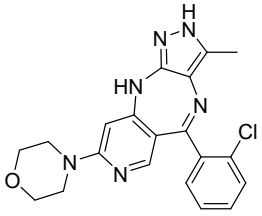
What is claimed is:

1. A method for synthesizing an anti-tumor compound of formula 7, comprising the following steps:



7 ,

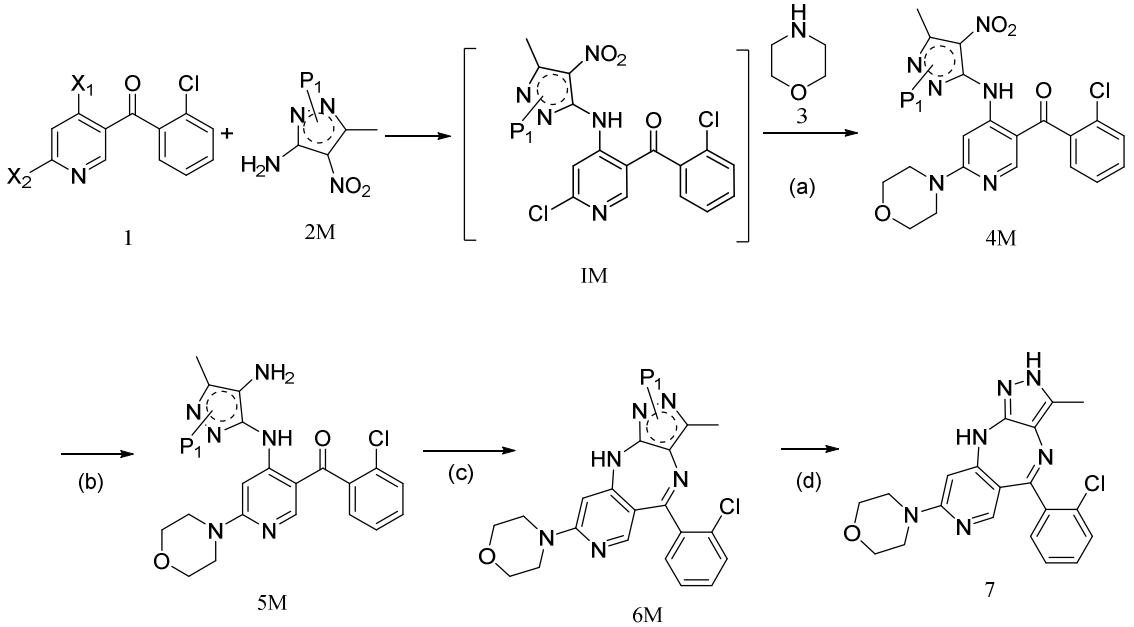
(a) subjecting a compound of formula 1 to an aromatic nucleophilic substitution reaction with a compound of formula 2M in an organic solvent under the action of a base to give a compound of formula IM, and subjecting the compound of formula IM, that is optionally separated, to another aromatic nucleophilic substitution reaction with a compound of formula 3, e.g., under alkaline conditions, to give a compound of formula 4M;

(b) subjecting the compound of formula 4M to a reduction reaction in an organic solvent under the action of a catalyst to give a compound of formula 5M;

(c) subjecting the compound of formula 5M to a ring-closing reaction in an organic solvent under the action of an acid to give a compound of formula 6M; and

(d) subjecting the compound of formula 6M to a reaction in an organic solvent to remove an amino-protecting group to give the anti-tumor compound of formula 7;

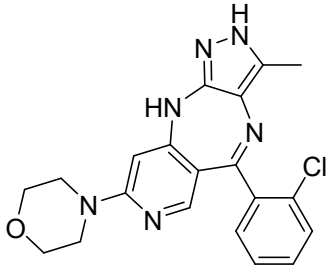
the reaction scheme is as follows:



1 2M IM 3 4M 5M 6M 7

wherein X_1 and X_2 are each selected from chlorine; P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to the N atom ortho to the methyl group in the ring where P_1 is located.

2. A method for synthesizing an anti-tumor compound of formula 7,



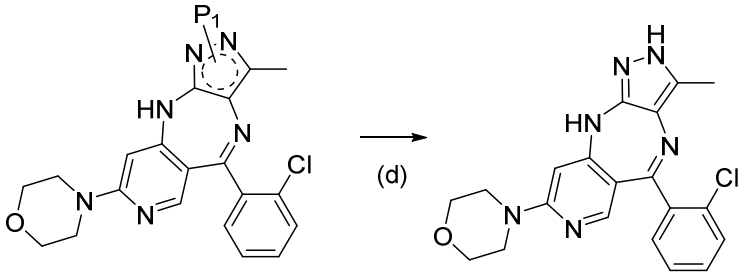
7

,

comprising the following step:

(d) subjecting the compound of formula 6M to a reaction in an organic solvent to remove an amino-protecting group to give the anti-tumor compound of formula 7;

the reaction scheme being as follows:



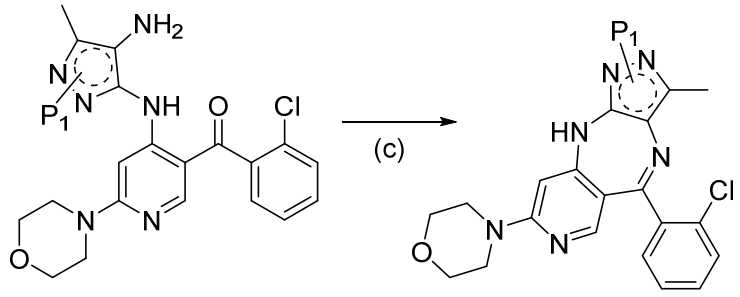
6M 7

wherein P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to a N atom ortho to a methyl group in the ring where P_1 is located.

3. The method according to claim 2, comprising the following step:

(c) subjecting the compound of formula 5M to a ring-closing reaction in an organic solvent under the action of an acid to give a compound of formula 6M;

the reaction scheme being as follows:



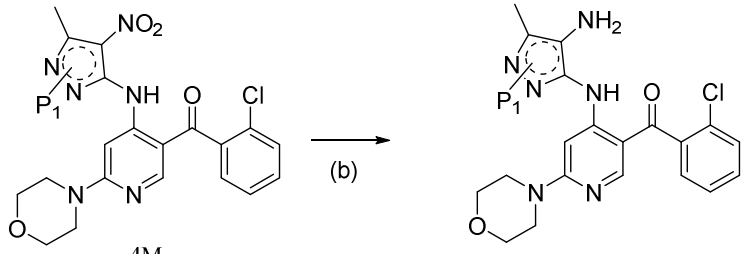
5M 6M

wherein P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to a N atom ortho to a methyl group in the ring where P_1 is located.

4. The method according to claim 3, comprising the following step:

(b) subjecting the compound of formula 4M to a reduction reaction in an organic solvent under the action of a catalyst to give a compound of formula 5M;

the reaction scheme being as follows:



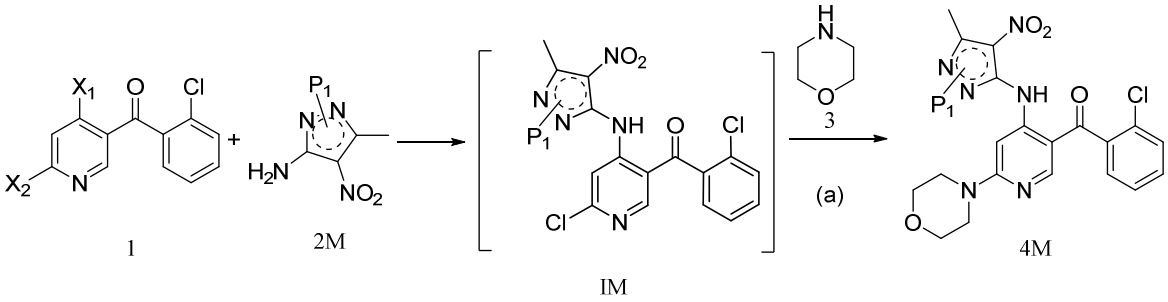
4M 5M

wherein P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to a N atom ortho to a methyl group in the ring where P_1 is located.

5. The method according to claim 4, comprising the following step:

(a) subjecting a compound of formula 1 to an aromatic nucleophilic substitution reaction with a compound of formula 2M in an organic solvent under the action of a base to give a compound of formula IM, and subjecting the compound of formula IM separated or not separated to another aromatic nucleophilic substitution reaction with a compound of formula 3, e.g., under alkaline conditions, to give a compound of formula 4M;

the reaction scheme being as follows:



wherein X_1 and X_2 are each selected from chlorine; P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to a N atom ortho to a methyl group in the ring where P_1 is located.

6. The method according to any one of preceding claims 1 and 5, wherein in step (a), the organic solvent is selected from one or more of 2-methyltetrahydrofuran, acetonitrile, tetrahydrofuran and toluene; the base is selected from one or more of sodium hydride, sodium hydroxide, cesium carbonate, triethylene diamine, sodium *tert*-butoxide, potassium *tert*-butoxide, lithium bis(trimethylsilyl)amide and sodium hexamethyldisilazide;

optionally, in step (a),

the reaction is performed at a pressure of 0 MPa to 10 MPa (gauge pressure), for example, atmospheric pressure;

the reaction is performed for a time period of 1–96 h, e.g., 17 h; the reaction can be performed at a temperature that is reflux temperature;

in particular, the aromatic nucleophilic substitution reaction of the compound of formula 1 with the compound of formula 2M under the action of the base is performed for a time period of 3–18 h, e.g., 3–8 h, at a temperature of 40 °C to 70 °C, e.g., 40 °C to 60 °C;

in particular, the another aromatic nucleophilic substitution reaction with the compound of formula 3 is performed for a time period of 8 h to 16 h, e.g., 13 h to 16 h, and can be performed at a temperature that is reflux temperature;

the charging ratio is:

the solvent:the compound of formula 1:the compound of formula 2M:the compound of formula 3:the base = (0.5–60) L:(0.1–11) mol:1 mol:(0.3–30) mol:(0.2–25) mol, e.g., 5.8 L:1.1 mol:1 mol:3 mol:2.5 mol;

in particular,

magnesium sulfate is added in the aromatic nucleophilic substitution reaction of the compound of formula 1 with the compound of formula 2M under the action of the base, and acetic acid is added in the another aromatic nucleophilic substitution reaction with the compound of formula 3,

the charging ratio is:

the solvent:the compound of formula 1:the compound of formula 2M:the compound of formula 3:the base:magnesium sulfate:acetic acid = (0.5–60) L:(0.1–11) mol:1 mol:(0.3–30) mol:(0.2–25) mol:(0.2–20) mol:(0.1–15) mol, e.g., 5.8 L:1.1 mol:1 mol:3 mol:2.5 mol:1.6 mol:1.5 mol;

to provide the alkaline conditions in step (a), morpholine can be used as a base instead of adding an additional base, or an additional base such as one or more of sodium hydride, sodium hydroxide, cesium carbonate, triethylene diamine, sodium tert-butoxide, potassium tert-butoxide, lithium bis(trimethylsilyl)amide and sodium hexamethyldisilazide can be added.

7. The method according to any one of preceding claims 1, 4 and 5-6, wherein in step (b), the organic solvent is selected from one or more of methanol, ethanol, isopropanol, tetrahydrofuran, 2-methyltetrahydrofuran, acetic acid and acetonitrile; the catalyst is selected from iron powder, platinum oxide, Pt/C, $Pd(OH)_2$/C, Rh/C and Pd/C;

optionally, in step (b), when the catalyst is iron powder, the reaction is performed for a period of time of 1–96 h, e.g., 15–24 h, at a pressure of 0 MPa to 10 MPa (gauge pressure), e.g., atmospheric pressure, at a temperature that is reflux temperature;

the charging ratio is:

the organic solvent:the compound of formula 4M:the catalyst = (1–130) L:1 mol:(1–150) mol, e.g., 13 L:1 mol:(10–18) mol, e.g., 13 L:1 mol:(14–18) mol, e.g., 13 L:1 mol:15 mol;

in particular, when the catalyst is iron powder: in step (b), ammonium chloride is also added in an amount of 0.05–5 molar equivalents, e.g., 0.5 molar equivalents; the solvent is a mixed solvent of ethanol and tetrahydrofuran, e.g., in an ethanol-to-tetrahydrofuran volume ratio of (6–10):10;

in particular, in step (b), when the catalyst is platinum oxide, Pt/C, $Pd(OH)_2$/C, Rh/C or Pd/C, the reaction is performed in a hydrogen atmosphere at 30 °C to 80 °C for 20 to 80 h; for example, the reaction is performed in a hydrogen atmosphere at 60 °C to 80 °C for 20 to 72 h; the reaction is performed at a pressure greater than 0 MPa to 10 MPa (gauge pressure), e.g., 0.5–2 MPa; the charging ratio is: the solvent:the compound of formula 4M:the catalyst = (1–50) mL:1 g:(0.03–0.2) g, e.g., 22 mL:1 g:0.1 g;

optionally, in step (b), a small amount of water is also added; for example, the volume ratio of water to tetrahydrofuran is (0.2–2):10.

8. The method according to any one of preceding claims 1, 3, 4 and 5-7, wherein in step (c), the acid is selected from one or more of trifluoroacetic acid, methanesulfonic acid, *p*-

toluenesulfonic acid, formic acid, acetic acid and hydrochloric acid; the organic solvent is selected from at least one of dichloromethane, methanol, ethanol and isopropanol;

optionally in step (c), the reaction is performed for a time period of 1–96 h, e.g., 1.5–3 h, at a pressure of 0 MPa to 10 MPa (gauge pressure), e.g., atmospheric pressure, at a temperature that is reflux temperature; the charging ratio is: the solvent:the compound of formula 5M:the acid = (0.5–70) L:1 mol:0.220 mol, e.g., 6.6 L:1 mol:2 mol.

9. The method according to any one of preceding claims 1, 3, 4 and 5-8, wherein specifically, step (c) is: allowing the compound of formula 5M to reflux in isopropanol under the action of trifluoroacetic acid for 1 h to 3 h.

10. The method according to any one of preceding claims 1 to 9, wherein in step (d), the organic solvent is selected from one or more of toluene, dichloromethane, acetonitrile, tetrahydrofuran, methanol, ethanol and isopropanol;

in step (d), an acid is also added, and the acid is selected from at least one of formic acid, acetic acid, hydrochloric acid, methanesulfonic acid and trifluoroacetic acid;

in step (d), in addition to the solvent, an alcohol or phenol is added; the alcohol is selected from methanol and/or ethanol, and the phenol is selected from phenol and/or *p*-methoxyphenol;

optionally in step (d), the reaction is performed for a time period of 1–96 h, e.g., 17 h, at a pressure of 0 MPa to 10 MPa (gauge pressure), e.g., atmospheric pressure, at a temperature that is reflux temperature; the charging ratio is: the solvent:the compound of formula 6M:the acid:the alcohol or phenol = (10–800) L:1 mol:(0.1–10) mol:(0.2–20) mol, e.g., 84 L:1 mol:1 mol:2 mol.

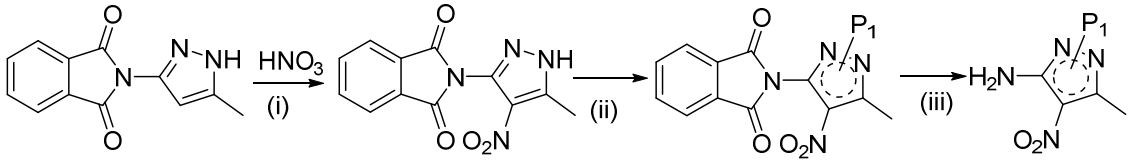
11. The method according to any one of preceding claims 1 and 5-10, further comprising steps of synthesizing the compound of formula 2M:

(i) subjecting a compound of formula 2-1 (e.g., 1 molar equivalent) to a nitration reaction with nitric acid (e.g., 1–2 molar equivalents) in a solvent such as concentrated sulfuric acid, acetic anhydride or acetic acid for a time period of 0.5–2 h at a temperature of 10–20 °C to give a compound of formula 2-2;

(ii) subjecting the compound of formula 2-2 (e.g., 1 molar equivalent) to an amino-protection reaction with an amino-protecting reagent (e.g., 1–1.5 molar equivalents) in an organic solvent such as one or more of tetrahydrofuran, 2-methyltetrahydrofuran, dichloromethane and acetonitrile for a time period of 1–48 h at a temperature of 60–100 °C to give a compound of formula (2-3)M; and

(iii) subjecting the compound of formula (2-3)M (e.g., 1 molar equivalent) to a reaction in an organic solvent such as one or more of ethanol, tetrahydrofuran and acetonitrile, e.g., for a time period of 1–3 h at a temperature that is reflux temperature, to remove a phthaloyl group to give a compound of formula 2M;

the reaction scheme being as follows:



2-1 2-2 (2-3)M 2M ;

wherein the amino-protecting reagent is TrtCl or DHP; P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to the N atom ortho to the methyl group in the ring where P_1 is located.

12. The method according to claim 11, wherein

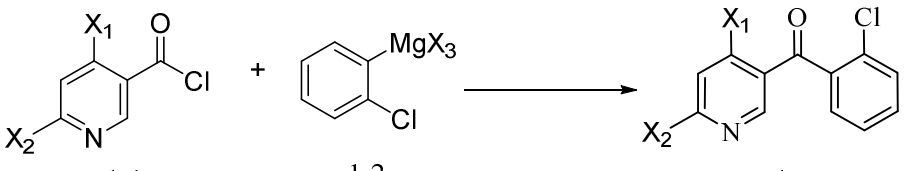
when the amino-protecting reagent is TrtCl, the reaction in step (ii) is performed under the following conditions: under the action of a base at 60 °C to 100 °C for 1 h to 48 h;

when the amino-protecting reagent is DHP, the reaction in step (ii) is performed under the following conditions: under the action of *p*-toluenesulfonic acid or pyridinium *p*-toluenesulfonate at 60 °C to 100 °C at reflux for 3 h to 48 h.

13. The method according to any one of preceding claims 1 and 5-12, further comprising a step of synthesizing the compound of formula 1:

subjecting a compound of formula 1-1 (e.g., 1–2 molar equivalents) to a coupling reaction with a compound of formula 1-2 (e.g., 1–2 molar equivalents) in an organic solvent such as THF for a time period of 2–4 h at a temperature of -70 °C to 60 °C to give the compound of formula 1;

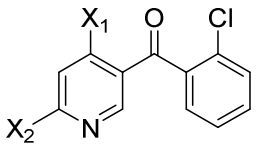
the reaction scheme being as follows:



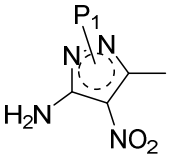
1-1 1-2 1 ;

wherein X_3 is halogen, preferably chlorine; X_1 and X_2 are each selected from chlorine.

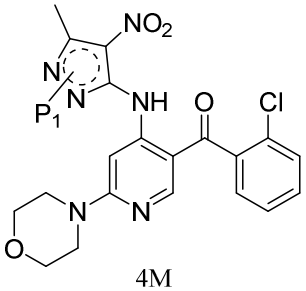
14. An intermediate for preparing an anti-tumor compound of formula 7, having the following structural formulas:



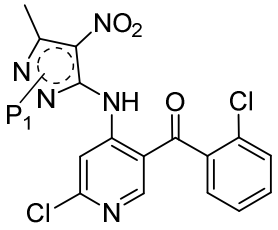
1



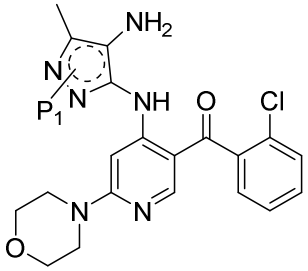
2M



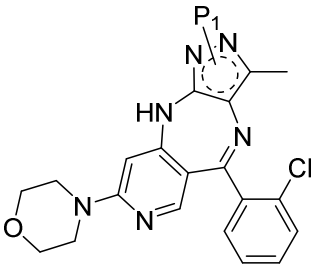
4M



IM



5M



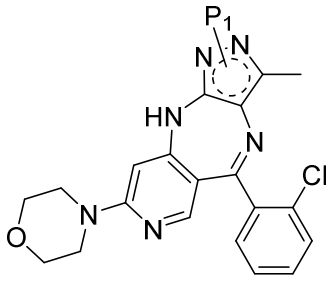
6M

;

wherein, X_1 and X_2 are each independently selected from chlorine;

P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to the N atom ortho to the methyl group in the ring where P_1 is located.

15. An intermediate for preparing an anti-tumor compound of formula 7, having the following structural formula:



6M

wherein P_1 is selected from Trt and THP; P_1 is linked to any N atom in a ring where P_1 is located, and preferably, P_1 is linked to the N atom ortho to the methyl group in the ring where P_1 is located;

the formula 6M is a complex comprising one molecule of trifluoroacetic acid and one molecule of isopropanol.