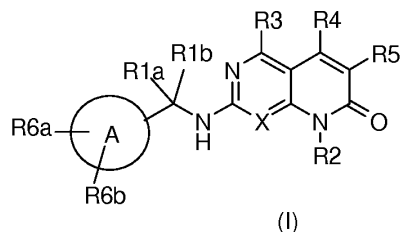


CLAIMS

1. A compound of formula (I):



wherein:

X is nitrogen or -CH-

R1a is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl;

R1b is an optionally substituted (C₁-C₆)alkyl, or together with the atom to which they are bound, **R1a** and **R1b** may form a (C₃-C₆)cycloalkyl;

A is a (C₃-C₆)cycloalkyl, aryl or heteroaryl;

R6a and **R6b** are each independently selected from hydrogen, halogen, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, cycloalkyl-alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, aryl, heteroaryl, heterocyclyl, -OR₇, NR₇R₈, -COOR₇, -SO₂R₇, -CONR₇R₈, -CH(R₁₄)OR₇ and -CH(R₁₄)NR₇R₈;

wherein:

R₁₄ is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl;

R₇ and R₈ are each independently hydrogen or a group selected from an optionally substituted straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, heteroaryl, heterocyclyl, aryl-alkyl, heteroaryl-alkyl, heterocyclyl-alkyl, heterocyclyl-C(O)-alkyl, heterocyclyl-C(O)-alkenyl, heterocyclyl-C(O)-alkynyl or, together with the nitrogen atom to which they are bound, R₇ and R₈ form a 5- to 7-membered heteroaryl or heterocyclyl group optionally containing one additional heteroatom selected from O, S and NR₉;

wherein:

R₉ is hydrogen, an optionally substituted straight or branched (C₁-C₆)alkyl, -COOR₁₀ or -COR₁₁;

wherein:

R₁₀ is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl;

R₁₁ is an optionally substituted straight or branched (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl;

R2 is an optionally substituted group selected from straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl-(C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆)alkyl;

R3 is hydrogen, chloro, cyano, CONH₂, NH₂, NR₁₃R_{13a}, OR₁₂, or an optionally substituted group selected from straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl and heteroaryl;

wherein:

R₁₂ is an optionally substituted straight or branched (C₁-C₆)alkyl;

R13, R13a are each independently selected from hydrogen or optionally substituted straight or branched (C₁-C₆)alkyl;

R4 is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl;

R5 is hydrogen, fluoro, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl or -OR12,

5 wherein:

R12 is as described above;

or a pharmaceutically acceptable salt thereof.

2. A compound of formula (I), according to claim 1, or a pharmaceutically acceptable salt thereof,

10 wherein:

R3 is hydrogen, chloro, cyano, CONH₂, NH₂, NR13R13a, OR12, or an optionally substituted straight or branched (C₁-C₆)alkyl;

wherein:

R12 is an optionally substituted straight or branched (C₁-C₆)alkyl;

15 R13, R13a are each independently selected from hydrogen or optionally substituted straight or branched (C₁-C₆)alkyl;

R5 is hydrogen, fluoro or -OR12,

wherein:

R12 is as described above; and

20 **R1a, R1b, A, X, R6a, R6b, R2** and **R4** are as defined in claim 1.

3. A compound of formula (I), according to claim 2, or a pharmaceutically acceptable salt thereof,

wherein:

25 **R6a** and **R6b** are each independently selected from hydrogen, halogen, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, aryl, heteroaryl, heterocyclyl, -OR7, NR7R8, -COOR7, -SO₂R7, -CONR7R8, -CH(R14)OR7 and -CH(R14)NR7R8;

wherein:

R7, R8 and R14 are as defined in claim 1;

R5 is hydrogen or fluoro; and

30 **R1a, R1b, A, X, R2, R3** and **R4** are as defined in claim 2.

4. A compound of formula (I), according to claim 3, or a pharmaceutically acceptable salt thereof,

wherein:

A is aryl or heteroaryl;

35 **R3** is hydrogen, cyano, CONH₂, NH₂, NR13R13a, or an optionally substituted straight or branched (C₁-C₆)alkyl;

wherein:

R13, R13a are each independently selected from hydrogen or optionally substituted straight or branched (C₁-C₆)alkyl; and

R1a, R1b, R6a, R6b, X, R2, R4 and R5 are as defined in claim 3.

5. A compound (cpd) of formula (I), according to claim 1, or a pharmaceutically acceptable salt thereof, selected from the group consisting of:

- 5 methyl 4-((1S)-1-((8-benzyl-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 1);
methyl 4-((1S)-1-((8-ethyl-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 2);
methyl 4-((1S)-1-((8-(methoxymethyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 3);
methyl 4-((1S)-1-((8-methyl-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 4);
methyl 4-((1S)-1-((8-(2-methylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 5);
- 10 methyl 4-((1S)-1-((8-(4-fluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 6);
methyl 4-((1S)-1-((8-(3,5-difluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 7);
methyl 4-((1S)-1-((8-(4-fluoro-2-(trifluoromethyl)benzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 8);
methyl 4-((1S)-1-((7-oxo-8-(propan-2-yl)-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 9);
- 15 methyl 4-((1S)-1-((8-((3-methyloxetan-3-yl)methyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 10);
methyl 4-((1S)-1-((8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl)amino)ethyl)benzoate (cpd 11);
2-(((1S)-1-cyclohexylethyl)amino)-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 12);
8-ethyl-2-(((1S)-1-(4-methoxyphenyl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 13);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 14);
- 20 2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(pentan-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 15);
8-benzyl-2-(((1S)-1-(4-chlorophenyl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 16);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2-fluoroethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 17);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2,2,2-trifluoroethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 18);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 19);
- 25 2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(cyclopropylmethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 20);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(4-methoxybenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 21);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2-fluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 22);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(3,4-difluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 23);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-[3-(trifluoromethyl)benzyl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 24);
- 30 2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2,4-difluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 25);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-[4-(trifluoromethoxy)benzyl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 26);
4-([2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl)methyl)benzonitrile (cpd 27);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(4-fluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 28);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(3,5-difluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 29);
- 35 2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(3-methoxybenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 30);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-8-(2,6-difluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 31);
2-(((1S)-1-(4-chlorophenyl)ethyl)amino)-4-methyl-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 32);
8-(2,2-dimethylpropyl)-2-(((1S)-1-(4-methoxyphenyl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 33);

- 2-(((1S)-1-(4-bromophenyl)ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 34);
2-(((1S)-1-(4-bromophenyl)ethyl)amino)-8-(3-hydroxy-2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 35);
8-(2,2-dimethylpropyl)-2-(((1S)-1-(naphthalen-2-yl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 36);
methyl 2,2-dimethyl-3-[2-(((1S)-1-(naphthalen-2-yl)ethyl)amino)-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanoate (cpd
5 37);
8-(3-hydroxy-2,2-dimethylpropyl)-2-(((1S)-1-(naphthalen-2-yl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 38);
8-(2,2-dimethylpropyl)-2-(((1R)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 39);
8-(2,2-dimethylpropyl)-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 40);
8-(2,2-dimethylpropyl)-2-[(2-phenylpropan-2-yl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 41);
10 8-(2,2-dimethylpropyl)-2-[(1-phenylcyclopropyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 42);
8-(2,2-dimethylpropyl)-2-[(1-phenylcyclobutyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 43);
8-(2,2-dimethylpropyl)-2-[(1-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)ethyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 44);
8-[(3-methyloxetan-3-yl)methyl]-2-(((1S)-1-(naphthalen-2-yl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 45);
8-(2-hydroxy-2-methylpropyl)-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 46);
15 8-(2,2-Dimethyl-propyl)-6-fluoro-2-((S)-1-phenyl-ethylamino)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 47);
methyl 4-[(1S)-1-[[8-(2-hydroxy-2-methylpropyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]ethyl]benzoate
(cpd 48);
8-(2,2-dimethylpropyl)-2-(((1S)-1-(4-phenoxyphenyl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 49);
2-(((1S)-1-(6-chloro-2-oxo-quinolin-3-yl)ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 50);
20 8-benzyl-2-(((1S)-1-(5-chloro-1H-benzimidazol-2-yl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 51);
8-benzyl-2-(((1S)-1-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 52);
2-(((1S)-1-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-
one (cpd 53);
8-(2,2-dimethylpropyl)-2-(((1S)-1-(4-oxo-3,4-dihydroquinazolin-2-yl)ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd
25 54);
2-(((1S)-1-[4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl]ethyl)amino)-8-(3-hydroxy-2,2-dimethylpropyl)pyrido[2,3-
d]pyrimidin-7(8H)-one (cpd 55);
4-(4-((S)-1-[8-(3-Hydroxy-2,2-dimethyl-propyl)-7-oxo-7,8-dihydro-pyrido[2,3-d]pyrimidin-2-ylamino]-ethyl)-benzyl)-
piperazine-1-carboxylic acid tert-butyl ester (cpd 56);
30 2-((S)-1-[4-(3,3-Difluoro-piperidin-1-ylmethyl)-phenyl]-ethylamino)-8-(2,2-dimethyl-propyl)-6-fluoro-8H-pyrido[2,3-
d]pyrimidin-7-one (cpd 57);
2-((S)-1-[4-(3,3-Difluoro-piperidin-1-ylmethyl)-phenyl]-ethylamino)-8-(2,2-dimethyl-propyl)-6-methoxy-8H-pyrido[2,3-
d]pyrimidin-7-one (cpd 58);
4-(4-((S)-1-[8-(2,2-Dimethyl-propyl)-7-oxo-7,8-dihydro-pyrido[2,3-d]pyrimidin-2-ylamino]-ethyl)-benzyl)-piperazine-1-
35 carboxylic acid tert-butyl ester (cpd 59);
2-(((1S)-1-[4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)-5-methylpyrido[2,3-
d]pyrimidin-7(8H)-one (cpd 60);

- 8-(butan-2-yl)-2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 61);
- 8-[(2S)-butan-2-yl]-2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 62);
- 5 ethyl 2-[2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanoate (cpd 63);
- 2-[2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanenitrile (cpd 64);
- 2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 65);
- 10 8-[(1S)-1-cyclohexylethyl]-2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 66);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]-3-fluorophenyl}ethyl]amino]-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 67);
- 15 2-[[[(1S)-1-[3-fluoro-4-(morpholin-4-ylmethyl)phenyl]ethyl]amino]-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 68);
- 2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]-8-[(2S)-3,3-dimethylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 69);
- 8-(2,2-dimethylpropyl)-2-[[[(1S)-1-phenylpropyl]amino}pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 70);
- 20 2-[[[(1S)-1-(4-chlorophenyl)ethyl]amino]-8-(3-hydroxybenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 71);
- 2-[[[(1S)-1-(4-chlorophenyl)ethyl]amino]-8-(4-hydroxybenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 72);
- 4-[(1S)-1-[[8-(2,6-difluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzoic acid (cpd 73);
- 4-[(1S)-1-[[8-(2-fluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzoic acid (cpd 74);
- 4-[(1S)-1-[[8-(2,6-difluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]-N-(4,4-difluorocyclohexyl)benzamide
- 25 (cpd 75);
- 4-[(1S)-1-[[8-(2,6-difluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]-N-(1-methylpiperidin-4-yl)benzamide (cpd 76);
- 8-(2,6-difluorobenzyl)-2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)carbonyl]phenyl}ethyl]amino}pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 77);
- 30 4-[(1S)-1-[[8-(2,6-difluorobenzyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]-N-(tetrahydro-2H-pyran-4-yl)benzamide (cpd 78);
- N-(4,4-difluorocyclohexyl)-4-[(1S)-1-[[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 79);
- N-cyclopentyl-4-[(1S)-1-[[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 80),
- 35 N-cyclohexyl-4-[(1S)-1-[[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 81);
- 2-chloro-N-(4,4-difluorocyclohexyl)-4-(1-[[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl)benzamide (cpd 82);

- 2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)carbonyl]phenyl}ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 83);
- N-(4,4-difluorocyclohexyl)-4-(1-{[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl)-2-fluorobenzamide (cpd 84);
- 5 N-(3,3-difluorocyclobutyl)-4-[(1S)-1-{[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 85);
- 4-[(1S)-1-{[8-(2,2-dimethylpropyl)-7-oxo-pyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 86);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-{4-[(4-hydroxypiperidin-1-yl)carbonyl]phenyl}ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 87);
- 10 2-chloro-N-(4,4-difluorocyclohexyl)-4-[(1S)-1-{[8-(2,2-dimethylpropyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]benzamide (cpd 88);
- N-(4,4-difluorocyclohexyl)-4-[(1S)-1-{[8-(2,2-dimethylpropyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino}ethyl]-2-fluorobenzamide (cpd 89);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[3-fluoro-4-(hydroxymethyl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 90);
- 15 2-(((1S)-1-[4-(hydroxymethyl)phenyl]ethyl)amino)-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 91);
- 8-(2,6-difluorobenzyl)-2-(((1S)-1-[4-(hydroxymethyl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 92);
- 2-(((1S)-1-[4-(hydroxymethyl)phenyl]ethyl)amino)-8-(2-hydroxy-2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 93);
- 20 2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]-3-fluorophenyl}ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 94);
- 2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino)-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 95);
- 8-benzyl-2-[(1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 96);
- 25 2-[(1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino]-8-(2-fluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 97);
- 8-(2,6-difluorobenzyl)-2-[(1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 98);
- 8-(2,6-difluorobenzyl)-2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 99);
- 30 2-[(1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino]-8-(2-fluoroethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 100);
- 2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino)-8-propylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 101);
- 35 2-(((1S)-1-[4-(azepan-1-ylmethyl)phenyl]ethyl)amino)-8-propylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 102);
- 2-(((1S)-1-{4-[(4-acetypiperazin-1-yl)methyl]phenyl}ethyl)amino)-8-propylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 103);
- 2-(((1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl)amino)-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 104);

- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 105);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2,2,2-trifluoroethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 106);
- 5 8-[2,3-difluoro-2-(fluoromethyl)propyl]-2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 107);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-[3,3,3-trifluoro-2-(trifluoromethyl)propyl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 108);
- 8-(cyclobutylmethyl)-2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 109);
- 10 8-(2,2-dimethylpropyl)-2-[[[(1S)-1-{4-(morpholin-4-ylmethyl)phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 110);
- 8-(2,2-dimethylpropyl)-2-[[[(1S)-1-{4-(pyrrolidin-1-ylmethyl)phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 111);
- 15 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2-methylbenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 112);
- 8-(cyclohexylmethyl)-2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 113);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2-methoxyethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 114);
- 20 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-[4-fluoro-2-(trifluoromethyl)benzyl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 115);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(3,3,3-trifluoro-2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 116);
- 25 2-[[[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 117);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]-4-methyl-8-(2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 118);
- 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino}-8-(2-hydroxy-2-methylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 119);
- 30 2-[[[(1S)-1-{4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino]-8-[2-(hydroxymethyl)-2-methylpentyl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 120);
- 2,2-dimethyl-3-[2-[[[(1S)-1-(naphthalen-2-yl)ethyl]amino]-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanoic acid (cpd 121);
- 35 2,2-dimethyl-3-[2-[[[(1S)-1-(naphthalen-2-yl)ethyl]amino]-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 122);
- N,2,2-trimethyl-3-[7-oxo-2-[[[(1S)-1-phenylethyl]amino]pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 123);
- N,N,2,2-tetramethyl-3-[7-oxo-2-[[[(1S)-1-phenylethyl]amino]pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 124);

- 2,2-dimethyl-N-(3-methylphenyl)-3-[7-oxo-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 125);
- N-(2-hydroxyethyl)-2,2-dimethyl-3-[7-oxo-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 126);
- 5 N-(3-hydroxypropyl)-2,2-dimethyl-3-[7-oxo-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 127);
- N-[3-(1-hydroxyethyl)phenyl]-2,2-dimethyl-3-[7-oxo-2-(((1S)-1-phenylethyl)amino)pyrido[2,3-d]pyrimidin-8(7H)-yl]propanamide (cpd 128);
- 2,2-dimethyl-3-[2-(((1S)-1-(naphthalen-2-yl)ethyl)amino)-7-oxopyrido[2,3-d]pyrimidin-8(7H)-yl]propanenitrile (cpd 129);
- 10 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 130);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(pyridin-4-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 131);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(2-fluoropyridin-4-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 132)
- 15 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(thiophen-3-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 133);
- 4'-[(1S)-1-[[8-(2,2-dimethylpropyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]ethyl]biphenyl-2-carbonitrile (cpd 134);
- 8-(3-hydroxy-2,2-dimethylpropyl)-2-(((1S)-1-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 135);
- 20 8-(3-hydroxy-2,2-dimethylpropyl)-2-(((1S)-1-[4-(thiophen-3-yl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 136);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(methylsulfonyl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 137);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-(piperazin-1-ylmethyl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one dihydrochloride (cpd 138);
- 25 2-(((1S)-1-[4-[(4-acryloylpiperazin-1-yl)methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 139);
- 2-(((1S)-1-[4-[(4-acryloylpiperazin-1-yl)methyl]phenyl]ethyl)amino)-8-(3-hydroxy-2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 140);
- 30 2-(((1S)-1-[4-[(4-acryloylpiperazin-1-yl)methyl]-3-fluorophenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 141);
- 2-(((1S)-1-[4-[(4-acryloylpiperazin-1-yl)carbonyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 142);
- 2-(((1S)-1-[4-[(4-[(2E)-but-2-enoyl]piperazin-1-yl)methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 143);
- 35 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-[(2-methylacryloyl)piperazin-1-yl]methyl]phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 144);
- 8-(2,2-Dimethyl-propyl)-2-[(S)-1-(4-hydroxy-phenyl)-ethylamino]-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 145);

- 4-(4-((S)-1-[8-((S)-1,2-Dimethyl-propyl)-7-oxo-7,8-dihydro-pyrido[2,3-d]pyrimidin-2-ylamino]-ethyl)-phenoxy)-piperidine-1-carboxylic acid benzyl ester (cpd 146);
- 2-(((1S)-1-[4-[(3,3-difluoroazetidin-1-yl)methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 147);
- 5 2-(((1S)-1-[4-[(3,3-difluoropyrrolidin-1-yl)methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 148);
- 8-[(2R)-butan-2-yl]-2-(((1S)-1-[4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 149);
- 2-(((1S)-1-[4-[(2R,6S)-2,6-dimethylmorpholin-4-yl]methyl]-3-fluorophenyl]ethyl)amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 150);
- 10 2-(((1S)-1-[4-[(2R,6S)-2,6-dimethylmorpholin-4-yl]methyl]-2-fluorophenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 151);
- 2-(((1S)-1-[4-[(4,4-difluoropiperidin-1-yl)methyl]-2-fluorophenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 152);
- 15 8-(2,2-dimethylpropyl)-2-(((1S)-1-[2-fluoro-4-(morpholin-4-ylmethyl)phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 153);
- 4-(4-((S)-1-[8-(2,2-Dimethyl-propyl)-7-oxo-7,8-dihydro-pyrido[2,3-d]pyrimidin-2-ylamino]-ethyl)-phenoxy)-piperidine-1-carboxylic acid tert-butyl ester (cpd 154);
- 8-((S)-1,2-Dimethyl-propyl)-2-((S)-1-[4-(piperidin-4-yloxy)-phenyl]-ethylamino)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 155);
- 20 2-((S)-1-[4-(1-Acryloyl-piperidin-4-yloxy)-phenyl]-ethylamino)-8-(2,2-dimethyl-propyl)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 156);
- 8-(2,2-dimethylpropyl)-2-(((1S)-1-[4-[(2-methylpropanoyl)piperazin-1-yl]methyl]phenyl]ethyl)amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 157);
- 25 2-(((1S)-1-[4-[(4-(cyclopropylcarbonyl)piperazin-1-yl]methyl]phenyl]ethyl)amino)-8-(2,2-dimethylpropyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 158);
- 2-((S)-1-[4-(1-Acryloyl-piperidin-4-yloxy)-phenyl]-ethylamino)-8-((S)-1,2-dimethyl-propyl)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 159);
- 8-((S)-1,2-Dimethyl-propyl)-2-((S)-1-[4-(1-isobutyryl-piperidin-4-yloxy)-phenyl]-ethylamino)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 160);
- 30 2-((S)-1-[4-(4-Acryloyl-piperazin-1-ylmethyl)-phenyl]-ethylamino)-8-((S)-1,2-dimethyl-propyl)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 161);
- 8-((S)-1,2-Dimethyl-propyl)-2-((S)-1-[4-[(2-methyl-acryloyl)-piperazin-1-ylmethyl]-phenyl]-ethylamino)-8H-pyrido[2,3-d]pyrimidin-7-one (cpd 162);
- 35 2-(((1S)-1-[4-bromophenyl]ethyl)amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 163);
- 2-(((1S)-1-[4-[(2R,6S)-2,6-dimethylmorpholin-4-yl]methyl]phenyl]ethyl)amino)-8-[(2S)-1,1,1-trifluoropropan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 164);

- 4'-[(1S)-1-({8-[(2S)-3-methylbutan-2-yl]-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino)ethyl]biphenyl-2-carbonitrile (cpd 165);
- 2-({(1S)-1-[4-(2-fluoropyridin-4-yl)phenyl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 166);
- 5 tert-butyl 4-{4-[(1S)-1-({8-[(2S)-3-methylbutan-2-yl]-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino)ethyl]phenyl}-3,6-dihydropyridine-1(2H)-carboxylate (cpd 167);
- 2-({(1S)-1-[4-(1-acryloyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 168);
- 2-[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino)-8-[(2S)-1,1,1-trifluoropropan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 169);
- 10 2-[(1S)-1-{4-[(3,3-difluoropiperidin-1-yl)methyl]phenyl}ethyl]amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 170);
- 8-[(1S)-1-cyclopropylethyl]-2-[(1S)-1-{4-[(2R,6S)-2,6-dimethylmorpholin-4-yl]methyl}-3-fluorophenyl}ethyl] amino} pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 171);
- 15 2-[(1S)-1-(6-chloro-2-oxo-1,2-dihydroquinolin-3-yl)ethyl]amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 172);
- 8-[(2S)-3-methylbutan-2-yl]-2-({(1S)-1-[4-(morpholin-4-yl)phenyl]ethyl}amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 173);
- benzyl 4-{4-[(1S)-1-({8-[(2S)-3-methylbutan-2-yl]-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino)ethyl]phenyl}piperazine-1-carboxylate (cpd 174);
- 20 2-({(1S)-1-[4-(4-acryloylpiperazin-1-yl)phenyl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 175);
- 8-[(2S)-3-methylbutan-2-yl]-2-({(1S)-1-[4-(piperazin-1-yl)phenyl]ethyl}amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 176);
- 25 2-({(1S)-1-[4-(4-ethylpiperazin-1-yl)phenyl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 177);
- benzyl 4-{2-fluoro-4-[(1S)-1-({8-[(2S)-3-methylbutan-2-yl]-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino)ethyl]phenyl}piperazine-1-carboxylate (cpd 178);
- 2-({(1S)-1-[4-(4-acryloylpiperazin-1-yl)-3-fluorophenyl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 179);
- 30 8-[(2S)-3-methylbutan-2-yl]-2-({(1S)-1-[6-(piperazin-1-yl)pyridin-3-yl]ethyl}amino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 180);
- 2-({(1S)-1-[6-(4-acryloylpiperazin-1-yl)pyridin-3-yl]ethyl}amino)-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 181);
- 35 2-[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino)-8-(2-azidoethyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 182);
- 2-[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]-3-fluorophenyl}ethyl]amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 183);

- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 184);
- tert-butyl 4-{4-[(1S)-1-[(8-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]ethyl}benzyl}piperazine-1-carboxylate (cpd 185);
- 5 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 186);
- 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)propyl]phenyl}ethyl]amino}-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 187);
- 8-[(2S)-3-methylbutan-2-yl]-2-[[[(1S)-1-{4-[(4-methyl-3-oxopiperazin-1-yl)methyl]phenyl}ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 188);
- 10 tert-butyl 4-{4-[(1S)-1-[(8-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]ethyl}benzoyl}piperazine-1-carboxylate (cpd 189);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)carbonyl]phenyl}ethyl]amino}-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 190);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)carbonyl]-3-fluorophenyl}ethyl]amino}-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 191);
- 15 N-(1-acryloylpiperidin-4-yl)-2-fluoro-4-[(1S)-1-[[7-oxo-8-(propan-2-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl] amino]ethyl]benzamide (cpd192);
- tert-butyl 6-[(1S)-1-[(2S)-3-methylbutan-2-yl]-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino)ethyl]-3',6'-dihydro-3,4'-bipyridine-1'-(2'H)-carboxylate (cps 193);
- 20 2-[[[(1S)-1-{1'-acryloyl-1',2',3',6'-tetrahydro-3,4'-bipyridin-6-yl}ethyl]amino}-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 194);
- 2-[[[(1S)-1-{1'-(cyclopropylcarbonyl)-1',2',3',6'-tetrahydro-3,4'-bipyridin-6-yl}ethyl]amino}-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 195);
- 8-[(2S)-3-methylbutan-2-yl]-2-[[[(1S)-1-[2'-(trifluoromethyl)-3,4'-bipyridin-6-yl]ethyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 196);
- 25 2-[[[(1S)-1-(2'-fluoro-3,4'-bipyridin-6-yl)ethyl]amino}-8-[(2S)-3-methylbutan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 197);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-[(2S)-1-fluoropropan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 198);
- 30 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-[(2S)-1-hydroxypropan-2-yl]pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 199);
- tert-butyl 4-{4-[(1S)-1-[[4-cyano-8-(2,2-dimethylpropyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl] amino]ethyl}benzyl}piperazine-1-carboxylate (cpd 200);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-(2,2-dimethylpropyl)-4-ethoxypyrido[2,3-d]pyrimidin-7(8H)-one (cpd 201);
- 35 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-8-(2,2-dimethylpropyl)-4-(methylamino)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 202);

- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-4-(dimethylamino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 203);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-7-oxo-8-(propan-2-yl)-7,8-dihydropyrido[2,3-d]pyrimidine-4-carbonitrile (cpd 204);
- 5 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-4-[(2,4-dimethoxybenzyl)amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 205);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino}-4-amino-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 206);
- 2-[[[(1S)-1-{4-[(2R)-4-acryloyl-2-methylpiperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 207);
- 10 2-[[[(1S)-1-{4-[(3R)-4-acryloyl-3-methylpiperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 208);
- 2-[[[(1S)-1-{4-[(2R)-4-acryloyl-2-(propan-2-yl)piperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 209);
- 15 2-[[[(1S)-1-{4-[(2S)-4-acryloyl-2-methylpiperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 210);
- 2-[[[(1S)-1-{4-[(3S)-4-acryloyl-3-methylpiperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 211);
- 2-[[[(1S)-1-{4-[(2S)-4-acryloyl-2-(propan-2-yl)piperazin-1-yl)methyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 212);
- 20 2-[[[(1S)-1-{6-[(4-acryloylpiperazin-1-yl)methyl]pyridin-3-yl}ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 213);
- 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)propyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 214);
- 25 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)propyl]phenyl}ethyl]amino]-8-methylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 215);
- 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)propyl]phenyl}ethyl]amino]-8-(2,6-difluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 216);
- 2-[[[(1S)-1-{4-[(4-acryloylpiperazin-1-yl)methyl]phenyl}ethyl]amino]-8-(4-ethynyl-2-fluorobenzyl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 217);
- 30 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)propyl]phenyl}ethyl]amino]-8-cyclopropylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 218);
- 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl}ethyl]amino]-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 219);
- 35 2-[[[(1S)-1-{4-[1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl}ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 220);
- 2-[[[(1S)-1-{4-[1-[4-(2-methylacryloyl)piperazin-1-yl]propyl]phenyl}ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 221);

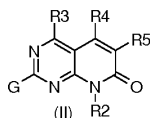
- 2-({(1S)-1-[4-(1-{4-[(2E)-but-2-enoyl]piperazin-1-yl}propyl)phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 222);
- 2-({(1S)-1-[4-({(3R)-1-acryloylpyrrolidin-3-yl}oxy)phenyl]ethyl}amino)-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 223);
- 5 2-({(1S)-1-[4-({(3S)-1-acryloylpyrrolidin-3-yl}oxy)phenyl]ethyl}amino)-8-ethylpyrido[2,3-d]pyrimidin-7(8H)-one (cpd 224);
- phenyl 4-[(1S)-1-[4-[(1S)-1-[[7-oxo-8-(propan-2-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]ethyl]phenyl] propyl] piperazine-1-carboxylate (cpd 225);
- phenyl 4-[(1R)-1-[4-[(1S)-1-[[7-oxo-8-(propan-2-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]ethyl]phenyl]propyl] piperazine-1-carboxylate (cpd 226);
- 10 2-({(1S)-1-[4-[(1S)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 227);
- 2-({(1S)-1-[4-[(1R)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 228);
- 15 2-({(1R)-1-[4-[(1R)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 229);
- 2-({(1S)-1-[4-[(1S)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 230);
- 2-({(1S)-1-[4-[(1R)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 231);
- 20 4-[4-[(S)-1-(1-Ethyl-2-oxo-1,2-dihydro-[1,6]naphthyridin-7-ylamino)-ethyl]-benzyl]-piperazine-1-carboxylic acid tert-butyl ester (cpd 232);
- 4-(1-[4-[(S)-1-(1-Ethyl-2-oxo-1,2-dihydro-[1,6]naphthyridin-7-ylamino)-ethyl]-phenyl]-propyl)-piperazine-1-carboxylic acid tert-butyl ester (cpd 233);
- 25 4-((S)-1-[4-[(S)-1-(1-Ethyl-2-oxo-1,2-dihydro-[1,6]naphthyridin-7-ylamino)-ethyl]-phenyl]-propyl)-piperazine-1-carboxylic acid phenyl ester (cpd 234);
- 7-((S)-1-[4-(3,3-Difluoro-piperidin-1-ylmethyl)-phenyl]-ethylamino)-1-(2,2-dimethyl-propyl)-1H-[1,6]naphthyridin-2-one (cpd 235);
- 7-((S)-1-[4-(4-Acryloyl-piperazin-1-ylmethyl)-phenyl]-ethylamino)-1-ethyl-1H-[1,6]naphthyridin-2-one (cpd 236);
- 30 7-((S)-1-[4-[1-(4-Acryloyl-piperazin-1-yl)-propyl]-phenyl]-ethylamino)-1-ethyl-1H-[1,6]naphthyridin-2-one (cpd 237);
- 7-((S)-1-[4-[(S)-1-(4-Acryloyl-piperazin-1-yl)-propyl]-phenyl]-ethylamino)-1-ethyl-1H-[1,6]naphthyridin-2-one (cpd 238);
- 7-({(1S)-1-[4-[(1S)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl]ethyl}amino)-1-(propan-2-yl)-1,6-naphthyridin-2(1H)-one (cpd 239);
- 35 2-({(1S)-1-[4-[1-(4-propanoylpiperazin-1-yl)propyl]phenyl]ethyl}amino)-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 240);
- 1-acryloyl-4-[4-[(1S)-1-[[7-oxo-8-(propan-2-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]ethyl]phenyl]piperidine-4-carbonitrile (cpd 241);

- 2-[[[(1S)-1-(4-[(1S)-1-[4-(3-chloropropanoyl)piperazin-1-yl]-2-cyclopropylethyl]phenyl)ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 242);
- 2-[[[(1S)-1-(4-[(1R)-1-[4-(3-hydroxypropanoyl)piperazin-1-yl]propyl]phenyl)ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 243);
- 5 2-[[[(1S)-1-(4-[(1S)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 244);
- 2-[[[(1S)-1-(4-[(1R)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 245);
- 2-[[[(1S)-1-(4-[(1S)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 246);
- 10 2-[[[(1S)-1-(4-[(1S)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 247);
- 2-[[[(1S)-1-(4-[(1R)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 248);
- 15 2-[[[(1S)-1-(4-[(1S)-1-(4-acryloylpiperazin-1-yl)propyl]phenyl)cyclopropyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 249);
- 2-[[[(1S)-1-(4-[(2E)-pent-2-en-3-yl]phenyl)ethyl]amino]-8-(propan-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one (cpd 250); and
- 7-[[[(1S)-1-(4-[(1S)-1-(4-acryloylpiperazin-1-yl)-2-cyclopropylethyl]phenyl)ethyl]amino]-1-(propan-2-yl)-1,6-naphthyridin-2(1H)-one (cpd 251).

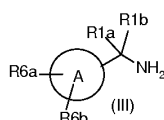
20

6. A process for the preparation of a compound of formula (I) or a pharmaceutical acceptable salt thereof, as defined in claim 1, said process comprises the following steps:

Step 1a) reacting a compound of formula (II):



- 25 wherein G is chloro, MeS(O)₂-, or MeS(O)-; R2 is an optionally substituted group selected from straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl-(C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆)alkyl; X is nitrogen or -CH-, R3 is hydrogen, chloro, cyano, CONH₂, NH₂, NR₁₃R_{13a}, OR₁₂, or an optionally substituted group selected from straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl and heteroaryl; R4 is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl; R5 is hydrogen, fluoro, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl or OR₁₂, wherein R12 is an optionally substituted straight or branched (C₁-C₆)alkyl and R13, R13a are each independently selected from hydrogen or optionally substituted straight or branched (C₁-C₆)alkyl;
- 30 with a compound of formula (III):

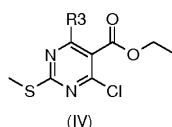


- wherein R1a is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl; R1b is an optionally substituted (C₁-C₆)alkyl, or together with the atom to which they are bound, R1a and R1b may form a (C₃-C₆)cycloalkyl; A is a (C₃-C₆) cycloalkyl, aryl or heteroaryl; R6a and R6b are each independently selected from hydrogen, halogen, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, cycloalkyl-alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, aryl, heteroaryl, heterocyclyl, -OR7, NR7R8, -COOR7, -SO₂R7, -CONR7R8 -
- 5 CH(R14)OR7, and -CH(R14)NR7R8 wherein R14 is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl and R7 and R8 are each independently hydrogen or a group selected from an optionally substituted straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, heteroaryl, heterocyclyl, aryl-alkyl, heteroaryl-alkyl, heterocyclyl-alkyl, heterocyclyl-C(O)-alkyl, heterocyclyl-C(O)-alkenyl, heterocyclyl-C(O)-alkynyl or, together with the nitrogen atom
- 10 to which they are bound, R7 and R8 form a 5- to 7-membered heteroaryl or heterocyclyl group optionally containing one additional heteroatom selected from O, S and NR9; wherein R9 is hydrogen, an optionally substituted straight or branched (C₁-C₆)alkyl, -COOR10 or -COR11; wherein R10 is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl; R11 is an optionally substituted straight or branched (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl;

- 15 to yield a compound of general formula (I);

the compound of formula (II) wherein G is MeS(O)₂- or MeS(O)- can be prepared according to the following steps:

Step 2a) substituting the chlorine of an intermediate compound of formula (IV):

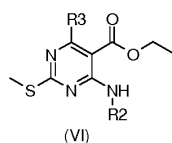


- wherein R3 is hydrogen, or an optionally substituted straight or branched (C₁-C₆)alkyl, with an amine intermediate compound of formula (V):
- 20



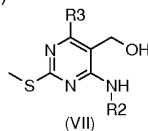
wherein R2 is as defined above;

Step 2b) reacting a compound of formula (VI):



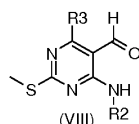
- 25 wherein R2 and R3 are as defined above, with a reducing agent;

Step 2c) reacting the resultant compound of formula (VII):

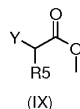


wherein R2 and R3 are as defined above, with an appropriate oxydant reagent;

Step 2d) reacting the resultant compound of formula (VIII):

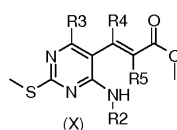


wherein R2 and R3 are as defined above, with an compound of formula (IX):



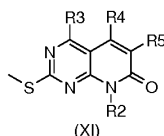
- wherein Y is a suitable leaving group for Wittig or Horner–Wadsworth–Emmons reaction (or HWE reaction) such as triphenylphosphorane or diethylphosphonate, and R5 is hydrogen or fluoro, or an optionally substituted straight or branched (C₁-C₆)alkyl;

Step 2e) cyclize an intermediate compound of formula (X):



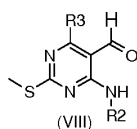
- wherein R2, R3, R4 and R5 are as defined above under basic conditions, to obtained the intermediate compound of formula (XI);

Step 2f) mixing the resultant intermediate compound of formula (XI):

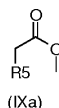


- wherein R2, R3, R4 and R5 are as defined above, with a oxydant reagent, to give a compound of formula (II) wherein G is MeS(O)₂⁻, or MeS(O)- and R2, R3, R4 and R5 are as defined above;
- alternatively compounds of formula (XI) can be prepared according to the following steps:

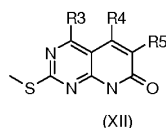
Step 3a) reacting a compound of formula (VIII):



wherein R2, is as defined above, and R3 is hydrogen, chloro or an optionally substituted straight or branched (C₁-C₆)alkyl; with an compound of formula (IXa):



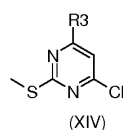
- wherein R5 is hydrogen or OR₁₂, wherein R₁₂ is an optionally substituted straight or branched (C₁-C₆)alkyl, to yield a compound of formula (XI) wherein R2, R3 and R4 are as defined above and R5 is hydrogen or OR₁₂ wherein R₁₂ is an optionally substituted straight or branched (C₁-C₆)alkyl;
- or
- Step 3a')** alkylating the intermediate compound of formula (XII):



wherein, R3 and R4 are as defined above and R5 is hydrogen, fluoro, cyano, an optionally substituted straight or branched (C₁-C₆)alkyl or OR₁₂, wherein R₁₂ is an optionally substituted straight or branched (C₁-C₆)alkyl, with an alkylating agent of formula R₂-X (XIII), wherein X is bromine, iodine, -OMs -OTs or hydroxy and R₂ is as

5 defined above, to give a compound of formula (XI) wherein R₂, R₃, R₅ and R₅ are as defined above;
or

Step 3a) reacting a compound of formula (XIV):



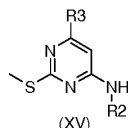
wherein R₃ is as defined above, with a compound of formula (V):



10

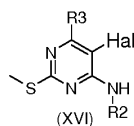
wherein R₂ is as defined above;

Step 3b) reacting the resultant intermediate compound of formula (XV):

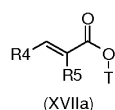


wherein R₃ and R₂ are as defined above, with a halogenation reagent;

15 **Step 3c**) reacting the intermediate compound of formula (XVI):



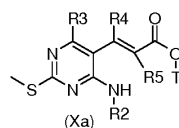
with a compound of formula (XVIIa):



wherein R₄ is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl, R₅ is hydrogen and T is a straight or branched (C₁-C₆)alkyl;

20

Step 3d) cyclize an intermediate compound of formula (Xa):

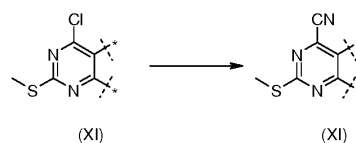


wherein R₂, R₃, R₄, R₅ and T are as defined above under basic conditions, so to obtain the intermediate compound of formula (XI), wherein R₄ is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl, R₅ is hydrogen and R₂ and R₃ are as defined above;

25

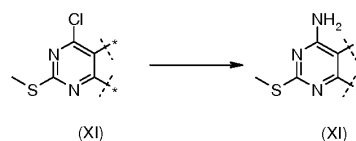
if desired, converting a first compound of formula (XI) into a second compound of formula (XI) by operating according to any one of the following synthetic conditions:

conv. A) converting a compound of formula (XI):



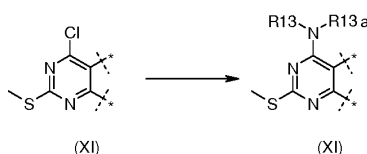
- 5 wherein R3 is chloro, into a compound of formula (XI) wherein R3 is CN, by reacting with a source of cyanide, following the condition known in the art for palladium-catalyzed cyanation of aryl halides;

conv. B) converting a compound of formula (XI):



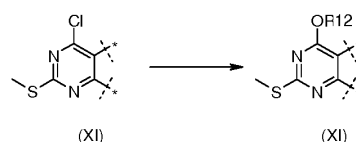
wherein R3 is chloro, into a compound of formula (XI) wherein R3 is NH₂, by reacting with ammonia;

- 10 **conv. C)** converting a compound of formula (XI):



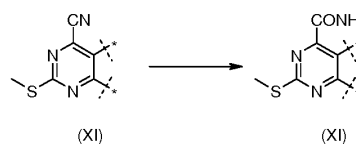
wherein R3 is chloro, into a compound of formula (XI) wherein R3 is NR₁₃R_{13a}, by reacting with an amine NR₁₃R_{13a} wherein R₁₃ and R_{13a} are each independently selected from hydrogen or optionally substituted straight or branched (C₁-C₆)alkyl;

- 15 **conv. D)** converting a compound of formula (XI):



wherein R3 is chloro, into a compound of formula (XI) wherein R3 is OR₁₂, by reacting with an alcohol R₁₂-OH wherein R₁₂ is an optionally substituted straight or branched (C₁-C₆)alkyl;

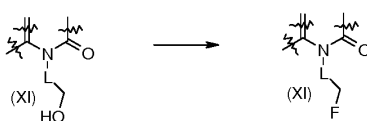
conv. E) converting a compound of formula (XI):



- 20

wherein R3 is cyano, into a compound of formula (XI) wherein R3 is CONH₂, by hydrolysis with a suitable agent;

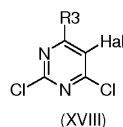
conv. F) converting a compound of formula (XI):



wherein R2 is a group of formula L-CH₂OH, into a compound of formula (XI), wherein R2 is a group of formula L-CH₂F wherein L is an optionally substituted group selected straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl-(C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆)alkyl, by reacting with a fluorination agent;

the compound of formula (II) wherein G is chloro and X is nitrogen or -CH-, can be prepared according to the following steps:

Step 4a) reacting a compound of formula (XVIII):

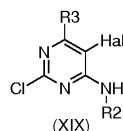


wherein R3 is hydrogen or an optionally substituted straight or branched (C₁-C₆)alkyl, and Hal is preferably bromo or iodo, with an intermediate compound of formula (V):

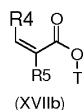


wherein R2 is as defined above;

Step 4b) mixing the obtained intermediate compound of formula (XIX):

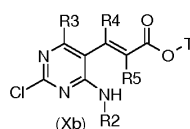


wherein R2, R3 and Hal are as defined above, with a reagent of formula (XVIIb):



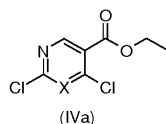
wherein R4 is hydrogen or an optionally substituted straight or branched (C₁-C₆) alkyl, R5 and T are hydrogen;

Step 4c) cyclize an intermediate compound of formula (Xb):

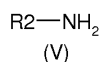


wherein R2, R3, R4, R5 and T are as defined above, with addition of acetic anhydride, to obtain the intermediate compound of formula (II) wherein G is chloro, R4 is hydrogen or an optionally substituted straight or branched (C₁-C₆) alkyl, R5 is hydrogen and R2 and R3 are as defined above;

Step 4d) substituting the chlorine of an intermediate compound of formula (IVa):

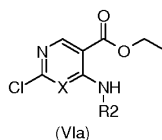


wherein X is N, or -CH-, with an amine intermediate compound of formula (V):



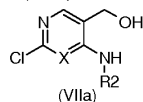
wherein R2 is as defined above;

Step 4e) reacting a compound of formula (VIa):



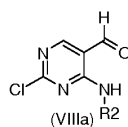
wherein X is N, or -CH and R2 is as defined above, with a reducing agent;

Step 4f) reacting the resultant compound of formula (VIIa):

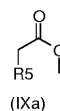


- 5 wherein X is N, or -CH and R2 is as defined above, with an appropriate oxydant reagent;

Step 4g) reacting a compound of formula (VIIIa):

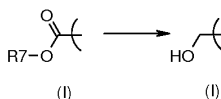


wherein R2 is as defined above, with an compound of formula (IXa):



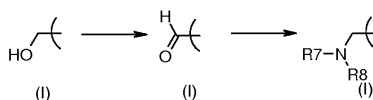
- 10 wherein R5 is hydrogen or OR12, wherein R12 is an optionally substituted straight or branched (C₁-C₆)alkyl, to yield a compound of formula (II) wherein G is chloro and X is nitrogen or -CH-, R2 is as defined above, R3 and R4 are hydrogen and R5 is hydrogen or OR12 wherein R12 is an optionally substituted straight or branched (C₁-C₆)alkyl; a first compound of general formula (I) can be conveniently converted into a second compound of general formula (I) by operating according to any one of the following synthetic conditions:

- 15 **conv. 1)** converting a compound of formula (I):



wherein R6a is a group of formula -COOR7, R7 is an optionally substituted straight or branched (C₁-C₆) alkyl, into a compound of formula (I) wherein R6a is a group of formula -CH₂OH, by reacting with a suitable reducing reagent;

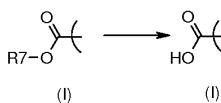
conv. 2) converting a compound of formula (I):



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wherein R6a is a group of formula -CH₂OH, into a compound of formula (I) wherein R6a is a group -CH(R14)NR7R8 wherein R14 is hydrogen, by first converting the group -CH₂OH into the corresponding aldehyde -CHO then, reacting the resulting derivative with a compound of formula R7R8NH (XX), wherein R6 and R7 are as defined above, under reductive amination conditions in the presence of a suitable reducing agents;

- 25 **conv. 3)** converting a compound of formula (I):



wherein R6a is a group of formula $-\text{COOR7}$, wherein R7 is an optionally substituted straight or branched ($\text{C}_1\text{-C}_6$) alkyl, into a compound of formula (I), wherein R6a is a group of formula $-\text{COOH}$ under acidic or basic conditions;

conv. 4) converting a compound of the formula (I):



- 5 wherein R6a is a group of formula $-\text{COOH}$, into a compound of formula (I), wherein R6a is a group of formula a group $-\text{CONR7R8}$, wherein R7 and R8 are as defined above by reaction with a compound of formula R7R8NH (XX), in the presence of suitable amide coupling agents;

conv. 5) converting a compound of formula (I):



- 10 wherein A is aryl or heteroaryl and R6a is chloro, bromo or iodo, into a compound of formula (I), wherein R6a is an optionally substituted group selected from ($\text{C}_1\text{-C}_6$)alkyl, ($\text{C}_2\text{-C}_6$)alkenyl, ($\text{C}_2\text{-C}_6$)alkynyl, ($\text{C}_3\text{-C}_7$)cycloalkyl, heterocyclyl, aryl, heteroaryl, by reaction with a reagent of formula R6aQ (XXI), wherein R6a is as defined above and Q is a group selected from boronic acid, boronic ester, and $-\text{Sn}[(\text{C}_1\text{-C}_6)\text{alkyl}]_3$, under Pd-based catalyzed cross-coupling conditions;

- 15 **conv. 6)** converting a compound of formula (I):



wherein R6a is a linker group M of formula $-\text{CH}(\text{R14})\text{NR7R8}$ or $-\text{CONR7R8}$ or NR7R8 wherein R14 is hydrogen or an optionally substituted straight or branched ($\text{C}_1\text{-C}_6$)alkyl, R7 and R8 together with the nitrogen atom to which they are bound, may form a 5 to 7 membered heterocyclyl group optionally containing one additional group such as N-R9,

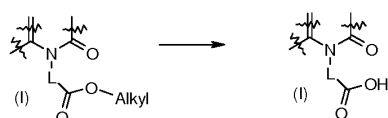
- 20 wherein R9 is a suitable protecting group, into a compound of formula (I), wherein A is as defined above and R9 is hydrogen, under basic or acid hydrogenation conditions;

conv. 7) converting a compound of formula (I):



wherein R6a is a linker group M of formula $-\text{CH}(\text{R14})\text{NR7R8}$, or $-\text{CONR7R8}$ or NR7R8 wherein R14 is hydrogen or an optionally substituted straight or branched ($\text{C}_1\text{-C}_6$)alkyl, R7 and R8 together with the nitrogen atom to which they are bound, may form a 5 to 7 membered heterocyclyl group optionally containing one additional group such as N-R9, wherein R9 is hydrogen, into a compound of formula (I) wherein A, is as defined above and R9 is $-\text{COR11}$, wherein R11 is an optionally substituted straight or branched ($\text{C}_1\text{-C}_6$)alkyl, ($\text{C}_2\text{-C}_6$) alkenyl or ($\text{C}_2\text{-C}_6$) alkynyl, by reaction with a reagent of formula R11COW (XXII), wherein R11 is as defined above and W is OH or Cl;

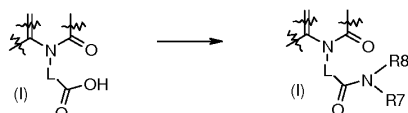
- 30 **conv. 8)** converting a compound of formula (I):



wherein R2 is a group of formula L-COOAlkyl wherein L is an optionally substituted group selected straight or branched (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, aryl-(C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆)alkyl, into a compound of formula (I) wherein R2 is a group of formula L-COOH, wherein L is as defined above; under acidic or basic conditions;

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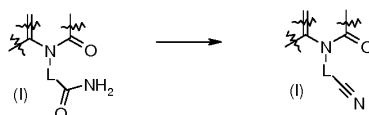
conv. 9) converting a compound of formula (I):



wherein R2 is a group of formula L-COOH, wherein L is as defined above, into a compound of formula (I), wherein R2 is a group of formula L-CONR₇R₈, wherein L, R₇ and R₈ are as defined above, by reaction with a compound of formula R₇R₈NH (XX), in the presence of a amide coupling agents;

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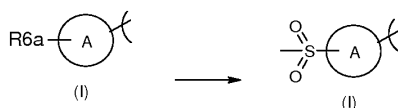
conv. 10) converting a compound of formula (I):



wherein R2 is a group of formula L-CONH₂ wherein L is as defined above, into a compound of formula (I), wherein R2 is a group of formula L-CN, wherein L is as defined above, by reaction with a dehydration agent;

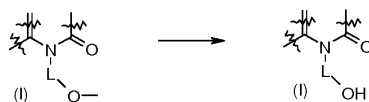
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conv. 11) converting a compound of formula (I):



wherein A is aryl or heteroaryl and R6a is chloro, bromo or iodo, into a compound of formula (I), wherein R6a is methylsulphonyl group, under cross-coupling reaction conditions;

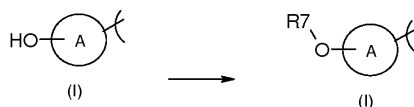
conv. 12) converting a compound of formula (I):



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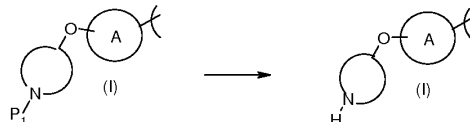
wherein L is a group selected from aryl (C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆) alkyl, into a compound of formula (I) wherein L is defined above, under strong Lewis acid conditions;

conv. 13) converting a compound of formula (I):



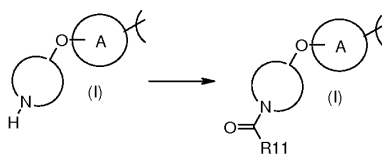
wherein R6a is a phenolic group, into a compound of formula (I) wherein R6a is a group OR7 wherein R7 is as defined above, by reaction with a reagent of formula R7-X (XXIII), wherein R7 is as defined above and X is hydroxy, bromine, iodine, -OMs or -OTs;

conv. 14) converting a compound of formula (I):



wherein A is as defined above and R6a is a group -OR7 wherein R7 is heterocyclyl group and P1 is a suitable protecting group, into a compound of formula (I), wherein A is as defined above and R7 is heterocyclyl, operating, e.g., under basic or acid hydrogenation;

conv. 15) converting a compound of formula (I):



wherein A is as defined above and R6a is a group -OR7 wherein R7 is a heterocyclyl group, into a compound of formula (I), wherein A and R11 are as defined above, by reaction with a reagent of formula R11COW, (XXII) wherein R11 is as defined above and W is OH or Cl.

conv. 16) converting a compound of formula (I):



wherein L is a group selected from aryl(C₁-C₆)alkyl, and heterocyclyl-(C₁-C₆)-alkyl, into a compound of formula (I) wherein L is defined above, under Sonogashira reaction;

7. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, for use in a method of treating a disease caused by and/or associated with increased 2-hydroxyglutarate level, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of a compound of formula (I) as defined in claim 1.

8. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, for use in a method of treating diseases caused by and/or associated with mutated IDH enzymes, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of compound of formula (I) as defined in claim 1.

9. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, for use in a method of treating diseases caused by and/or associated with IDH wt over-functions, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of compound of formula (I) as defined in claim 1.

10. A compound for use according to any of the claims 7 to 9, wherein the disease is selected from the group consisting of cancer, cell proliferative disorders and immune-related disorders.

11. A compound for use according to claims 10 wherein the disease is cancer.

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12. A compound for use according to claim 11, wherein the cancer is selected from the group consisting of: carcinomas, such as bladder, breast, kidney, liver, colon, lung, including small cell lung cancer, esophagus, gall-bladder, ovary, pancreas, stomach, cervix, prostate, and skin, including squamous cell carcinoma; hematopoietic tumors of lymphoid lineage including leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, angioimmunoblastic T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; hematopoietic tumors of myeloid lineage, including acute and chronic myelogenous leukemias, myelodysplastic syndrome and promyelocytic leukemia; tumors of mesenchymal origin, including fibrosarcoma and rhabdomyosarcoma; tumors of the central and peripheral nervous system, including glioma, glioblastoma, glioblastoma multiforme, astrocytoma, oligodendroglioma, paraglioma, neuroblastoma, and schwannomas; and other tumors, including melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoxanthoma, thyroid cancers, such as papillary thyroid carcinoma and medullary thyroid carcinoma, Kaposi's sarcoma, chondrosarcoma, and cholangiocarcinoma.

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13. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, for use as a medicament.

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14. A method of treating a disease caused by and/or associated with increased 2-hydroxyglutarate level, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of a compound of formula (I) as defined in claim 1.

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15. A method of treating a disease caused by and/or associated with mutated IDH enzymes, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of compound of formula (I) as defined in claim 1.

16. A method of treating a disease caused by and/or associated with IDH wt over-functions, which comprises administering to a mammal, preferably a human, in need thereof, an effective amount of compound of formula (I) as defined in claim 1.

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17. The method, according to any of the claims 14 to 16, wherein the disease is selected from the group consisting of cancer, cell proliferative disorders and immune-related disorders.

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18. The method, according to claim 17, wherein the disease is cancer.

19. The method according to claim 18, wherein the cancer is selected from the group consisting of: carcinomas, such as bladder, breast, kidney, liver, colon, lung, including small cell lung cancer, esophagus, gall-bladder, ovary, pancreas, stomach, cervix, prostate, and skin, including squamous cell carcinoma; hematopoietic tumors of lymphoid lineage including leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, angioimmunoblastic T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; hematopoietic tumors of myeloid lineage, including acute and chronic myelogenous leukemias, myelodysplastic syndrome and promyelocytic leukemia; tumors of mesenchymal origin, including fibrosarcoma and rhabdomyosarcoma; tumors of the central and peripheral nervous system, including glioma, glioblastoma, glioblastoma multiforme, astrocytoma, oligodendroglioma, paraglioma, neuroblastoma, and schwannomas; and other tumors, including melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoxanthoma, thyroid cancers, such as papillary thyroid carcinoma and medullary thyroid carcinoma, Kaposi's sarcoma, chondrosarcoma, and cholangiocarcinoma.
20. A pharmaceutical composition comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, in association with a pharmaceutically acceptable excipient, carrier or diluent.
21. A pharmaceutical composition according to claim 20 further comprising one or more chemotherapeutic agents.
22. A product or kit comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, and one or more chemotherapeutic agents, as a combined preparation for simultaneous, separate or sequential use in anticancer therapy.
23. Use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in claim 1, in the manufacture of a medicament with anticancer activity.
24. The method according to anyone of claims 14 to 16 in combination with radiation therapy or with a chemotherapy regimen.