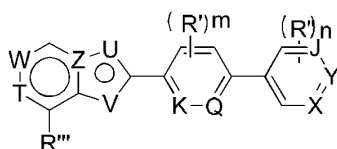


What is claimed is:

1. A heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof,



(I)

wherein, W is N-R or C-R¹;

R is absent or C₁₋₆ alkyl, and the C₁₋₆ alkyl of which is optionally substituted by the substituent selected from the group consisting of OH, halogen, C₃₋₆ heterocycloalkyloxy, toluenesulfonyloxy and phenyl which is further optionally substituted by C₁₋₃ alkoxy, OH or C₁₋₃ alkyl; the heteroatom contained in the C₃₋₆ heterocycloalkyloxy is selected from the group consisting of N, O and S;

R¹ is H, halogen, OH, NH₂, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylamino or C₁₋₆ alkoxy, and OH, NH₂, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylamino or C₁₋₆ alkoxy of which is optionally substituted by the substituent selected from the group consisting of halogen, OH, C₃₋₆ heterocycloalkyloxy and toluenesulfonyloxy;

T is C-R³ or N;

R³ is H, OH, C₁₋₆ alkoxy or halogen;

Z is N or C;

U is N-R⁴, S, O or C-R⁵;

R⁴ is absent, H, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl or benzoyl, and the C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl and benzoyl of which is optionally substituted by the substituents selected from the group consisting of halogen, OH, C₁₋₃ alkoxy, C₃₋₆ heterocycloalkyloxy and toluenesulfonyloxy;

R⁵ is H or C₁₋₆ alkyl, and the C₁₋₆ alkyl is optionally substituted by halogen and/or OH;

V is CH, N or NH;

Q is CH or N;

X is CH or N;

Y is CR⁶ or N;

R^6 is selected from the group consisting of H, NH_2 and a C_{1-6} alkoxy, and NH_2 and the C_{1-6} alkoxy is optionally substituted by C_{1-3} alkyl and/or halogen;

J is CH or N;

K is CH or N;

provided that X and Y are not N simultaneously, and J and Y are not N simultaneously;

R' is halogen, OH, C_{1-6} alkyl or C_{1-6} alkoxy;

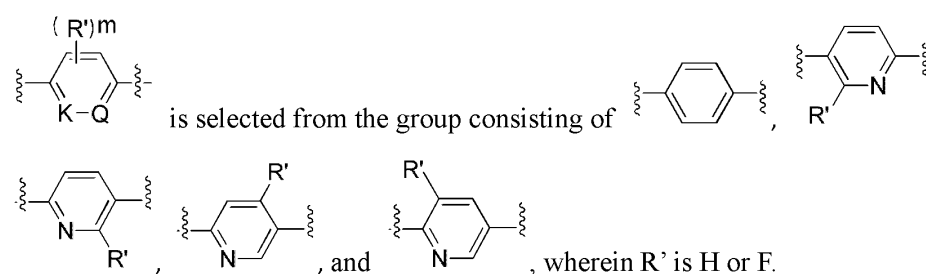
R'' is halogen, OH, NH_2 , C_{1-6} alkoxy, C_{1-6} alkylamino or C_{3-6} heterocycloalkyl, and OH, NH_2 , C_{1-6} alkoxy, C_{1-6} alkylamino and C_{3-6} heterocycloalkyl of which is optionally substituted by the substituent selected from the group consisting of oxo, OH, halogen, C_{3-6} cycloalkyl, C_{1-4} alkoxy carbonyl, C_{3-6} heterocycloalkyloxy, toluenesulfonyloxy and phenyl which is further optionally substituted by OH and/or C_{1-3} alkoxy;

R''' is H, OH or halogen;

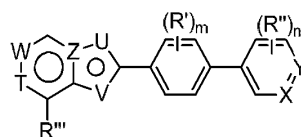
m is 0, 1, 2;

n is 0, 1, 2; provided that U and V are both containing N atom, R^1 and R^3 are not CF_3 or Cl.

2. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 1, wherein the moiety of

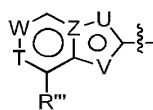


3. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 1, which is of the structure of formula (II),

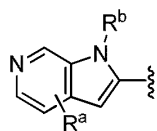


(II)

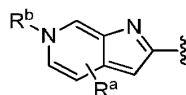
wherein, X is CH or N; Y is CH or N, provided that X and Y are not N simultaneously;



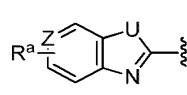
the structural unit is selected from the group consisting of



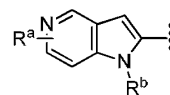
Formula I-(a)



Formula I-(b)

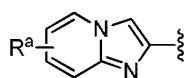


Formula I-(c)



Formula I-(d)

and



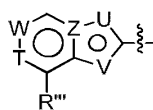
Formula I-(e)

wherein, in Formula I-(c), U is O or S; Z is CH or N;

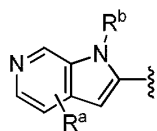
R^a is selected from the group consisting of H, OH, halogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, NH₂, C₁₋₃ alkylamino and C₁₋₆ alkoxycarbonyl, and OH, C₁₋₃ alkyl, C₁₋₃ alkoxy, NH₂, C₁₋₃ alkylamino or C₁₋₆ alkoxycarbonyl of which is optionally substituted by OH, halogen, C₃₋₆ heterocycloalkyloxy or toluenesulfonyloxy;

R^b is selected from the group consisting of H, C₁₋₆ alkyl, C₁₋₆ alkoxycarbonyl, C₁₋₃ alkylcarbonyl, benzyl and benzoyl, and the C₁₋₆ alkyl, C₁₋₆ alkoxycarbonyl, C₁₋₃ alkylcarbonyl or benzoyl of which is optionally substituted by halogen, OH, C₁₋₃ alkoxy, C₃₋₆ heterocycloalkyloxy or toluenesulfonyloxy.

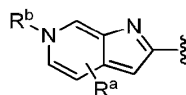
4. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 1, wherein,



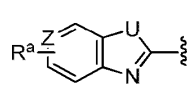
the structural unit is selected from the group consisting of



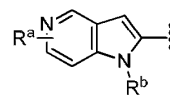
Formula I-(a)



Formula I-(b)

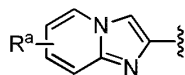


Formula I-(c)



Formula I-(d)

and



Formula I-(e)

wherein, in Formula I-(c), U is O or S; Z is CH or N;

R^a is selected from the group consisting of H, OH, halogen, C₁₋₃ alkyl, C₁₋₃ alkoxy, NH₂, C₁₋₃ alkylamino and C₁₋₆ alkoxy carbonyl, and OH, C₁₋₃ alkyl, C₁₋₃ alkoxy, NH₂, C₁₋₃ alkylamino or C₁₋₆ alkoxy carbonyl of which is optionally substituted by OH, halogen, C₂₋₆ heterocycloalkyloxy or toluenesulfonyloxy;

R^b is selected from the group consisting of H, C₁₋₆ alkyl, C₁₋₆ alkoxy carbonyl, C₁₋₃ alkyl carbonyl, benzyl and benzoyl, and the C₁₋₆ alkyl, C₁₋₆ alkoxy carbonyl, C₁₋₃ alkyl carbonyl or benzoyl of which is optionally substituted by halogen, OH, C₁₋₃ alkoxy, C₂₋₆ heterocycloalkyloxy or toluenesulfonyloxy.

5. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 1, wherein,

R is C₁₋₃ alkyl which is optionally substituted by the substituent selected from the group consisting of F, OH, *p*-toluenesulfonyloxy, C₃₋₅ heterocycloalkyloxy and phenyl which is optionally substituted by OH or methoxy;

and/or, R¹ is H, F, OH, NH₂, C₁₋₃ alkoxy carbonyl, C₁₋₃ alkyl, C₁₋₃ alkylamino or C₁₋₃ alkoxy; and OH, NH₂, C₁₋₃ alkoxy carbonyl, C₁₋₃ alkyl, C₁₋₃ alkylamino or C₁₋₃ alkoxy of which is optionally substituted by the substituent selected from the group consisting of F, OH, *p*-toluenesulfonyloxy and C₃₋₅ heterocycloalkyloxy;

and/or, R³ is C₁₋₃ alkoxy, F or Cl;

and/or, R⁴ is C₁₋₃ alkyl, C₁₋₄ alkoxy carbonyl, C₁₋₃ alkyl carbonyl or benzoyl, and C₁₋₃ alkyl, C₁₋₄ alkoxy carbonyl, C₁₋₃ alkyl carbonyl or benzoyl of which is optionally substituted by the substituents selected from the group consisting of F, OH, methoxy, C₃₋₅ heterocycloalkyloxy and *p*-toluenesulfonyloxy;

and/or, R⁵ is C₁₋₃ alkyl;

and/or, R⁶ is selected from the group consisting of H, NH₂ and a C₁₋₃ alkoxy, and NH₂ and the C₁₋₃ alkoxy is optionally substituted by C₁₋₃ alkyl and/or F;

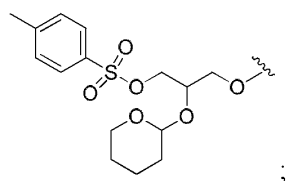
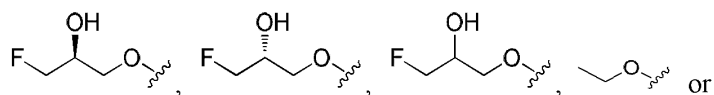
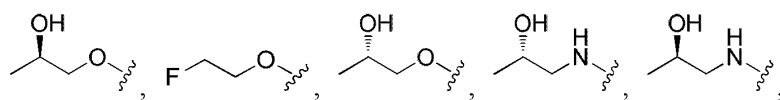
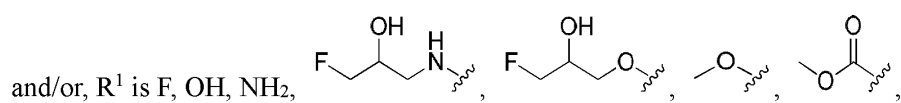
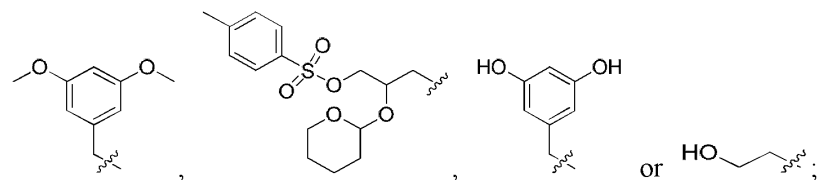
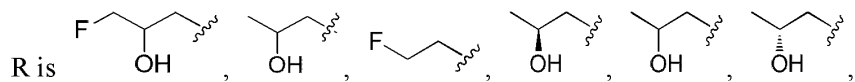
and/or, R' is F, C₁₋₃ alkyl or C₁₋₃ alkoxy;

and/or, R'' is F, C₁₋₃ alkoxy, C₁₋₃ alkylamino or C₃₋₅ heterocycloalkyl, and OH, NH₂, C₁₋₃ alkoxy, C₁₋₃ alkylamino or C₃₋₅ heterocycloalkyl of which is optionally substituted by the substituent selected from the group consisting of oxo, OH, F, Cl, C₃₋₅ cycloalkyl, C₁₋₃ alkoxy carbonyl, C₃₋₅ heterocycloalkyloxy, *p*-toluenesulfonyloxy and phenyl which is further optionally substituted by OH, methoxy or ethoxy;

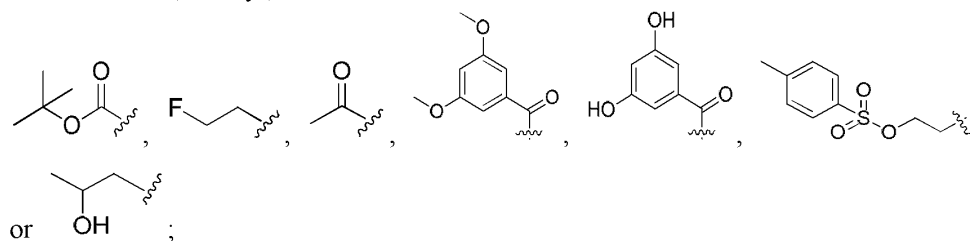
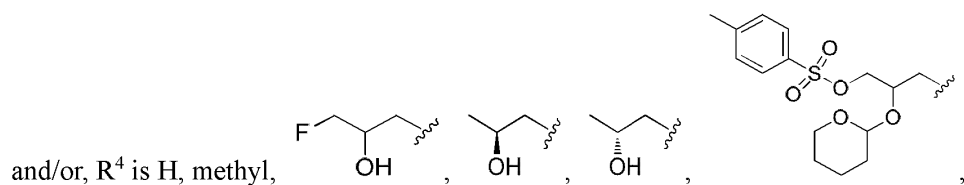
and/or, R''' is F or Cl.

6. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 3, wherein,

8. The heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to claim 1, wherein,

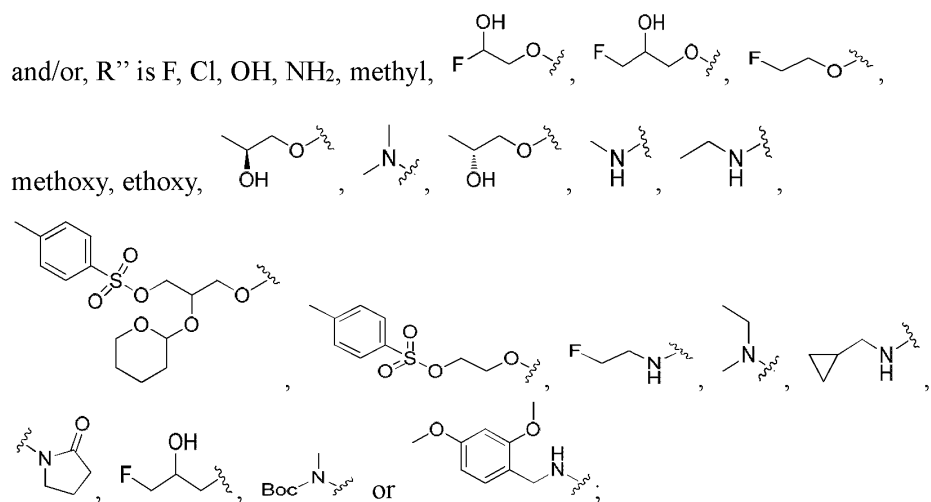


and/or, R³ is F, OH, methoxy;



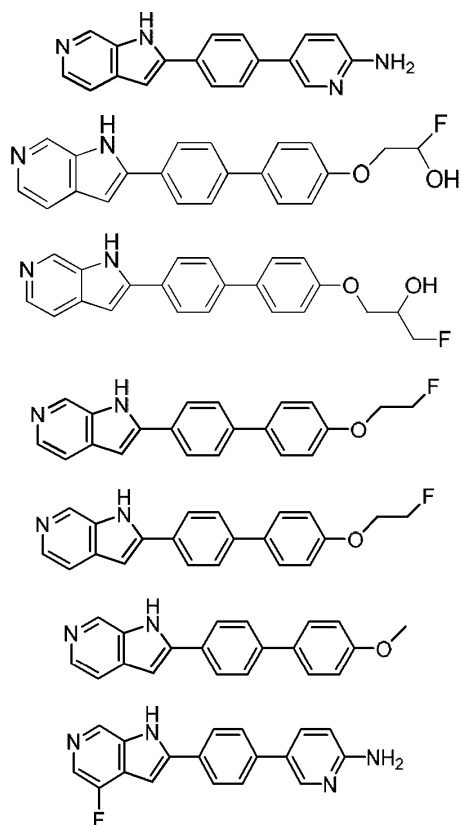
and/or, R⁵ is H, methyl or ethyl;

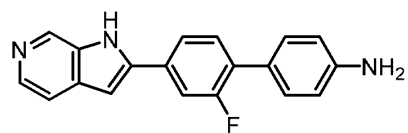
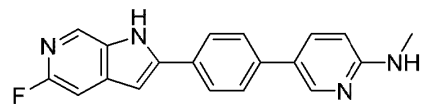
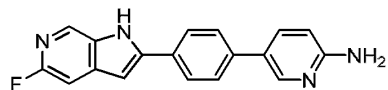
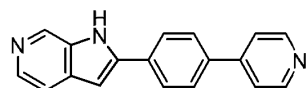
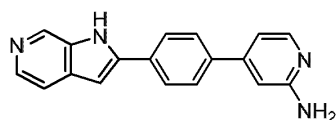
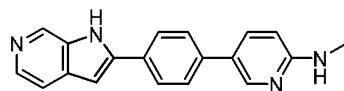
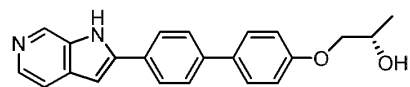
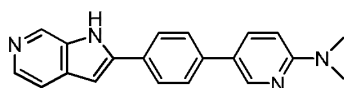
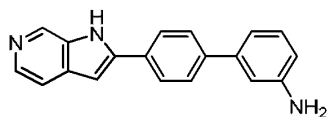
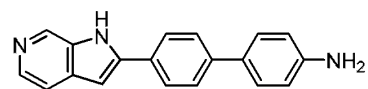
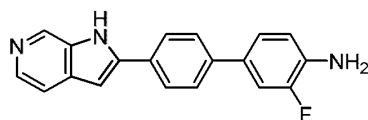
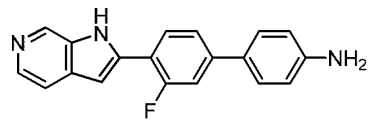
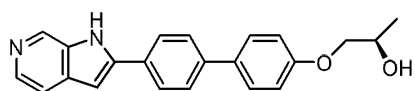
and/or, R' is F, OH, methyl or methoxy;

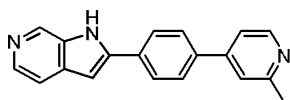
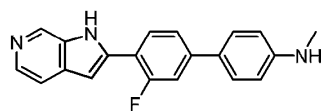
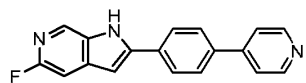
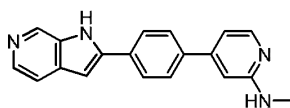
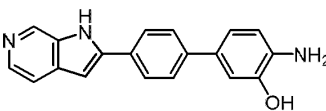
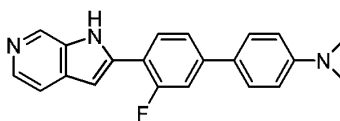
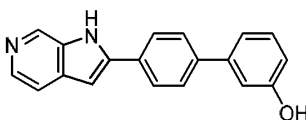
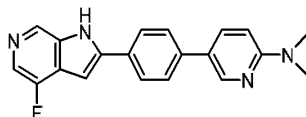
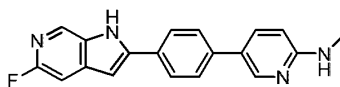
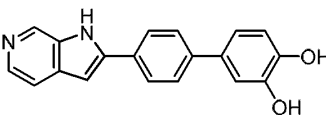
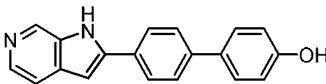
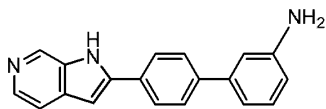


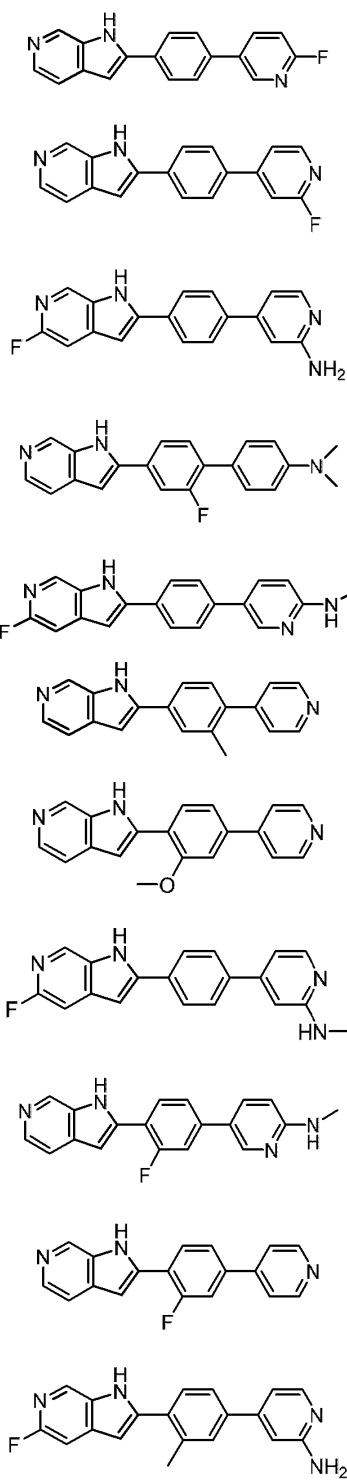
and/or, R''' is H or F.

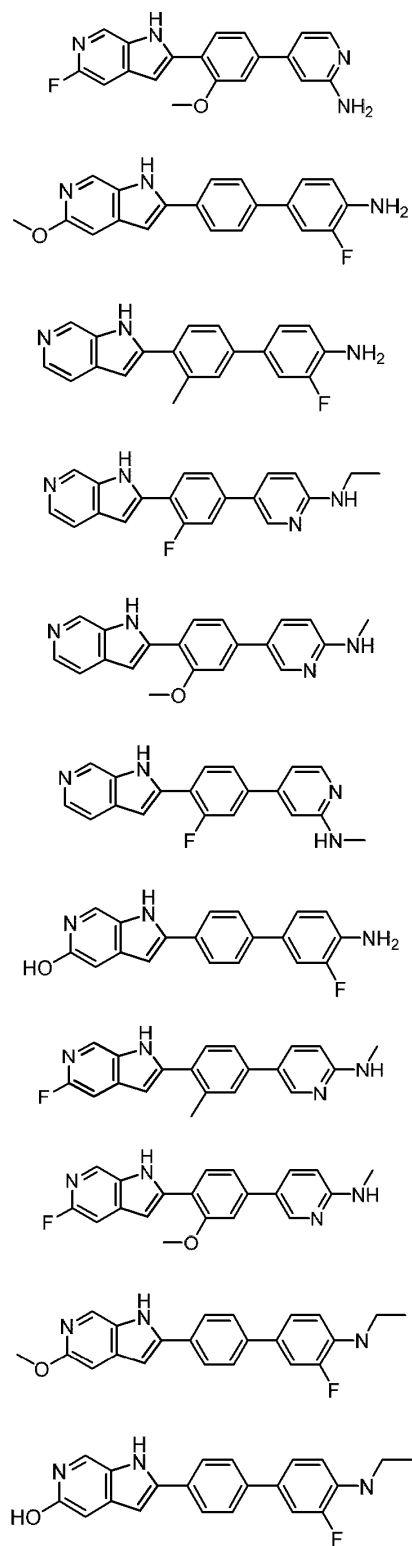
9. A heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof, which is selected from the group consisting of

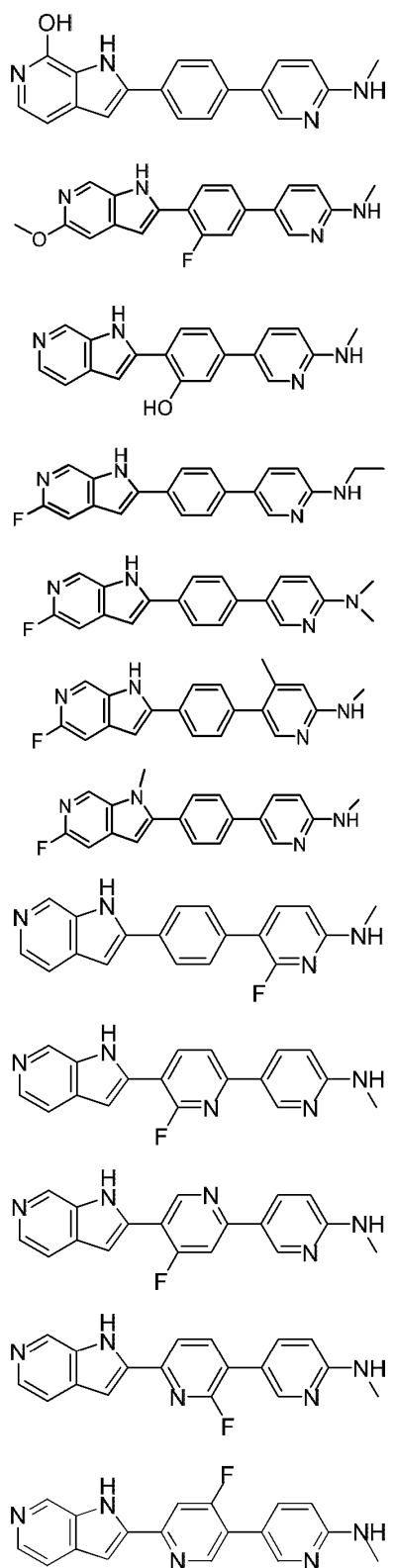


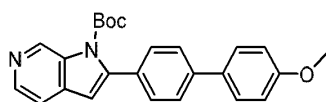
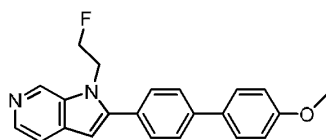
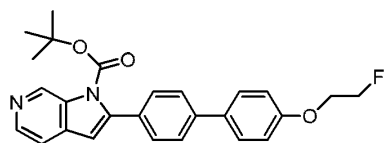
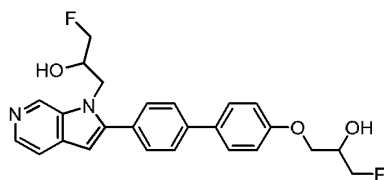
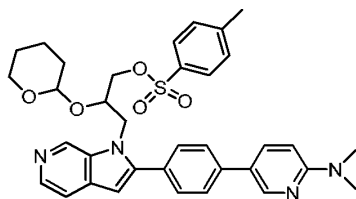
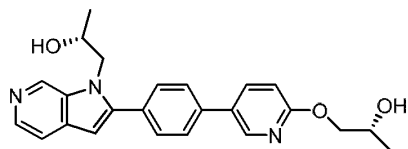
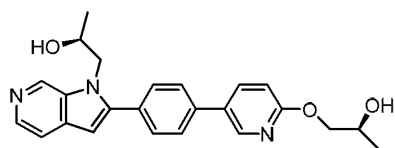
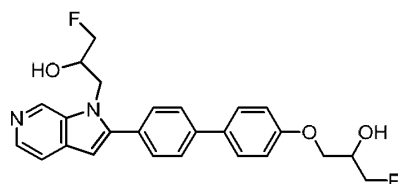
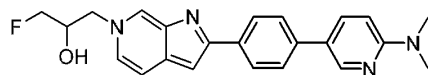
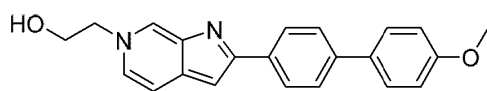


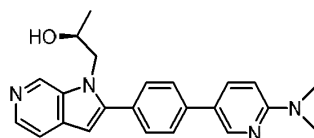
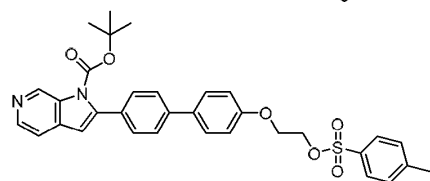
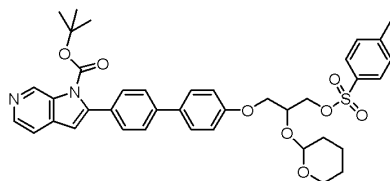
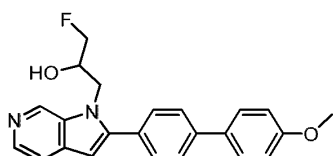
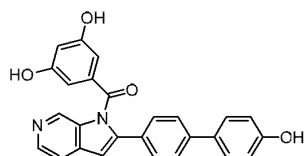
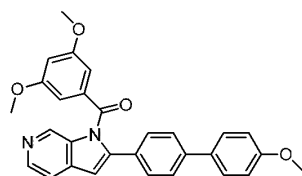
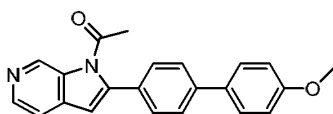
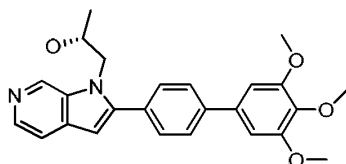
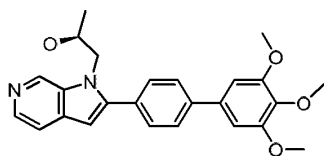


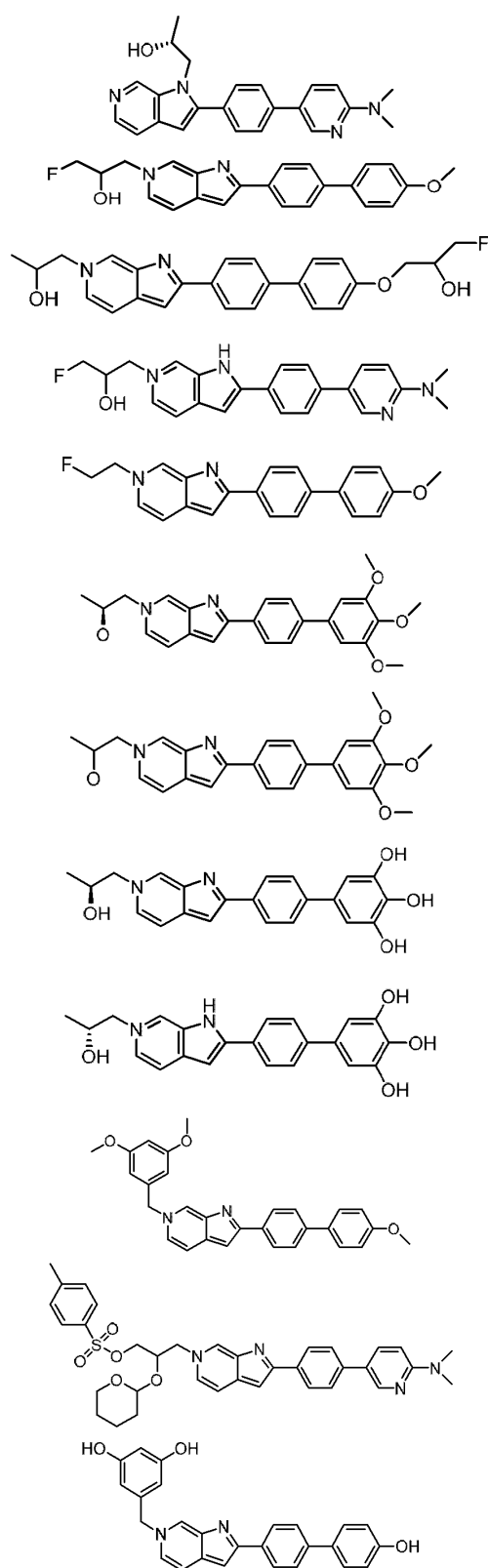


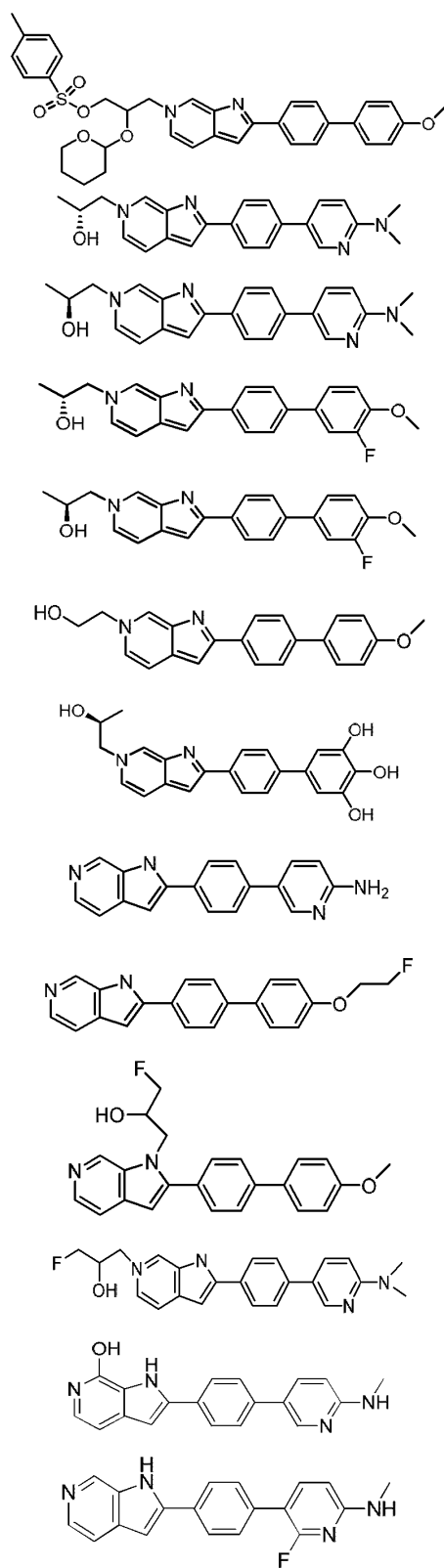


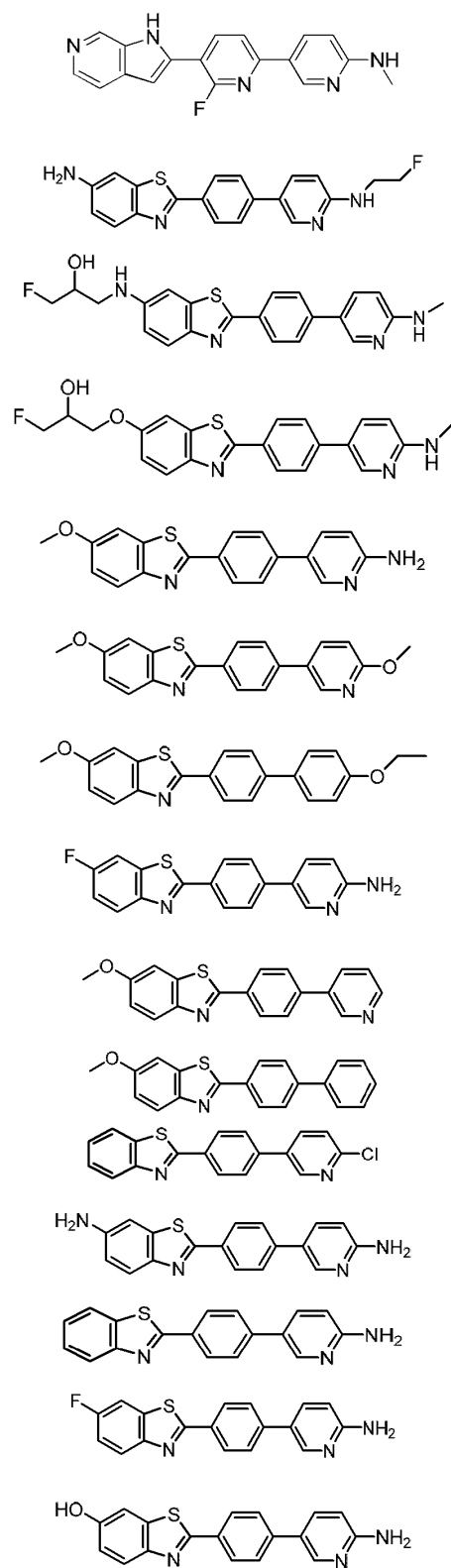


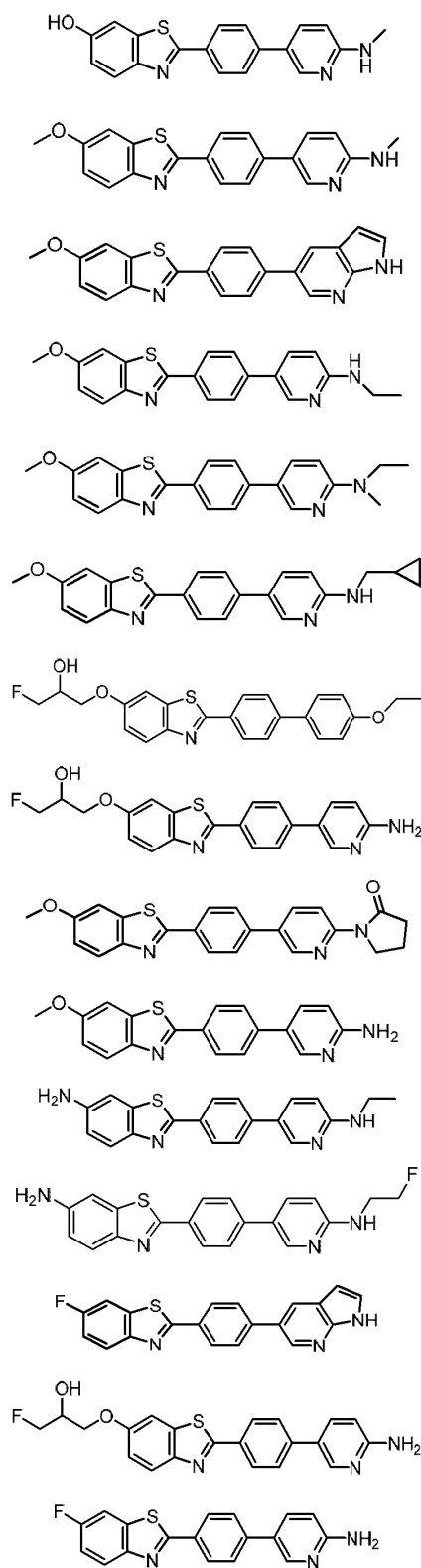


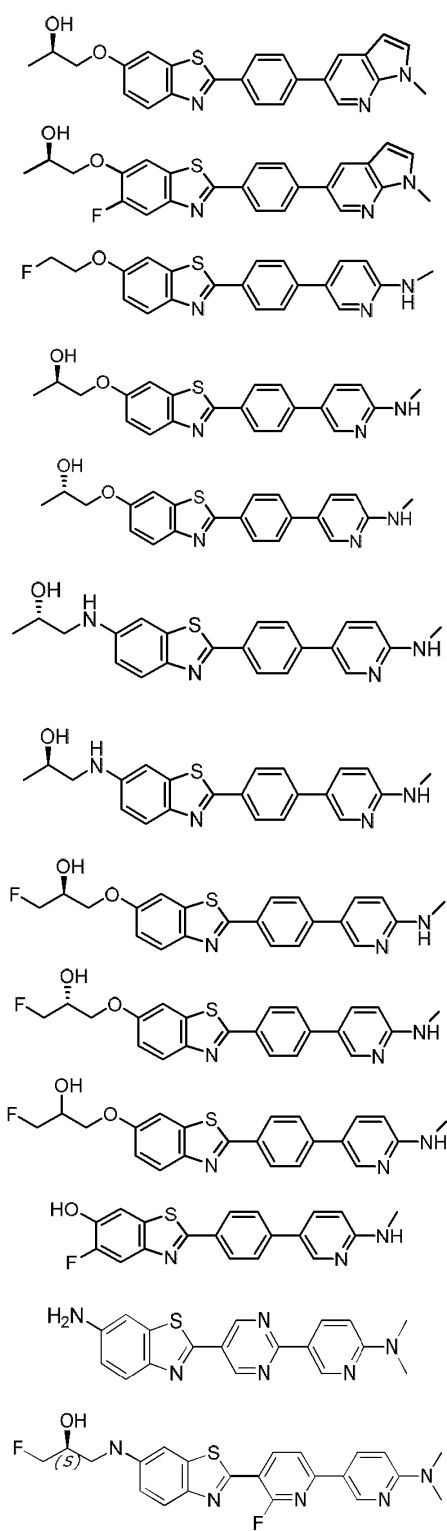


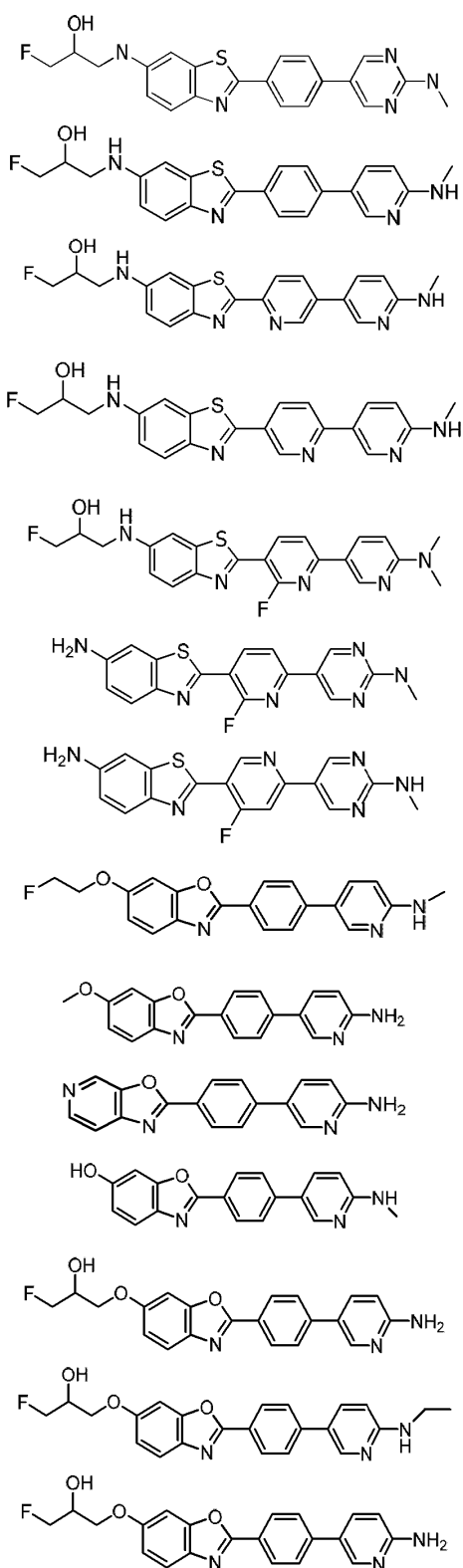


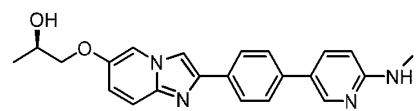
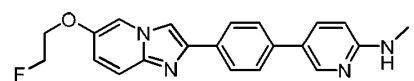
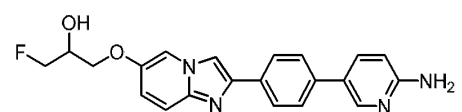
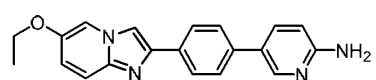
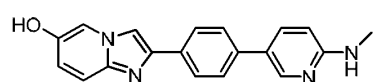
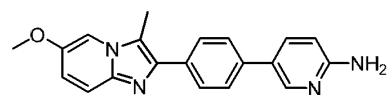
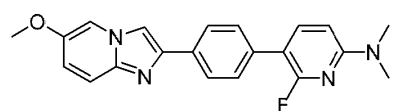
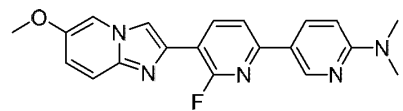
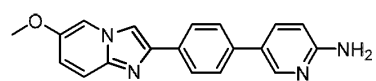
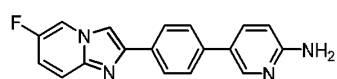
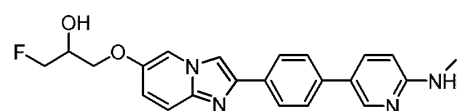
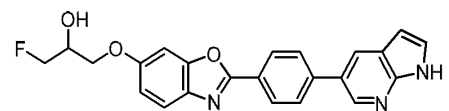
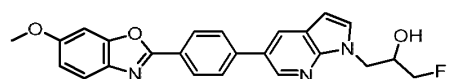


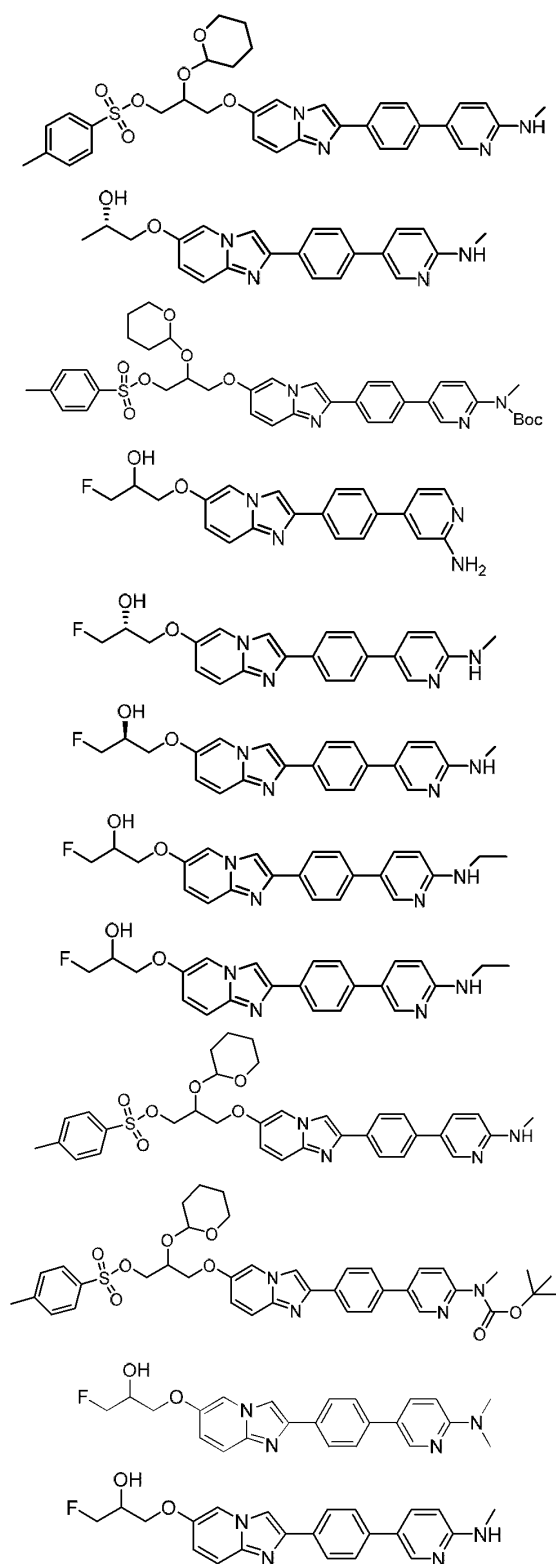


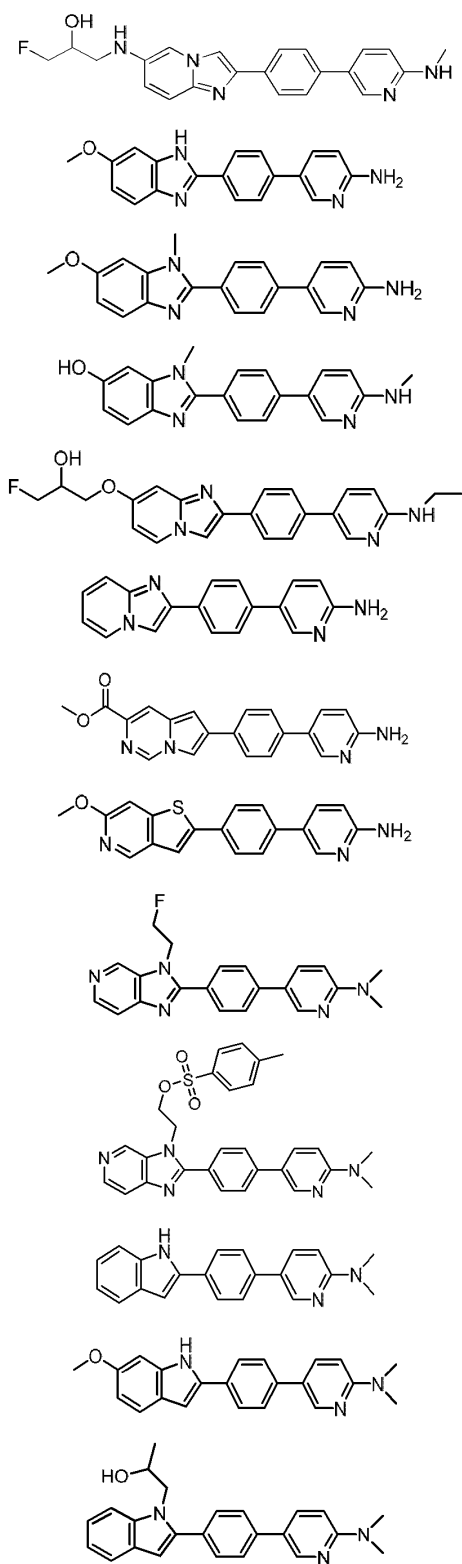


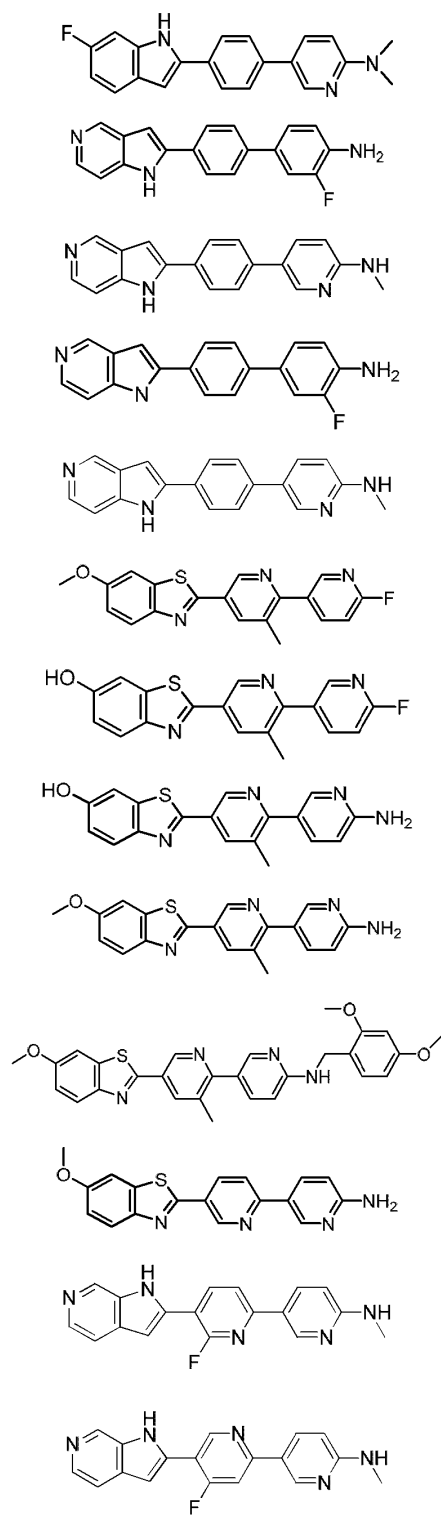


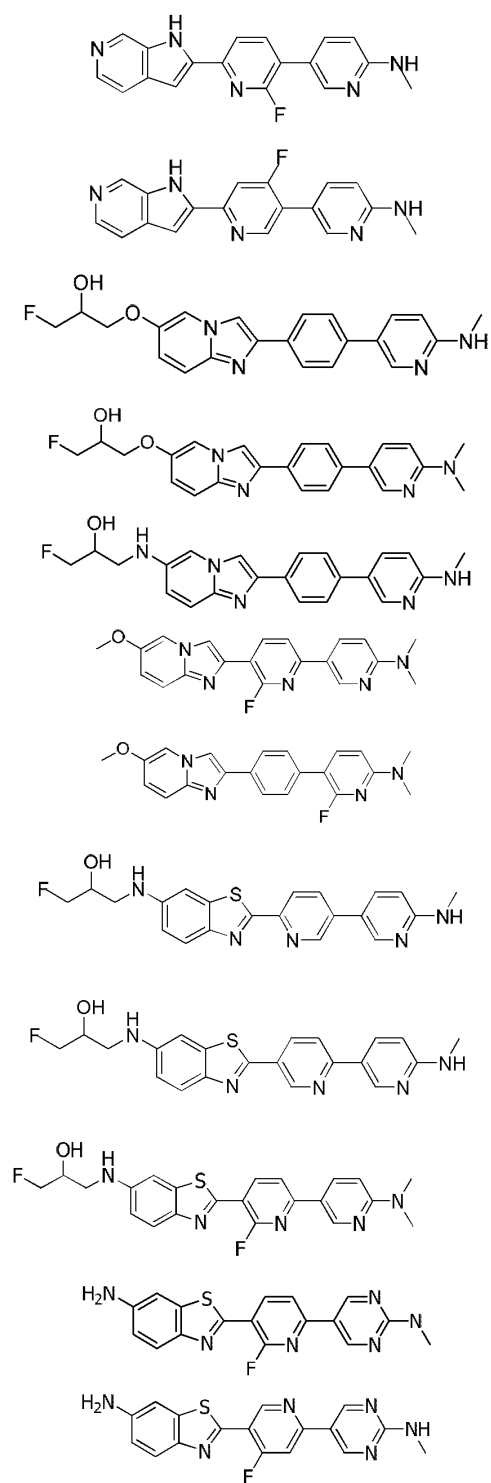






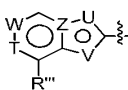
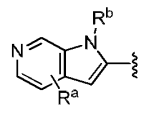






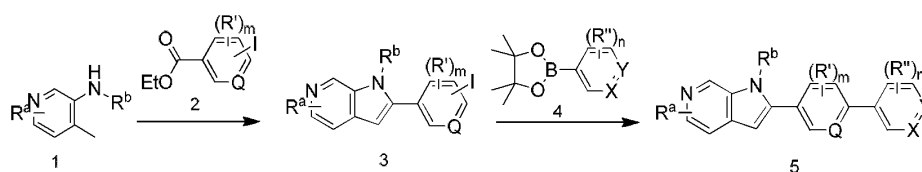
10. A process for preparing the heteroaryl compound having a structure of formula (I),

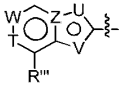
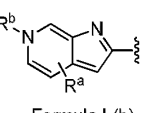
or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to any one of claims 1 to 9,

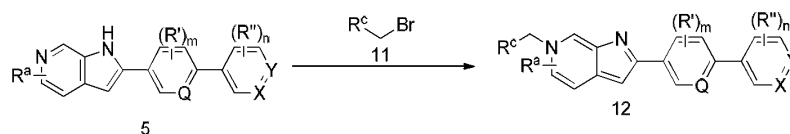
when the structural unit  is  Formula I-(a), comprising the steps of

(i) reacting compound 1 with compound 2 to give compound 3 at -78°C in an organic solvent and in the presence of a base;

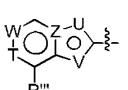
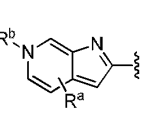
(ii) reacting the compound 3 obtained from step (i) with compound 4 in an organic solvent and in the presence of a base and a Pd catalyst at 80°C;

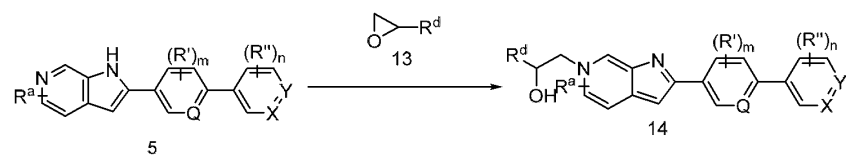


when the structural unit  is  Formula I-(b) and R^b is , comprising reacting compound 5 with compound 11 at 60°C in an organic solvent and in the presence of a base;

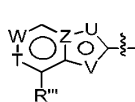
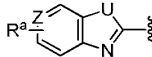


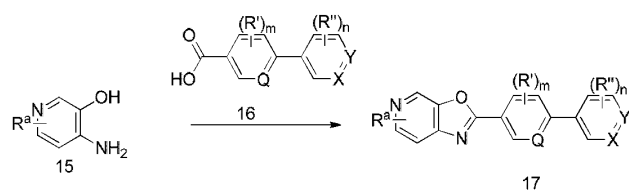
wherein R^c is H, C₁₋₅ alkyl, C₁₋₅ alkoxy carbonyl, C₁₋₂ alkyl carbonyl and phenyl, and the C₁₋₅ alkyl, C₁₋₅ alkoxy carbonyl, C₁₋₂ alkyl carbonyl and phenyl of which is optionally substituted by halogen, OH, C₁₋₃ alkoxy, C₃₋₆ heterocycloalkoxy or toluenesulfonyloxy;

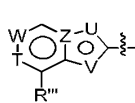
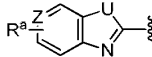
when the structural unit  is  Formula I-(b) and R^b is , comprising reacting compound 5 with compound 13 at 50°C in an organic solvent and in the presence of a base;

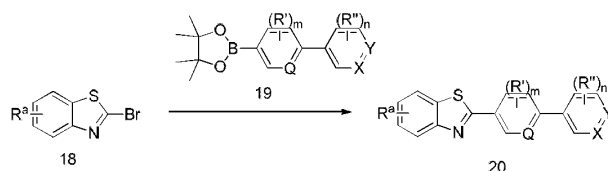


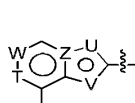
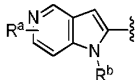
wherein R^d is H or C_{1-3} alkyl;

when the structural unit  is  Formula I-(c) where U is O and Z is N, comprising reacting compound 15 with compound 16 at 120°C in polyphosphoric acid (PPA);



when the structural unit  is  Formula I-(c) where U is S and Z is C, comprising reacting compound 18 with compound 19 at 90°C in an organic solvent and in the presence of a base and a Pd catalyst;

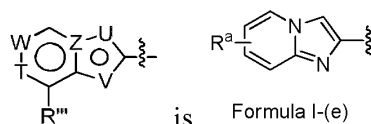
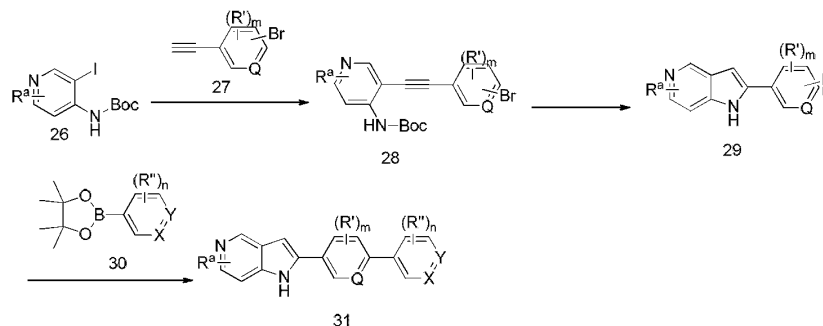


when the structural unit  is  Formula I-(d), wherein R^b is H, comprising the steps of

i) reacting compound 26 with compound 27 to form compound 28 in an organic solvent and in the presence of a Pd catalyst, CuI and an organic base at room temperature;

ii) reacting the compound 28 obtained from step i) with DBU to form compound 29 in a mixed solvent of MeOH and H_2O at 80°C;

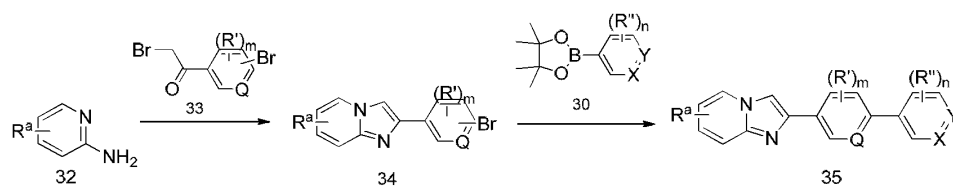
iii) reacting the compound 29 obtained from step ii) with compound 30 to form compound 31 in an organic solvent and in the presence of a Pd catalyst and a base at 80°C;



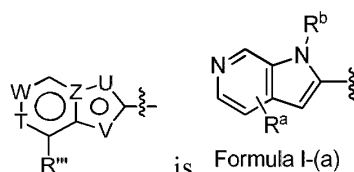
when the structural unit is Formula I-(e), comprising the steps of

i) reacting compound 32 with compound 33 to form compound 34 in an alcoholic solvent and in the presence of a base at 80°C;

ii) reacting the compound 34 obtained from step i) with compound 30 in an organic solvent and in the presence of a base and a Pd catalyst at 80°C;



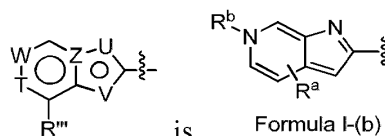
11. The process according to claim 10, wherein,



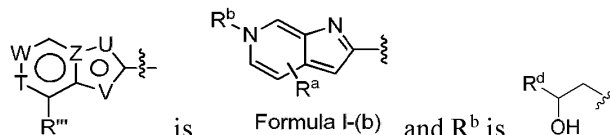
when the structural unit is Formula I-(a), comprising the steps of

(i) reacting compound 1 with compound 2 to give compound 3 at -78°C in THF and in the presence of *s*-butyllithium;

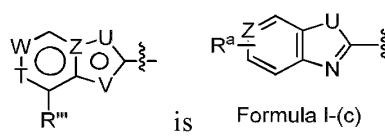
(ii) reacting the compound 3 obtained from step (i) with compound 4 in DMF and in the presence of Na₂CO₃ and Pd(PPh₃)₄ at 80°C;



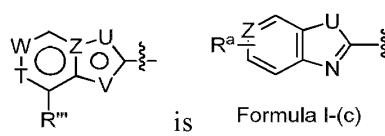
when the structural unit is Formula I(b) and R^b is , comprising reacting compound 5 with compound 11 at 60°C in DMF and in the presence of Cs₂CO₃; wherein R^c is a C₁₋₃ alkyl or a halogenated C₁₋₃ alkyl;



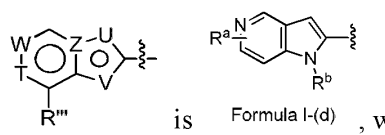
when the structural unit is Formula I(b) and R^b is , comprising reacting compound 5 with compound 13 at 50°C in DMF and in the presence of K₂CO₃;



when the structural unit is Formula I(c) where U is O and Z is N, comprising reacting compound 15 with compound 16 at 120°C in polyphosphoric acid (PPA);

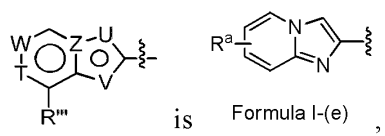


when the structural unit is Formula I(c) where U is S and Z is C, comprising reacting compound 18 with compound 19 at 90°C in CH₃CN and in the presence of K₂CO₃ and Pd(PPh₃)₄;



when the structural unit is Formula I(d), wherein R^b is H, comprising the steps of

- reacting compound 26 with compound 27 to form compound 28 in DMF and in the presence of Pd(PPh₃)₂Cl₂, CuI and triethanolamine at room temperature;
- reacting the compound 28 obtained from step i) with DBU to form compound 29 in a mixed solvent of MeOH and H₂O at 80°C;
- reacting the compound 29 obtained from step ii) with compound 30 to form compound 31 in DMF and in the presence of Pd(PPh₃)₄ and Na₂CO₃ at 80°C;



when the structural unit is Formula I(e), comprising the steps of

i) reacting compound 32 with compound 33 to form compound 34 in EtOH and in the presence of NaHCO₃ at 80°C;

ii) reacting the compound 34 obtained from step i) with compound 30 in DMF and in the presence of K₂CO₃ and Pd(PPh₃)₄ at 80°C.

12. A pharmaceutical composition comprising heteroaryl compound having a structure of formula (I), or pharmaceutically acceptable salt, solvate, hydrate, isotopically labeled derivative or radiolabeled derivative thereof according to any one of claims 1 to 9, and optionally a pharmaceutically acceptable excipient.

13. A use of the heteroaryl compound having a structure of formula (I), or pharmaceutically acceptable salt, solvate, hydrate, isotopically labeled derivative or radiolabeled derivative thereof according to any one of claims 1 to 9 in detecting Tau aggregates *in vitro*, *ex vivo*, and *in vivo*.

14. A use of the heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, solvate, hydrate, isotopically labeled derivative or a radiolabeled derivative thereof according to any one of claims 1 to 9 in manufacturing an imaging agent for Tau aggregates.

15. A method of Tau imaging, comprising the steps of

(a) administering to a subject an effective amount of (i) the heteroaryl compound having a structure of formula (I), or a pharmaceutically acceptable salt, a solvate, a hydrate, an isotopically labeled derivative or a radiolabeled derivative thereof according to any one of claims 1 to 9, or (ii) the pharmaceutical composition according to claim 12; and

(b) imaging the brain of the subject.