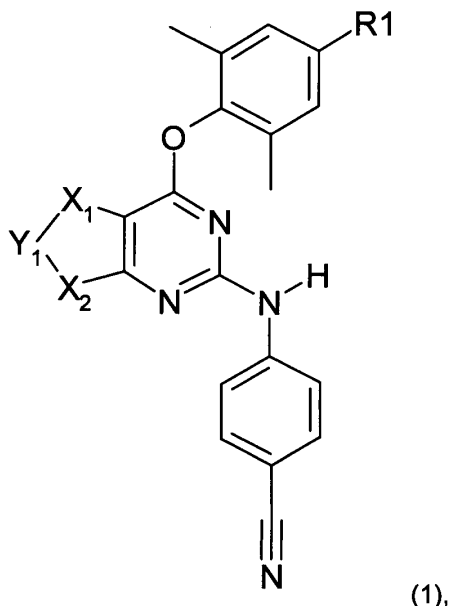


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Claims

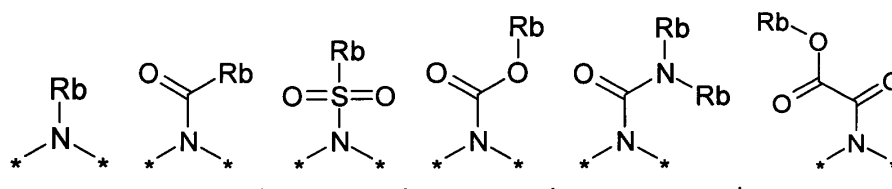
1. Compound of formula I



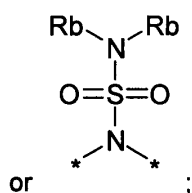
- wherein R^1 is selected independently and represents H, CN, $CN-CH=CH-$, $C=O$,
 5 $CH=CH-COOH$, substituted or unsubstituted 4-6-membered heterocyclyl, containing 1-2
 heteroatom O; aminocarbonyl; NH_2 ; substituted or unsubstituted $C_{1-6}alkyl$; halogen;
 substituted or unsubstituted $C_{1-6}alkyloxy$; NHR^9 ; NR^9R^{10} ; $-C(=O)-NHR^9$; $-C(=O)-NR^9R^{10}$;
 $-C(=O)-R^9$; $-CH=N-NH-C(=O)-R^9$; substituted or unsubstituted $C_{1-6}alkyloxyC_{1-6}alkyl$,
 substituted or unsubstituted $C_{2-6}alkenyl$; substituted or unsubstituted $C_{2-6}alkynyl$; $-C(=N-$
 10 $O-R^8)-C_{1-4}alkyl$; R^7 and $-Rb-R^7$;

X^1 , X^2 represent substituent of $(CH_2)_n$ type, wherein, n is selected independently
 for X_1 , X_2 ;

Y^1 is selected independently and represents $-O-$, $-S-$, $-S(=O)-$, $-S(=O)_2-$, or
 substituents of the following type:



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R^b is selected independently and represents -H, cyano, aminocarbonyl, substituted or unsubstituted $-\text{C}_1-\text{C}_6$ -alkyl, substituted or unsubstituted $-\text{C}_2-\text{C}_6$ -alkenyl, substituted or unsubstituted $-\text{C}_2-\text{C}_6$ -alkynyl, substituted or unsubstituted $-\text{C}_3-\text{C}_6$ -aryl, substituted or unsubstituted 4-6-membered-heteroaryl, containing 1 to 4 heteroatoms, independently selected from N, S and/or O, substituted or unsubstituted $-\text{C}_3-\text{C}_9$ -cycloalkyl, substituted or unsubstituted 4-9-membered heterocyclyl, containing 1 to 4 heteroatoms, independently selected from N, S and/or O, R^7 or R^9 ,

wherein R^b may be attached to the rest moiety through a linker of $(\text{CH}_2)_n$ type,

R^7 represents monocyclic, bicyclic or tricyclic, saturated, partially saturated or aromatic carbocycle or monocyclic, bicyclic or tricyclic, saturated, partially saturated or aromatic 4-6 membered heterocycle, containing 1 to 4 heteroatoms, independently selected from a group S, N and O, wherein each of the mentioned above carbocyclic or heterocyclic fragments may be optionally substituted by one, two, three, four or five substituents, each of which is independently selected from halogen, hydroxy, mercapto, C_{1-6} alkyl, hydroxy C_{1-6} alkyl, amino C_{1-6} alkyl, mono- and di(C_{1-6} alkyl)amino C_{1-6} alkyl, formyl, C_{1-6} alkylcarbonyl, C_{3-7} cycloalkyl, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, C_{1-6} alkylthio, cyano, nitro, polyhalo C_{1-6} alkyl, polyhalo C_{1-6} alkyloxy, aminocarbonyl, $-\text{C}(=\text{N}-\text{O}-\text{R}^8)$, R^{7a} , $-\text{Rb}-\text{R}^{7a}$, and $\text{R}^{7a}-\text{C}_{1-4}$ alkyl;

R^{7a} represents monocyclic, bicyclic or tricyclic, saturated, partially saturated or aromatic carbocycle or monocyclic, bicyclic or tricyclic, saturated, partially saturated or aromatic 4-6 membered heterocycle, containing 1 to 4 heteroatoms, independently selected from a group S, N and O, wherein each of the mentioned above carbocyclic or heterocyclic fragments may be optionally substituted by one, two, three, four or five substituents, each of which is independently selected from halogen, hydroxy, mercapto, C_{1-6} alkyl, hydroxy C_{1-6} alkyl, amino C_{1-6} alkyl, mono- or di(C_{1-6} alkyl)amino C_{1-6} alkyl, formyl, C_{1-6} alkylcarbonyl, C_{3-7} cycloalkyl, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, C_{1-6} alkylthio, cyano, nitro, polyhalo C_{1-6} alkyl, polyhalo C_{1-6} alkyloxy, aminocarbonyl and $-\text{CH}(=\text{N}-\text{O}-\text{R}^8)$;

R^8 represents hydrogen, C_{1-4} alkyl, aryl or aryl C_{1-4} alkyl.

Each of R^9 and R^{10} independently represents hydrogen; cyano, aminocarbonyl, hydroxy group; C_{1-6} alkyl; C_{1-6} alkyloxy; C_{1-6} alkylcarbonyl; C_{1-6} alkyloxycarbonyl; amino; mono- or di(C_{1-6} alkyl)amino; mono- or di(C_{1-6} alkyl)aminocarbonyl; $-\text{CH}(=\text{NR}^{11})$ or R^7 ,

wherein each of the abovementioned C₁₋₆alkyl groups may be optionally independently substituted by one or two substituents, each of which is independently selected from hydroxy, C₁₋₆alkyloxy, hydroxyC₁₋₆alkyloxy, carboxyl, C₁₋₆alkyloxycarbonyl, cyano, amino, aminocarbonyl, imino, mono- and di(C₁₋₄alkyl)amino, polyhalomethyl, polyhalomethyloxy; 5 polyhalomethylthio, -S(=O)_pR⁸, -NH-S(=O)_pR⁸, -C(=O)R⁸, -NHC(=O)H, -C(=O)NHNH₂, NHC(=O)R⁸, C(=NH)R⁸, R⁷

wherein substituents R¹, R^b each independently is selected from a group, comprising: COO-isobutyl, OH, CN, NH₂, C₁₋₄-alkoxy, C₁₋₄alkyl, 4-6-membered heteroaryl, containing 1 to 4 heteroatoms, independently selected from a group S, N and 10 O, in addition, the abovementioned heteroaryl is unsubstituted or substituted with CN, NH₂, OH, C₁₋₆-alkyl, O or R^c; BOC, COOH, R^c, C₃₋₆-aryl, optionally substituted CN, NH₂, OH, O or OCH₂C≡CH, 4-6-membered heterocyclyl, containing 1-3 heteroatom, selected from N, S and O, O, N, S-C₁₋₆-alkyl, halogen, NR⁹R¹⁰, -C(=O)-NR⁹R¹⁰, -C(=O)-C₁₋₆alkyl.

R^c represents NHCOO-C₁₋₆-alkyl,

15 n may have values from 1 to 3.

2. Compound according to claim 1, where

R¹ is selected independently and represents H, CN, CN-CH=CH, C=O, CH=CH-COOH, 4-6-membered heterocyclyl, containing 1-2 heteroatom O;

R^b is selected independently and represents:

20 -H,

substituted or unsubstituted -C₁-C₆-alkyl,

substituted or unsubstituted -C₁-C₆-alkenyl,

substituted or unsubstituted -C₃-C₆-aryl,

25 substituted or unsubstituted -5-6-membered-heteroaryl, containing 1 to 4 heteroatoms, independently selected from N, S and/or O,

substituted or unsubstituted -C₃-C₉-cycloalkyl, or

substituted or unsubstituted 4-9-membered heterocyclyl, containing 1 to 4 heteroatoms, independently selected from N, S and/or O,

30 wherein the mentioned above substituents R^b, each independently is selected from a group, comprising:

COO-isobutyl,

NH₂,

CN,

C₁₋₄-alkoxy,

4-6-membered heteroaryl, containing 1 to 4 heteroatoms, independently selected from a group S, N and O, in addition, the abovementioned heteroaryl is unsubstituted or substituted with OH, C₁₋₆-alkyl, O or R^c;

BOC;

5 COOH;

R^c ;

C3-6-aryl, optionally substituted OH, O or OCH₂C≡CH,

4-6-membered heterocyclyl, containing 1-2 heteroatom selected from N and O,

10 O, N,

S-C₁₋₆-alkyl,

halogen,

the remaining radicals are as determined in claim 1.

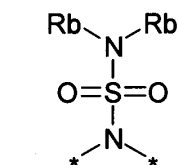
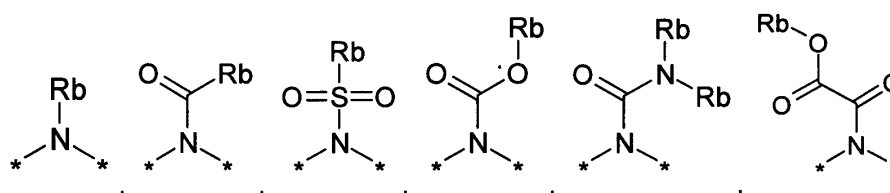
3. Compound according to claim 1, wherein R¹ is selected independently and represents H, -CN, -CH=CH-CN, -C=O or -CH=CH-COOH.

4. Compound according to claim 1, wherein R¹ is selected independently and represents 4-6-membered heterocyclyl, containing 1-2 heteroatom O.

5. Compound according to claim 3, wherein R¹ represents oxetane, tetrahydrofuran or tetrahydropyran.

20 6. Compound according to claim 1, wherein Y¹ represents -O-, -S-, -S(=O)- or -S(=O)₂-.

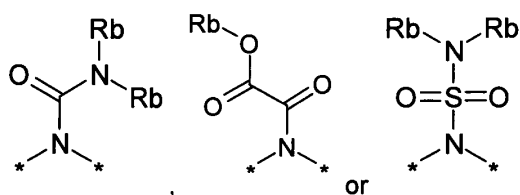
7. Compound according to claim 1, wherein Y¹ is substituents of the following type:



or

25 8. Compound according to claim 1, wherein Y¹ is substituents of the following type:

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9. Compound according to claim 1, wherein R^b is substituted or unsubstituted methyl, ethyl, propyl, n-propyl, isopropyl, butyl, n-butyl, sec-butyl, tert-butyl or pentyl, wherein substituents are such, as determined in claim 1.

5 10. Compound according to claim 1, wherein R^b is substituted or unsubstituted ethenyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 2-methyl-1-propenyl, 2-methyl-2-propenyl, wherein substituents are as determined in claim 1.

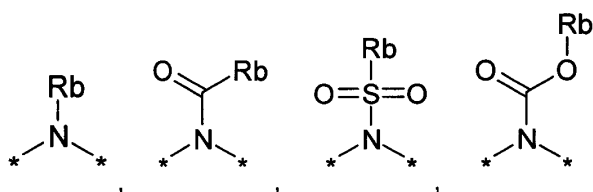
11. Compound according to claim 1, wherein R^b is substituted or unsubstituted phenyl, wherein substituents are as determined in claim 1.

10 12. Compound according to claim 1, wherein R^b is substituted or unsubstituted thienyl, pyrrolyl, imidazolyl, pyrazolyl, pyridyl, pyrimidinyl, pyridazinyl, triazinyl, tetrazolyl, benzo[b]thienyl, isobenzofuranyl, isoindolyl, benzimidazolyl, wherein substituents are as determined in claim 1.

15 13. Compound according to claim 1, wherein R^b is substituted or unsubstituted cyclopropyl, cyclopentyl, cyclohexyl, bicyclo[2.2.2]octanyl, spiro[5.5]undecanyl, wherein substituents are as determined in claim 1.

14. Compound according to claim 1, wherein R^b is substituted or unsubstituted pyrimidine, piperidine, azetidine, morpholine, piperazine, pyrrolidine, tetrahydropyran, furan, pyrrol, pyrazine, imidazole or pyrazole.

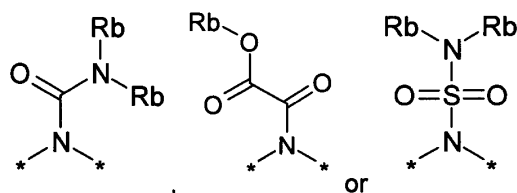
20 15. Compound according to claim 1, wherein Y^1 is substituents of the following type:



25 a R^b is substituted or unsubstituted -5-6-membered-heteroaryl or heterocyclyl, containing 1 to 4 heteroatoms, independently selected from N, S and/or O, wherein substituents are as determined in claim 1.

16. Compound according to claim 1, wherein Y^1 is substituents of the following type:

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, or , a R^b is substituted or unsubstituted -C₃-C₆-aryl or substituted or unsubstituted -C₃-C₉-cycloalkyl, wherein substituents are as determined in claim 1.

17. Compound selected from:

4-((4-(2,6-Dimethylphenoxy)-6,7-dihydro-5H-cyclopenta[d]pyrimidine-2-yl)amino)-benzonitrile

4-(2,6-Dimethylphenoxy)-N-(piperidine-4-yl)-6,7-dihydro-5H-cyclopenta[d]pyrimidine-2-amine

Ethyl ether 4-(((6-benzyl-4-(4-cyano-2,6-dimethylphenoxy)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-2-yl)amino)methyl)benzoic acid

Ethyl ether 4-(((6-benzyl-4-(2,6-dimethylphenoxy)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-2-yl)amino)methyl)benzoic acid

4-(((7-Benzyl-4-(2,6-dimethylphenoxy)-5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine-2-yl)amino)methyl)benzonitrile

N-(1-Benzylpiperidine-4-yl)-4-(2,6-dimethylphenoxy)-5,6,7,8-tetrahydroquinazoline-2-amine

N-(1-Benzylpiperidine-4-yl)-4-(2,6-dimethylphenoxy)-6,7-dihydro-5H-cyclopenta[d]pyrimidine-2-amine

4-((2-((4-Cyanophenyl)amino)-7-methyl-5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((4-(4-Formyl-2,6-dimethylphenoxy)-7-methyl-5,6,7,8-tetrahydro[3,4-d]pyrimidine-2-yl)amino)benzonitrile

Tert-butyl 4-(4-(1,3-dioxolane-2-yl)-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-carboxylate

Tert-butyl 2-((4-cyanophenyl)amino)-4-(4-formyl-2,6-dimethylphenoxy)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-carboxylate

Tert-butyl 4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-carboxylate

4-((2-((4-Cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(methylsulfonyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

Ethyl 4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-carboxylate

(E)-3-(4-((7-(Tert-butoxycarbonyl)-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylphenyl)acrylic acid

Tert-butyl 4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-carboxylate

4-((2-((4-Cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

Tert-butyl 2-((4-cyanophenyl)amino)-4-(4-(2-cyanovinyl)-2,6-dimethylphenoxy)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-carboxylate

4-((2-((4-Cyanophenyl)amino)-7-picolynoyl-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-isonicotinoyl-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-nicotinoyl-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(pyridine-2-ylmethyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(pyridine-3-ylmethyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(pyridine-4-ylmethyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

Tert-butyl 4-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-7-carbonyl)piperidine-1-carboxylate

4-((2-((4-Cyanophenyl)amino)-7-(piperidine-4-carbonyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

Tert-butyl 4-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-yl)piperidine-1-carboxylate

4-((2-((4-Cyanophenyl)amino)-7-(piperidine-4-yl)-6,7,8,9-tetrahydro-5H-

pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((6-(2-Aminoacetyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(2-(3-hydroxyazetidine-1-yl)acetyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-({2-[(4-Cyanophenyl)amino]-6-[2-(morpholine-4-yl)acetyl]-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-4-yl}oxy)-3,5-dimethylbenzonitrile

4-({2-[(4-Cyanophenyl)amino]-6-[2-(4,4-difluoropiperidine-1-yl)acetyl]-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-4-yl}oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(cyclopropanecarbonyl)-5,6,7,8-tetrahydro-[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-({2-[(4-Cyanophenyl)amino]-6-(morpholine-4-carbonyl)-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-4-yl}oxy)-3,5-dimethylbenzonitrile

4-({2-[(4-Cyanophenyl)amino]-6-(4-methylpiperazine-1-carbonyl)-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-4-yl}oxy)-3,5-dimethylbenzonitrile

Tert-butyl 2-(4-(4-cyano-2,6-dimethylphenoxy)-2-((cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-7-carbonyl)pyrrolidine-1-carboxylate

(R)-Tert-butyl 2-(4-(4-cyano-2,6-dimethylphenoxy)-2-((cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-7-carbonyl)pyrrolidine-1-carboxylate

(S)-Tert-butyl 2-(4-(4-cyano-2,6-dimethylphenoxy)-2-((cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-7-carbonyl)pyrrolidine-1-carboxylate

4-((2-((4-Cyanophenyl)amino)-7-(tetrahydro-2H-pyran-4-yl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(5-methylfuran-2-yl)methyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(1-methyl-1H-pyrrol-2-yl)methyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(4-hydroxybenzyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(1-methoxypropane-2-yl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

Tert-butyl 2-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-6-carbonyl)pyrrolidine-1-carboxylate

4-((2-((4-Cyanophenyl)amino)-6-(pyrrolidine-2-carbonyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((6-(Azetidine-3-carbonyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(pyrazine-2-carbonyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(S)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-1-oxopropane-2-yl)carbamate

(S)-4-((6-(2-Aminopropanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(S)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-1-oxo-3-phenylpropane-2-yl)carbamate

(S)-4-((6-(2-Amino-3-phenylpropanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-7-(2-morpholineoEthyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

(R)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-3-hydroxy-1-oxopropane-2-yl)carbamate

Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-4-(methylthio)-1-oxobutane-2-yl)carbamate

4-((6-[2-Amino-3-(1H-imidazole-5-yl)propanoyl]-2-[(4-cyanophenyl)amino]-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((6-(2-Amino-3-(1H-pyrazole-4-yl)propanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

Tert-butyl 4-(2-((tert-butoxycarbonyl)amino)-3-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-3-oxopropyl)-1H-pyrazole-1-carboxylate

(R)-4-((6-(2-Amino-3-hydroxypropanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(S)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-

cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-3-(4-hydroxyphenyl)-1-oxopropane-2-yl)carbamate

(S)-4-((6-(2-Amino-3-(4-hydroxyphenyl)propanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(R)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-3-methyl-1-oxobutane-2-yl)carbamate

(R)-4-((6-(2-Amino-3-methylbutanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(S)-Tert-butyl (1-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-4-methyl-1-oxopentane-2-yl)carbamate

(S)-4-((6-(2-Amino-4-methylpentanoyl)-2-((4-cyanophenyl)amino)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

(S)-di-Tert-butyl (6-(4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-7,8-dihydropyrido[4,3-d]pyrimidine-6(5H)-yl)-6-oxohexane-1,5-diyl)dicarbamate

(S)-4-((2-((4-Cyanophenyl)amino)-6-(2,6-diaminohexanoyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((7-(2-Chloroacetyl)-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

Methyl 4-(4-cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-8,9-dihydro-5H-pyrimido[4,5-d]azepine-7(6H)-carboxylate

4-((2-((4-Cyanophenyl)amino)-7-(methylsulfonyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(pyridine-4-ylmethyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(pyridine-3-ylmethyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(2-hydroxybenzyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((2-((4-Cyanophenyl)amino)-6-(2-(prop-2-in-1-yloxy)benzyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine-4-yl)oxy)-3,5-dimethylbenzonitrile

3-(4-(4-Cyano-2,6-dimethylphenoxy)-2-((4-cyanophenyl)amino)-8,9-dihydro-

5H- pyrimido[4,5-d]azepine-7(6H)-yl)propanoic acid

4-((7-Allyl-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H- pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((7-Acetyl-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((7-(2-(1H-Imidazole-1-yl)acetyl)-2-((4-cyanophenyl)amino)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-((7-((4-Cyanophenyl)amino)-7-(2-(methyl-1H-imidazole-1-yl)acetyl)-6,7,8,9-tetrahydro-5H-pyrimido[4,5-d]azepine-4-yl)oxy)-3,5-dimethylbenzonitrile

4-(4-Cyano-2,6-dimethylphenoxy)-2-[(4-cyanophenyl)amino]-5H,6H,7H,8H-pyrido[4,3-d]pyrimidine-6-sulfonamide

Methyl 2-{2-[(4-cyanophenyl)amino]-4-(4-formyl-2,6-dimethylphenoxy)-5H,6H,7H,8H,9H-pyrimido [4,5-d]azepine-7-yl}-2-oxoacetate

4-(4-Cyano-2,6-dimethylphenoxy)-2-[(4-cyanophenyl)amino]-N-(oxane-4-yl)-4aH,5H,6H,7H,8H,8aH-pyrido [4,3-d]pyrimidine-6-carboxamide.

18. Compound according to any of claims 1-17, which comprises at least one isotope.

19. Compound according to any of claims 1-17 in the form of a free base

or pharmaceutically acceptable salts selected from a group, comprising salts of amino groups formed by inorganic acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric and chloric acids, or formed by organic acids, such as acetic, oxalic, maleic, tartaric, succinic or malonic acids,

or pharmaceutically acceptable salts: adipate, alginate, ascorbate, aspartate, benzene sulfonate, benzoate, bisulfate, borate, butyrate, camphorate, camphor sulfonate, citrate, cyclopentanepropionate, digluconate, dodecyl sulfate, ethane sulfonate, formate, fumarate, glucoheptonate, glycerophosphate, gluconate, hemisulfate, heptanoate, hexanoate, hydroiodide, 2-hydroxy-ethane sulfonate, lactobionate, lactate, laurate, lauryl sulfate, malate, maleate, malonate, methanesulfonate, 2-naphthalene sulfonate, nicotinate, nitrate, oleate, oxalate, palmitate, pamoate, pectinate, persulfate, 3-phenylpropionate, phosphate, picrate, pivalate, propionate, stearate, succinate, sulfate, tartrate, thiocyanate, p-toluenesulfonate, undecanoate, valerate,

or pharmaceutically acceptable salts, containing alkaline and/or alkaline-earth metals or nontoxic cations of ammonium, quaternary ammonium and amine,

or pharmaceutically acceptable salts, obtained using counterions, such as

halogenides, hydroxides, carboxylates, sulfates, phosphates, nitrates, lower alkyl sulfonates and aryl sulfonates.

20. Compound according to any of claims 1-17 for the use as an inhibitor of HIV reverse transcriptase.

5 **21.** Compound according to any of claims 1-17 for the use as a pharmaceutical preparation, having anti-HIV antiviral activity.

22. Compound according to any of claims 1-17 for obtaining of a pharmaceutical preparation, having anti-HIV antiviral activity.

10 **23.** Pharmaceutical composition, having activity against reverse transcriptase, comprising the compound according to any of claims 1-17 in a therapeutically effective amount and at least one carrier, excipient or diluent.

24. Pharmaceutical composition for the treatment or prevention of HIV, comprising at least one compound according to any of claims 1-17 in a therapeutically effective amount and at least one carrier, excipient or diluent.

15 **25.** A pharmaceutical composition, having activity against HIV reverse transcriptase, comprising the compound according to any of claims 1-17 in a therapeutically effective amount, and at least one compound selected from a group, comprising HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 antagonists and viral cell entry
20 inhibitors.

26. A pharmaceutical composition, having activity against HIV reverse transcriptase, wherein HIV reverse transcriptase contains at least one mutation compared to Wild type HIV, comprising the compound according to any of claims 1-17 in a therapeutically effective amount.

25 **27.** A pharmaceutical composition, having activity against HIV reverse transcriptase, wherein HIV reverse transcriptase has reduced sensitivity to Efavirenz, Nevirapine, Doravirine or Delavirdine, comprising the compound according to any of claims 1-17 in a therapeutically effective amount.

28. A pharmaceutical composition for obtaining of an agent for the treatment or
30 prevention of HIV, comprising at least one compound according to any of claims 1-17 in a therapeutically effective amount and pharmaceutically acceptable carrier.

29. Use of compounds according to any of claims 1-17 for obtaining of an agent for the treatment or prevention of HIV.

35 **30.** Use of the composition according to claim 23 for obtaining of an agent for the treatment or prevention of HIV.

31. Method for the treatment or prevention of HIV, including the use of compounds according to any of claims 1-17.

32. Method for the treatment or prevention of HIV, including the use of composition according to any of claims 23-28.

5 **33.** Method for the treatment or prevention of HIV infection, consisting of a subject as needed for treatment being administered with a therapeutically effective amount of compounds according to any of claims 1-17.

10 **34.** Method according to claim 33, wherein the specified therapeutically effective amount of compounds means a daily dose, which is approximately 0.1 - approximately 500 mg/kg body weight in parenteral infusion.

35. Method according to claim 31 and 32, wherein daily dose may be administered as a single dose or in 1-5 divided doses.

15 **36.** Combination for the treatment or prevention of HIV-mediated diseases, including therapeutically effective amount of compounds according to any of claims 1-17 and at least one compound selected from a group, comprising HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 antagonists and viral cell entry inhibitors.

20 **37.** Method for the treatment or prevention of HIV-mediated diseases, consisting of a subject as needed for treatment being administered with therapeutically effective amount of compounds according to any of claims 1-17 and at least one compound selected from a group, comprising HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 antagonists and viral cell entry inhibitors.

25 **38.** Method of inhibition of HIV reverse transcriptase in the body of a HIV infected subject, consisting of a subject as needed for treatment being administered with a therapeutically effective amount of compounds according to any of claims 1-17.

39. Method of obtaining of a pharmaceutical composition according to claim 23, consisting of mixing compounds according to claims 1-17 with pharmaceutically acceptable carriers.

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