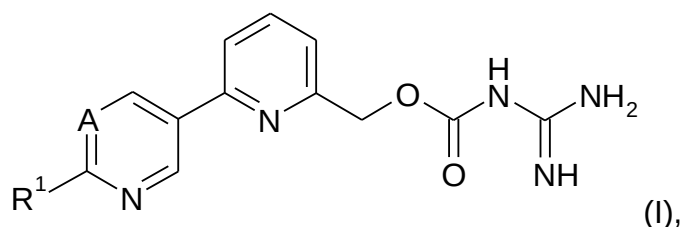


Claims

1. A compound of formula (I)

5



wherein

A is N or CH;

10

R¹ is selected from the group consisting of C₁₋₆-alkyl, C₃₋₆-cycloalkyl, heterocyclyl, -O-R², -S-R², -NH-R² and -N(R²)₂,

15

wherein each R² is independently selected from the group consisting of C₁₋₆-alkyl, C₃₋₆-cycloalkyl, heterocyclyl, -(C₁₋₂-alkyl)-(C₃₋₆-cycloalkyl), -(C₁₋₂-alkyl)-heterocyclyl, -(C₁₋₂-alkyl)-aryl, -(C₁₋₂-alkyl)-heteroaryl and -(C₁₋₂-alkyl)-C≡CH;

20

wherein each heterocyclyl of R¹ and R² is a 4- to 7-membered saturated carbocyclic group, in which 1 or 2 CH₂-moieties are independently of each other replaced by an atom or group selected from NH, O, S, -S(=O)-, -S(=O)₂- or -C(=O)-; and

25

wherein each aryl is selected from the group consisting of phenyl and naphthyl; and

wherein each heteroaryl is a 5- or 6-membered heteroaromatic ring which contains 1, 2 or 3 heteroatoms independently selected from =N-, -NH-, -O- and -S-, wherein in heteroaromatic groups

containing a -CH=N- unit, this group is optionally replaced by -NH-C(=O)- ; and

5 wherein each alkyl, cycloalkyl, heterocyclyl, aryl or heteroaryl group of R^1 and R^2 is optionally independently substituted with one or more F, Cl, CN, OH, C_{1-3} -alkyl, $\text{-O-(C}_{1-3}\text{-alkyl)}$, $\text{-C(=O)-(C}_{1-3}\text{-alkyl)}$ and $\text{-C(=O)-(C}_{3-7}\text{-cycloalkyl)}$;

10 wherein each of the above-mentioned alkyl groups may be linear or branched and are optionally substituted by one or more F;

or a salt thereof.

15 2. A compound of formula (I) according to claim 1, wherein R^1 is C_{1-4} -alkyl, C_{3-5} -cycloalkyl, heterocyclyl, -O-R^2 , -S-R^2 , -NH-R^2 or $\text{-N(R}^2\text{)}_2$;

20 wherein each heterocyclyl is a 4- to 6-membered saturated carbocyclic group, in which 1 or 2 CH_2 -moieties are replaced by a heteroatom selected from NH, O or S; and

25 wherein each alkyl, cycloalkyl or heterocyclyl group is optionally independently substituted with 1 to 5 F and / or 1 to 3 substituents independently selected from the group consisting of Cl, CN, OH, C_{1-2} -alkyl, $\text{-O-(C}_{1-2}\text{-alkyl)}$, $\text{-C(=O)-(C}_{1-2}\text{-alkyl)}$ and $\text{-C(=O)-(C}_{3-4}\text{-cycloalkyl)}$; and

wherein R^2 is as defined in claim 1.

or a salt thereof.

30 3. A compound of formula (I) according to claim 2, wherein R^1 is C_{1-2} -alkyl, C_{3-4} -cycloalkyl, heterocyclyl, -O-R^2 , -NH-R^2 or $\text{-N(R}^2\text{)}_2$;

wherein each heterocyclyl is selected from the group consisting of azetidiny, piperidiny, tetrahydrofuranyl, tetrahydropyranyl and morpholiny; and

5 wherein each alkyl, cycloalkyl or heterocyclyl group is optionally independently substituted with 1 to 3 F or one substituent selected from the group consisting of CN, OH, CH₃, -O-CH₃, -C(=O)-CH₃ and -C(=O)-cyclopropyl; and

wherein R² is as defined in claim 1.

10 or a salt thereof.

4. A compound of formula (I) according to any one of claims 1 to 3, wherein R² is selected from the group consisting of C₁₋₄-alkyl, C₃₋₅-cycloalkyl, heterocyclyl, -(C₁₋₂-alkyl)-(C₃₋₅-cycloalkyl), -(C₁₋₂-alkyl)-heterocyclyl, -(C₁₋₂-alkyl)-aryl, -(C₁₋₂-alkyl)-heteroaryl and -(C₁₋₂-alkyl)-C≡CH;

15

wherein each heterocyclyl is a 4- to 6-membered saturated carbocyclic group, in which 1 or 2 CH₂-moieties are replaced by a heteroatom selected from NH, O or S; and

20

wherein each aryl is selected from the group consisting of phenyl and naphthyl; and

wherein each heteroaryl is a 5- or 6-membered heteroaromatic ring which contains 1, 2 or 3 heteroatoms independently selected from =N-, -NH-, -O- and -S-; and

25

wherein each alkyl, cycloalkyl, heterocyclyl, aryl or heteroaryl group is optionally independently substituted with one or more F, Cl, CN, OH, C₁₋₂-alkyl, -O-(C₁₋₂-alkyl), -C(=O)-(C₁₋₂-alkyl) and -C(=O)-(C₃₋₇-cycloalkyl).

30

or a salt thereof.

5. A compound of formula (I) according to any one of claims 1-3, wherein R^2 is selected from the group consisting of: C_{1-4} -alkyl, $-CH_2-(C_{3-4}$ -cycloalkyl), $-CH_2$ -heterocyclyl, $-CH_2$ -heteroaryl and $-CH_2-CH_2-C\equiv CH$;

5 wherein each heterocyclyl is selected from the group consisting of tetrahydrofuranyl and piperidinyl; and

wherein each heteroaryl is selected from the group consisting of isoxazolyl, thiazolyl and thiadiazolyl; and

10

wherein each alkyl, cycloalkyl, heterocyclyl, aryl or heteroaryl group is optionally independently substituted with one or more F, CN, CH_3 , $-OCH_3$, $-C(=O)-CH_3$ and $-C(=O)$ -cyclopropyl;

15 or a salt thereof.

6. A compound of formula (I) according to any one of claims 1 to 5, wherein A is N; or a salt thereof.

20

7. A compound of formula (I) according to claim 1, wherein

A is N; and

25 R^1 is selected from the group consisting of cyclopropyl, heterocyclyl and $-O-R^2$;

wherein R^2 is selected from the group consisting of C_{1-6} -alkyl, $-(C_{1-2}$ -alkyl)-(C_{3-6} -cycloalkyl), $-(C_{1-2}$ -alkyl)-heteroaryl and $-(C_{1-2}$ -alkyl)- $C\equiv CH$;

30

wherein each heterocyclyl is selected from the group consisting of azetidiny, piperidinyl, tetrahydrofuranyl, tetrahydropyranyl and morpholinyl; and

wherein each heterocyclyl group is optionally independently substituted with one substituent selected from F, CN, OH, CH₃, -O-CH₃; and

5 wherein each heteroaryl is selected from the group consisting of isoxazolyl, thiazolyl and thiadiazolyl; and

10 wherein each alkyl, cycloalkyl, heterocyclyl, or heteroaryl group is optionally independently substituted with one or more F, CN, CH₃, -OCH₃, -C(=O)-CH₃ and -C(=O)-cyclopropyl;

wherein each of the above-mentioned alkyl groups may be linear or branched and are optionally substituted by one or more F;

or a salt thereof.

15

8. A compound of formula (I) according to claim 1, wherein

A is N;

20 R¹ is selected from the group consisting of cyclopropyl, heterocyclyl and -O-R²;

wherein R² is selected from the group consisting of C₁₋₄-alkyl, -CH₂-(C₃₋₄-cycloalkyl), -CH₂-heteroaryl and -CH₂-CH₂-C≡CH;

25 wherein each heteroaryl is selected from the group consisting of isoxazolyl, thiazolyl and thiadiazolyl; and

wherein each alkyl, cycloalkyl, aryl or heteroaryl group is optionally independently substituted with one or more F, CN and -OCH₃.

30

wherein each heterocyclyl is selected from the group consisting of azetidiny, piperidiny, tetrahydrofuranyl, tetrahydropyranyl and morpholinyl; and

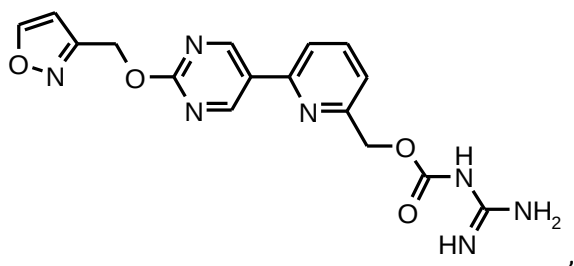
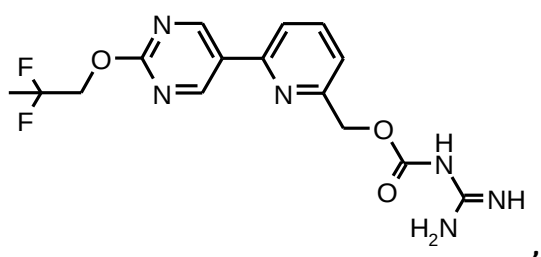
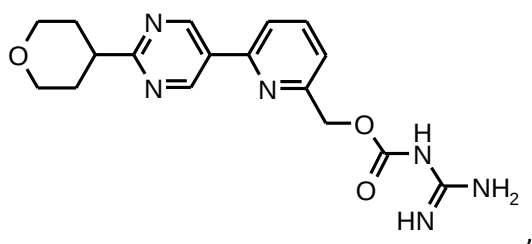
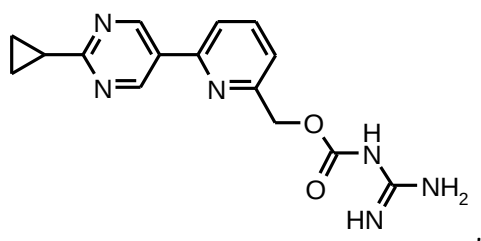
wherein each heterocyclyl group is optionally independently substituted with one substituent selected from F, CN, OH, CH₃, -O-CH₃;

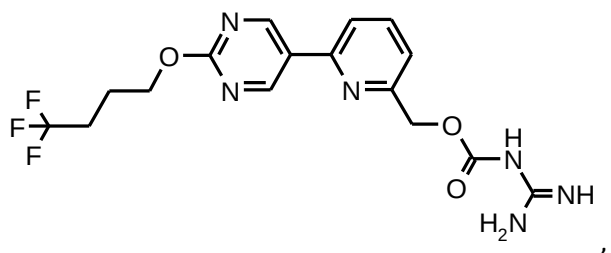
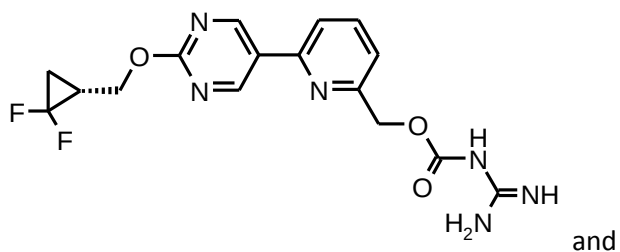
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or a salt thereof.

9. A compound of formula (I) according to claim 1 selected from the group consisting of:

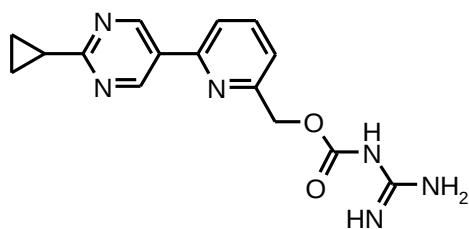
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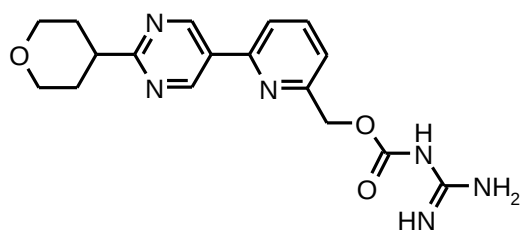


or a salt thereof.

- 5 10. A compound having the structure:

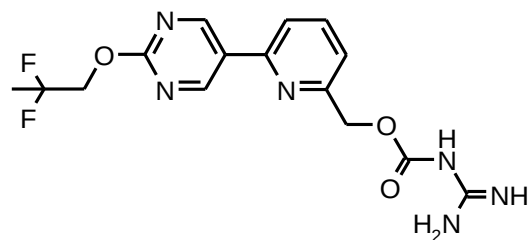


11. A compound having the structure:

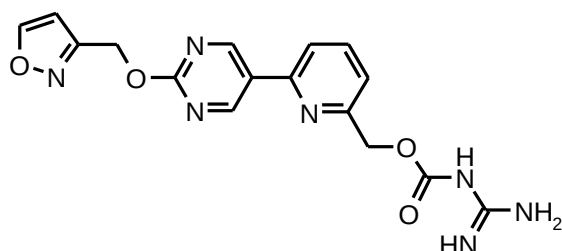


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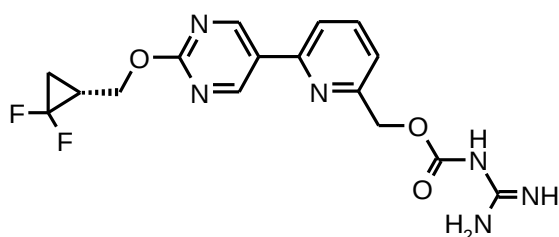
12. A compound having the structure:



13. A compound having the structure:

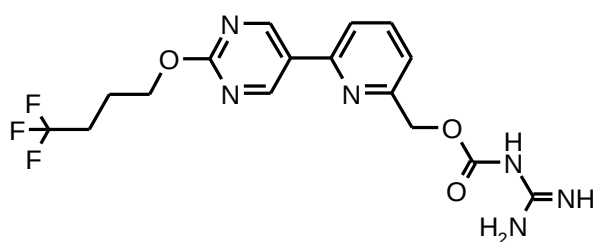


14. A compound having the structure:



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15. A compound having the structure:



10 16. A pharmaceutically acceptable salt of a compound according to any one of claims 1 to 15.

17. A compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof for use as a medicament.

15

18. A compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof for use in the treatment of NASH (non-alcoholic steatohepatitis), pulmonary fibrosis, retinopathy, nephropathy, chronic obstructive pulmonary disease (COPD) or diabetic retinopathy.

20

19. A pharmaceutical composition comprising a compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof, optionally together with one or more inert carriers and/or diluents.

5 20. Use of a compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for the treatment of a disease or condition which is mediated by inhibiting the activity of AOC3.

10 21. A pharmaceutical composition comprising one or more compounds according to one or more of the claims 1 to 15 or a pharmaceutically acceptable salt thereof, and one or more additional therapeutic agents, optionally together with one or more inert carriers and/or diluents.