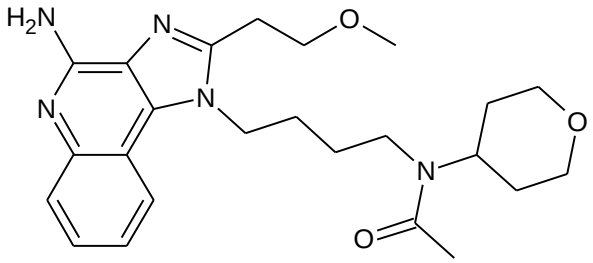
Claims

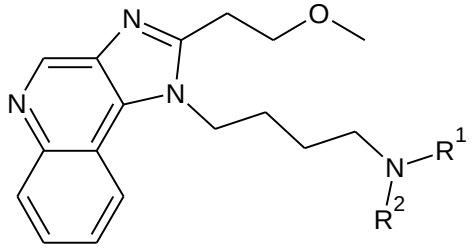
1. A process for synthesizing a compound having the formula (I):



(I)

or a solvate or salt thereof, the process comprising the following steps:

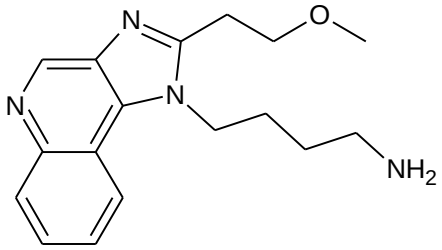
a) providing a compound of formula (3):



(3)

or a solvate or salt thereof, wherein each of R^1 and R^2 is independently selected from the group consisting of -H and an amino protecting group, and at least one of R^1 and R^2 is an amino protecting group;

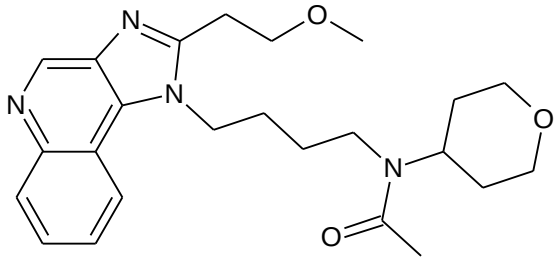
b) reacting the compound of formula (3) or a solvate or salt thereof with one or more reagents to remove the amino protecting group(s) at position R^1 and R^2 to give a compound of formula (4):



(4)

or a solvate or salt thereof;

c) reacting the compound of formula (4) or a solvate or salt thereof with one or more reagents for introducing (i) a tetrahydropyranyl group and (ii) an acetyl group to give a compound of formula (5):



(5)

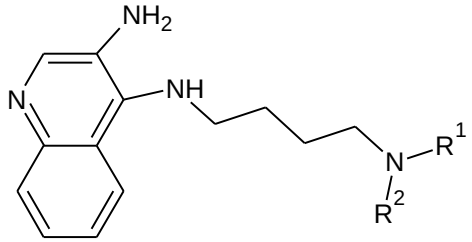
or a solvate or salt thereof; and

d) subjecting the compound of formula (5) or a solvate or salt thereof to an oxidative amination reaction to give the compound of formula (I) or a solvate or salt thereof.

2. The process of claim 1, further comprising the step of (i) precipitating the compound of formula (4) or a solvate or salt thereof before step c); (ii) precipitating the compound of formula (5) or a solvate or salt thereof before step d); and/or (iii) precipitating the compound of formula (I) or a solvate or salt thereof after step d).

3. The process of claim 1 or 2, wherein each of R^1 and R^2 is independently selected from the group consisting of -H, tert-butyloxycarbonyl (Boc), 9-fluorenylmethyloxy carbonyl (Fmoc), benzyloxycarbonyl (Cbz), tosylate (Ts), benzyl (Bn), allyloxycarbonyl (Alloc), trityl (Trt), dimethoxytrityl (DMT), and monomethoxytrityl (MMT).

4. The process of any one of claims 1 to 3, wherein step a) comprises reacting a compound of formula (1):



(1)

or a solvate or salt thereof with $HOOC(CH_2)_2OCH_3$ (formula (2)) or a derivative thereof to give a compound of formula (3) or a solvate or salt thereof.

5. The process of claim 4, wherein the derivative of formula (2) is (i) selected from the group consisting of carboxylic acid halides, orthoesters, and 1,1-dialkoxyalkyl alkanoates; and/or (ii) an orthoester, preferably an orthoester having the formula $(R^{10}O)_3C(CH_2)_2OCH_3$, wherein each R^{10} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, and heterocycloalkyl.

6. The process of claim 4 or 5, wherein the reaction of the compound of formula (1) with the compound of formula (2) is performed (i) in a solvent; (ii) in the presence of an acid; (iii) at a suitable temperature; and/or (iv) for a sufficient amount of time.

7. The process of claim 6, wherein the solvent is an aromatic solvent; the suitable temperature is between 0°C and 120°C or at the reflux temperature of the solvent, if present; and/or the sufficient amount of time is from 30 min to 10 h.

8. The process of any one of claims 1 to 7, wherein step b) is performed (i) in a solvent; (ii) at a suitable temperature; and/or (iii) for a sufficient amount of time.

9. The process of claim 8, wherein the solvent is an aromatic solvent, or the solvent used in step a); the suitable temperature is between 0°C and 40°C; and/or the sufficient amount of time is from 30 min to 5 h.

10. The process of any one of claims 1 to 9, wherein each of R^1 and R^2 is independently selected from the group consisting of -H and tert-butyloxycarbonyl (Boc) and the one or more reagents to remove the amino protecting group(s) in step b) are selected from the group consisting of trifluoroacetic acid (TFA), HCl, CH_3SO_3H, and $(H_3C)_3SiCl$ (TMSCl), wherein preferably, after the reaction of the compound of formula (3) or a solvate or salt thereof with one or more reagents to remove the amino protecting group(s), step b) further comprises adding a base.

11. The process of any one of claims 1 to 10, wherein the one or more reagents for introducing a tetrahydropyranyl group in step c) are tetrahydropyranone and a reducing agent.

12. The process of claim 11, wherein the reducing agent is a borohydride.

13. The process of any one of claims 1 to 12, wherein in step c) the reaction of the compound of formula (4) or a solvate or salt thereof with one or more reagents for introducing a tetrahydropyranyl group is performed (i) in a solvent; (ii) in the presence of an acid; (iii) at a suitable temperature; and/or (iv) for a sufficient amount of time.

14. The process of claim 13, wherein the solvent is a halogenated organic solvent; the suitable temperature is between -20°C and 40°C; and/or the sufficient amount of time is from 5 h to 24 h.

15. The process of any one of claims 1 to 14, wherein the one or more reagents for introducing an acetyl group in step c) are selected from acetic anhydride and acetic halides.

16. The process of any one of claims 1 to 15, wherein in step c) the reaction of the compound of formula (4) or a solvate or salt thereof with one or more reagents for introducing an acetyl group is performed (i) in a solvent; (ii) at a suitable temperature; and/or (iii) for a sufficient amount of time.

17. The process of claim 16, wherein the solvent is a halogenated organic solvent; the suitable temperature is between 0°C and 50°C; and/or the sufficient amount of time is 6 h to 48 h.

18. The process of any one of claims 1 to 17, wherein step d) comprises reacting the compound of formula (5) or a solvate or salt thereof with first (i) an oxidation agent, and then with (ii) an acylating agent and an aminating agent.

19. The process of claim 18, wherein the oxidation agent is selected from oxidation agents capable of forming N-oxides, such as 3-chloroperoxybenzoic acid, and the oxidation reaction is preferably performed (i) in a solvent; (ii) at a suitable temperature; and/or (iii) for a sufficient amount of time.

20. The process of claim 18 or 19, wherein the acylating agent is selected from the group consisting of alkysulfonyl halides and arylsulfonyl halides, such as methanesulfonyl chloride, benzenesulfonyl chloride, or p-toluenesulfonyl chloride, and the reaction with the acylating agent is preferably performed (i) in a solvent; (ii) at a suitable temperature; and/or (iii) for a sufficient amount of time.

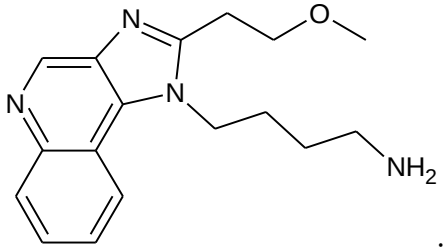
21. The process of any one of claims 18 to 20, wherein the aminating agent is selected from the group consisting of ammonia and its salts, such as aqueous NH_3, ammonium hydroxide, ammonium carbonate, ammonium bicarbonate, or ammonium phosphate, and the reaction with the aminating agent is preferably performed (i) in a solvent; (ii) at a suitable temperature; and/or (iii) for a sufficient amount of time.

22. The process of any one of claims 19 to 21, wherein the solvent is a halogenated organic solvent; the suitable temperature is between -10°C and 40°C; and/or the sufficient amount of time is from 30 min to 12 h.

23. The process of any one of claims 1 to 22, wherein after step d) the process further comprises crystallizing the compound of formula (I) or a solvate or salt thereof, preferably from an alcoholic solvent.

24. The process of any one of claims 1 to 23, wherein one or more of steps a) to d) are performed in a chromatography-free manner.

25. A compound selected from the group consisting of:



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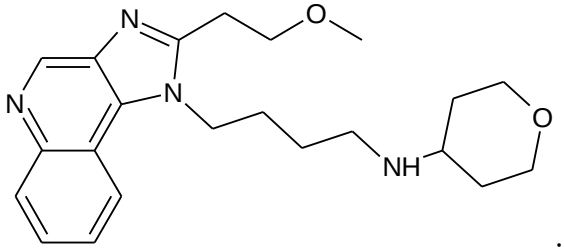

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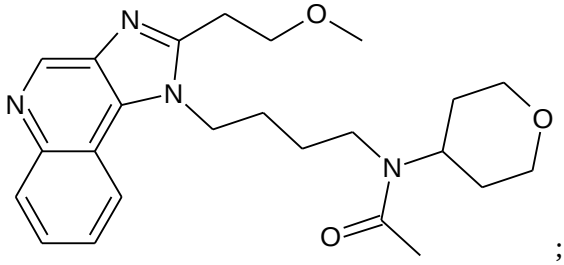

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and a solvate or salt thereof.

26. Use of a compound of claim 25 for synthesizing a compound of formula (I).