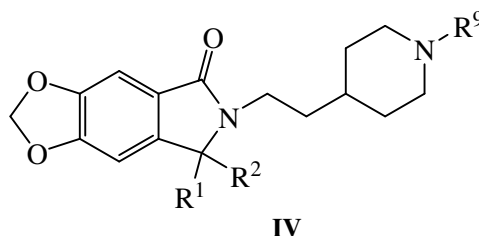
- 15 Wherein, R^1 and R^2 together with the carbon atom connecting them form a 3-membered carbon ring; R^9 is ~~an amino-protecting group, preferably~~ tert-butoxycarbonyl (~~Boc~~); X is halogen.

~~28~~23. A compound represented by formula II or a salt thereof:



wherein, R¹ and R² are as defined in claim 1.

5 ~~29~~24. A compound represented by formula IV:



wherein, R¹ and R² are as defined in claim 1; R⁹ is ~~an amino-protecting group, preferably~~ ~~tert-butoxycarbonyl (Boc).~~

10 ~~30. Use of the compound according to any one of claims 1 to 17 in the treatment or prevention of diseases associated with inhibitors of acetylcholinesterase.~~

~~31~~25. ~~Use of the A~~ compound according to any one of claims 1 to ~~17~~ 14 for use in the treatment or prevention of Alzheimer's disease.

15

26. The compound according to claim 3, wherein, R¹ and R² together with the carbon atom connecting them form a 3-membered carbon ring.

27. The compound according to claim 6, wherein R³-substituted phenyl is 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, or 2,6-difluorophenyl.

20

28. The compound according to claim 7, wherein A is 2-pyridinyl or 3-pyridinyl.

29. The compound according to claim 8, wherein A is pyrimidin-2-yl.

30. The compound according to claim 9, wherein A is pyrrol-2-yl.

5

31. The compound according to claim 10, wherein A is 5-methylpyrrol-2-yl.

32. The compound according to claim 11, wherein A is pyridazin-3-yl.

10 33. The compound according to claim 12, wherein A is 1H-pyrazol-5-yl.