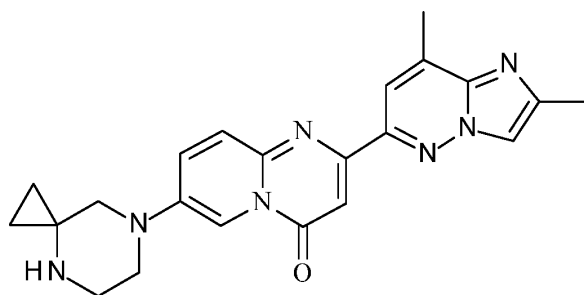


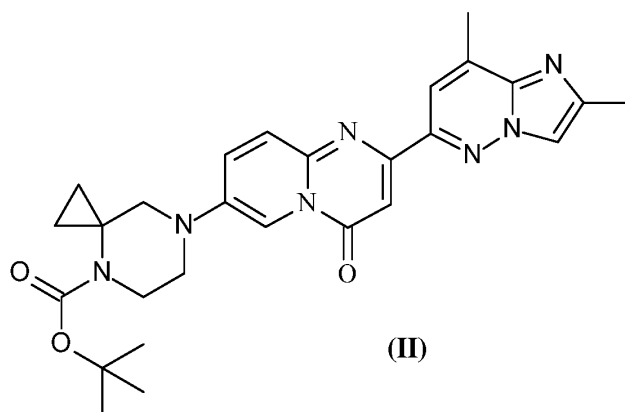
Claims

1. A process for the preparation of a compound of formula (I) or the HCl salt thereof:



(I)

which comprises reacting compound of formula (II):

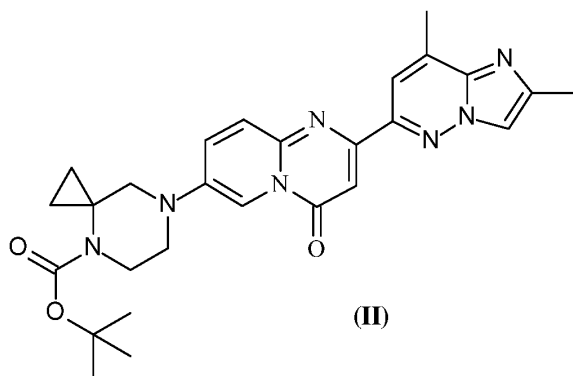


(II)

5

with a strong acid, in particular HCl.

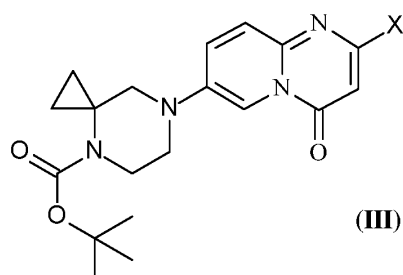
2. The process according to claim 1, wherein the HCl is made *in situ* with 1-propanol and acetyl chloride.
3. A process for the preparation of a compound of formula (II)



(II)

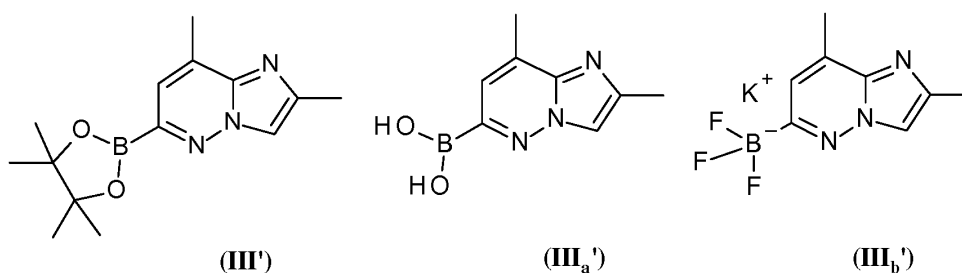
10

which comprises reacting a compound of formula (III)



wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻),
fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as
5 Cl, Br, or I),

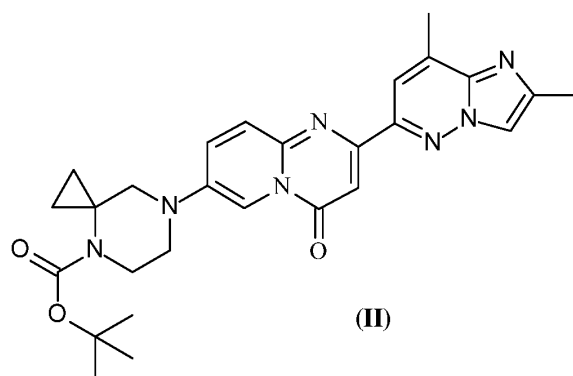
with a compound of formula (III'), (III_a') or (III_b'), in particular with a compound of
formula (III')



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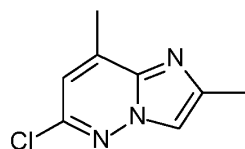
in the presence of a palladium catalyst or nickel catalyst, in particular in presence of a
palladium catalyst.

4. A process for the preparation of a compound of formula (II)

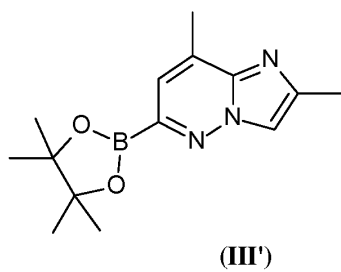


which comprises

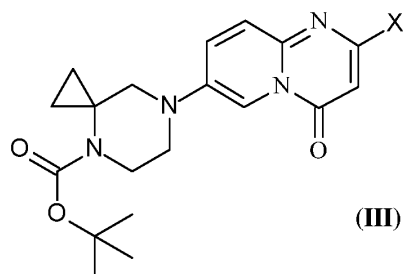
- a) reacting a compound of formula (III')



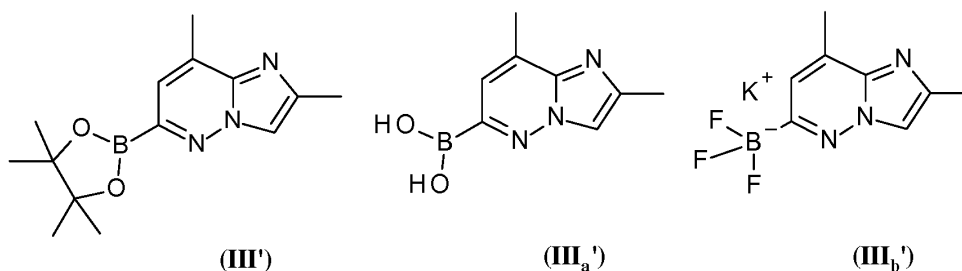
- 5 with bis(pinacolato)diboron to obtain a compound of formula (III'):



- b) reacting a compound of formula (III)



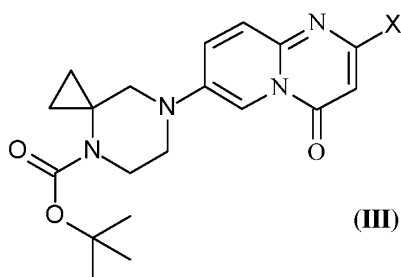
wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻),
 fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as
 Cl, Br, or I) with a compound of formula (III'), (III_a') or (III_b'), in particular with a
 compound of formula (III'),



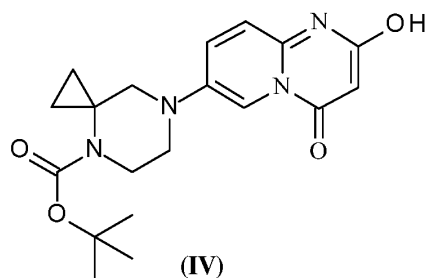
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in the presence of a palladium catalyst or nickel catalyst, in particular in presence of a
 palladium catalyst, to obtain a compound of formula (II).

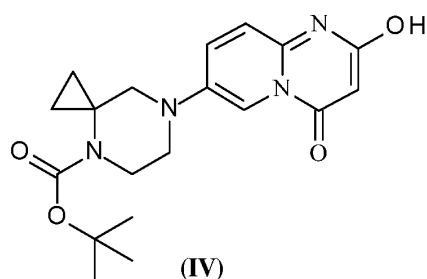
5. The process according to claim 2 or 5, carried out in presence of a base, particularly
 wherein the base is Na₂CO₃, K₂CO₃, Cs₂CO₃, KOAc or KOtBu, more particularly
 10 wherein the base is K₂CO₃.
6. The process according to any one of claims 4 to 6, wherein steps a) and b) are
 telescoped.
7. A process for the preparation of a compound of formula (III)



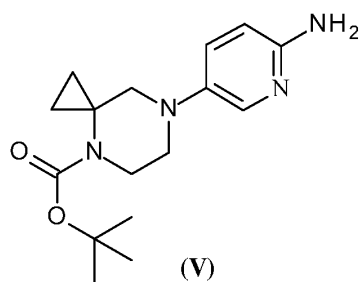
- 15 wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻),
 fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as
 Cl, Br, or I), which comprises reacting a compound of formula (IV)



- 5
- with tosyl chloride when X is pTol-SO₃⁻, methanesulfonyl chloride when X is CH₃SO₃⁻, triflyl chloride when X is CF₃SO₃⁻ or phenylsulfonylchloride when X is phenyl-SO₃⁻, and in the presence of a base, in particular wherein the base is an organic base or basic alkali metal salts, more particularly wherein the base is nitrogen-containing heterocycle, tertiary amine or basic alkali metal salts, most particularly wherein the base is a tertiary amine;
 - with POCl₃ when X is Cl;
 - with POBr₃ when X is Br; or
 - 10 - with Ph₃PI₂ or POCl₃ followed by NaI or CuI, when X is I.
8. A process for the preparation of a compound of formula (IV)

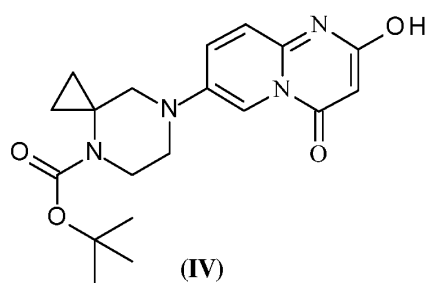


which comprises reacting a compound of formula (V)



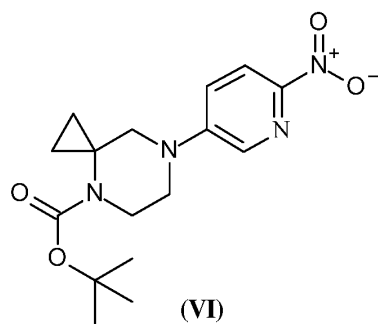
with di-tert-butyl malonate.

9. A process for the preparation of a compound of formula (IV)

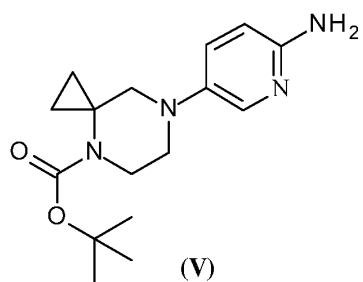


5 which comprises :

a) reduction of a compound of formula (VI)

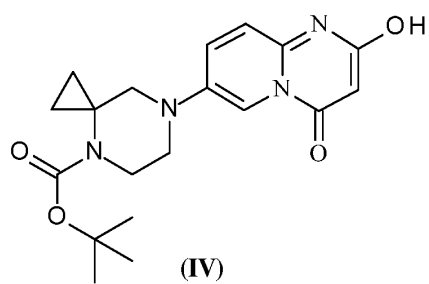


to a compound of formula (V)



- b) reacting a compound of formula (V) with di-tert-butyl malonate to obtain a compound of formula (IV).

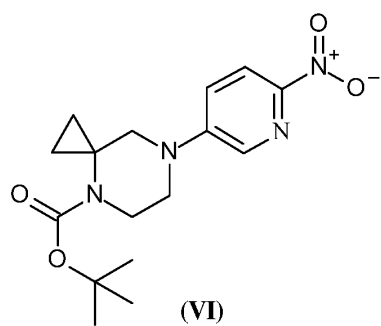
10. A process for the preparation of a compound of formula (IV)



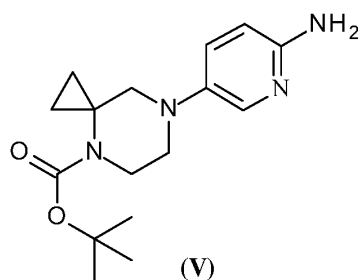
5

which comprises :

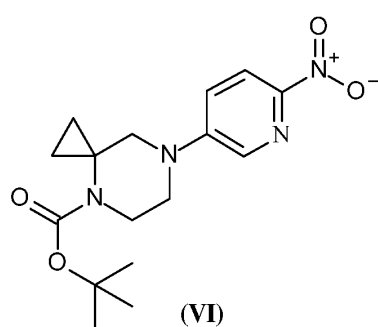
- a) reacting a compound of formula (VI)



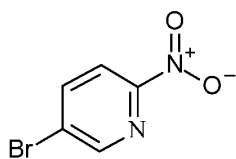
with a transition metal hydrogenation catalyst to obtain a compound of formula (V)



- b) reacting a compound of formula (V) with di-tert-butyl malonate to obtain a compound of formula (IV).
11. The process according to any one of claims 8 to 11, which comprises reacting a compound of formula (V) with di-tert-butyl malonate, carried out in the presence of xylene, dichlorobenzene, toluene or anisole, in particular anisole.
12. The process according to any one of claims 11 to 12, wherein the transition metal hydrogenation catalyst is Raney catalyst (e.g. Ra-Ni, Ra-Co,) Pd/C, Pd(OH)₂/C, Au/TiO₂, Rh/C, Ru/Al₂O₃, Ir/CaCO₃, Pt-V/C or Pt/C or combination thereof, in particular Pt-V/C, more particularly Pt 1% and V 2% on activated carbon.
13. The process according to any one claims 9 to 13, wherein steps a) and b) are telescoped.
14. A process for the preparation of a compound of formula (VI)

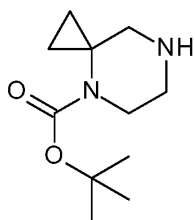


- 15 which comprises reacting a compound of formula (VII)



(VII)

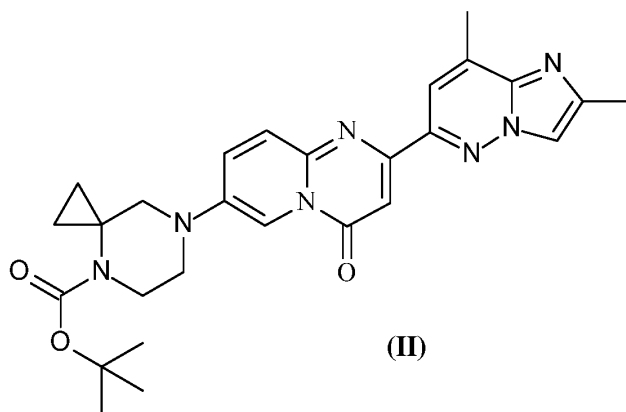
with a compound of formula (VIII)



(VIII) or a salt thereof (in particular the oxalate salt), more particularly wherein salt of the compound of formula (VIII) is tert-butyl 4,7-diazaspiro[2.5]octane-4-carboxylate oxalate salt.

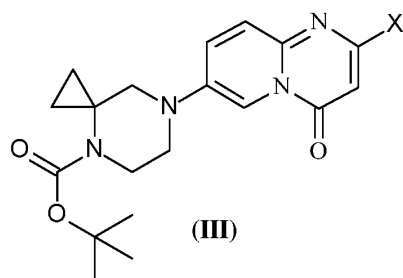
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15. A compound of formula (II):



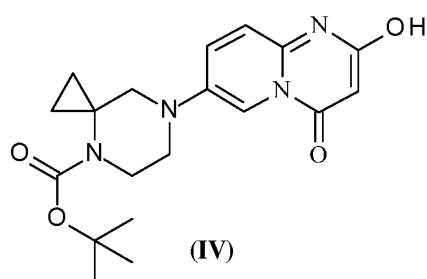
(II)

16. A compound of formula (III):

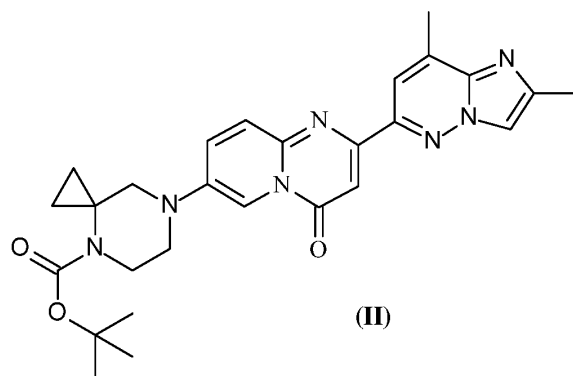


wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻), fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as Cl, Br, or I).

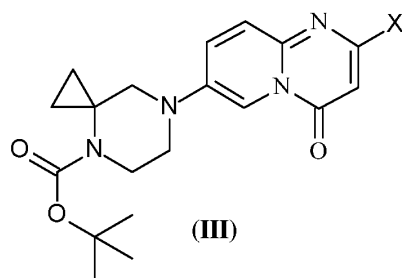
- 5 17. A compound of formula (IV):



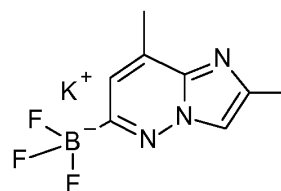
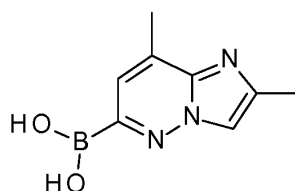
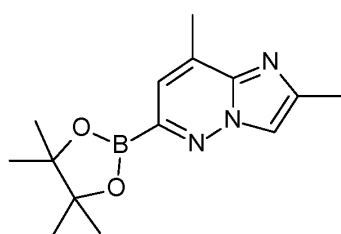
18. The process according to any one of claims 1 to 2, which further comprises the preparation of a compound of formula (II)



- 10 by reacting a compound of formula (III)



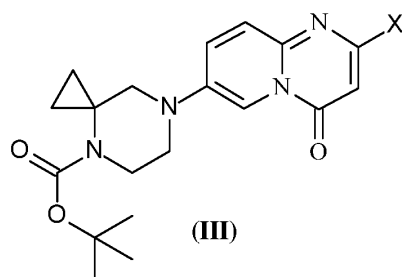
wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻),
 fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as
 Cl, Br, or I), with a compound of formula (III'), (III_a') or (III_b'), in particular with a
 5 compound of formula (III')



in

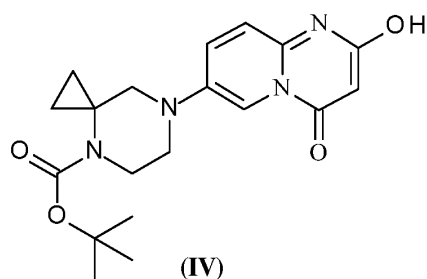
the presence of a palladium catalyst or nickel catalyst, in particular in presence of a
 palladium catalyst:

19. The process according to claim 18, wherein in addition to the palladium catalyst a
 10 base is present, particularly wherein the base is Na₂CO₃, K₂CO₃, Cs₂CO₃, KOAc or
 K₂OtBu more particularly wherein the base is K₂CO₃.
20. The process according to claim 18 or 19, which further comprises the preparation of
 a compound of formula (III)



wherein X is an alkyl or aryl sulfonate (such as pTolSO₃⁻, CH₃SO₃⁻, phenyl-SO₃⁻),
fluorinated alkyl or aryl sulfonates (such as CF₃SO₃⁻, nonaflate), or a halide (such as
Cl, Br, or I);

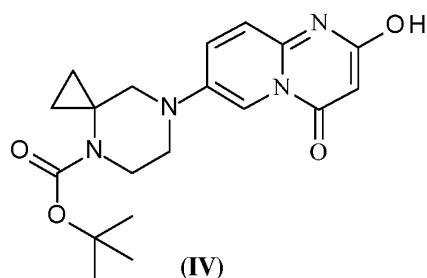
by reacting a compound of formula (IV)



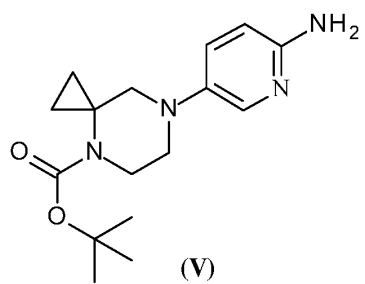
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- with tosyl chloride when X is pTol-SO₃⁻, methanesulfonyl chloride when X is CH₃SO₃⁻, triflyl chloride when X is CF₃SO₃⁻ or phenylsulfonylchloride when X is phenyl-SO₃⁻, and in the presence of a base, in particular wherein the base is an organic base or basic alkali metal salts, more particularly wherein the base is nitrogen-containing heterocycle, tertiary amine or basic alkali metal salts, most particularly wherein the base is a tertiary amine;
- with POCl₃ when X is Cl;
- with POBr₃ when X is Br; or
- with Ph₃PI₂ or POCl₃ followed by NaI or CuI, when X is I.

- 15 21. The process according to claim 20, which further comprises the preparation of a compound of formula (IV)



by reacting a compound of formula (V)



with di-tert-butyl malonate.

22. The invention as hereinbefore described.