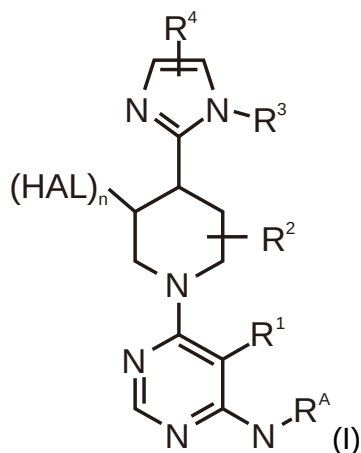


Claims

We claim:

1. A compound of Formula (I)



wherein:

- R¹ is Hal, LA, OH, O(LA), NH₂ and/or NH(LA), N(LA)₂, NO₂, CN, OCN, COOH, COO(LA), CONH₂, CONH(LA), CON(LA)₂, NHCO(LA), NHCONH(LA), NHCONH₂, NHSO₂(LA), CHO, CO(LA), or a mono- or bicyclic, aliphatic or aromatic homo- or heterocycle having 0, 1, 2, 3 or 4 N and/or O atoms and 4, 5 or 6, 7, 8, 9, or 10 skeleton atoms which may be unsubstituted or, independently of one another, mono-, di- or trisubstituted by Hal, LA, OH, O(LA), NH₂ and/or NH(LA), N(LA)₂, NO₂, CN, OCN, COOH, COO(LA), CONH₂, CONH(LA), CON(LA)₂, NHCO(LA), NHCONH(LA), NHCONH₂, CHO and/or CO(LA) or an unbranched or branched linear or cyclic alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 C atoms, in which one or two CH₂ groups may be replaced by an O atom and/or by an -NH-, NH(LA), -CO-, -NHCO- or -CH=CH- group, and/or in which a CH group may be replaced by -N-;
- R^A is H;
- R² is H, OH or A;
- A is unbranched or branched alkyl group having 1, 2, 3, 4, 5 or 6 C atoms in which 1-4 H atoms can be replaced, independently of each other, by Hal;
- R³ H, or an unbranched or branched linear or mono- or bicyclic alkyl group having 1, 2, 3, 4, 5, 6, 7, 8 or 9 C atoms, in which one or two CH₂ groups may be replaced by an -O- or -NH- group, and/or in which one or two CH

groups may be replaced by -N- and/or in which 1, 2 or 3 H atoms may be replaced by Hal or OH; or R³ is unbranched or branched alkyl group having 1, 2, 3, 4, 5 or 6 C atoms, which is substituted by a 3-6 membered heterocyclic ring, which may be further optionally substituted;

5 R⁴ is C₅₋₁₀ aryl, a 3-8 membered saturated or partially unsaturated carbocyclic ring, a 3-7 membered heterocyclic ring having 1-4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or a 5-6 membered monocyclic heteroaryl ring having 1-4 heteroatoms independently selected from nitrogen, oxygen, or sulfur; each of which is
10 mono-, di- or trisubstituted by Hal, LA, OH, O(LA), NH₂ and/or NH(LA), N(LA)₂, NO₂, CN, OCN, COOH, COO(LA), CONH₂, CONH(LA), CON(LA)₂, NHCO(LA), NHCONH(LA), NHCONH₂, NHSO₂(LA), CHO or CO(LA);

LA
15 is an unbranched or branched, saturated or partially unsaturated, linear hydrocarbon chain having 1, 2, 3 or 4 C atoms, wherein 1, 2 or 3 H atoms may be replaced by Hal;

Hal is F, Cl, Br or I; and

n is 0, 1 or 2,

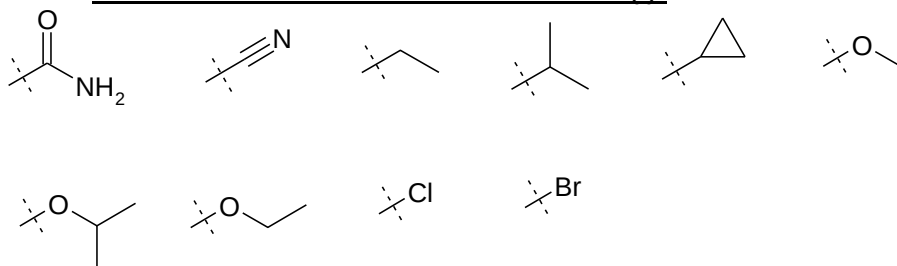
provided that, when n = 0, R² cannot be H, and when n ≠ 0, R² is H;

20 or a pharmaceutically acceptable salt, solvate or solvate of salt thereof.

2. The compound of claim 1 wherein R¹ is CN, CONH₂, Hal, O(LA), or an unbranched or branched linear or cyclic alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 C atoms, in which one or two CH₂ groups may be replaced by an O atom and/or by an -NH-,
25 NH(LA), -CO-, -NHCO- or -CH=CH- group, and/or in which a CH group may be replaced by -N- or a pharmaceutically acceptable salt, solvate, or solvate of salt thereof.

3. The compound of claim 2, wherein R¹ is selected from Table 1.

Table 1: Substituents for R¹ in Formula (I):



30

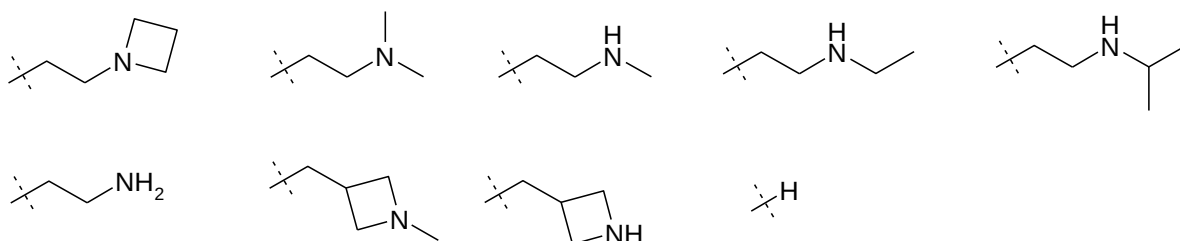
4. The compound of claim 1 wherein each R^2 is independently Hal, OH, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, straight chain or branched pentyl, or straight chain or branched hexyl.

5. The compound of claim 4 wherein each R^2 is independently F, OH, or methyl.

6. The compound of claim 1, wherein R^3 is H.

7. The compound of claim 1, wherein R^3 is selected from Table 2:

10 Table 2: Substituents for R^3 in Formula (I):

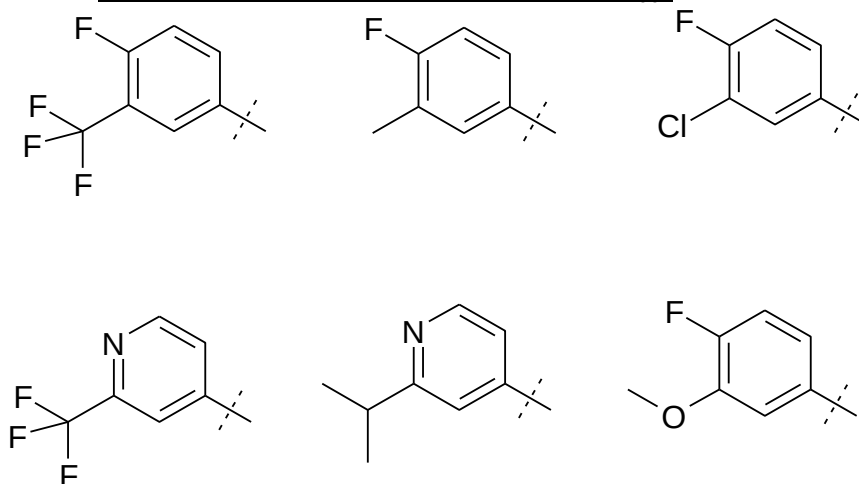


15 8. The compound of claim 1, wherein R^4 is C_{5-10} aryl or a 5-6 membered monocyclic heteroaryl ring having 1-4 heteroatoms independently selected from nitrogen, oxygen, or sulfur; each of which is mono-, di- or trisubstituted by Hal, LA, OH, O(LA), NH_2 and/or $NH(LA)$, $N(LA)_2$, NO_2 , CN, OCN, COOH, COO(LA), $CONH_2$, $CONH(LA)$, $CON(LA)_2$, $NHCO(LA)$, $NHCONH(LA)$, $NHCONH_2$, $NHSO_2(LA)$, CHO or CO(LA).

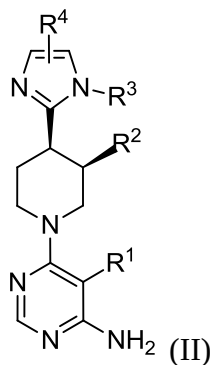
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9. The compound of claim 8, wherein R^4 is selected from Table 3.

Table 3: Substituents for R^4 in Formula (I):



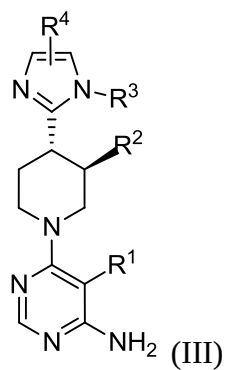
10. The compound of claim 1, of formula (II):



or a pharmaceutically acceptable salt thereof.

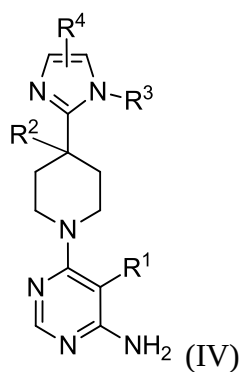
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11. The compound of claim 1, of formula (III):



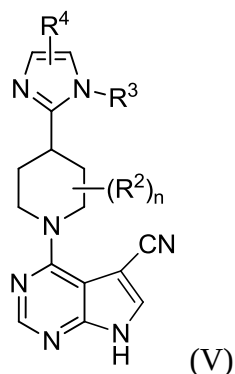
or a pharmaceutically acceptable salt thereof.

10 12. The compound of claim 1, of formula (IV):



or a pharmaceutically acceptable salt thereof.

13. The compound of claim 1, of formula (V):



or a pharmaceutically acceptable salt thereof.

14. A compound according to claim 1, selected from the group consisting of:

- 5 4-Amino-6-{3,4-*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("1");
- 4-Amino-6-{3,4-*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carboxamide (racemic) ("2");
- 4-amino-6-[(3R,4S)-4-[1-[2-(azetidin-1-yl)ethyl]-4-[4-fluoro-3-
- 10 (trifluoromethyl)phenyl]imidazol-2-yl]-3-fluoro-1-piperidyl]pyrimidine-5-carboxamide (chiral) ("3");
- 4-amino-6-[(3S,4R)-4-[1-[2-(azetidin-1-yl)ethyl]-4-[4-fluoro-3-
- (trifluoromethyl)phenyl]imidazol-2-yl]-3-fluoro-1-piperidyl]pyrimidine-5-carboxamide (chiral) ("4");
- 15 4-Amino-6-{3,4-*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-methyl-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("5");
- 4-Amino-6-{3,4-*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-methyl-piperidin-1-yl}-pyrimidine-5-carboxamide (racemic) ("6");
- 4-amino-6-{3,4-*trans*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-
- 20 imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("7");
- 4-Amino-6-{3,4-*trans*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carboxamide (racemic) ("8");
- 4-amino-6-{3,4-*cis*-4-[1-(2-dimethylaminoethyl)-4-(4-fluoro-3-methyl-phenyl)imidazol-2-yl]-3-fluoro-1-piperidyl}-pyrimidine-5-carbonitrile (racemic) ("9");
- 25 6-{3,4-*cis*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-chloro-pyrimidin-4-ylamine (racemic) ("10");

- 6-{3,4-*trans*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-chloro-pyrimidin-4-ylamine (racemic) ("11");
- 5-chloro-6-{3,4-*cis*--4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidin-4-ylamine (racemic) ("12");
- 5 6-{3,4-*cis*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-ethyl-pyrimidin-4-ylamine (racemic) ("13");
- 4-Amino-6-{3,4-*cis*-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("14");
- 4-Amino-6-{3,4-*cis*-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("15");
- 10 6-{3,4-*cis*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-ethoxy-pyrimidin-4-ylamine (racemic) ("16");
- 6-{*cis*-4-[1-(2-Dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("17");
- 15 4-Amino-6-{*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile (racemic) ("18");
- 4-Amino-6-{*cis*-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidine-5-carboxylic acid amide (racemic) ("19");
- 4-Amino-6-[(3*S*, 4*R*)-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidine-5-carboxylic acid amide (chiral) ("20");
- 20 4-Amino-6-[(3*R*,4*S*)-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidine-5-carboxylic acid amide (chiral) ("21");
- 25 6-[(3*R*,4*S*)-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-ethoxy-pyrimidin-4-ylamine (chiral) ("22");
- 6-[(3*S*,4*R*)-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-ethoxy-pyrimidin-4-ylamine(chiral) ("23");
- 6-{*cis*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("24");
- 30

- 5-Chloro-6-*cis*-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-pyrimidin-4-ylamine (racemic) ("25");
- 6-{*cis*-3-Fluoro-4-[4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("26");
- 5 4-Amino-6-[(3R,4S)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidine-5-carboxylic acid amide (chiral) ("27");
- 4-Amino-6-[(3S,4R)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidine-5-carboxylic acid amide (chiral) ("28");
- 10 5-Chloro-6-[(3R,4S)-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidin-4-ylamine (chiral) ("29");
- 5-Chloro-6-[(3S,4R)-4-[1-(2-dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidin-4-ylamine (chiral) ("30");
- 6-[(3S,4R)-4-[1-(2-Dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-isopropyl-pyrimidin-4-ylamine (chiral) ("31");
- 15 6-[(3R,4S)-4-[1-(2-Dimethylamino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-isopropyl-pyrimidin-4-ylamine (chiral) ("32");
- 6-{*cis*-4-[1-(2-Amino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("33");
- 6-[(3S,4R)-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-isopropyl-pyrimidin-4-ylamine (chiral) ("34");
- 20 6-[(3R,4S)-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-isopropyl-pyrimidin-4-ylamine (chiral) ("35");
- 6-[(*cis*-4-[1-(2-Amino-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-5-chloro-pyrimidin-4-ylamine (racemic) ("36");
- 25 6-{*cis*-3-Fluoro-4-[4-(4-fluoro-3-methyl-phenyl)-1-(2-isopropylamino-ethyl)-1H-imidazol-2-yl]-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("37");
- 6-{*cis*-3-Fluoro-4-[4-(4-fluoro-3-methyl-phenyl)-1-(2-methylamino-ethyl)-1H-imidazol-2-yl]-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("38");
- 6-{*cis*-4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-isopropoxy-pyrimidin-4-ylamine (racemic) ("39");
- 30 4-Amino-6-[(3R,4S)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl]-pyrimidine-5-carbonitrile (chiral) ("40");

6-{*cis*-4-[1-Azetidin-3-ylmethyl-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3-fluoro-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine (racemic) ("41");
 4-Amino-6-{4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-4-hydroxy-piperidin-1-yl}-pyrimidine-5-carbonitrile ("44");
 5 1-(6-Amino-5-isopropyl-pyrimidin-4-yl)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-piperidin-4-ol ("45");
 1-(6-Amino-5-ethyl-pyrimidin-4-yl)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-piperidin-4-ol ("46");
 1-(6-Amino-5-chloro-pyrimidin-4-yl)-4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-piperidin-4-ol ("47");
 10 4-Amino-6-{4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3,3-difluoro-piperidin-1-yl}-pyrimidine-5-carbonitrile ("48");
 4-Amino-6-{4-[1-(2-azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3,3-difluoro-piperidin-1-yl}-pyrimidine-5-carboxylic acid amide ("49"); and
 15 6-{4-[1-(2-Azetidin-1-yl-ethyl)-4-(4-fluoro-3-methyl-phenyl)-1H-imidazol-2-yl]-3,3-difluoro-piperidin-1-yl}-5-isopropyl-pyrimidin-4-ylamine ("50");
 and pharmaceutically acceptable salts or solvates thereof.

15. A pharmaceutical composition comprising a compound according to claim 1, or a
 20 pharmaceutically acceptable salt or solvate thereof, as active ingredient, together with a pharmaceutically acceptable carrier.

16. The compound or pharmaceutically acceptable salt, solvate, or solvate of salt
 thereof for treating cancer of claim 15, wherein said cancer is selected from the group
 25 consisting of brain, lung, colon, epidermoid, squamous cell, bladder, gastric, pancreatic, breast, head, neck, renal, kidney, liver, ovarian, prostate, colorectal, uterine, rectal, oesophageal, testicular, gynecological, thyroid cancer, melanoma, hematologic malignancies such as acute myelogenous leukemia, multiple myeloma, chronic myelogenous leukemia, myeloid cell leukemia, glioma and Kaposi's sarcoma.

17. A kit comprising separate packs of:

- a) an effective amount of a compound according to claim 1 or a pharmaceutically acceptable salt or solvate thereof, and
- b) an effective amount of a further medicament active ingredient.