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(54) DIARYL-PURINE, AZAPURINES AND -DEAZAPURINES AS NON-NUCLEOSIDE REVERSE TRANSCRIPTASE INHIBITORS FOR TREATMENT OF HIV

DIARYL-PURIN, AZAPURINE UND DEAZAPURINE ALS NICHTNUKLEOSIDE REVERSETRANSKRIPTASE-INHIBITOREN ZUR BEHANDLUNG VON HIV

DIARYL-PURINE, -AZAPURINES ET -DEAZAPURINES INHIBITEURS NON NUCLEOSIDIQUES DE LA TRANSCRIPTASE INVERSE UTILISES DANS LE TRAITEMENT DU VIH

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P 1 877 411 B9

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Description

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Field of the Invention

[0001] This application concerns certain 2-phenylamino-6-aryl amino-, 6-aryloxy-, and 6-arylthio- purines, -azapurines and -deazapurines. These compounds are non-nucleoside reverse transcriptase inhibitors and have potential as anti-HIV treatment.

Background of the Invention

[0002] Human Immunodeficiency Virus (HIV) presents a public-health and social catastrophe too well known to require documentation. One therapeutic approach to HIV has been inhibition of the viral RNA-dependent RNA polymerase; this enzyme is frequently referred to as "reverse transcriptase," abbreviated "RT." The first RT inhibitors were nucleoside analogs such as AZT and ddl. Although such nucleoside RT inhibitors were frequently effective against the wild-type virus, any single-drug treatment has been hobbled by the virus's ability to readily produce drug-resistant mutants. This has led to an intense - search for non-nucleoside RT inhibitors ("NNRTIs") which are both effective and capable of retaining their effectiveness despite drug-resistance mutations. A recent review of NNRTIs can be found Balzami, J., 2004, Cur. Top. Med Chem. 4, 921-44 (Erratum ibid. 4, 1825).

[0003] Four leading NNRTI are: 1) Efavirenz (4S)-6-chloro-4-(cyclopropylethynyl)-1,4-dihydro-4-(trifluoromethyl)-2H-3,1-benzoxazin-2-one; 2) Capravirine: 1H-Imidazole-2-methanol, 5-((3,5-dichlorophenyl)thio)-4-(1-methylethyl)-1-(4-pyrdinylmethyl)-carbamate (ester); 3) Etravirine (TMC 125): 4-((6-amino-5-bromo-2-((4-cyanophenyl)amino)-4-pyrimidinyl)oxy)-3,5-dimethyl-benzonitrile; and 4) Rilpivirine (TMC-278): 4-([4-[(4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl) amino]-2-pyrimidinyl)amino]benzonitrile. Rilpivirine and Etravirine belong to a subclass of NNRTIs called diarylpyrmidines ("DAPY"). For a review of these DAPY NNRTIs see Ludovici, D.W., et al., 2001, Bioorg. Med Chem. Lett. 11, 2235-9. An extensive patent literature also exists for DAPY. U.S. Patent No. 6,197,779; WO 00/27850; WO 2003/016306; and WO 2004/069812, all assigned to Janssen Pharmaceuticals.

[0004] Diaryl compounds similar to Etravirine and Rilpivirine where the pyrimidine moiety is replaced by a purine are described in WO 2005/028479, which also is assigned to Janssen.

Brief Description of the Invention

[0005] The invention provides compounds according to the appended claims.

[0006] Compounds of the invention have inhibitory activity against both wild-type and mutated forms of human immunodeficiency virus type 1 (HIV-1).

Detailed Description of the Invention

[0007] In one embodiment this invention provides a compound of formula IA according to claim 1, in which the 6-linker is T', which may be O or S.

[0008] When T' of formula IA is O, the invention excludes compounds where both R^p and V are CH=CHCN or cyano unless at least one of A or D is neither -N= nor -NH-

[0009] In one subgeneric embodiment, the invention provides a compound of formula IA where Ar is selected from 4-cyclopropyl phenyl; 4-cyclopropylmethyl phenyl; 4-bromophenyl; 4-cyclopropyl-naphth-1-yl; 2,6-dimethyl-4-cyanophenyl; 2,6-dimethoxy-4-cyanophenyl; 2,6-dimethyl-4-(2-cyanoethenyl) phenyl; 2,6-dimethoxy-4-(2-cyanoethenyl) phenyl; 2-methyl-4-cyclopropyl phenyl; 2,6-dimethyl-4-cyclopropyl phenyl; 2,4,6-trimethyl phenyl; and 2,6-dimethyl-4-acetyl phenyl.

[0010] In another subgeneric embodiment, the invention contemplates a compound of formula IA where Ar is selected

from the following: 5-cyclopropyl-8-quinolyl; 5-isopropyl-8-quinolyl; 5-cyclopropyl-7-trifluoromethyl-8-quinolyl; 5-cyclopropyl-8-quinolyl; 5-cyclopropyl-7-trifluoromethyl-8-quinolyl; 5-cyclopropyl-7-trifluoromethoxy-8-isoquinolyl; 5-cyclopropyl-7-methyl-8-isoquinolyl; 5-cyclopropyl-7-methyl-8-isoquinolyl; 5-cyclopropyl-7-methyl-8-cinnolyl; and 5-(2-cyanoethenyl)-7-methyl-8-cinnolyl.

[0011] In another subgeneric embodiment, the invention provides a compound of formula IA-1

where Ar, V, W, and Z are defined as for formula IA.

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[0012] In another subgeneric embodiment, die invention provides a compound of formula IA-2

where Ar, V, W, and Z are defined as for formula IA.

[0013] In another subgeneric embodiment, this invention provides a compound of formula IA-7

where Ar, V, W, and Z are defined as for formula IA.

[0014] In another subgeneric embodiment, this invention provides a compound of formula IA-8

where Ar, V, W, and Z are defined as for formula IA.

[0015] In another embodiment, this invention provides a compound of formula 1B

IB

where all substituents are as described above, except that Ar is (a), (b) or (d).

[0016] In one embodiment, the invention provides a compound of formula IB-1 according to claim 14.

[0017] In a more specific subgeneric embodiment, the invention provides a compound of formula IB-1 where Ar is

where R^p is CN, CH=CHCN, or cyclopropyl; where R⁶ and R⁷ are either both methyl or both methoxy.

[0018] In another subgeneric embodiment, this invention provides a compound of formula IB-1.

IB-1

[0019] In another subgeneric embodiment, this invention provides a compound of formula IB-2.

IB-2

where Ar, V, W, and Z are as described above for formula IB or IB-1.

[0020] In another subgeneric embodiment, the invention provides a compound of formula IB-3.

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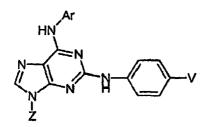
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IB-3

where Ar, W, and Z are as described above for Formula IB-1.

[0021] In another subgeneric embodiment, the invention provides a compound of formula IB-4.



IB-4

where Ar, V, and Z are as described above for formula IB-I.

[0022] In more specific embodiments, the invention provides compounds of any of IA-1, IA-2, IA-7, IA-8, IB-1, IB-2, IB-3, and IB-4, where Ar is (a).

[0023] In additional more specific embodiments, the invention provides compounds of any of IA-1, IA.2, IA-7, IA-8, IB-1, IB-2, IB-3, and IB-4, where Ar is (b).

³⁰ **[0024]** In additional more specific embodiments, the invention provides compounds of any of IA-1, IA-2, IA-7, IA-8, IB-1, IB-2, IB-3, and IB-4, where Ar is (c).

[0025] In additional more specific embodiments, the invention provides compounds of any of IA-1, IA-2, IA-7, IA-8, IB-1, IB-2, IB-3, and IB-4, where Ar is (d).

[0026] In a more specific subgeneric embodiment, this invention provides or contemplates a compound of formula IA-7, IA-8, IA-9, or IA-10, where Ar is 4-cyclopropyl-, 4-acetyl-, 4-methyl-, 4-bromo-, or 4-cyano-2,6-di-substituted phenyl. [0027] In another more specific subgeneric embodiment, this invention provides or contemplates a compound of formula IA-1, IA-2, where Ar is 4-cyclopropyl-, 4-acetyl-, 4-methyl-, 4-bromo-, or 4-cyano-2,6-di-substituted phenyl.

Synthetic procedures

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[0028] Compounds which are of the 7-deaza-8-azapurine type can be prepared according to Scheme 1.

[0029] Compound (1), 2-mercapto-6-hydroxy-7-deaza-7-aza-purine, can be synthesized by published procedures known to those skilled in the art. Youssif, S., et al., 2003, Bull. Kor. Chem. Soc., 24, 1429-32; Bontems, R.J., et al., 1990, J. Med. Chem. 33, 2174-8; Badger, G.M, & Rao, R.P., 1965, Aust. J. Chem. 18, 1267-71.

[0030] Alternatively, the 7-deaza-8-azapurines can be synthesized according to Scheme 2, where "PMBCI" is *p*-methoxy benzyl chloride. The starting material is prepared by published procedures known to those skilled in the art. Seela, F., 1999, Helv Chim. Act. 82, 105-124; Taylor, E., 1992, Tetrahedron 48, 8089-100; Seela, F., 1986, Helv. Chim. Act. 69, 1602-1613.

15 Scheme 2

NNH PMBCI CI NN NH2 Pd(OAC)2 CI NN NH

20 TFA

(6) (4)

[0031] The **8-aza-9-deazapurines** can be synthesized according to Scheme 3. The synthesis of the starting material was described by Lewis, AF., & Townsend, L.B., 1982, J. Am. Chem. Soc. 104, 1073-78.

[0032] The **9-deazapurines** can be synthesized by Scheme 4. The synthesis of the starting material is described by Kielich, Klaus, ed., "Synthetic Communications" 2002 vol. 32, pp-3797-3802.

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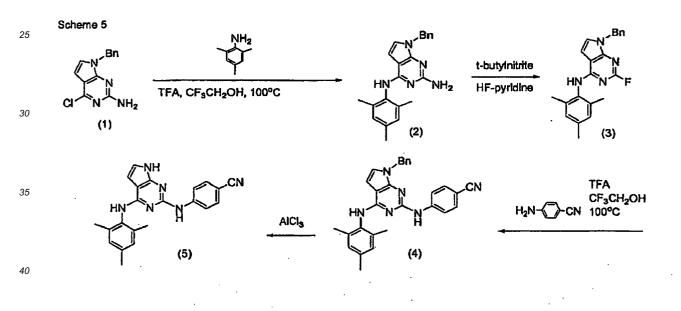
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Scheme 4

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$$HN$$
 $POCl_3$ HN NH_2 $PMBCl$ PMB NH_2

10 (1) (2) (3) (3) (4) PMB (1) PMB (1) PMB (2) PMB (3) PMB (4) (4)

[0033] The **7-deazapurines** are prepared by the procedure of Scheme 5. The starting material can be synthesized by the condensation of 2,6-diamino-1,2-dihydro[3H]pyrimidin-4-one with chloroacetaldehyde followed by treatment with phosphorus oxychloride, as indicated in Examples 1 and 3.



[0034] The purine compounds of this invention can be synthesized by strategies similar to those provided above, using N⁷-benzyl-2,6-dichloropurine as the starting material. This procedure is illustrated in WO 2005/028479.

Example 1

[0035]

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20 Step A1:

[0036]

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2-Amino-3,7-dihydro-pyrrolo[2,3-d]pyrimidin-4-one. To a mixture of 2,4-diamino-6-hydroxypyrimidine (20.0 g, 159 mmol) and NaOAc (26.0 g, 317 mmol) in H_2O (300 mL) at 65°C was added a solution of chloroacetaldehyde (22.0 mL, 50% in H_2O , 173 mmol) in H_2O (22 mL) dropwise for 90 min. The mixture was stirred at 65°C for an additional 2 h and cooled to room temperature. The reaction mixture was concentrated *in vacuo* to one third of its original volume and stored at 4°C for 16 h. The light pink precipitates were filtered, washed with an ice cold H_2O (5 mL), and dried under high vacuum for 16 h. The precipitates were placed in Soxhlet extractor and refluxed with methanol (200 mL) for 24 h. The methanol was concentrated to give 13.3 g (56%) of 2-amino-3,7-dihydro-pyrrolo[2,3-d]pyrimidin-4-one as a light pink solid.

40 Step A2:

[0037]

4-Chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine. To a solution of 2-amino-3,7-dihydro-pyrrolo[2,3-d]pyrimidin-4-one

(5.00 g, 33.3 mmol), dimethylaniline (4.22 mL, 41.0 mmol) and benzyltriethylammonium chloride (15.2 g, 66.6 mmol) in acetonitrile (25 mL) at room temperature under argon was added POCl₃ (18.6 mL, 200 mmol) dropwise for 30 min. The mixture was refluxed at 85°C for 3 h and cooled to room temperature. The reaction was concentrated *in vacuo* to brown oil and to the oil was added an ice cold H₂O (10 mL). The pH of the solution was adjusted to 5 by the addition of an

aqueous NH_4OH solution. Silica gel chromatography (CH_2Cl_2 :MeOH = 95: 5) yielded 2.53 g (45%) of 4-chloro-7H-pyrrolo [2,3-d]pyrimidin-2-ylamine as a light yellow solid. The product was then benzylated at N^7 using standard techniques.

Step C:

[0038]

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7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine. To a solution of 2,4,6-trimethylphenol (161 mg, 1.16 mmol) in 1-methyl-2-pyrridone (2 mL) in a sealed tube was added NaH (46 mg, 1.16 mmol): The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (100 mg, 0.39 mmol) in 1-methyl-2-pyrridone (1 mL) was added to the mixture. The mixture was heated at 150 °C for 16 h and cooled to room temperature. The reaction mixture was poured into ice water and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with H_2O (20 mL) and brine (20 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 107 mg (77%) of 7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine.

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Step D:

[0039]

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7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidine. To 7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (105 mg, 0.29 mmol) in a polyethylene flask at -50 $^{\circ}$ C under argon was added 60% HF in pyridine (12 mL). To the resulting solution tert-butylnitrite (0.052 mL, 0.44 mmol) was added dropwise for 5 min. The reaction was warmed to -40 $^{\circ}$ C and stirred for 30 min at the temperature. The reaction mixture was diluted with CHCl₃ (100 mL) and poured into K₂CO₃ (3 g) in a beaker. Ice water (50 mL) was carefully added to the mixture. The CHCl₃ layer was separated, washed with aqueous NaHCO₃ solution (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 72 mg (68%) of 7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidine as a light yellow solid.

Step E:

[0040]

4-[7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile. To a solution of 4-aminobenzonitrile (101 mg, 0.86 mmol) in 1-methyl-2-pyrridone (1 mL) was added NaH (34 mg, 0.86 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidine (62 mg, 0.17 mmol) in 1-methyl-2-pyrridone (1 mL) was added to the mixture. The mixture was stirred at room temperature for 1 h, poured into ice water, and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with H_2O (20 mL) and brine (20 mL), dried with H_2O (20 mL) and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 64 mg (82%) of 4-[7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo [2,3-d]pyrimidin-2-ylamino]-benzonitrile.

Step F:

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[0041]

4-[4-(2,4,6-Trimethyl-phenoxy)-7H-pyrrolo [2,3-d]pyrimidin-2-ylamino]-benzonitrile. To a solution of 4-[7-benzyl-4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile (38 mg, 0.083 mmol) in 1,2-dichlorobenzene (1 mL) was added aluminum chloride (55 mg, 0.42 mmol). The reaction mixture was stirred at 160 °C for 4 h and cooled to room temperature. The mixture was poured into ice water and extracted with CH_2Cl_2 (2 x 10 mL). The combined organic solution was washed with brine (10 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 50:50) yielded 15 mg (49%) of 4-[4-(2,4,6-trimethyl-phenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile as a tan solid.

45 Example 2

[0042]

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30 **Step A:**

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[0043]

7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine. To a solution of 2,4,6-trimethylbenzene-1-thiol (231 mg, 1.52 mmol) in 1-methyl-2-pyrridone (2 mL) was added NaH (58 mg, 1.52 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (131 mg, 0.51 mmol) in 1-methyl-2-pyrridone (2 mL) was added to the mixture. The mixture was heated at 60 °C for 16 h and cooled to room temperature. The reaction was poured into ice water and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with H₂O (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 180 mg (94%) of 7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine.

Step B:

[0044]

7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidine. To 7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (155 mg, 0.41 mmol) in a polyethylene flask at -50 $^{\circ}$ C under argon was added 60% HF in pyridine (12 mL). To the solution was added tert-butylnitrite (0.074 mL, 0.62 mmol) dropwise for 5 min. The reaction was warmed to -40 $^{\circ}$ C and stirred for 30 min at the temperature. The reaction was diluted with CHCl₃ (100 mL) and poured into K₂CO₃ (3 g) in a beaker. To the mixture was carefully added ice water (50 mL). The CHCl₃ layer was separated, washed with aqueous NaHCO₃ solution (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 118 mg (77%) of 7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidine as a yellow solid.

Step C:

[0045]

4-[7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile. To a solution of 4-aminobenzonitrile (184 mg, 1.56 mmol) in 1-methyl-2-pyrridone (2 mL) was added NaH (62 mg, 1.56 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-2-fluoro-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidine (118 mg, 0.31 mmol) in 1-methyl-2-pyrridone (2 mL) was added to the mixture. The mixture was stirred at room temperature for 4 h, then poured into ice water and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with H_2O (20 mL) and brine (20 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 123 mg (83%) of 4-[7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile.

45 Step D:

[0046]

4-[4-(2,4,6-Trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile. To a solution of 4-[7-benzyl-4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile (103 mg, 0.21 mmol) in 1,2-dichlorobenzene (2 mL) was added aluminum chloride (87 mg, 0.65 mmol). The reaction mixture was stirred at 160 °C for 1.5 h and cooled to room temperature. The mixture was poured into ice water and extracted with CH_2Cl_2 (2 x 10 mL). The combined organic solution was washed with brine (10 mL), dried with CH_2Cl_2 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 50:50) yielded 28 mg (34%) of 4-[4-(2,4,6-trimethyl-phenylsulfanyl)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile as a tan solid.

Example 3

[0047]

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Steps A and B as in Example 1.

Step C:

[0048]

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4-(2-Amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile. To a solution of 4-hydroxy-3,5-dimethylbenzonitrile (1.62 mg, 11.0 mmol) in 1-methyl-2-pyrridone (5 mL) in a sealed tube was added NaH (441 mg, 11.0 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (950 mg, 3.67 mmol) in 1-methyl-2-pyrridone (5 mL) was added to the mixture. The mixture was heated at 150 °C for 16 h and cooled to room temperature. The reaction was poured into ice water and extracted with EtOAc (2 x 50 mL). The combined organic solution was washed with H₂O (50 mL) and brine (50 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 1.12 mg (83%) of 4-(2-amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile.

Step D:

[0049]

4-(7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile. To 4-(2-amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile (70 mg, 0.19 mmol) in a polyethylene flask at -50 $^{\circ}$ C under argon was added 60% HF in pyridine (12 mL). To the solution was added tert-butylnitrite (0.068 mL, 0.57 mmol) dropwise for 5 min. The reaction was warmed to -40 $^{\circ}$ C and stirred for 30 min at the temperature. The reaction was diluted with CHCl₃ (100 mL) and poured into K₂CO₃ (3 g) in a beaker. To the mixture was carefully added ice water (50 mL). The CHCl₃ layer was separated, washed with aqueous NaHCO₃ solution (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 36 mg (51%) of 4-(7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile.

Step E:

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[0050]

NaH, NMP

NaH, NMP

CN

CN

CN

CN

CN

4-[7-benzyl-2-(4-eyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile. To a solution of 4-aminobenzonitrile (54 mg, 0.46 mmol) in 1-methyl-2-pyrridone (1 mL) was added NaH (18 mg, 0.46 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 4-(7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile (34 mg, 0.091 mmol) in 1-methyl-2-pyrridone (1 mL) was added to the mixture. The mixture was stirred at room temperature for 1 h, poured into ice water, and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with $\rm H_2O$ (20 mL) and brine (20 mL), dried with $\rm Na_2SO_4$, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 28 mg (65%) of 4-[7-benzyl-2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile.

Step F:

[0051]

4-[2-(4-Cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile. To a solution of 4-[7-benzyl-2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile (28 mg, 0.060 mmol) in 1,2-dichlorobenzene (1 mL) was added aluminum chloride (40 mg, 0.30 mmol). The reaction mixture was stirred at 160 °C for 45 min and cooled to room temperature. The mixture was poured into ice water and extracted with CH_2CI_2 (2 x 10 mL). The combined organic solution was washed with brine (10 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 50:50) yielded 6 mg (27%) of 4-[2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile as a tan solid.

Example 4

[0052]

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30 CI NNH2 (a)

NH2 t-butylnitrite HN N F

30 (c)

NH2 HF-pyridine -30%

(b)

NH2 CN

NABH NMP

AICI3

HN N N H

AICI3

Step A:

50 [0053]

7-benzyl-N4-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidine-2,4-diamine. To a suspension of 7-benzyl-4chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (200 mg, 0.78 mmol) and 2,4,6-trimethylaniline (0.44 mL, 3.08 mmol) in 2,2,2-trifluoroethanol (4 mL) was added trifluoroacetic acid (0.48 mL, 6.24 mmol). The resulting solution was heated at 100 °C for 2 days and cooled to room temperature. The reaction was concentrated to brown oil and diluted with CH₂Cl₂ (30 mL). The organic solution was washed with aqueous NaHCO₃ solution (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (CH₂Cl₂:MeOH = 95:5) yielded 251 mg (90%) of 7-benzyl-N4-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidine-2,4-diamine.

20 Step B:

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[0054]

35 (7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yl)-(2,4,6-trimethyl-phenyl)-amine. To 7-benzyl-N4-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidine-2,4-diamine (251 mg, 0.70 mmol) in a polyethylene flask at -50 °C under argon was added 60% HF in pyridine (24 mL). To the solution was added tert-butylnitrite (0.42 mL, 3.5 mmol) dropwise for 10 min. The reaction was warmed to -40 °C and stirred for 30 min at the temperature. The reaction was diluted with CHCl₃ (200 mL) and poured into K2CO3 (6 g) in a beaker. To the mixture was carefully added ice water (100 mL). The CHCl3 40 layer was separated, washed with aqueous NaHCO3 solution (40 mL) and brine (40 mL), dried with Na2SO4, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 56 mg (22%) of (7-benzyl-2fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yl)-(2,4,6-trimethyl-phenyl)-amine.

Step C:

[0055]

- **4-[7-benzyl-4-(2,4,6-trimethyl-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile.** To a suspension of (7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yl)-(2,4,6-trimethyl-phenyl)-amine (42 mg, 0.12 mmol) and 4-aminobenzonitrile (55 mg, 0.47 mmol) in 2,2,2-trifluoroethanol (4 mL) was added trifluoroacetic acid (0.072 mL, 0.94 mmol). The resulting solution was heated at 90 °C for 16 h, then cooled to room temperature.
- [0056] The reaction was concentrated to produce a brown oil and diluted with CH₂Cl₂ (30 mL). The organic solution was washed with H₂O (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 34 mg (64%) of 4-[7-benzyl-4-(2,4,6-trimethyl-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile.
- 10 **Step D**:

[0057]

Bn CN AlCl₃ HN N N H

4-[4-(2,4,6-Trimethyl-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile. To a solution of 4-[7-benzyl-4-(2,4,6-trimethyl-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino]-benzonitrile (34 mg, 0.074 mmol) in 1,2-dichlorobenzene (1 mL) was added aluminum chloride (50 mg, 0.37 mmol). The reaction mixture was stirred at 160 °C for 2 h and cooled to room temperature. The mixture was poured into ice water and extracted with CHCl₃ (2 x 10 mL). The combined organic solution was washed with brine (10 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (CH₂Cl₂:Acetone = 90:10) yielded 5 mg (19%) of 4-[4-(2,4,6-trimethyl-phenylamino)-7H-pyrrolo [2,3-d]pyrimidin-2-ylamino]-benzonitrile as a tan solid.

Example 5

35 [0058]

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Step A:

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[0059]

4-(2-Amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile. To a solution of 4-hydroxy-3,5-dimethylbenzonitrile (1.62 mg, 11.0 mmol) in 1-methyl-2-pyrridone (5 mL) in a sealed tube was added NaH (441 mg, 11.0 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 7-benzyl-4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (950 mg, 3.67 mmol) in 1-methyl-2-pyrridone (5 mL) was added to the mixture. The mixture was heated at 150 °C for 16 h and cooled to room temperature. The reaction was poured into ice water and extracted with EtOAc (2 x 50 mL). The combined organic solution was washed with H_2O (50 mL) and brine (50 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 1.12 mg (83%) of 4-(2-amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile.

Step B:

55 [0060]

4-(7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile. To 4-(2-amino-7-benzyl-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile (70 mg, 0.19 mmol) in a polyethylene flask at -50 °C under argon was added 60% HF in pyridine (12 mL). To the solution was added tert-butylnitrite (0.068 mL, 0.57 mmol) dropwise for 5 min. The reaction was warmed to -40 °C and stirred for 30 min at the temperature. The reaction was then diluted with CHCl₃ (100 mL) and poured into K_2CO_3 (3 g) in a beaker. Ice water (50 mL) was carefully added. The CHCl₃ layer was separated, washed with aqueous NaHCO₃ solution (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 36 mg (51%) of 4-(7-benzyl-2-fluoro-7H-pyrrolo [2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile.

Step C:

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[0061]

NaH NMP ON F H₂N-O-CN ON H

4-[7-benzyl-2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile. To a solution of 4-aminobenzonitrile (54 mg, 0.46 mmol) in 1-methyl-2-pyrridone (1 mL) was added NaH (18 mg, 0.46 mmol). The reaction mixture was stirred at room temperature for 15 min and a solution of 4-(7-benzyl-2-fluoro-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)-3,5-dimethyl-benzonitrile (34 mg, 0.091 mmol) in 1-methyl-2-pyrridone (1 mL) was added to the mixture. The mixture was stirred at room temperature for 1 h, poured into ice water and extracted with EtOAc (2 x 20 mL). The combined organic solution was washed with H_2O (20 mL) and brine (20 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 28 mg (65%) of 4-[7-benzyl-2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile.

Step D:

[0062]

4-[2-(4-Cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile. To a solution of 4-[7-benzyl-2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile (28 mg, 0.060 mmol) in 1,2-dichlorobenzene (1 mL) was added aluminum chloride (40 mg, 0.30 mmol). The reaction mixture was stirred at 160 °C for 45 min and cooled to room temperature. The mixture was poured into ice water and extracted with CH₂Cl₂ (2 x 10 mL). The combined organic solution was washed with brine (10 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 50:50) yielded 6 mg (27%) of 4-[2-(4-cyano-phenylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-3,5-dimethyl-benzonitrile as a tan solid.

Example 6

[0063]

4-Cyclopropyl-2,6-dimethylphenol. To a suspension of (4-bromo-2,6-dimethylphenoxy) tert-butyldimethylsilane (668 mg, 2.12 mmol) and tetrakis(triphenylphosphine)palladium (122 mg, 0.11 mmol) in THF (20 mL) was added cyclopropyl zinc chloride (28.0 mL, 11.2 mmol). The mixture was heated at 80°C for 24 h and cooled to room temperature. The reaction was passed through a short pad of SiO_2 to remove the catalyst and the solution was concentrated to oil. The resulting oil was diluted in EtOAc (100 mL), washed with brine (100 mL), dried with Na_2SO_4 , and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 90:10) yielded 370 mg (63%) of tert-butyl(4-cyclopropyl-2,6-dimethylphenoxy)dimethylsilane. To tert-butyl(4-cyclopropyl-2,6-dimethylphenoxy)dimethylsilane (320 mg, 1.16 mmol) in THF (10 mL) was added a solution of tetrabutylammonium fluoride (5.0 mL, 1 M in THF, 5.0 mmol) and acetic acid (0.40 mL). The reaction was stirred at room temperature for 3 h and concentrated to dryness. Silica gel chromatography (Hexanes: EtOAc = 85:15) yielded 175 mg (93%) of 4-cyclopropyl-2,6-dimethylphenol as a light yellow oil.

2-chloro-6-(4-cyclopropyl-2,6-dimethylphenoxy)-9H-purine. To a solution of 4-cyclopropyl-2,6-dimethylphenol (263 mg, 1.62 mmol) in 1-methyl-2-pyrridone (3 mL) at 0°C was added NaH (65 mg, 1.62 mmol). The reaction mixture was stirred at room temperature for 30 min and a solution of 2,6-dichloropurine (102 mg, 0.54 mmol) in 1-methyl-2-pyrridone (2 mL) was added to the mixture. The mixture was heated at 100° C for 16 h and then cooled to room temperature. The reaction was poured into ice water and extracted with CHCl₃ (3 x 20 mL). The combined organic solution was washed with H₂O (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (MeOH: CHCl₃ = 5:95) yielded 114 mg (67%) of 2-chloro-6-(4-cyclopropyl-2,6-dimethylphenoxy)-9H-purine.

4-(6-(4-cyclopropyl-2,6-dimethylphenoxy)-9H-purin-2-ylamino)benzonitrile. To a suspension of 2-chloro-6-(4-cyclopropyl-2,6-dimethylphenoxy)-9H-purine (28 mg, 0.088 mmol) and 4-aminobenzonitrile (42 mg, 0.35 mmol) in 2,2,2-trifluoroethanol (3 mL) in a sealed tube was added trifluoroacetic acid (0.056 mL, 0.70 mmol). The resulting solution was heated at 90° C for 3 days. The reaction was cooled to room temperature and concentrated to dryness. Silica gel chromatography (CH₂Cl₂:Acetone = 80:20) yielded 7 mg (20%) of 4-(6-(4-cyclopropyl-2,6-dimethylphenoxy)-9H-purin-2-ylamino)benzonitrile as a light yellow solid.

Example 7

40 [0064]

2,4-dichloro-7H-pyrrolo[2,3-d]pyrimidine. To a suspension of 4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-ylamine (500 mg, 2.97 mmol) in 1,2-dichloroethane (40 mL) at -10 °C under argon was added antimony chloride (750 mg, 3.29 mmol).

After stirring for 5 min, tert-butylnitrite (2.50 mL, 20.8 mmol) was added to the solution. The reaction was stirred at -10 $^{\circ}$ C for 3 h. The reaction was diluted with CHCl₃ (100 mL) and poured into ice water (50 mL). The CHCl₃ layer was separated, washed with brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 50:50) yielded 239 mg (43%) of 2,4-dichloro-7H-pyrrolo[2,3-d]pyrimidine as a tan solid.

NH N C

2-chloro-4-(4-cyclopropyl-2,6-dimethylphenoxy)-7H-pyrrolo[2,3-d]pyrimidine. To a solution of 4-cyclopropyl-2,6-dimethylphenol (259 mg, 1.60 mmol) in THF (3 mL) at 0°C was added NaH (64 mg, 1.60 mmol). The reaction mixture was stirred at room temperature for 30 min and a solution of 2,4-dichloro-7H-pyrrolo[2,3-d]pyrimidine (100 mg, 0.53 mmol) in THF (2 mL) was added to the mixture. The mixture was heated at 80°C for 16 h and cooled to room temperature. The reaction was poured into ice water and extracted with CHCl $_3$ (3 x 20 mL). The combined organic solution was washed with H $_2$ O (20 mL) and brine (20 mL), dried with Na $_2$ SO $_4$, and concentrated to dryness. Silica gel chromatography (Hexanes:EtOAc = 75:25) yielded 79 mg (48%) of 2-chloro-4-(4-cyclopropyl-2,6-dimethylphenoxy)-7H-pyrrolo[2,3-d] pyrimidine as a tan solid.

4-(4-(4-cyclopropyl-2,6-dimethylphenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino)benzonitrile. To a suspension of 2-chloro-4-(4-cyclopropyl-2,6-dimethylphenoxy)-7H-pyrrolo[2,3-d]pyrimidine (75 mg, 0.24 mmol) and 4-aminobenzonitrile (113 mg, 0.96 mmol) in 2,2,2-trifluoroethanol (4 mL) in a sealed tube was added trifluoroacetic acid (0.15 mL, 1.92 mmol). The resulting solution was heated at 90° C for 3 days. The reaction was diluted with EtOAc (50 mL), washed with NaHCO₃ (20 mL) and brine (20 mL), dried with Na₂SO₄, and concentrated to dryness. Silica gel chromatography (CH₂Cl₂:Acetone = 90:10) yielded 15 mg (16%) of 4-(4-(4-cyclopropyl-2,6-dimethylphenoxy)-7H-pyrrolo[2,3-d]pyrimidin-2-ylamino)benzonitrile as a tan solid.

Example 8

[0065]

Scheme 1

[0066] Scheme 1 illustrates the synthesis of 9-deazaguanine by starting with commercially available 2-amino-6-methylpyrimidin-4(3H)-one and nitrated with nitric acid followed by treatment of the nitrated product with N,N-dimethylformamide dimethyl acetal (DMF-DMA) to afford the corresponding 2-(dimethylamino)methyleneimino derivative. It was then benzylated to produce 3-benzyl-2-[(dimethylamino)methyleneimino]-5-nitro-6-methylpyrimidin-4-one by treating with benzyl bromide and converted to benzylated-2,6-bis-dimethylaminomethylene derivative with DMF-DMA. Reductive cyclization with sodium hydrosulfite followed by de-protection with 3M NaOH and de-benzylation with Pd/C and NH_4CO_2H afforded 9-deazaguanine.

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Scheme 2

[0067] Scheme 2 illustrates the 3 different pathways which provide the various substituted 9-deazapurines. Other products are synthesized by analogous methods, which a person skilled in the art could formulate, based on the reaction sequences given above. In certain cases, the person skilled in the art would see that protecting groups might be necessary. The synthetic scheme can be summarized as follows.

[0068] Benzylation of 9-deazaguanine followed by chlorination with POCl₃ gives the chlorinated 9-deazagurine product. This chlorinated intermediate can either be coupled with R2 (pathway 1) followed by diazotization with *t*-butyl nitrite; displaced with F; coupled with R3 and de-benzylated to give the product; or it can undergo pathway 2, which is diazotization with *t*-butyl nitrite in the presence of antimony chloride followed by coupling with R2 and R3, followed by de-benzylation to afford the final product. Alternatively, pathway 3 provides for de-benzylation of the dichloro-9-deazagurine followed by the coupling with R2 and R3 respectively to provide the various substituted 9-deazagurine.

2-Amino-6-methyl-5-nitropyrimidin-4(3H)-one

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[0069] To a mixture of 2-amino-6-methylpyrimidin-4(3H)-one (50 g, 0.4 mol) in 250 mL of H_2SO_4 at 0 °C was added 40 mL of HNO_3 with an additional funnel. After being stirred at room temperature for 3 h, the reaction mixture was slowly poured into 3.6 L of diethyl ether and stirred for 15 min. Decant the ether solution and added 1.0 L of ethyl acetate to the solid and stirred for 10 h. The solid (54.8 g, 81% yield) was filtered and used for next step without any further purification.

(E)-N,N-Dimethyl-N'-(4-methyl-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)formimidamide

[0070] To a suspension of 2-Amino-6-methyl-5-nitropyrimidin-4(3H)-one (54.8 g, 0.32 mol) in CH_2Cl_2 (461 mL) was added DMF-dimethylacetal (103.1 mL, 0.77 mol) and stirred at room temperature for 1.5 h. The reaction mixture was filtered, washed with CH_2Cl_2 , and used for the next step without further purification (31.9 g, 44% yield).

(E)-N'(1-benzyl-4-methyl-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)-N,N-dimethylformimidamide

[0071] To a suspension of (E)-*N*,*N*-Dimethyl-*N*'-(4-methyl-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)formimidamide (53.4 g, 0.24 mmol) in DMF (690 mL) was added DBU (44.6 mL, 0.30 mol) and benzyl bromide (44.4 mL, 0.29 mol) and stirred at room temperature for 1 h. The excess of DBU was neutralized with HCl, and the mixture was concentrated *in vacuo*. The residue was dissolved in methylene chloride and extracted twice with 2M HCl and water, then dried over Na₂SO₄ and concentrated. Trituration with ethanol afforded the crystalline product which was washed with ethanol to give the product (64.7 g, 86% yield) and used in the next step without further purification.

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(E)-N'-(1-benzyl-4-((E)-2-(dimethylamino)vinyl)-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)-N,N-dimethylformimidamide

[0072] To a solution of (E)-N'(1-benzyl-4-methyl-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)-N,N-dimethylformimidamide (64.7 g, 0.2 mol) in DMF (254 mL) was added DMF-dimethylacetal (54.5 mL, 0.41 mol). The reaction mixture was stirred for 3 h at 65 °C, cooled, and the solvent was removed under reduced pressure. The residue was triturated with ethanol, and the solid was collected by vacuum filtration (69.2 g, 91 %) and used in the next step without further purification.

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(E)-N'-(3-benzyl-4-oxo-4,5-dihydro-3H-pyrrolo[3,2-d] pyrimidin-2-yl)-N,N-dimethylformimidamide

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[0073] To a mixture of (E)-N'-(1-benzyl-4-((E)-2-(dimethylamino)vinyl)-5-nitro-6-oxo-1,6-dihydropyrimidin-2-yl)-N, N-dimethylformimidamide (43.0 g, 0.12 mol) in THF (151 mL) was added an aqueous saturated solution of Na₂S₂O₄ and stirred at room temperature overnight. Upon completion of the reaction, the solid was filtered and washed with THF to afford the product (21.2 g, 62% yield) which was used in the next step without further purification.

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2-Amino-3-benzyl-3H-pyrrolo[3,2-d]pyrimidin-4(5H)-one

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[0074] To a mixture of (E)-N'-(3-benzyl-4-oxo-4,5-dihydro-3H-pyrrolo[3,2-d]pyrimidin-2-yl)-N,N-dimethylfomimidamide (21.2 g, 0.07 mol) in MeOH (382 mL) was added 3M NaOH (276 mL) and heated at 100 °C for 5 h. After completion of the reaction, the reaction mixture was cooled to 0 °C. The solid was filtered (15.8 g, 91%) and used in the next step without further purification.

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2-Amino-3*H*-pyrrolo[3,2-*d*]pyrimidin-4(5*H*)-one

[0075] To a mixture of 2-amino-3-benzyl-3H-pyrrolo[3,2-d]pyrimidin-4(5H)-one (10 g, 0.04 mol) in MeOH (334 mL)

was added 10% Pd/C (2 g), ammonium formate (13.2 g, 0.21 mmol) and heated at 75°C for 4 h. After completion of the reaction, the reaction mixture was cooled and filtered through a pad of Celite with hot 1:1 DMF/MeOH. The filtrate was concentrated *in vacuo* to provide the product as an off white solid (6.2 g, 99%).

2-Amino-5-benzyl-3H-pyrrolo[3,2-d]pyrimidin-4(5H)-one

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[0076] To a suspension of 2-amino-3*H*-pyrrolo[3,2-*d*]pyrimidin-4(5*H*)-one (336.7 mg, 2.0 mmol) in CH₂Cl₂ (14.3 mL) was added benzyl bromide (0.26 mL, 2.2 mmol) and TBABr (644 mg, 2.0 mmol). The reaction mixture was cooled to 0 °C, and to it was added 50% NaOH (1.7 mL). The resulting mixture was stirred for 2 h as it warmed from 0 °C to room temperature. Water was then added, and the solution was washed with CHCl₃. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. Purification by column chromatography, eluting with CH₂Cl₂/Acetone (5:1-1:1), afforded the product as a tan solid (423 mg, 82%)

5-benzyl-4-chloro-5*H*-pyrrolo[3,2-d]pyrimidin-2-amine

[0077] A mixture of 2-amino-5-benzyl-3*H*-pyrrolo[3,2-*d*]pyrimidin-4(5*H*)-one (1.1 g, 7.4 mmol) and POCl₃ (7 mL, 74 mmol) was heated at 116 °C for 3 h. Upon completion of the reaction, the reaction mixture was poured into ice and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. Purification by column chromatography, eluting with CH₂Cl₂/Acetone (3:1), afforded the product as a white solid (490 mg, 40%).

Bn-N N NH2

5-benzyl-4-(mesityloxy)-5H-pyrrolo[3,2-d]pyrimidin-2-amine

[0078] To a stirred suspension of NaH (56 mg, 2.33 mmol) in dry NMP (2 mL) was added 2,4,6-trimethyl phenol (317 mg, 2.33 mmol). The mixture was stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-4-chloro-5H-pyrrolo[3,2-d]pyrimidin-2-amine (200 mg, 0.78 mmol) in dry NMP (1.5 mL) and the resulting solution was heated at 90 °C for 16 h. After completion of the reaction, the reaction mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, 2% NaOH, and brine and dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (3:1) to give the product as a white solid (140 mg, 50%).

5-benzyl-2-fluoro-4-(mesityloxy)-5H-pyrrolo[3,2-d]pyrimidine

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[0079] A solution of 5-benzyl-4-(mesityloxy)-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-amine (139.9 mg, 0.39 mmol) in pyridine (1.6 mL) was cooled to -50 °C and HF-pyr (8 mL) and t-butyl nitrite (0.19 mL, 1.56 mmol) was added dropwise. The reaction mixture was stirred at 50 °C to -30 °C for 1.5 h. Upon completion of the reaction, the reaction mixture was poured into K_2CO_3 (5 g), slowly added water and washed with CHCl₃ x 3. The combined organic layers were washed with brine, dried (Na₂SO₄), filtered and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with hexanes/ethyl acetate (2:1) to give the product as a white solid (116 mg, 82%).

Bn-N N N CN

4-(5-benzyl-4-(mesityloxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0080] A stirred suspension of NaH (63.8 mg, 2.66 mmol) in dry NMP (1.5 mL) was added 4-aminobenzylnitrile (188 mg, 2.66 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-2-fluoro-4-(mesityloxy)-5H-pyrrolo[3,2-d]pyrimidine (115 mg, 0.32 mmol) in dry NMP (1.7 mL) and stirred at room temperature for 2 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc 3 times. The combined organic layers were washed with water, NH₄Cl, water x 2, and brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with 1% MeOH: CH₂Cl₂, which afforded the product as a tan solid (120 mg, 80%).

4-(4-(Mesityloxy)-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-ylamino)benzonitrile

[0081] To a suspension of 4-(5-benzyl-4-(mesityloxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (150 mg, 0.33 mmol) in 1,2-dichlorobenzene (13 mL) was added AlCl₃ (436 mg, 3.27 mmol). The reaction mixture was heated at 160 $^{\circ}$ C for 1.5 h during which the reaction mixture became dark and homogeneous. Upon completion of the reaction, the reaction mixture was cooled and washed with NH₄Cl. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with Hexanes:Ethyl acetate (5:1-1:1) provided the product as a tan solid (27.8 mg, 23%).

Example 9

[0082]

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4-(2-Amino-5-benzyl-5H pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

benzonitrile (570 mg, 3.88 mmol), and the mixture was stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-4-chloro-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-amine (400 mg, 1.55 mmol) in dry NMP (4 mL) and heated at 160 °C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, 2% NaOH, brine, dried over Na₂SO₄, filtered, and concentrated in vacuo. The crude product was purified by column chromatography, eluting with hexanes/ ethyl acetate (2:1-1:4) to give the product as a light yellow solid (342 mg, 60%).

[0083] To a stirred suspension of NaH (155 mg, 6.47 mmol) in dry NMP (4 mL) was added 4-hydroxy-3,5-dimethyl-

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4-(5-benzyl-2-fluoro-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

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[0084] A solution of 4-(2-amino-5-benzyl-5*H*-pyrrolo[3,2-*d*]pyrimidin4-yloxy)-3,5-dimethylbenzonitrile (319.4 mg, 0.87 mmol) in pyridine (3 mL) was cooled to -50 °C and HF-pyr (15 mL) and t-butyl nitrite (0.42 mL, 3.46 mmol) were added dropwise. The reaction mixture was stirred at -50 °C to -20 °C for 1.5 h. Upon completion of the reaction, the mixture was poured into K_2CO_3 (8 g), diluted with water and washed with CHCl₃ x 3. The combined organic layers were washed with brine, dried (Na₂SO₄), filtered, and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with hexanes/ethyl acetate (2:1-1:1) which same the product as a light yellow solid (314 mg, 97%).

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4-(5-benzyl-2-(4-cyanophenylamino)-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0085] To a stirred suspension of NaH (101 mg, 4.21 mmol) in dry NMP (4 mL) was added 4-aminobenzylnitrile (299 mg, 2.53 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 4-(5-benzyl-2-fluoro-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile (314 mg, 0.84 mmol) in dry NMP (4.4 mL) and stirred at room temperature for 2 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc x 3. The combined organic layers were washed with water, NH₄Cl, water x 2, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with 1% MeOH:CH₂Cl₂, producing the product as a tan solid (320 mg, 80%).

HN N N CN

4-(2-(4-Cyanophenylamino)-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0086] To a suspension of 4-(5-benzyl-2-(4-cyanophenylamino)-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile (240 mg, 0.51 mmol) in 1,2-dichlorobenzene (20 mL) was added AlCl₃ (681 mg, 5.1 mmol). The reaction mixture was heated at 160 °C for 1.5 h, during which time the reaction mixture became dark and homogeneous. Upon completion of the reaction, the reaction mixture was cooled and washed with NH₄Cl. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered and concentrated *in vacuo*. The crude product was purified by preparative TLC TLC eluting with Hexanes:Ethyl acetate (2.5:1) and produced the product as a pink solid (51 mg, 26%).

Example 10

[0087]

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Bn-N N NH2

5-benzyl-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidin-2-amine

[0088] To a stirred suspension of NaH (48 mg, 2 mmol) in dry NMP (2 mL) was added 2,4,6-trimethyl-benzene-1-thiol (191 mg, 1.2 mmol) The mixture was and stirred at room temperature for 30 min under argon. The reaction mixture was then added to a solution of 5-benzyl-4-chloro-5H-pyrrolo[3,2-d]pyrimidin-2-amine (103 mg, 0.4 mmol) in dry NMP (2.5 mL) and heated at 60 °C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, 2% NaOH, and brine; dried over Na₂SO₄; filtered; and concentrated in vacuo. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (2:1-1:3) to give the product as a light yellow solid (131 mg, 88%).

5-benzyl-2-fluoro-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidine

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[0089] A solution of 5-benzyl-4-(mesitylthio)-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-amine (131 mg, 0.35 mmol) in pyridine (1.6 mL) was cooled to -50 $^{\circ}$ C and added HF-pyr (8 mL) and *t*-butyl nitrite (0.17 mL, 1.4 mmol) dropwise. The reaction mixture was stirred at -50 $^{\circ}$ C to -40 $^{\circ}$ C for 1.5 h. Upon completion of the reaction, the reaction was poured into K₂CO₃ (5 g), slowly added water and washed with CHCl₃ x 3. The combined organic layers were washed with brine, dried (Na₂SO₄), filtered and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with hexanes/ethyl acetate (5:1-1:1) to give the product as an off-white solid (94 mg, 71%).

Bn-N N CN

4-(5-benzyl-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0090] To a stirred suspension of NaH (30 mg, 1.25 mmol) in dry NMP (1.5 mL) was added 4-aminobenzylnitrile (87.4 mg, 0.74 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-2-fluoro-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidine (93 mg, 0.25 mmol) in dry NMP (1 mL) and stirred at room temperature for 2 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc x 3. The combined organic layers were washed with water, NH₄Cl, water x 2, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by preparative TLC TLC, eluting with Hexanes:Ethyl acetate (1.5:1) afforded the product as a tan solid (12.6 mg, 11%).

50 4-(4-(mesitylthio)-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-ylamino)benzonitrile

[0091] To a suspension of 4-(5-benzyl-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (9.2 mg, 0.03 mmol) in 1,2-dichlorobenzene (1 mL) was added AlCl₃ (26 mg, 0.3 mmol). The reaction mixture was heated at 160°C for 1.5 h, which the reaction mixture became dark and homogeneous. Upon completion of the reaction, the reaction mixture was cooled and washed with NH₄Cl. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by preparative TLC TLC, eluting with Hexanes:Ethyl acetate (2.5:1) produced the product as a pink solid (7.7 mg, 20%).

Example 11

[0092]

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Bn-N N CI

5-benzyl-2,4-dichloro-5H-pyrrolo[3,2-d]pyrimidine

[0093] To a suspension of 5-benzyl-4-chloro-5H-pyrrolo[3,2-d]pyrimidin-2-amine (641 mg, 2.5 mmol) in 1,2-dichloroethane (35 mL) was cooled to -10 °C SbCl₃ (850 mg, 3.7 mmol) was added. The reaction mixture was stirred for 5 min. t-butyl nitrite (2.1 mL, 17.4 mmol) was added dropwise and the stirred mixture was from -10 °C to room temperature for 5 h. Upon completion of the reaction, the reaction mixture was poured into ice water and washed with CH_2Cl_2 . The combined organic layers were washed with brine, dried over Na_2SO_4 , filtered and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography eluting with Hexanes:Ethyl acetate (9:1-1:1), and gave the product as an off-white solid (528 mg, 77%).

HN

2,4-Dichloro-5H-pyrrolo[3,2-d]pyrimidine

[0094] To a suspension of 5-benzyl-2,4-dichloro-5H pyrrolo[3,2-d]pyrimidine (177 mg, 0.64 mmol) in 1,2-dichlorobenzene (20 mL) was added AlCl₃ (852 mg, 6.4mmol). The reaction mixture was heated at 160 °C for 1.5 h, during which the reaction mixture became dark and homogeneous. Upon completion of the reaction, the reaction mixture was cooled, added CHCl₃ and washed with NH₄Cl. The combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. Added Hexanes and filtered off the product as purple solids (100 mg, 80%) and used for the next step without further purification.

HN N CI

$\hbox{2-Chloro-4-(4-cyclopropyl-2,6-dimethylphenoxy)-5$$H$-pyrrolo[3,2-d] pyrimidine}$

[0095] To a stirred suspension of NaH (25 mg, 0.64 mmol) in dry NMP (1.5 mL) was added 4-cyclopropyl-2,6-dimethylphenol (103 mg, 0.64 mmol) and the resolution mixture was stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 2,4-dichloro-5*H*-pyrrolo[3,2-*d*]pyrimidine (120 mg, 0.64 mmol) in dry NMP (1.7 mL) and heated at 90 °C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (4:1-2:1), to give the product as a light yellow solid (20.2 mg, 8%).

4-(4-(4-Cyclopropyl-2,6-dimethylphenoxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0096] In a sealed tube was placed 2-chloro-4-(4-cyclopropyl-2,6-dimethylphenoxy)-5*H*-pyrrolo[3,2-*d*]pyrimidine (20 mg, 0.064 mmol), 4-aminobenzonitrile (31 mg, 0.26 mmol), TFE (0.21 mL) and TFA (0.04 mL, 0.51 mmol). The reaction mixture was stirred at 90 °C for 16 h. Upon completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with NaHCO₃, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by preparative TLC TLC, eluting with 5 % Acetone/CH₂Cl₂ to give the product as a light yellow solid (10.5 mg, 45%).

Example 12

[0097]

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N CI

2-Chloro-4-(mesityloxy)-5-methyl-5H-pyrrolo[3,2-d]pyrimidine

[0098] To a stirred suspension of NaH (8.9 mg, 0.22 mmol) in dry NMP (1.0 mL) was added 2,4,6-trimethyl phenol (30.2 mg, 0.22 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 2,4-dichloro-5-methyl-5H-pyrrolo[3,2-d]pyrimidine (44.6 mg, 0.22 mmol) in dry NMP (1.0 mL) and heated at 90 °C for 16 h. After completion of the reaction, the resulting mixture was cooled, diluted with water and washed with EtOAc. The combined organic layers were washed with water, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (5:1-2:1), to give the product as a light yellow solid (52.7 mg, 80%).

4-(4-(Mesityloxy)-5-methyl-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-ylamino)benzonitrile

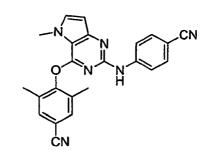
[0099] In a sealed tube was added 2-chloro-4-(mesityloxy)-5-methyl-5*H*-pyrrolo[3,2-*d*]pyrimidine (52.7 mg, 0.18 mmol), 4-aminobenzonitrile (83 mg, 0.70 mmol), TFE (1.0 mL) and TFA (0.11 mL, 1.44 mmol). The reaction mixture

was stirred at 90°C for 48 h. Upon completion of the reaction, the resulting mixture was cooled, diluted with water and washed with EtOAc. The combined organic layers were washed with NaHCO₃, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by preparative TLC, eluting with hexanes:ethyl acetate (5:1-2: 1), to give the product as a light yellow solid (65.7 mg, 95%).

-N N CI

4-(2-Chloro-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0100] To a stirred solution of NaH (42.1 mg, 1.05 mmol) in dry NMP (2.5 mL) was added 4-hydroxy-3,5-dimethylbenzonitrile (154.7 mg, 1.05 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 2,4-dichloro-5-methyl-5*H*-pyrrolo[3,2-d]pyrimidine (211.3 mg, 1.05 mmol) in dry NMP (2.7 mL) and heated at 160 °C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (3:1-1:1), to give the product as a light yellow solid (294 mg, 85%).



4-(2-(4-Cyanophenylamino)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0101] In a sealed tube was added 4-(2-chloro-5-methyl-5*H*-pyrrolo[3,2-*d*]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile (294 mg, 0.94 mmol), 4-aminobenzonitrile (455 mg, 3.77 mmol), TFE (3.1 mL) and TFA (0.58 mL, 7.52 mmol). The reaction mixture was stirred at 90 °C for 48 h. Upon completion of the reaction, the resulting mixture was cooled, diluted with water and washed with EtOAc. The combined organic layers were washed with NaHCO₃, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by preparative TLC, eluting with hexanes:ethyl acetate (4:1-1:2), to give the product as an off-white solid (133 mg, 40%).

Example 13

[0102]

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1-(4-(5-benzyl-2-chloro-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylphenyl)ethanone

[0103] To a stirred solution of NaH (31 mg, 0.78 mmol) in dry NMP (2 mL) was added 1-(4-hydroxy-3,5-dimethylphenyl) ethanone (127 mg, 0.78 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-2,4-dichloro-5H-pyrrolo[3,2-d]pyrimidine (216 mg, 0.78 mmol) in dry NMP (2.4 mL) and heated at 160 °C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed with water, 2% NaOH, brine, dried over Na_2SO_4 , filtered, and concentrated *in vacuo*. The crude product was purified by column chromatography, eluting with hexanes/ethyl acetate (4:1-2:1), to give the product as a light yellow solid (111 mg, 35%).

4-(4-(4-Acetyl-2,6-dimethylphenoxy)-5-benzyl-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0104] In a sealed tube was added 1-(4-(5-benzyl-2-chloro-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylphenyl) ethanone (111 mg, 0.27 mmol), 4-aminobenzonitrile (129 mg, 1.1 mmol), TFE (1.7 mL) and TFA (0.2 mL, 2.16 mmol). The reaction mixture was stirred at 90 °C for 16 h. Upon completion of the reaction, the resulting mixture was cooled, diluted with water, and washed with EtOAc. The combined organic layers were washed with NaHCO₃ and brine; dried over Na₂SO₄; filtered; and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with hexanes:ethyl acetate (9:1-100 % EtOAc), to give the product as an off-white solid (68 mg, 51%).

4-(4-(4-Acetyl-2,6-dimethylphenoxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0105] To a suspension of 4-(4-(4-acetyl-2,6-dimethylphenoxy)-5-benzyl-5*H*-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (65 mg, 0.13 mmol) in 1,2-dichlorobenzene (5.3 mL) was added AlCl₃ (178 mg, 1.3 mmol). The reaction mixture was heated at 160°C for 1.5 h, after which time the reaction mixture became dark and homogeneous. Upon completion of the reaction, the reaction mixture was cooled, CHCl₃ was added, and the mixture was washed with NH₄Cl. The

combined organic layers were washed with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with hexanes:ethyl acetate (3:1), to give the product as a brown solid (41 mg, 77%).

Example 14

[0106]

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1-(4-(5-benzyl-2-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylphenyl)-N,N-dimethylmethanamine

[0107] To a stirred solution of NaH (80.4 mg, 1.0 mmol) in dry NMP (3 mL) was added 4-((dimethylamino)methyl)-2,6-dimethylphenol (216.4 mg, 1.0 mmol) and the mixture was stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-2,4-dichloro-5H-pyrrolo[3,2-d]pyrimidine (216 mg, 0.78 mmol) in dry NMP (2.6 mL) and heated at 120°C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed twice with water, washed with, brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with MeOH/CH₂Cl₂ (10%-30%), to give the product as a tan solid (71 mg, 17%).

4-(5-benzyl-4-(4-((dimethylamino)methyl)-2,6-dimethylphenoxy)-5 H-pyrrolo[3,2-d] pyrimidin-2-ylamino) benzonitrile

[0108] In a sealed tube was added 1-(4-(5-benzyl-2-5H-pyrrolo[3,2-f]pyrimidin-4-yloxy)-3,5-dimethylphenyl)-N,N-dimethylmethanamine (70.7 mg, 0.17 mmol), 4-aminobenzonitrile (78.9 mg, 0.67 mmol), TFE (1.1 mL) and TFA (0.1 mL, 1.3 mmol). The reaction mixture was stirred at 90 °C for 16 h. Upon completion of the reaction, the resulting mixture was cooled, diluted with water, and washed with EtOAc. The combined organic layers were washed with NaHCO₃ solution and with brine, dried over Na₂SO₄, filtered, and concentrated *in vacuo*. The crude product was purified by silica gel column chromatography, eluting with MeOH/CH₂Cl₂ (20%-40%), to give the product as a tan solid (17 mg, 20%).

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4-(4-(4-((dimethylamino)methyl)-2,6-dimethylphenoxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0109] The benzyl group was removed according to the same procedure as described for example 13.

Example 15

[0110]

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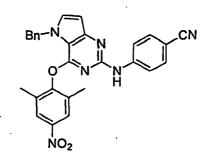
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5-benzyl-2-chloro-4-(2,6-dimethyl-4-nitrophenoxy)-5H-pyrrolo[3,2-d]pyrimidine

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[0111] To a stirred solution of NaH (61.9 mg, 2.6 mmol) in dry NMP (4.7 mL) was added 2,6-dimethyl-4-nitrophenol (258.9 mg, 1.55 mmol) and stirred at room temperature for 30 min under argon. The reaction mixture was added to a solution of 5-benzyl-2,4-dichloro-5H-pyrrolo[3,2-d]pyrimidine (431 mg, 1.55 mmol) in dry NMP (4 mL) and heated at 90°C for 16 h. After completion of the reaction, the resulting mixture was diluted with water and washed with EtOAc. The combined organic layers were washed twice with water, washed with brine, dried over Na₂SO₄, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography, eluting with hexanes:ethyl acetate (3:1-1:1), to give the product as a white solid (598 mg, 94%).

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4-(5-benzyl-4-(2,6-dimethyl-4-nitrophenoxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

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[0112] In a sealed tube was added 5-benzyl-2-chloro-4-(2,6-dimethyl-4-nitrophenoxy)-5H-pyrrolo[3,2-d]pyrimidine (598 mg, 1.46 mmol), 4-aminobenzonitrile (691 mg, 5.85 mmol), TFE (9.1 mL) and TFA (1.97 mL, 11.7 mmol). The reaction mixture was stirred at 90 °C for 16 h. Upon completion of the reaction, the resulting mixture was cooled, diluted with water and washed with EtOAc. The combined organic layers were washed with NaHCO₃, brine, dried over Na₂SO₄, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography, eluting with

hexanes:ethyl acetate (3:1-1:1), to give the product (442 mg, 60%).

Example 16

[0113]

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HN N N CN

4-(4-(4-Acetyl-2,6-dimethylphenoxy)-7-chloro-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0114] To a solution of 4-(4-(4-acetyl-2,6-dimethylphenoxy)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (13 mg, 0.03 mmol) in CH_2Cl_2 (1 mL) was added NCS (4.4 mg, 0.03 mmol) and the mixture refluxed for 16 h. After the completion of the reaction, the solvent was concentrated and purified by preparative TLC eluting with hexanes:ethyl acetate (2:1) to give the product (4.2 mg, 30%).

Example 17

[0115]

HN N N CN

4-(7-Chloro-4-(mesitylthio)-5*H*-pyrrolo[3,2-*d*]pyrimidin-2-ylamino)benzonitrile

[0116] To a solution of 4-(4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitriie (10 mg, 0.02 mmol) in CH₂Cl₂ (5 mL) was added NCS (2.8 mg, 0.02 mmol) and the resolution mixture refluxed for 16 h. After the completion of the reaction, the solvent was concentrated and purified by preparative TLC, eluting with hexanes:ethyl acetate (3:1), to give the product (8.8 mg, 88%).

Example 18

50 **[0117]**

4-(7-bromo-4-(mesitylthio)-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile

[0118] To a solution of 4-(4-(mesitylthio)-5*H*-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (22.7 mg, 0.06 mmol) in CH₂Cl₂ (10 mL) was added NBS (10.5 mg, 0.06 mmol) and the resultant mixture was refluxed for 16 h. After completion of the reaction, the solvent was concentrated and purified by reversed phase HPLC to give the product as a white solid (6.4 mg, 23%).

Example 19

[0119]

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N N N CN

$4\hbox{-}(7\hbox{-chloro-}4\hbox{-}(mesityloxy)\hbox{-}5\hbox{-}methyl\hbox{-}5H\hbox{-}pyrrolo[3,2\hbox{-}d]pyrimidin-2\hbox{-}ylamino) benzonitrile }$

[0120] To a solution of 4-(4-(mesityloxy)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-2-ylamino)benzonitrile (17.3 mg, 0.05 mmol) in CH_2Cl_2 (5 mL) was added NCS (6.03 mg, 0.05 mmol) and the resultant mixture was refluxed for 16 h. After completion of the reaction, the solvent was concentrated and purified by preparative TLC, eluting with hexanes:ethyl acetate (3:1), to give the product as an off-white solid (3.4 mg, 6%).

Example 20

[0121]

ON N N C

4-(7-Chloro-2-(4-cyanophenylamino)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0122] To a solution of 4-(2-(4-cyanophenylamino)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylben-zonitrile (21.5 mg, 0.06 mmol) in CH_2Cl_2 (3 mL) was added NCS (7.3 mg, 0.06 mmol) and the resultant mixture was refluxed for 16 h. After completion of the reaction, the solvent was concentrated and purified by preparative TLC, eluting with Hexanes:Ethyl acetate (3:1), to give the product as a light yellow solid (13.2 mg, 56%).

Example 21

[0123]

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4-(7-Bromo-2-(4-cyanophenylamino)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0124] To a solution of 4-(2-(4-cyanophenylamino)-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-yloxy)-3,5-dimethylben-zonitrile (58 mg, 0.15 mmol) in CH₂Cl₂ (8 mL) was added NBS (29 mg, 0.16 mmol) and the resultant mixture was refluxed for 16 h. After completion of the reaction, the solvent was concentrated and purified by preparative TLC, eluting with hexanes:ethyl acetate (2:1), to give the product as a yellow solid (40 mg, 57%).

Example 22

[0125]

4-(7-Chloro-2-(4-cyanophenylamino)-5H-pyrrolo[3,2-d] pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile

[0126] To a solution of 4-(2-(4-cyanophenylamino)-5*H*-pyrrolo[3,2-*d*]pyrimidin-4-yloxy)-3,5-dimethylbenzonitrile (28.1 mg, 0.07 mmol) in CH₂Cl₂ (4 mL) was added NCS (9.9 mg, 0.07 mmol) and the resultant mixture was refluxed for 16 h. After completion of the reaction, the solvent was concentrated and purified by preparative TLC eluting with hexanes: ethyl acetate (2:1), to give the product as a pink solid (20 mg, 65%).

Biological Activity

Inhibition of HIV-1 Reverse Transcriptase

[0127] Numerous compounds were screened for inhibitory activity against human immunodeficiency virus type 1 (HIV-

1) using a high throughput cell-based assay using HIV-1 expressing firefly luciferase as a reporter gene and pseudotyped with vesicular stomatitis virus envelope glycoprotein (VSV-G). Experimental procedures were essentially as described by Connor et al. in Journal of Virology (1996), 70: 5306-5311 (Characterization of the functional properties of env genes from long-term survivors of human immunodeficiency virus type 1 infection), and Popik et al. in Journal of Virology (2002), 76: 4709-4722 (Human immunodeficiency virus type 1 uses lipid raft-co-localized CD4 and chemokine receptors for productive entry into CD4+ T cells). It should be particularly appreciated that the virus contains two introduced mutations in the RT gene (K103N and Y181C, created by PCR mutagenesis) that render the virus highly resistant to current non-nucleoside HIV-1 drugs. Virus stocks were generated by cotransfection of plasmid DNA encoding VSV-G with vector pNL4-3Env(-)Luc(+) into 293T cells. Sixty-four hours after transfection, virus-containing medium was collected by centrifugation and stored frozen at-80° C.

[0128] HeLa cells were infected with the VSV-G pseudotyped virus in the presence of screening compounds in a 384-well microtiter plate format. Forty-eight hours after initial infection, lysis buffer and Luciferase Assay Reagent (Promega) was added to the cells and luciferase activity was determined by counting the resultant luminescence using a LJL luminometer. Since the luciferase gene is carried in the virus genome, its expression level directly reflects the virus replication level in the presence of a compound.

[0129] To evaluate the activity of the compounds against wild type HIV-1, the HeLa-JC53 cell line that expresses high levels of CD4 and CCR5 (see e.g., Platt et al. in Journal of Virology (1998), 72: 2855-2864: Effect of CCR5 and CD4 cell surface concentrations on infection by macrophagetropic isolates of human immunodeficiency virus type 1) was modified by isolation of a stable cell line that expresses luciferase under the control of the HIV-1 promoter (long terminal repeat, i.e., LTR). HIV-1 infection of this cell line stimulates the transcription of luciferase from the HIV-1 promoter and the luciferase gene expression level is proportional to the level of virus replication (Harrington et al. in Journal of Virology Methods (2000), 88: 111-115: Direct detection of infection of HIV-1 in blood using a centrifugation-indicator cell assay; and Roos et al. in Virology (2000), 273: 307-315: LuSIV cells: a reporter cell line for the detection and quantitation of a single cycle of HIV and SIV replication). Procedures for virus infection, compound testing and luciferase activity determination were the same as for the VSV-G pseudotyped HIV-1.

[0130] Two approaches were used to evaluate the cytotoxicity of the positive compounds discovered in the HIV-1 virus assays. The first approach employed another modified HeLa-JC53 cell line that constitutively expresses high level of luciferase without virus infection. The level of luciferase expression in these cells served as an indicator for cell replication in the presence of the compounds. Procedures for compound testing and luciferase activity determination were the same as for the virus infection tests. The other toxicity assay utilized HeLe-JC53 cells and a commercially available MTS assay kit (Promega) that measures the mitochondria function of the cells.

Results

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[0131] The results are listed in Table A as EC50 (nM) and IC50 (nM). Table legend: A is < 10, B is between 10 and 100, C is > 100, ND is not determined. Note that many compounds of this invention exhibit activities on wild-type (WT) and resistant mutants below 10 nM.

Table A

	Table / C					
Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)	
1	O N 1 CN CLogo: 8.31119	А	В	В	В	
2	CLogP: 7.1942	А	В	В	С	

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(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	3	CN CLogP: 5.24519	А	Α	Α	A
15	4	CLogP: 7.00339	А	В	В	В
20	5	CLogP: 5.71888	А	Α	Α	Α
25	6	ChogP: 6.67519	А	В	В	В
30	7	CLogo: 5.85882	A	А	В	В
35 40	8	CLogP: 8.35608	В	С	С	С
45	9	CLogP: 5.81219	А	С	С	С
50	10	CLogP: 6,65919	А	В	В	В

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	11	CLogP: 6.5252	Α	В	Α	В
15	12	CLogP: 4.43498	В	С	С	С
20	13	CLOGP: 5.18408	А	Α	Α	Α
25	14	CLogP: 6.24639	А	С	С	С
30	15	CLogP: 4.67978	В	O	C	С
35 40	16	CLagP: 8.01359	С	С	С	С
45	17	N-NH CN CLogP: 4.78382	А	В	А	В
50	18	CI—NH N N CLogp: 7.04473	В	С	С	С
55	19	CLogP: 6.16288	А	А	А	В

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	20	NH N CN HN N N N CN CLogP: 6.67638	А	В	С	С
15	21	CLogP: 6.10388	A	В	В	С
20	22	CLog P: 7.12038	Α	O	C	С
25	23	CLogP: 0.50038	А	А	Α	В
30	24	HN N H CN CLOGP: 4.48848	В	С	С	С
35	25	N ClogP: 4.65288	А	А	А	В
40 45	26	CN CLogo: 5.71308	А	В	В	С
50	27	NH N CN HN N CN CLogP: 7.17134	А	В	В	В

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	28	ClogP: 6 94438	А	Α	В	В
15	29	CLogP: 6.01638	А	С	С	С
20	30	CLogP: 5.42083	С	С	С	С
25	31	CLogP: 8.34288	А	В	Α	В
30	32	CLogP: 8.67638	A	В	O	С
35	33	CLogP: 6,75519	А	В	В	В
40 45	34	CLogP: 6.41174	А	А	В	В
50	35	OLogP: 6.18265	А	В	С	С
55	36	CLogP: 5.71888	А	В	С	С

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	37	CLogP: 6.52118	А	Α	Α	Α
15	38	CLogP: 7.16147	В	C	С	С
20	39	O N N CF ₃ CLogp: 7.16147	C	O	O	С
25	40	CLogP: 6.60882	В	В	С	В
30 35	41	Ch ClogP: 7.16208	А	А	В	В
40	42	CLogP: 8.43519	А	А	Α	A
45	43	CLogP: 6.95418	В	В	С	В
50 55	44	ClogP: 7.19878	В	С	С	С

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	45	ClopP: 5.46143	А	Α	Α	В
15	46	ON CLogP: 8.29507	O	С	O	С
20	47	CLog P: 8.67208	В	В	С	С
30	48	CLogP. 9.11123	В	В	С	С
35	49	CLogP: 6.18873	А	Α	Α	А
40 45	50	MeO CLogP: 4.02888	А	А	В	С
50	51	HN CN CN S N CLogP: 7.4042	А	А	Α	В

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
5	52	CLogP: 6.96519	А	Α	Α	А
15	53	CLogP: 8.13777	А	В	В	С
20	54	CLogP: 9.83084	А	В	В	С
25	55	HN	А	В	В	С
30 35	56	CLagP: 7.88168	В	В	В	В
40	57	-N N CN CLagP: 6 46008	А	A	Α	А
45	58	CLogP: 8.59208	В	В	С	С
50 55	59	CLogP: 7.17968	В	В	В	В

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
10	60	CLogP: 7.16808	А	А	В	В
15	61	CLogP: 5.48119	А	А	А	А
20 25	62	ClagP: 7.39368	А	Α	Α	А
30	63	CLog#: 8.44208	В	В	С	С
35	64	CLogP: 822808	А	В	С	С
40	65	CLogP: 6.02219	А	А	А	С
45 50	66	HN N CN CLogP: 6.73519	А	А	А	А
55	67	CLOSP. 6.19473	А	Α	В	А

(continued)

	Cpd	Structure	EC ₅₀ WT (nM)	EC ₅₀ Y181 C (nM)	EC ₅₀ Y188L (nM)	EC ₅₀ L100I- K103N (nM)
10	68	CLogP: 5.39408	А	А	А	А
15	69	CLogP: 8.11388	А	А	А	Α
20	70	CLogP: 6.26368	А	А	А	Α
25	71	CLogP: 6.86819	А	А	А	A

Contemplated Compounds and Prophetic Examples

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[0132] In addition to the examples listed above, this invention provides or contemplates many compounds, examples of which are shown in the tables that follow.

Table 1 Contemplated Compounds of Formula IA-1

	IA-1					
	Ar	V	W	Z		
1.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	Н	CH ₃		
2.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	benzyl	CH ₃		
	3. o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl CN benzyl H					
3.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	benzyl	Н		
3. 4.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN CN	benzyl 3-Me-benzyl	H CH ₃		

(continued)

	Ar	V	W	Z
6.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	3-MeO-benzyl	Н
7.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	4-MeO-benzyl	CH ₃
8.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	Н	Н
9.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	Н	Br
10.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	cyclopropyl	CH ₂ CH ₃
11.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	CH ₂ CF ₃	CH ₂ CH ₃
12.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	Н	CH ₃
13.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	benzyl	CH ₃
14.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	benzyl	Н
15.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	3-Me-benzyl	cyclopropyl
16.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	3-MeO-benzyl	benzyl
17.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	Н	Н
18.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	C≡CCH ₃	CH ₂ CH ₃	CH ₃
19.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CI	CH ₂ CH=CH ₂	Н
20.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ CH ₃	CH ₂ CH=CH ₂	Н
21.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CI	CH ₂ CH ₃	CH ₂ CH ₃
22.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CI	Н	Н
23.	4-cyclopropylnaphth-1-yl	CN	Н	CH ₃
24.	4-cyclopropylnaphth-1-yl	CN	benzyl	CH ₃
25.	4-cyclopropylnaphth-1-yl	CN	benzyl	Н
26.	4-cyclopropylnaphth-1-yl	CN	Н	Н
27.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	CH ₃
28.	4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	CH ₃
29.	4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	Н
30.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	Н
31.	4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	CH ₂ CN	F
32.	4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	cyclopropyl	CI
33.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CH ₂ CN	Br
34.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CN	benzyl
35.	o,o'-di-CH ₃ O-p-CN-phenyl	C≡CCH ₃	3-MeO-benzyl	F
36.	o,o'-di-CH ₃ O-p-CN-phenyl	F	3-Me-benzyl	CI
37.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	CH ₃
38.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	CH ₃
39.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	Н
40.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	Н
41.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	CH ₃
42.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	CH ₃
43.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	Н

(continued)

	Ar	V	w	Z
44.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	Н
45.	o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	CH ₃
46.	o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	CH ₃
47.	o,o'-di-CH ₃ -p-CN-phenyl	CN	3,5-di MeO-benzyl	CH ₃
48.	o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	Н
49.	o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	Н
50.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	CH ₃
51.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	CH ₃
52.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	Н
53.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	Н
54.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	Н	F
55.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	benzyl	F
56.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	benzyl	F
57.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	Н	F
58.	4-cyclopropylnaphth-1-yl	CN	Н	F
59.	4-cyclopropylnaphth-1-yl	CN	benzyl	F
60.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	F
61.	4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	F
62.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	F
63.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	F
64.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	H	F
65.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	F
66.	o,o'-di-CH ₃ -p-CN-plenyl	CN	H	F
67.	o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	F
68.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	H	F
69.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	F
70.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	H	CH ₃
71.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	benzyl	CH ₃
72.	o,o'- <i>di</i> -CH ₃ O- <i>p</i> -(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	Н
73.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	H	Н
74.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	Н	CH ₃
75.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	benzyl	CH ₃
76.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	benzyl	Н
77.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	Н	Н
78.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	H	CH ₃
79.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	CH ₃
80.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	Н
81.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	Н

(continued)

	Ar	V	W	Z
82.	4-cyclopropylnaphth-1-yl	F	Н	CH ₃
83.	4-cyclopropylnaphth-1-yl	F	benzyl	CH ₃
84.	4-cyclopropylnaphth-1-yl	F	benzyl	Н
85.	4-cyclopropylnaphth-1-yl	F	Н	Н
86.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
87.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
88.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
89.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	Н
90.	o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	CH ₃
91.	o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	CH ₃
92.	o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	Н
93.	o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	Н
94.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
95.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
96.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	3-Me-benzyl	CH ₃
97.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NHCH ₃	benzyl	Н
98.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
99.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	Н
100.	o,o'-di-CH ₃ -p-CN-phenyl	F	Н	CH ₃
101.	o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	CH ₃
102.	o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	Н
103.	o,o'-di-CH ₃ -p-CN-phenyl	F	Н	Н
104.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	Н	F
105.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	benzyl	F
106.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	benzyl	F
107.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	Н	F
108.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	F
109.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	F
110.	4-cyclopropylnaphth-1-yl	F	Н	F
111.	4-cyclopropylnaphth-1-yl	F	benzyl	F
112.	o,o'- <i>di</i> -CH ₃ O- <i>p</i> -CN-phenyl	SO ₂ NH ₂	Н	F
113.	o,o'- <i>di</i> -CH ₃ O- <i>p</i> -CN-phenyl	SO ₂ NH ₂	benzyl	F
114.	o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	F
115.	o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	F
116.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	F
117.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	F
118.	o,o'-di-CH ₃₋ p-CN-phenyl	F	Н	F
119.	o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	F

(continued)

	Ar	V	w	Z
120.	2,4,6-trimethyl phenyl	CN	Н	CH ₃
121.	2,4,6-trimethyl phenyl	CN	benzyl	CH ₃
122.	2,4,6-trimethyl phenyl	CN	benzyl	Н
123.	2,4,6-trimethyl phenyl	CN	Н	Н
124.	2,4,6-trimethyl phenyl	CH=CHCN	Н	CH ₃
125.	2,4,6-trimethyl phenyl	CH=CHCN	benzyl	CH ₃
126.	2,4,6-trimethyl phenyl	CH=CHCN	benzyl	Н
127.	2,4,6-trimethyl phenyl	CH=CHCN	Н	Н
128.	2,4,6-trimethyl phenyl	CN	Н	F
129.	2,4,6-trimethyl phenyl	CN	benzyl	F
130.	2,4,6-trimethyl phenyl	CH=CHCN	Н	F
131.	2,4,6-trimethyl phenyl	CH=CHCN	benzyl	F
132.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	CH ₃
133.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	CH ₃
134.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	Н
135.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	Н
136.	2,4,6-trimethyl phenyl	F	Н	CH ₃
137.	2,4,6-trimethyl phenyl	F	benzyl	CH ₃
138.	2,4,6-trimethyl phenyl	F	benzyl	Н
139.	4-cyclopropyl phenyl	F	Н	Н
140.	4-cyclopropyl phenyl	SO ₂ NH ₂	Н	F
141.	4-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
142.	4-cyclopropyl phenyl	F	Н	F
143.	4-cyclopropyl phenyl	F	benzyl	F
144.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	CH ₃
145.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	CH ₃
146.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	Н
147.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	Н
148.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	CH ₃
149.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	CH ₃
150.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	Н
151.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	Н
152.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	F
153.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	F
154.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	F
155.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	F
156.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	CH ₃
157.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	CH ₃

(continued)

	Ar	V	w	Z
158.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	Н
159.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	Н
160.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	CH ₃
161.	o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	CH ₃
162.	o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	Н
163.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	Н
164.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	F
165.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
166.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	F
167.	o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	F
168.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	CH ₃	CH ₃
169.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	cyclopropyl	CH ₃
170.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	cyclopropyl	Н
171.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	CH ₃	Н
172.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	CH ₃	CH ₃
173.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	cyclopropyl	CH ₃
174.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	cyclopropyl	Н
175.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	CH ₃	Н
176.	4-cyclopropylnaphth-1-yl	CN	CH ₃	CH ₃
177.	4-cyclopropylnaphth-1-yl	CN	cyclopropyl	CH ₃
178.	4-cyclopropylnaphth-1-yl	CN	cyclopropyl	Н
179.	4-cyclopropylnaphth-1-yl	CN	CH ₃	Н
180.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	CH ₃
181.	4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	CH ₃
182.	4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	Н
183.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	Н
184.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	CH ₃
185.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	CH ₃
186.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	Н
187.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	Н
188.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
189.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃
190.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	Н
191.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	Н
192.	o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	CH ₃
193.	o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	CH ₃
194.	o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	Н
195.	o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	Н

(continued)

	Ar	V	w	z
196.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
197.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃
198.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	Н
199.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	Н
200.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	CH ₃	F
201.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CN	cyclopropyl	F
202.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	cyclopropyl	F
203.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	CH=CHCN	CH ₃	F
204.	4-cyclopropylnaphth-1-yl	CN	CH ₃	F
205.	4-cyclopropylnaphth-1-yl	CN	cyclopropyl	F
206.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	F
207.	4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	F
208.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	F
209.	o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	F
210.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	F
211.	o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	F
212.	o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	F
213.	o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	F
214.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	F
215.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	F
216.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	CH ₃	CH ₃
217.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
218.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	cyclopropyl	Н
219.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	SO ₂ NH ₂	CH ₃	Н
220.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃
221.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	CH ₃
222.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	cyclopropyl	Н
223.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	CH ₃	Н
224.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	CH ₃
225.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	CH ₃
226.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	Н
227.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	Н
228.	4-cyclopropylnaphth-1-yl	F	CH ₃	CH ₃
229.	4-cyclopropylnaphth-1-yl	F	cyclopropyl	CH ₃
230.	4-cyclopropylnaphth-1-yl	F	cyclopropyl	Н
231.	4-cyclopropylnaphth-1-yl	F	CH ₃	Н
232.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
233.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃

(continued)

	Ar	V	W	Z
234.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
235.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н
236.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
237.	o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	CH ₃
238.	o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	CH ₃
239.	o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	Н
240.	o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	Н
241.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
242.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
243.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н
244.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
245.	o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	CH ₃
246.	o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	CH ₃
247.	o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	Н
248.	o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	Н
249.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	F
250.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	F
251.	o, o'-di-CH ₃ O-p-(CH=CHCN) phenyl	F	cyclopropyl	F
252.	o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	CH ₃	F
253.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	F
254.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	F
255.	4-cyclopropylnaphth-1-yl	F	CH ₃	F
256.	4-cyclopropylnaphth-1-yl	F	cyclopropyl _.	F
257.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
258.	o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
259.	o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	F
260.	o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	F
261.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
262.	o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
263.	o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	F
264.	o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	F
265.	4-cyclopropyl phenyl	CN	CH ₃	CH ₃
266.	2,4,6-trimethyl phenyl	CN	cyclopropyl	CH ₃
267.	2,4,6-trimethyl phenyl	CN	cyclopropyl	Н
268.	2,4,6-trimethyl phenyl	CN	CH ₃	Н
269.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	CH ₃
270.	2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	CH ₃
271.	2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	Н

(continued)

	Ar	V	W	Z
272.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	Н
273.	2,4,6-trimethyl phenyl	CN	CH ₃	F
274.	2,4,6-trimethyl phenyl	CN	cyclopropyl	F
275.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	F
276.	2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	F
277.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
278.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
279.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
280.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	Н
281.	2,4,6-trimethyl phenyl	F	CH ₃	CH ₃
282.	2,4,6-trimethyl phenyl	F	cyclopropyl	CH ₃
283.	2,4,6-trimethyl phenyl	F	cyclopropyl	Н
284.	4-cyclopropyl phenyl	F	CH ₃	Н
285.	4-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	F
286.	4-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	F
287.	4-cyclopropyl phenyl	F	CH ₃	F
288.	4-cyclopropyl phenyl	F	cyclopropyl	F
289.	2,4,6-trimethyl phenyl	CN	CH ₃	CH ₃
290.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	CH ₃
291.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	Н
292.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	Н
293.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	CH ₃
294.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	CH ₃
295.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	Н
296.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	Н
297.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	F
298.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	F
299.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	F.
300.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	F
301.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
302.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
303.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
304.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	Н
305.	1 -1 -1 -1 -1 -1 -1 -1 -1 -1 -1 -1 -1	F	CH ₃	CH ₃
306.	o,o'-dimethyl-p-cyclopropyl phenyl	-		
	o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	CH ₃
307.				CH ₃
307. 308.	o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	, ,

(continued)

	Ar	V	w	Z
310.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	F
311.	2,4,6-trimethyl phenyl	F	CH ₃	F
312.	2,4,6-trimethyl phenyl	F	Cyclopropyl	F
313.	o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	Н
314.	o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	Н
315.	o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	CI
316.	o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	CI

Table 2 Contemplated Compounds of Formula IA-2

	W N N N N N N N N N N N N N N N N N N N						
	Ar	V	W	Z			
1.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	CH ₃			
2.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	benzyl	CH ₃			
3.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	benzyl	Н			
4.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	Н			
5.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CI	CH ₃			
6.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	benzyl	CH ₃			
7.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	benzyl	Н			
8.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CI	Н			
9.	4-cyclopropylnaphth-1-yl	C≡CCH ₃	allyl	ethyl			
10.	4-cyclopropylnaphth-1-yl	CN	allyl	ethyl			
11.	4-cyclopropylnaphth-1-yl	CN	benzyl	Н			
12.	4-cyclopropylnaphth-1-yl	CN	benzyl	Н			
13.	4-cyclopropylnaphth-1-yl	C≡CCH ₃	allyl	ethyl			
14.	4-cyclopropylnaphth-1-yl	CH=CHCN	allyl	ethyl			
15.	4-cyclopropylnaphth-1-yl	CH=CHCN	3-MeO-benzyl	Н			
16.	4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	Н			
17.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NHCH ₃	CH=CHCN	CH ₃			
18.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	CH=CHCN	CH ₃			
19.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	3-Me-benzyl	Н			
20.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	benzyl	Н			

(continued)

	Ar	V	w	Z
21.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH=CHCN	CH ₃
22.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₂ CH ₂ CN	CH ₃
23.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₂ CH ₂ CN	Н
24.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	benzyl	Н
25.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	CH ₃	Н
26.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	CH ₃	benzyl
27.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	Н	benzyl
28.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	Н	Н
29.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CH ₃	Н
30.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CH ₃	benzyl
31.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	Н	benzyl
32.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	Н	Н
33.	4-cyclopropylnaphth-1-yl	CN	CH ₃	Н
34.	4-cyclopropylnaphth-1-yl	CN	CH ₃	benzyl
35.	4-cyclopropylnaphth-1-yl	CN	Н	benzyl
36.	4-cyclopropylnaphth-1-yl	CN	Н	Н
37.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	Н
38.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	benzyl
39.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	benzyl
40.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	Н
41.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	CH ₃	Н
42.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	CH ₃	benzyl
43.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	Н	benzyl
44.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	Н	Н
45.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₃	Н
46.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₃	benzyl
47.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	Н	benzyl
48.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	Н	Н
49.	o,o'-di-CH ₃ -p-CN- phenyl	CN	CH ₃	Н
50.	o,o'-di-CH ₃ -p-CN- phenyl	CN	CH ₃	benzyl
51.	o,o'-di-CH ₃ -p-CN- phenyl	CN	Н	benzyl
52.	o,o'-di-CH ₃ -p-CN- phenyl	CN	Н	Н
53.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	CH ₃	Н
54.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	CH ₃	benzyl
55.	o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	benzyl
56.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	Н	Н
57.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	Н
58.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	benzyl

(continued)

	Ar	V	W	Z
59.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	F	benzyl
60.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	F	Н
61.	4-cyclopropylnaphth-1-yl	CN	F	Н
62.	4-cyclopropylnaphth-1-yl	CN	F	benzyl
63.	4-cyclopropylnaphth-1-yl	CH=CHCN	F	Н
64.	4-cyclopropylnaphth-1-yl	CH=CHCN	F	benzyl
65.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	F	Н
66.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	F	benzyl
67.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	F	Н
68.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	F	benzyl
69.	o,o'-di-CH ₃ -p-CN- phenyl	CN	F	Н
70.	o,o'-di-CH ₃ -p-CN- phenyl	CN	F	benzyl
71.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	F	Н
72.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	F	benzyl
73.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	Н
74.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	benzyl
75.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	Н	benzyl
76.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	Н	Н
77.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	Н
78.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	CH ₃	benzyl
79.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	Н	benzyl
80.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	Н	Н
81.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	Н
82.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	benzyl
83.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	benzyl
84.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	Н
85.	4-cyclopropylnaphth-1-yl	F	CH ₃	Н
86.	4-cyclopropylnaphth-1-yl	F	CH ₃	benzyl
87.	4-cyclopropylnaphth-1-yl	F	Н	benzyl
88.	4-cyclopropylnaphth-1-yl	F	Н	Н
89.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	CH ₃	Н
90.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	CH ₃	benzyl
91.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	Н	benzyl
92.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	Н	Н
93.	o,o'-di-CH ₃ O-p-CN- phenyl	F	CH ₃	Н
94.	o,o'-di-CH ₃ O-p-CN- phenyl	F	CH ₃	benzyl
95.	o,o'-di-CH ₃ O-p-CN- phenyl	F	Н	benzyl
96.	o,o'-di-CH ₃ O-p-CN- phenyl	F	Н	Н

(continued)

	Ar	V	W	Z
97.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	CH ₃	Н
98.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	CH ₃	benzyl
99.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	Н	benzyl
100.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	Н	Н
101.	o,o'-di-CH ₃ -p-CN- phenyl	F	CH ₃	Н
102.	o,o'-di-CH ₃ -p-CN- phenyl	F	CH ₃	benzyl
103.	o,o'-di-CH ₃ -p-CN- phenyl	F	Н	benzyl
104.	o,o'-di-CH ₃ -p-CN- phenyl	F	Н	Н
105.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	F	Н
106.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	F	benzyl
107.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	F	benzyl
108.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	F	Н
109.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	F	Н
110.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	F	benzyl
111.	4-cyclopropylnaphth-1-yl	F	F	Н
112.	4-cyclopropylnaphth-1-yl	F	F	benzyl
113.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	F	Н
114.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	F	benzyl
115.	o,o'-di-CH ₃ O-p-CN- phenyl	F	F	Н
116.	o,o'-di-CH ₃ O-p-CN- phenyl	F	F	benzyl
117.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	F	Н
118.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	F	benzyl
119.	o,o'-di-CH ₃ -p-CN- phenyl	F	F	Н
120.	o,o'-di-CH ₃ -p-CN- phenyl	F	F	benzyl
121.	4-cyclopropyl phenyl	CN	CH ₃	Н
122.	4-cyclopropyl phenyl	CN	CH ₃	benzyl
123.	4-cyclopropyl phenyl	CN	Н	benzyl
124.	4-cyclopropyl phenyl	CN	Н	Н
125.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	Н
126.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	benzyl
127.	2,4,6-trimethyl phenyl	CH=CHCN	Н	benzyl
128.	2,4,6-trimethyl phenyl	CH=CHCN	Н	Н
129.	2,4,6-trimethyl phenyl	CN	F	Н
130.	2,4,6-trimethyl phenyl	CN	F	benzyl
131.	2,4,6-trimethyl phenyl	CH=CHCN	F	Н
132.	2,4,6-trimethyl phenyl	CH=CHCN	F	benzyl
133.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	Н
134.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	benzyl

(continued)

	Ar	V	w	Z
135.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	benzyl
136.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	Н
137.	2,4,6-trimethyl phenyl	F	CH ₃	Н
138.	2,4,6-trimethyl phenyl	F	CH ₃	benzyl
139.	2,4,6-trimethyl phenyl	F	Н	benzyl
140.	4-cyclopropyl phenyl	F	Н	Н
141.	4-cyclopropyl phenyl	SO ₂ NH ₂	F	Н
142.	4-cyclopropyl phenyl	SO ₂ NH ₂	F	benzyl
143.	4-cyclopropyl phenyl	F	F	Н
144.	4-cyclopropyl phenyl	F	F	benzyl
145.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	Н.
146.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	benzyl
147.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	benzyl
148.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	Н
149.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	Н
150.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	benzyl
151.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	benzyl
152.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	Н
153.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	F	Н
154.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	F	benzyl
155.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	F	Н
156.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	F	benzyl
157.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	Н
158.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	benzyl
159.	o,o'-dimethyl-p-cylopropyl phenyl	SO ₂ NH ₂	Н	benzyl
160.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	Н
161.	o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	Н
162.	o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	benzyl
163.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	benzyl
164.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	Н
165.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	F	Н
166.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	F	benzyl
167.	2-methyl-4-cyclopropyl phenyl	F	F	Н
168.	2-methyl-4-cyclopropyl phenyl	F	F	benzyl
169.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	CH ₃	CH ₃
170.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	CH ₃	cyclopropyl
171.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	Н	cyclopropyl
172.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	Н	CH ₃

(continued)

	Ar	V	w	Z
173.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CH ₃	CH ₃
174.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	CH ₃	cyclopropyl
175.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	Н	cyclopropyl
176.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	Н	CH ₃
177.	4-cyclopropylnaphth-1-yl	CN	CH ₃	CH ₃
178.	4-cyclopropylnaphth-1-yl	CN	CH ₃	cyclopropyl
179.	4-cyclopropylnaphth-1-yl	CN	Н	cyclopropyl
180.	4-cyclopropylnaphth-1-yl	CN	Н	CH ₃
181.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	CH ₃
182.	4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	cyclopropyl
183.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	cyclopropyl
184.	4-cyclopropylnaphth-1-yl	CH=CHCN	Н	CH ₃
185.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	CH ₃	CH ₃
186.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	CH ₃	cyclopropyl
187.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	Н	cyclopropyl
188.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	Н	CH ₃
189.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₃	CH ₃
190.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	CH ₃	cyclopropyl
191.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	Н	cyclopropyl
192.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	Н	CH ₃
193.	o,o'-di-CH ₃ -p-CN- phenyl	CN	CH ₃	CH ₃
194.	o,o'-di-CH ₃ -p-CN- phenyl	CN	CH ₃	cyclopropyl
195.	o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	cyclopropyl
196.	o,o'-di-CH ₃ -p-CN- phenyl	CN	Н	CH ₃
197.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	CH ₃	CH ₃
198.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	CH ₃	cyclopropyl
199.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	Н	cyclopropyl
200.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	Н	CH ₃
201.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	CH ₃
202.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CN	F	cyclopropyl
203.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	F	cyclopropyl
204.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	CH=CHCN	F	CH ₃
205.	4-cyclopropylnaphth-1-yl	CN	F	CH ₃
206.	4-cyclopropylnaphth-1-yl	CN	F	cyclopropyl
207.	4-cyclopropylnaphth-l-yl	CH=CHCN	F	CH ₃
208.	4-cyclopropylnaphth-1-yl	CH=CHCN	F	cyclopropyl
209.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	F	CH ₃
210.	o,o'-di-CH ₃ O-p-CN- phenyl	CN	F	cyclopropyl

(continued)

	Ar	V	W	Z
211.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	F	CH ₃
212.	o,o'-di-CH ₃ O-p-CN- phenyl	CH=CHCN	F	cyclopropyl
213.	o,o'-di-CH ₃ -p-CN- phenyl	CN	F	CH ₃
214.	o,o'-di-CH ₃ -p-CN- phenyl	CN	F	cyclopropyl
215.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	F	CH ₃
216.	o,o'-di-CH ₃ -p-CN- phenyl	CH=CHCN	F	cyclopropyl
217.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
218.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	cyclopropyl
219.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	Н	cyclopropyl
220.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	Н	CH ₃
221.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
222.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	CH ₃	cyclopropyl
223.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	Н	cyclopropyl
224.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	Н	CH ₃
225.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	CH ₃
226.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	cyclopropyl
227.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	cyclopropyl
228.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	CH ₃
229.	4-cyclopropylnaphth-1-yl	F	CH ₃	CH ₃
230.	4-cyclopropylnaphth-1-yl	F	CH ₃	cyclopropyl
231.	4-cyclopropylnaphth-1-yl	F	Н	cyclopropyl
232.	4-cyclopropylnaphth-1-yl	F	Н	CH ₃
233.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	CH ₃	CH ₃
234.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	CH ₃	cyclopropyl
235.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	Н	cyclopropyl
236.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	Н	CH ₃
237.	o,o'-di-CH ₃ O-p-CN- phenyl	F	CH ₃	CH ₃
238.	o,o'-di-CH ₃ O-p-CN- phenyl	F	CH ₃	cyclopropyl
239.	o,o'-di-CH ₃ O-p-CN- phenyl	F	Н	cyclopropyl
240.	o,o'-di-CH ₃ O-p-CN- phenyl	F	Н	CH ₃
241.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	CH ₃	CH ₃
242.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	CH ₃	cyclopropyl
243.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	Н	cyclopropyl
244.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	Н	CH ₃
245.	o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	CH ₃
246.	o,o'-di-CH ₃ -p-CN- phenyl	F	CH ₃	cyclopropyl
247.	o,o'-di-CH ₃ -p-CN- phenyl	F	Н	cyclopropyl
248.	o,o'-di-CH ₃ -p-CN- phenyl	F	Н	CH ₃

(continued)

	Ar	V	W	Z
249.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	F	CH ₃
250.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	F	cyclopropyl
251.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	F	cyclopropyl
252.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	F	F	CH ₃
253.	4-cyclopropylnaphth-1-yl	SO ₂ NH	F	CH ₃
254.	4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	F	cyclopropyl
255.	4-cyclopropylnaphth-1-yl	F	F	CH ₃
256.	4-cyclopropylnaphth-1-yl	F	F	cyclopropyl
257.	o,o'-di-CH ₃ O-p-(CH=CHCN)-phenyl	SO ₂ NH ₂	F	CH ₃
258.	o,o'-di-CH ₃ O-p-CN- phenyl	SO ₂ NH ₂	F	cyclopropyl
259.	o,o'-di-CH ₃ O-p-CN- phenyl	F	F	CH ₃
260.	o,o'-di-CH ₃ O-p-CN- phenyl	F	F	cyclopropyl
261.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	F	CH ₃
262.	o,o'-di-CH ₃ -p-CN- phenyl	SO ₂ NH ₂	F	cyclopropyl
263.	o,o'-di-CH ₃ -p-CN- phenyl	F	F	CH ₃
264.	o,o'-di-CH ₃ -p-CN- phenyl	F	F	cyclopropyl
265.	4-cyclopropyl phenyl	CN	CH ₃	CH ₃
266.	2,4,6-trimethyl phenyl	CN	CH ₃	cyclopropyl
267.	2,4,6-trimethyl phenyl	CN	Н	cyclopropyl
268.	2,4,6-trimethyl phenyl	CN	Н	CH ₃
269.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	CH ₃
270.	2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	cyclopropyl
271.	2,4,6-trimethyl phenyl	CH=CHCN	Н	cyclopropyl
272.	2,4,6-trimethyl phenyl	CH=CHCN	Н	CH ₃
273.	2,4,6-trimethyl phenyl	CN	F	CH ₃
274.	2,4,6-trimethyl phenyl	CN	F	cyclopropyl
275.	2,4,6-trimethyl phenyl	CH=CHCN	F	CH ₃
276.	2,4,6-trimethyl phenyl	CH=CHCN	F	cyclopropyl
277.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
278.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	cyclopropyl
279.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	cyclopropyl
280.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	CH ₃
281.	2,4,6-trimethyl phenyl	F	CH ₃	CH ₃
282.	2,4,6-trimethyl phenyl	F	CH ₃	cyclopropyl
283.	2,4,6-trimethyl phenyl	F	Н	cyclopropyl
284.	2,4,6-trimethyl phenyl	F	Н	CH ₃
285.	2,4,6-trimethyl phenyl	SO ₂ NH ₂	F	CH ₃
286.	4-cyclopropyl phenyl	SO ₂ NH ₂	F	cyclopropyl

(continued)

	Ar	V	w	Z
287.	4-cyclopropyl phenyl	F	F	CH ₃
288.	4-cyclopropyl phenyl	F	F	cyclopropyl
289.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	CH ₃
290.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	cyclopropyl
291.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	cyclopropyl
292.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	CH ₃
293.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	CH ₃
294.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	cyclopropyl
295.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	cyclopropyl
296.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	CH ₃
297.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	F	CH ₃
298.	o,o'-dimethyl-p-cyclopropyl phenyl	CN	F	cyclopropyl
299.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	F	CH ₃
300.	o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	F	cyclopropyl
301.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
302.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	cyclopropyl
303.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	cyclopropyl
304.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	CH ₃
305.	o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	CH ₃
306.	o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	cyclopropyl
307.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	cyclopropyl
308.	o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	CH ₃
309.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	F	CH ₃
310.	o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	F	cyclopropyl
311.	o,o'-dimethyl-p-cyclopropyl phenyl	F	F	CH ₃
312.	o,o'-dimethyl-p-cyclopropyl phenyl	F	F	cyclopropyl
313.	o,o'-di-CH ₃ -p-acetyl- phenyl	CN	Н	Н
314.	o,o'-di-CH ₃ -p-acetyl- phenyl	CN	CH ₃	Н
315.	o,o'-di-CH ₃ -p-acetyl- phenyl	CN	Н	CI
316.	o,o'-di-CH ₃ -p-acetyl- phenyl	CN	CH ₃	CI

[0133] Additional contemplated and prophetic examples, which are not exhaustive but merely representative of this invention, are shown below:

Claims

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1. A compound of formula:

IA

where the dashed line represents a double bond between either A and B or B and D, where T' is O or S; A is -N=, NZ or =CZ:

B is =CH;

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D is =CW or =N-, or NW, provided that one of A and D is -N= or NZ or NW;

Z is H, F, Cl, Br, CH_3 , CH_2CH_3 , cyclopropyl, or benzyl, the phenyl moiety of said benzyl optionally substituted with one or two groups selected independently from methyl and methoxy, provided that when A is NZ, Z is neither F nor Cl; W is H, F, Cl, Br, methyl, ethyl, cyclopropyl, allyl, CH_2CF_3 , cyanomethyl, CH_2CH_2CN , CH=CHCN, or benzyl, the phenyl moiety of said benzyl optionally substituted with one or two groups selected independently from methyl and methoxy, provided that when D is NW, W is neither F or Cl;

V is F, CI, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C \equiv CCH_3$, or CH=CHCN; and Ar is one of (a), (b), (c), and (d) below

(b)
$$R^6 \longrightarrow Q Q' R^4$$

(d)
$$R^6 \xrightarrow{R^8} R^{10}$$

where the dashed lines in (a) represent optional double bonds; where R^p is Cl, Br, I, CN, methyl, ethyl, n-propyl, isopropyl, cyclopropylmethyl, C_3 - C_6 cycloalkyl CH=CHCN, acetyl, or NH- C_1 - C_6 alkyl, said alkyl and cycloalkyl groups optionally substituted with methyl, methoxy, halogen, or cyano; R⁴, R⁵, and R⁶ are, independently, H, F, Cl, Br, CH₃, CH₂F, CHF₂, CF₃, isopropyl, cyclopropyl, OCH₃, OH, OCF₃, NH₂ and NHCH₃, or R⁶ and R^p on adjacent ring atoms, together with the ring atoms to which they are attached, form an additional fused five-membered ring; Q and Q' are, independently, N or CH; R⁷ is Cl, Br, I, CH₃, CF₃, OCH₃, isopropyl, cyclopropyl, t-butyl, or cyclobutyl; and R⁸-R¹¹ are, independently, H or CH₃,

with the proviso that when Ar is (c), and the A,B,D ring is imidazolo, R^P and V are not both one of CH₃, CN, and CH=CHCN.

- **2.** The compound of claim 1, wherein Ar is (a) or (c).
- **3.** The compound of claim 2, where R⁶ either is H or is in the 2-position.

- **4.** The compound of claim 3, wherein Ar is selected from 4-cyclopropyl phenyl; 4-cyclopropylmethyl phenyl; 4-bromophenyl; 2-chloro-4-bromophenyl; 4-bromo-1-naphthyl; 4-cyclopropyl-1-naphthyl; 2,6-dimethyl-4-cyanophenyl; 2,6-dimethoxy-4-cyanophenyl; 2,6-dimethyl-4-(2-cyanoethenyl) phenyl; 2,6-dimethoxy-4-(2-cyanoethenyl) phenyl; 2-methyt-4-cyclopropyl phenyl; 2,6-dimethyl-4-cyclopropyl phenyl; 2,4,6-trimethyl phenyl; and 2,6-dimethyl-4-acetyl phenyl.
- 5. The compound of claim 1, which is a compound of formula IA-1

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6. The compound of any of claims 1-2, which is a compound of formula IA-2

7. The compound of claims 5 or 6, where Ar is 4-cyclopropyl-, 4-acetyl, 4-methyl-, 4-bromo-, or 4-cyano-2,6-di-sub-stituted phenyl.

IA-1

- **8.** The compound of claim 7, where V is CN, and where W and Z are, independently, H, methyl, halo, or benzyl.
- 9. The compound of claim 3 which is a compound selected from the two structures below

- **10.** The compound of claim 9, where V is CN or CH=CHCN, R⁶ is 2-methyl, 2-methoxy, or 2-chloro and R⁷ is H, 6-methyl, or 6-methoxy.
- 11. The compound of claim 10, where RP is CN, cyclopropyl, methyl, Br, Cl, CH=CHCN, or acetyl.
- 12. The compound of claim 11 which is selected from the group consisting of
 - 1) a compound of structure IA-1a, where V is CN, W is H, methyl, ethyl, or benzyl, and Z is H, chloro, bromo, methyl, or ethyl; and
 - 2) a compound of structure IA-2a, where V is CN, W is H, chloro, bromo, methyl, or ethyl and Z is H, methyl, ethyl, or benzyl.
- 13. A compound of formula IB

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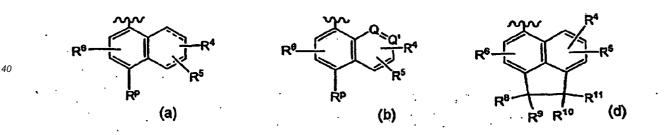
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where the dashed line represents a double bond between either A and B or B and D, and where A is -N=, NZ, or =CZ; B is =CH

D is =CW or =N-, or NW, provided that one of A and D is -N= or NZ or NW;

Z is H, F, Cl, Br, CH_3 , CH_2CH_3 , cyclopropyl, or benzyl, the phenyl moiety of said benzyl optionally substituted with methyl or methoxy, provided that when A is NZ, Z is neither F nor Cl; W is H, F, Cl, Br, methyl, ethyl, cyclopropyl, allyl, CH_2CF_3 , cyanomethyl, CH_2CH_2CN , CH=CHCN, or benzyl, the phenyl moiety of said benzyl optionally substituted with methyl or methoxy, provided that when D is NW, W is neither F or Cl; V is F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C=CCH_3$, or CH=CHCN,

and Ar is one of (a), (b), and (d) below:



where R^p is CI, Br, I, CN, methyl, ethyl, n-propyl, isopropyl, cyclopropylmethyl, C_3 - C_6 cycloalkyl, CH=CHCN, acetyl, or NH- C_1 - C_6 alkyl, said alkyl and cycloalkyl groups optionally substituted with methyl, methoxy, halogen, or cyano; R^4 , R^5 , and R^6 are, independently, H, F, CI, Br, CH $_3$, CH $_2$ F, CHF $_2$, CF $_3$. isopropyl, cyclopropyl, OCH $_3$, OH, OCF $_3$, NH $_2$ and NHCH $_3$; Q and Q are, independently, N or CH; R^7 is CI, Br, I, CH $_3$, CF $_3$, OCH $_3$, isopropyl, cyclopropyl, t-butyl, or cyclobutyl; and R^8 - R^{11} are, independently, H or CH $_3$.

14. A compound of formula IB-1

where the dashed line represents a double bond between either A and B or B and D; where A is -N=, NZ or CZ; B is =CH

D is =CW or =N-, or NW;

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Z is H, F, Cl, Br, CH₃, CH₂CH₃, cyclopropyl, or benzyl, the phenyl moiety of said benzyl optionally substituted with methyl or methoxy, provided that when A is NZ, Z is neither F nor Cl;

W is H, F, Cl, Br, methyl, ethyl, cyclopropyl, allyl, CH_2CF_3 , CH_2CN , CH_2CH_2CN , CH=CHCN, or benzyl, the phenyl moiety of said benzyl optionally substituted with methyl or methoxy, provided that when D is NW, W is neither F nor Cl; V is F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C=CCH_3$, or CH=CHCN;

provided that one of A and D is -N= or NZ or NW

wherein R^P is CI, Br, I, CN, CH=CHCN, methyl, ethyl, n-propyl, isopropyl, cyclopropylmethyl, C_3 - C_6 cycloalkyl, acetyl, and NH- C_3 - C_6 alkyl; R^6 is H, F, CI, Br, CH₃, CH₂F, CHF₂, CF₃, isopropyl, cyclopropyl, OCH₃, OH, OCF₃, NH₂ and NHCH₃; R^7 is CI, Br, I, CH₃, CF₃, OCH₃, isopropyl, cyclopropyl, t-butyl, or cyclobutyl.

15. The compound of claim 14, where V is CN or CH=CHCN, R⁶ is 2-methyl, 2-methoxy, or 2-chloro and R⁷ is H, 6-methyl, or 6-methoxy.

16. The compound of claim 15, where RP is CN, cyclopropyl, methyl, Br, Cl, CH=CHCN, or acetyl.

17. The compound of claim 5 which is a compound of formula IA-1 selected from compounds in Table 1:

٧ W Ζ Ar o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN Н CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN benzyl CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN benzyl Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 3-Me-benzyl CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 4-Me-benzyl Η o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 3-MeO-benzyl Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 4-MeO-benzyl CH_3

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(continued)

Ar	V	w	Z
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	Н	Br
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₂ CN ₃	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	benzyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	3-Me-benzyl	cyclopropyl
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	3-MeO-benzyl	benzyl
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	C=CCH,	CH ₂ CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CI	CH ₂ CH=CH ₂	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ CH ₃	CH ₂ CH=CH ₂	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CI	CH ₂ CH ₃	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CI	Н	Н
4-cyclopropylnaphth-1-yl	CN	Н	CH ₃
4-cyclopropylnaphth-1-yl	CN	benzyl	CH ₃
4-cyclopropylnaphth-1-yl	CN	benzyl	Н
4-cyclopropylnaphth-1-yl	CN	Н	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	CH3
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	Н
4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	CH ₂ CN	F
4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	cyclopropyl	CI
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CH ₂ CN	Br
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CN	benzyl
o,o'-di-CH ₃ O-p-CN-phenyl	C≡CCH ₃	3-MeO-benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	3-Me-benzyl	CI
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	CH ₃

(continued)

Ar	V	w	Z
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	3.5-di MeO-benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	Н
o,o'-di-CH ₃ -p-CN phenyl	CH=CHCN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	Н	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	Н	F
4-cyclopropylnaphth-1-yl	CN	Н	F
4-cyclopropylnaphth-1-yl	CN	benzyl	F
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	F
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₃ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	Н	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	Н
4-cyclopropylnaphth-1-yl	F	Н	CH ₃
4-cyclopropylnaphth-1-yl	F	benzyl	CH ₃

(continued)

Ar	V	w	Z
4-cyclopropylnaphth-1-yl	F	benzyl	Н
4-cyclopropylnaphth-1-yl	F	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	3-Me-benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NHCH ₃	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	Н
o,o-di-CH ₃ -p-CN-phenyl	F	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCH)phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	Н	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	F
4-cyclopropylnaphth-1-yl	F	Н	F
4-cyclopropylnaphth-1-yl	F	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	F	Н	F
o,o'-di-CH ₃ -p-CN-Phenyl	F	benzyl	F
2,4,6-trimethyl phenyl	CN	Н	CH ₃
2,4,6-trimethyl phenyl	CN	benzyl	CH ₃

(continued)

Ar	V	W	Z
2,4,6-trimethyl phenyl	CN	benzyl	Н
2,4,6-trimethyl phenyl	CN	Н	Н
2,4,6-trimethyl phenyl	CH=CHCN	Н	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	Н
2,4,6-trimethyl phenyl	CH=CHCN	Н	Н
2,4,6-trimethyl phenyl	CN	Н	F
2,4,6-trimethyl phenyl	CN	benzyl	F
2,4,6-trimethyl phenyl	CH=CHCN	Н	F
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	Н
2,4,6-trimethyl phenyl	F	Н	CH ₃
2,4,6-trimethyl phenyl	F	benzyl	CH ₃
2,4,6-trimethyl phenyl	F	benzyl	Н
4-cyclopropyl phenyl	F	Н	Н
4-cyclopropyl phenyl	SO ₂ NH ₂	Н	F
4-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
4-cyclopropyl phenyl	F	Н	F
4-cyclopropyl phenyl	F	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	Н

(continued)

Ar	V	w	Z
o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	Н
o,o-dimethyl-p-cyclopropyl phenyl	F	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	F
o,o'-di-CH ₃ O-p-(CH=HCN)phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CNCN)phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	CH ₃	Н
4-cyclopropylnaphth-1-yl	CN	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	CN	CH ₃	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃

(continued)

Ar	V	W	Z
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	CH ₃	F
4-cyclopropylnaphth-1-yl	CN	CH ₃	F
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	F
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	F
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	CH ₃	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	Н
4-cyclopropylnaphth-1-yl	F	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	F	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	F	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	F	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н

(continued)

Ar	V	w	Z
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p_CN-phenyl	F	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	CH ₃	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	F
4-cyclopropylnaphth-1-yl	F	CH ₃	F
4-cyclopropylnaphth-1-yl	F	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	F
o,o-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	F
4-cyclopropyl phenyl	CN	CH ₃	CH ₃
2,4,6-trimethyl phenyl	CN	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	CN	cyclopropyl	Н
2,4,6-trimethyl phenyl	CN	CH ₃	Н
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	Н
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	Н
2,4,6-trimethyl phenyl	CN	CH ₃	F

(continued)

Ar	V	w	Z
2,4,6-trimethyl phenyl	CN	cyclopropyl	F
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	F
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	Н
2,4,6-trimethyl phenyl	F	CH ₃	CH ₃
2,4,6-trimethyl phenyl	F	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	F	cyclopropyl	Н
4-cyclopropyl phenyl	F	CH ₃	Н
4-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	F
4-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	F
4-cyclopropyl phenyl	F	CH ₃	F
4-cyclopropyl phenyl	F	cyclopropyl	F
2,4,6-trimethyl phenyl	CN	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH-CHCN	CH ₃	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	Н
2,4,6-trimethyl phenyl	F	CH ₃	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	F
2,4,6-trimethyl phenyl	F	CH ₃	F

(continued)

Ar	V	w	Z
2,4,6-trimethyl phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	Н
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	CI
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	CI

18. The compound of claim 5, which is a compound of formula IA-1 where Z is Cl, W is methyl, V is CN; and Ar is 2,6-dimethyl-4-cyanophenyl.

Patentansprüche

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1. Eine Verbindung der Formel:

IA

wobei die gestrichelte Linie eine Doppelbindung zwischen entweder A und B oder B und D darstellt, wobei T' O oder S ist; A -N=, NZ oder =CZ ist;

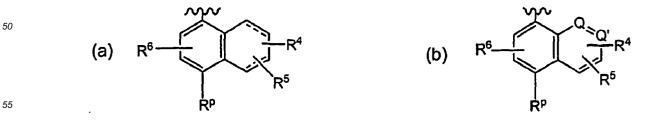
B =CH ist;

D =CW oder =N- oder NW ist, vorausgesetzt, dass eines von A und D -N= oder NZ oder NW ist;

Z H, F, Cl, Br, CH₃, CH₂CH₃, Cyclopropyl oder Benzyl, in dem der Phenylrest des Benzyls optional mit einer oder mehreren Gruppen substituiert ist, die unabhängig ausgewählt werden aus Methyl und Methoxy, ist, vorausgesetzt, dass, wenn A NZ ist, Z weder F noch Cl ist;

W H, F, Cl, Br, Methyl, Ethyl, Cyclopropyl, Allyl, CH_2CF_3 , Cyanomethyl, CH_2CH_2CN , CH=CHCN oder Benzyl, wobei der Phenylrest des Benzyls optional mit einer oder mehreren Gruppen unabhängig ausgewählt aus Methyl und Methoxy substituiert ist, ist, vorausgesetzt, dass wenn D NW ist, W weder F noch Cl ist;

V F, CI, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C \equiv CCH_3$ oder CH=CHCN ist; und Ar eines von unten stehenden (a), (b), (c), und (d) ist



5 (c)
$$R^{8}$$
 R^{7} (d) R^{8} R^{9} R^{10}

wobei die gestrichelten Linien (a) optionale Doppelbindungen darstellen; wobei R^P Cl, Br, I, CN, Methyl, Ethyl, n-Propyl, Isopropyl, Cyclopropylmethyl, C₃-C₆ Cycloalkyl, CH=CHCN, Acetyl oder NH-C₁-C₆ Alkyl ist, wobei die Alkyl-und Cycloalkylgruppen optional mit Methyl, Methoxy, Halogen oder Cyano substituiert sind; R⁴, R⁵ und R⁶ unabhängig H, F, Cl, Br, CH₃, CH₂F, CHF₂, CH₃, Isopropyl, Cyclopropyl, OCH₃, OH, OCF₃, NH₂ und NHCH₃ sind, oder R⁶ und R^p an benachbarten Ringatomen zusammen mit den Ringatomen, an welche sie gebunden sind, einen zusätzlichen fusionierten fünfgliedrigen Ring bilden; Q und Q' unabhängig N oder CH sind; R⁷ Cl, Br, I, CH₃, CF₃, OCH₃, Isopropyl, Cyclopropyl, t-Butyl oder Cyclobutyl ist; und R⁸ - R¹¹ unabhängig H oder CH₃ sind, unter der Voraussetzung, dass, wenn Ar (c) ist und der A, B, D Ring Imidazol ist, R^P und V nicht beide eines von CH₃, CN und CH=CHCN sind.

- 2. Die Verbindung nach Anspruch 1, wobei Ar (a) oder (c) ist.
- 25 **3.** Die Verbindung nach Anspruch 2, wobei R⁶ entweder H ist oder sich in der 2-Position befindet.
 - 4. Die Verbindung nach Anspruch 3, wobei Ar ausgewählt wird aus 4-Cyclopropylphenyl; 4-Cyclopropylmethylphenyl, 4-Bromphenyl; 2-Chlor-4-Bromphenyl; 4-Brom-1-Naphthyl; 4-Cyclopropyl-1-Naphthyl; 2,6-Dimethyl-4-Cyanophenyl; 2,6-Dimethoxy-4-Cyanophenyl; 2,6-Dimethyl-4-(2-Cyanoethenyl)phenyl; 2,6-Dimethoxy-4-(2-Cyanoethenyl)phenyl; 2-Methyl-4-Cyclopropylphenyl; 2,6-Dimethyl-4-Cyclopropylphenyl; 2,6-Di-Trifluormethyl-4-Cyclopropylphenyl; 2,4,6-Trimethylphenyl; und 2,6-Dimethyl-4-Acetylphenyl.
 - 5. Die Verbindung nach Anspruch 1, wobei die Verbindung eine Verbindung der Formel IA-1 ist.

6. Die Verbindung nach einem der Ansprüche 1 bis 2, wobei die Verbindung eine Verbindung der Formel IA-2 ist.

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- 7. Die Verbindung nach Anspruch 5 oder 6, wobei Ar 4-Cyclopropyl-, 4-Acetyl-, 4-Methyl-, 4-Brom- oder 4-Cyano-2,6-di-substituiertes Phenyl ist.
 - 8. Die Verbindung nach Anspruch 7, wobei V CN ist und wobei W und Z unabhängig H, Methyl, Halo oder Benzyl sind.
- **9.** Die Verbindung nach Anspruch 3, wobei die Verbindung ausgewählt wird aus den beiden unten stehenden Strukturen

$$\mathbb{R}^{6}$$
 \mathbb{R}^{7}
 \mathbb{R}^{7}
 \mathbb{R}^{7}

IA-1a

und

IA-2a

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- 10. Die Verbindung nach Anspruch 9, wobei V CN oder CH=CHCN ist, R⁶ 2-Methyl, 2-Methoxy oder 2-Chlor ist und R⁷ H, 6-Methyl oder 6-Methoxy ist.
- 11. Die Verbindung nach Anspruch 10, wobei RP CN, Cyclopropyl, Methyl, Br, Cl, CH=CHCN oder Acetyl ist.

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- 12. Die Verbindung nach Anspruch 11, wobei die Verbindung ausgewählt wird aus der Gruppe bestehend aus
 - 1) einer Verbindung der Struktur IA-1a, wobei V CN ist, W H, Methyl, Ethyl oder Benzyl ist, und Z H, Chlor, Brom, Methyl oder Ethyl ist; und
 - 2) einer Verbindung der Struktur IA-2a, wobei V CN ist, W H, Chlor, Brom, Methyl oder Ethyl ist und Z H, Methyl, Ethyl oder Benzyl ist.
- 13. Eine Verbindung der Formel IB

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IB

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wobei die gestrichelte Linie eine Doppelbindung zwischen entweder A und B oder B und D darstellt, und wobei A -N=, NZ oder =CZ ist;

B =CH ist;

D =CW oder =N- oder NW ist, vorausgesetzt, dass eines von A und D -N= oder NZ oder NW ist;

Z H, F, Cl, Br, CH₃, CH₂CH₃, Cyclopropyl oder Benzyl, wobei der Phenylrest des Benzyls optional mit Methyl oder Methoxy substituiert ist, ist, vorausgesetzt, dass, wenn A NZ ist, Z weder F noch Cl ist;

WH, F, CI, Br, Methyl, Ethyl, Cyclopropyl, Allyl, CH₂CF₃, Cyanomethyl, CH₂CH₂CN, CH=CHCN oder Benzyl, wobei der Phenylrest des Benzyls optional mit Methyl oder Methoxy substituiert ist, ist, vorausgesetzt, dass, wenn D NW ist, W weder F noch Cl ist; V F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C \equiv CCH_3$ oder CH=CHCN ist, und

Ar eines aus unten stehendem (a), (b) und (d) ist:

5 R⁶ R⁵

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$$R^8$$
 $Q = Q'$
 R^5
(b)

wobei R^P Cl, Br, I, CN, Methyl, Ethyl, n-Propyl, Isopropyl, Cyclopropylmethyl, C_3 - C_6 Cycloalkyl, CH=CHCN, Acetyl oder NH- C_1 - C_6 Alkyl ist, wobei die Alkyl- und Cycloalkylgruppen optional mit Methyl, Methoxy, Halogen oder Cyano substituiert sind; R⁴, R⁵ und R⁶ unabhängig H, F, Cl, Br, CH₃, CH₂F, CHF₂, CF₃, Isopropyl, Cyclopropyl, OCH₃, OH, OCF₃, NH₂ und NHCH₃ sind; Q und Q' unabhängig N oder CH sind; R⁷ Cl, Br, I, CH₃, CF₃, OCH₃, Isopropyl, Cyclopropyl, t-Butyl oder Cyclobutyl ist; und R⁸ - R¹¹ unabhängig H oder CH₃ sind.

14. Eine Verbindung der Formel IB-1

wobei die gestrichelte Linie eine Doppelbindung zwischen entweder A und B oder B und D darstellt; wobei A -N=, NZ oder CZ ist;

B =CH ist;

D =CW oder =N- oder NW ist;

Z H, F, Cl, Br, CH₃, CH₂CH₃, Cyclopropyl oder Benzyl, wobei der Phenylrest des Benzyls optional mit Methyl oder Methoxy substituiert ist, ist, vorausgesetzt, dass, wenn A NZ ist, Z weder F noch Cl ist;

W H, F, Cl, Br, Methyl, Ethyl, Cyclopropyl, Allyl, CH_2CF_3 , CH_2CN , CH_2CH_2CN , CH=CHCN oder Benzyl, wobei der Phenylrest des Benzyls optional mit Methyl oder Methoxy substituiert ist, ist, vorausgesetzt, dass, wenn D NW ist, W weder F noch Cl ist; V F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C=CCH_3$ oder CH=CHCN ist, vorausgesetzt, dass, wenn eines von A und D -N= oder NZ oder NW ist;

wobei R^P Cl, Br, I, CN, CH=CHCN, Methyl, Ethyl, n-Propyl, Isopropyl, Cyclopropylmethyl, C₃-C₆ Cycloalkyl, Acetyl und NH-C₃-C₆ Alkyl ist;

 R^6 H, F, Cl, Br, CH_3 , CH_2 F, CH_2 , CF_3 , Isopropyl, Cyclopropyl, OCH_3 , OH, OCF_3 , NH_2 und $NHCH_3$ ist; R^7 Cl, Br, I, CH_3 , CF_3 , OCH_3 , Isopropyl, Cyclopropyl, t-Butyl oder Cyclobutyl ist.

- 55 15. Die Verbindung nach Anspruch 14, wobei V CN oder CH=CHCN ist, R⁶ 2-Methyl, 2-Methoxy oder 2-Chlor ist und R⁷ H, 6-Methyl oder 6-Methoxy ist.
 - 16. Die Verbindung nach Anspruch 15, wobei RP CN, Cyclopropyl, Methyl, Br, Cl, CH=CHCN oder Acetyl ist.

17. Die Verbindung nach Anspruch 5, wobei die Verbindung eine Verbindung der Formel IA-1 ausgewählt aus den Verbindungen in Tabelle 1 ist:

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Ar ٧ W Ζ o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN Н CH₃ o,o'-di-CH3O-p-(CH=CHCN)phenyl CN benzyl CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN benzyl Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 3-Me-benzyl CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 4-Me-benzyl Η o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 3-MeO-benzyl Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN 4-MeO-benzyl CH₃ o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN Н Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN Н Br o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN cyclopropyl CH₂CH₃ o,o'-di-CH₃O-p-(CH=CHCN)phenyl CN CH₂CF₃ CH₂CH₃ o,o'-di-CH₃O-p-(CH=CHCH)phenyl CH=CHCN Н CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CH=CHCN benzyl CH_3 o,o'-di-CH₃O-p-(CH=CHCN)phenyl CH=CHCN benzyl o,o'-di-CH₃O-p-(CH=CHCN)phenyl CH=CHCN 3-Me-benzyl cyclopropyl o,o'-di-CH₃O-p-(CH=CHCN)phenyl CH=CHCN 3-MeO-benzyl benzyl o,o'-di-CH₃O-p-(CH=CHCN)phenyl CH=CHCN Н Н CH₃ o,o'-di-CH₃O-p-(CH=CHCN)phenyl C≡CCH₃ CH₂CH₃ CH₂CH=CH₂ o,o'-di-CH₃O-p-(CH=CHCN)phenyl CI Н o,o'-di-CH₃O-p-(CH=CHCN)phenyl SO₂CH₃ CH₂CH=CH₂ Н CH₂CH₃ o,o'-di-CH₃O-p-(CH=CHCN)phenyl CI CH₂CH₃ o,o'-di-CH3O-p-(CH=CHCN)phenyl CI Н Н CN CH₃ 4-cyclopropylnaphth-1-yl Н 4-cyclopropylnaphth-1-yl CN benzyl CH₃ 4-cyclopropylnaphth-1-yl CN benzyl Н 4-cyclopropylnaphth-1-yl CN Н Н

(fortgesetzt)

Ar	V	w	Z
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl,	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	Н
4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	CH ₂ CN	F
4-cyclopropylnaphth-1-yl	SO ₂ NHCH ₃	cyclopropyl	CI
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CH ₂ CN	Br
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₂ CN	benzyl
o,o'di-CH ₃ O-p-CN-phenyl	C≡CCH ₃	3-MeO-benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	3-Me-benzyl	CI
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	Н
o,o'-di-CH ₃ -O-p-CN-phenyl	CH=CHCN	Н	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	Н	CH3
o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	3,5-di MeO-benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	Н
o,o-di-CH ₃ -p-CN-phenyl	CN	Н	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	CH3
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	Н	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	benzyl	F
o,o-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	Н	F
4-cyclopropylnaphth-1-yl	CN	Н	F
4-cyclopropylnaphth-1-yl	CN	benzyl	F
4-cyclopropylnaphth-1-yl	CH=CHCN	Н	F
4-cyclopropylnaphth-1-yl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	Н	F

(fortgesetzt)

Ar	V	W	Z
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	benzyl	F
o,o'di-CH ₃ -p-CN-phenyl	CN	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	CH3
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	Н	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	Н
4-cyclopropylnaphth-1-yl	F	Н	CH ₃
4-cyclopropylnaphth-1-yl	F	benzyl	CH ₃
4-cyclopropylnaphth-1-yl	F	benzyl	Н
4-cyclopropylnanhth-1-yl	F	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	Н	Н
o,o'-di-CH ₃₋ p-CN-phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	3-Me-benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NHCH ₃	benzyl	Н
o,o'-di-CH3-p-CN-phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	Н	CH ₃
o,o'-di-CH3-p-CN-phenyl	F	benzyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	Н

(fortgesetzt)

Ar	V	W	Z
o,o'-di-CH ₃ -p-CN-phenyl	F	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	Н	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	Н	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	benzyl	F
4-cyclopropylnaphth-1-yl	F	Н	F
4-cyclopropylnaphth-1-yl	F	benzyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ o-p-CN-phenyl	F	Н	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	benzyl	F
o,o'-di-CH ₃ -p-CN-phenyl	F	Н	F
o,o'-di-CH ₃ -p-CN-phenyl	F	benzyl	F
2,4,6-trimethyl phenyl	CN	Н	CH ₃
2,4,6-trimethyl phenyl	CN	benzyl	CH ₃
2,4,6-trimethyl phenyl	CN	benzyl	Н
2,4,6-trimethyl phenyl	CN	Н	Н
2,4,6-trimethyl phenyl	CH=CHCN	Н	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	Н
2,4,6-trimethyl phenyl	CH=CHCN	Н	Н
2,4,6-trimethyl phenyl	CN	Н	F
2,4,6-trimethyl phenyl	CN	benzyl	F
2,4,6-trimethyl phenyl	CH=CHCN	Н	F
2,4,6-trimethyl phenyl	CH=CHCN	benzyl	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	benzyl	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	Н	Н
2,4,6-trimethyl phenyl	F	Н	CH ₃
2,4,6-trimethyl phenyl	F	benzyl	CH ₃
2,4,6-trimethyl phenyl	F	benzyl	Н
4-cyclopropyl phenyl	F	Н	Н
4-cyclopropyl phenyl	SO ₂ NH ₂	Н	F

(fortgesetzt)

Ar	V	W	Z
4-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
4-cyclopropyl phenyl	F	Н	F
4-cyclopropyl phenyl	F	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	benzyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	F	Н	F
o,o'-dimethyl-p-cyclopropyl phenyl	F	benzyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	CH ₃	Н
4-cyclopropylnaphth-1-yl	CN	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	Н

(fortgesetzt)

Ar	v	W	Z
4-cyclopropylnaphth-1-yl	CN	CH ₃	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	CH ₃
o,o'-di-CH3-p-CN-phenyl	CN	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	CH=CHCN	CH ₃	F
4-cyclopropylnaphth-1-yl	CN	CH ₃	F
4-cyclopropylnaphth-1-yl	CN	cyclopropyl	F
4-cyclopropylnaphth-1-yl	CH=CHCN	CH ₃	F
4-cyclopropylnaphth-1-yl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	CN	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	CH=CHCN	CH ₃	F
o,o'-diCH ₃ -p-CN-phenyl	CH=CHCN	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	CH ₃

(fortgesetzt)

Ar	V	w	Z
o,o'-di-CH ₃ O-p-(CH=CHC)phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	CH ₃	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	Н
4-cyclopropylnaphth-1-yl	F	CH ₃	CH ₃
4-cyclopropylnaphth-1-yl	F	cyclopropyl	CH ₃
4-cyclopropylnaphth-1-yl	F	cyclopropyl	Н
4-cyclopropylnaphth-1-yl	F	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NHCH ₃	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-di-CH ₃₋ p-CN-phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	CH ₃
o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	Н
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ O-p-(CH=CHCN)phenyl	F	CH ₃	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	CH ₃	F
4-cyclopropylnaphth-1-yl	SO ₂ NH ₂	cyclopropyl	F

(fortgesetzt)

Ar	V	W	z
4-cyclopropylnaphth-1-yl	F	CH ₃	F
4-cyclopropylnaphth-1-yl	F	cyclopropyl	F
o,o'-di-CH ₃ -O-p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ -O-p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	SO ₂ NH ₂	cyclopropyl	F
o,o'-di-CH ₃ -p-CN-phenyl	F	CH ₃	F
o,o'-di-CH ₃ -p-CN-phenyl	F	cyclopropyl	F
4-cyclopropyl phenyl	CN	CH ₃	CH ₃
2,4,6-trimethyl phenyl	CN	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	CN	cyclopropyl	Н
2,4,6-trimethyl phenyl	CN	CH ₃	Н
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl	Н
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	Н
2,4,6-dimethyl phenyl	CN	CH ₃	F
2,4,6-trimethyl phenyl	CN	cyclopropyl	F
2,4,6-trimethyl phenyl	CH=CHCN	CH ₃	F
2,4,6-trimethyl phenyl	CH=CHCN	cyclopropyl,	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	Н
2,4,6-trimethyl phenyl	F	CH ₃	CH ₃
2,4,6-trimethyl phenyl	F	cyclopropyl	CH ₃
2,4,6-trimethyl phenyl	F	cyclopropyl	Н
4-cyclopropyl phenyl	F	CH ₃	Н
4-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	F
4-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	F
4-cyclopropyl phenyl	F	CH ₃	F
4-cyclopropyl phenyl	F	cyclopropyl	F
2,4,6-trimethyl phenyl	CN	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	Н

(fortgesetzt)

Ar	V	W	Z
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	CH ₃
o.o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	Н
o,o'-dimethyl-p-cyclopropyl phenyl	CN	CH ₃	F
o,o'-dimethyl-p-cyclopropyl phenyl	CN	cyclopropyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	CH ₃	F
o,o'-dimethyl-p-cyclopropyl phenyl	CH=CHCN	cyclopropyl	F
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	cyclopropyl	Н
o,o'-dimethyl-p-cyclopropyl phenyl	SO ₂ NH ₂	CH ₃	Н
o,o'-dimethyl-p-cyclopropyl phenyl	F	CH ₃	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	CH ₃
o,o'-dimethyl-p-cyclopropyl phenyl	F	cyclopropyl	Н
2,4,6-trimethyl phenyl	F	CH ₃	Н
2,4,6-trimethyl phenyl	SO ₂ NH ₂	CH ₃	F
2,4,6-trimethyl phenyl	SO ₂ NH ₂	cyclopropyl	F
2,4,6-trimethyl phenyl	F	CH ₃	F
2,4,6-trimethyl phenyl	F	cyclopropyl	F
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	Н
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	Н
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	CH ₃	CI
o,o'-di-CH ₃ -p-acetyl-phenyl	CN	Н	CI

18. Verbindung nach Anspruch 5, wobei die Verbindung eine Verbindung der Formel IA-1 ist, wobei Z CI ist, W Methyl ist, V CN ist und Ar 2,6-Dimethyl-4-Cyanophenyl ist.

Revendications

1. Composé de formule :

B N N

IA

où la ligne pointillée représente une double liaison entre soit A et B ou B et D, où T' est O ou S ; A est -N=, NZ ou =CZ;

B est =CH

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D est =CW ou =N-, ou NW, à condition que l'un parmi A et D soit -N= ou NZ ou NW;

Z est H, F, Cl, Br, CH_3 , CH_2CH_3 , cyclopropyle, ou benzyle, la fraction phényle dudit benzyle étant éventuellement substituée par un ou deux groupes choisis indépendamment parmi un méthyle ou méthoxy, à condition que lorsque A est NZ, Z ne soit ni F ni Cl; W est H, F, Cl, Br, méthyle, éthyle, cyclopropyle, allyle, CH_2CF_3 , cyanométhyle, CH_2CH_2CN , CH=CHCN, ou benzyle, la fraction phényle dudit benzyle étant éventuellement substituée par un ou deux groupes choisis indépendamment parmi un méthyle ou méthoxy, à condition que lorsque D est NW, W ne soit ni F ni Cl;

V est F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , C=CCH₃, ou CH=CHCN; et Ar est l'un parmi (a), (b), (c), et (d) ci-dessous

(a) R^6 R^5

(b) R^6 Q Q' R^5

(c) R⁸ R⁷

(d) R^{6} R^{9} R^{10} R^{11}

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où les lignes pointillées dans (a) représentent des doubles liaisons optionnelles;

où RP est Cl, Br, I, CN, méthyle, éthyle, n-propyle, isopropyle, cyclopropylméthyle, C_3 - C_6 cycloalkyle, CH=CHCN, acétyle, ou NH- C_1 - C_6 alkyle, lesdits groupes alkyle et cycloalkyle étant éventuellement substitués par un méthyle, méthoxy, halogène, ou cyano; R^4 , R^5 , et R^6 sont, indépendamment, H, F, Cl, Br, CH $_3$, CH $_2$ F, CHF $_2$, CF $_3$, isopropyle, cyclopropyle, OCH $_3$, OH, OCF $_3$, NH $_2$ et NHCH $_3$, ou R^6 et RP sur des atomes de cycle adjacents, conjointement avec les atomes de cycle auxquels ils sont attachés, forment un cycle condensé à cinq chaînons ; Q et Q' sont, indépendamment, N ou CH; R^7 est Cl, Br, I, CH $_3$, CF $_3$, OCH $_3$, isopropyle, cyclopropyle, t-butyle, ou cyclobutyle ; et R^8 - R^{11} sont, indépendamment, H ou CH $_3$,

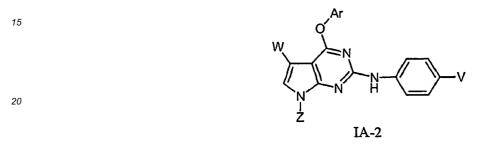
à la condition que lorsque Ar est (c), et le cycle A, B, D est un imidazolo, R^p et V ne sont pas tous les deux l'un parmi CH₃, CN, et CH=CHCN.

- 2. Composé selon la revendication 1, où Ar est (a) ou (c).
- 3. Composé selon la revendication 2, où R⁶ est soit H ou est à la position 2.

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- 4. Composé selon la revendication 3, où Ar est choisi parmi le 4-cyclopropyl phényle; le 4-cyclopropylméthyl phényle; le 4-bromophényle; le 2-chloro-4-bromophényle; le 4-bromo-1-naphthyle; le 4-cyclopropyl-1-naphthyle; le 2,6-diméthyl-4-cyanophényle; le 2,6-diméthyl-4-cyclopropyl phényle; le 2,6-diméthyl-4-acétyl phényle.
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- 5. Composé selon la revendication 1, qui est un composé de formule IA-1

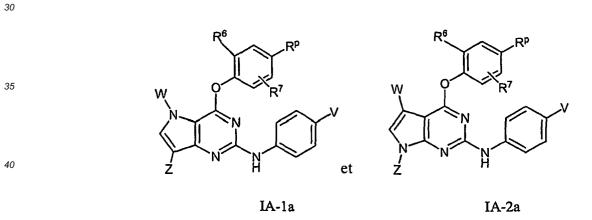
6. Composé selon l'une quelconque des revendications 1 à 2, qui est un composé de formule IA-2



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- 7. Composé selon la revendication 5 ou 6, où Ar est le 4-cyclopropyl-, 4-acétyl-, 4-méthyl-, 4-bromo-, ou 4-cyano-2,6di-substitué phényle.
 - 8. Composé selon la revendication 7, où V est CN, et où W et Z sont, indépendamment H, méthyle, halo, ou benzyle.
 - 9. Composé selon la revendication 3 qui est un composé choisi parmi les deux structures ci-dessous



- **10.** Composé selon la revendication 9, où V est CN ou CH=CHCN, R⁶ est 2-méthyle, 2-méthoxy, ou 2-chloro et R⁷ est H, 6-méthyle, ou 6-méthoxy.
 - 11. Composé selon la revendication 10, où R^p est CN, cyclopropyle, méthyle, Br, Cl, CH=CHCN, ou acétyle.
- 12. Composé selon la revendication 11 qui est choisi parmi le groupe consistant en
 - 1) un composé de structure IA-1a, où V est CN, W est H, méthyle, éthyle, ou benzyle, et Z est H, chloro, bromo, méthyle, ou éthyle; et
 - 2) un composé de structure IA-2a, où V est CN, W est H, chloro, bromo, méthyle, ou éthyle et Z est H, méthyle, éthyle, ou benzyle.
 - 13. Composé de formule IB

IB

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où la ligne pointillée représente une double liaison entre soit A et B ou B et D,

et

où A est -N=, NZ ou =CZ;

B est =CH

D est =CW ou =N-, ou NW, à condition que l'un parmi A et D soit -N= ou NZ ou NW;

Z est H, F, Cl, Br, CH₃, CH₂CH₃, cyclopropyle, ou benzyle, la fraction phényle dudit benzyle étant éventuellement substituée par un méthyle ou méthoxy, à condition que lorsque A est NZ, Z ne soit ni F ni Cl; W est H, F, Cl, Br, méthyle, éthyle, cyclopropyle, allyle, CH₂CF₃, cyanométhyle, CH₂CH₂CN, CH=CHCN, ou benzyle, la fraction phényle dudit benzyle étant éventuellement substituée par un méthyle ou méthoxy, à condition que lorsque D est NW, W ne soit ni F ni Cl;

V est F, Cl, CN, SO_2CH_3 , SO_2NH_2 , SO_2NHCH_3 , $C \equiv CCH_3$, ou CH=CHCN; et Ar est l'un parmi (a), (b), et (d) ci-dessous

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$$R^6$$
 R^6
 R^5
(a)

R6 Q Q'R'

(b)

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où R^p est Cl, Br, I, CN, méthyle, éthyle, n-propyle, isopropyle, cyclopropylméthyle, C_3 - C_6 cycloalkyle, CH=CHCN, acétyle, ou NH- C_1 - C_6 alkyle, lesdits groupes alkyle et cycloalkyle étant éventuellement substitués par un méthyle, méthoxy, halogène, ou cyano; R⁴, R⁵, et R⁶ sont, indépendamment, H, F, Cl, Br, CH₃, CH₂F, CHF₂, CF₃, isopropyle, cyclopropyle, OCH₃, OH, OCF₃, NH₂ et NHCH₃; Q et Q' sont, indépendamment, N ou CH; R⁷ est Cl, Br, I, CH₃, CF₃, OCH₃, isopropyle, cyclopropyle, t-butyle, ou cyclobutyle; et R⁸ - R¹¹ sont, indépendamment, H ou CH₃.

14. Composé de formule IB-1

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où la ligne pointillée représente une double liaison entre soit A et B ou B et D, où A est -N=, NZ ou =CZ; B est =CH,

D est =CW ou =N-, ou NW,

Z est H, F, Cl, Br, CH₃, CH₂CH₃, cyclopropyle, ou benzyle, la fraction phényle dudit benzyle étant éventuellement

substituée par un méthyle ou méthoxy, à condition que lorsque A est NZ, Z ne soit ni F ni Cl;

W est H, F, Cl, Br, méthyle, éthyle, cyclopropyle, allyle, CH_2CF_3 , cyanométhyle, CH_2CH_2CN , CH=CHCN, ou benzyle, la fraction phényle dudit benzyle étant éventuellement substituée par un méthyle ou méthoxy, à condition que lorsque D est NW, W ne soit ni F ni Cl;

- 5 V est F, Cl, CN, SO $_{2}$ CH $_{3}$, SO $_{2}$ NH $_{2}$, SO $_{2}$ NHCH $_{3}$, C=CCH $_{3}$, ou CH=CHCN ;
 - à condition que l'un parmi A et D soit -N= ou NZ ou NW;

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- où R^p est Cl, Br, I, CN, CH=CHCN, méthyle, éthyle, n-propyle, isopropyle, cyclopropylméthyle, C_3 - C_6 cycloalkyle, acétyle, et NH- C_3 - C_6 alkyle, R⁶ est H, F, Cl, Br, CH $_3$, CH $_2$ F, CHF $_2$, CF $_3$, isopropyle, cyclopropyle, OCH $_3$, OH, OCF $_3$, NH $_2$ et NHCH $_3$;
- 10 R⁷ est Cl, Br, I, CH₃, CF₃, OCH₃, isopropyle, cyclopropyle, t-butyle, ou cyclobutyle.
 - **15.** Composé selon la revendication 14, où V est CN ou CH=CHCN, R⁶ est 2-méthyle, 2-méthoxy, ou 2-chloro et R⁷ est H, 6-méthyle, ou 6-méthoxy.
- 16. Composé selon la revendication 15, où R^p est CN, cyclopropyle, méthyle, Br, Cl, CH=CHCN, ou acétyle.
 - 17. Composé selon la revendication 5 qui est un composé de formule IA-1 choisi parmi les composés dans le tableau 1 :

IA-1

Ar	V	W	Z
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	3-Me-benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	4-Me-benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	3-MeO-benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	4-MeO-benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	Н	Br
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	cyclopropyle	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	CH ₂ CF ₃	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	3-Me-benzyle	cyclopropyle
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	3-MeO-benzyle	benzyle
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	Н	Н

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	C=CCH ₃	CH ₂ CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CI	CH ₂ CH=CH ₂	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ CH ₃	CH ₂ CH=CH ₂	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CI	CH ₂ CH ₃	CH ₂ CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CI	Н	Н
4-cyclopropylènaphth-1-yle	CN	Н	CH ₃
4-cyclopropylènaphth-1-yle	CN	benzyle	CH ₃
4-cyclopropylènaphth-1-yle	CN	benzyle	Н
4-cyclopropylènaphth-1-yle	CN	Н	Н
4-cyclopropylènaphth-1-yle	CH=CHCN	Н	CH ₃
4-cyclopropylènaphth-1-yle	CH=CHCN	benzyle	CH ₃
4-cyclopropylènaphth-1-yle	CH=CHCN	benzyle	Н
4-cyclopropylènaphth-1-yle	CH=CHCN	Н	Н
4-cyclopropylènaphth-1-yle	SO ₂ NHCH ₃	CH ₂ CN	F
4-cyclopropylènaphth-1-yle	SO ₂ NHCH ₃	cyclopropyle	CI
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	CH ₂ CH ₂ CN	Br
o,o',di-CH ₃ O- <i>p</i> -CN-phényle	SO ₂ NH ₂	CH ₂ CN	benzyl
o,o'-di-CH ₃ O-p-CN-phényle	C=CCH ₃	3-MeO-benzyle	F
o,o'-di-CH ₃ O-p-CN-phényle	F	3-Me-benzyle	CI
o,o'-di-CH ₃ O-p-CN-phényle	CN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CN	benzyle	CH ₃
o,o'di-CH ₃ O-p-CN-phényle	CN	benzyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	CN	Н	Н
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	benzyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	benzyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	Н	Н
o,o'-di-CH ₃ -p-CN-phényle	CN	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CN	benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CN	3,5-di MeO-benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CN	benzyle	Н
o,o'-di-CH ₃ -p-CN-phényle	CN	Н	Н
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	benzyle	Н
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	Н	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	benzyle	F

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	benzyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	Н	F
4-cyclopropylènaphth-1-yle	CN	Н	F
4-cyclopropylènaphth-1-yle	CN	benzyle	F
4-cyclopropylènaphth-1-yle	CH=CHCN	Н	F
4-cyclopropylènaphth-1-yle	CH=CHCN	benzyle	F
o,o'-di-CH ₃ O-p-CN-phényle	CN	Н	F
o,o'-di-CH ₃ O-p-CN-phényle	CN	benzyle	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	Н	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	CN	Н	F
o,o'-di-CH ₃ -p-CN-phényle	CN	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	Н	F
o,o'-di-CH ₃ -p-CN-phényle	CHFCHCN	benzyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	benzyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	benzyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	Н	Н
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	Н	CH ₃
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	benzyle	CH ₃
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	benzyle	Н
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	Н	Н
4-cyclopropylènaphth-1-yle	F	Н	CH ₃
4-cyclopropylènaphth-1-yle	F	benzyle	CH ₃
4-cyclopropylènaphth-1-yle	F	benzyle	Н
4-cyclopropylènaphth-1-yle	F	Н	Н
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	benzyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	benzyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ O-p-CN-phényle	F	Н	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	F	benzyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	F	benzyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	F	Н	Н

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	3-Me-benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NHCH ₃	benzyle	Н
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	benzyle	Н
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	Н	Н
o,o'-di-CH ₃ -p-CN-phényle	F	Н	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	F	benzyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	F	benzyle	Н
o,o'-di-CH ₃ p-CN-phényle	F	Н	Н
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	F	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	F	Н	F
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	Н	F
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	benzyle	F
4-cyclopropylènaphth-1-yle	F	Н	F
4-cyclopropylènaphth-1-yle	F	benzyle	F
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	benzyle	F
o,o'-di-CH ₃ O-p-CN-phényle	F	Н	F
o,o'-di-CH ₃ O-p-CN-phényle	F	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	Н	F
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	benzyle	F
o,o'-di-CH ₃ -p-CN-phényle	F	Н	F
o,o'-di-CH ₃ -p-CN-phényle	F	benzyle	F
2,4,6-triméthyl phényle	CN	Н	CH ₃
2,4,6-triméthyl phényle	CN	benzyle	CH ₃
2,4,6-triméthyl phényle	CN	benzyle	Н
2,4,6-triméthyl phényle	CN	Н	Н
2,4,6-triméthyl phényle	CH=CHCN	Н	CH ₃
2,4,6-triméthyl phényle	CH=CHCN	benzyle	CH ₃
2,4,6-triméthyl phényle	CH=CHCN	benzyle	Н
2,4,6-triméthyl phényle	CH=CHCN	Н	Н
2,4,6-triméthyl phényle	CN	Н	F
2,4,6-triméthyl phényle	CN	benzyle	F
2,4,6-triméthyl phényle	CH=CHCN	Н	F
2,4,6-triméthyl phényle	CH=CHCN	benzyle	F

(suite)

Ar	V	W	Z
2,4,6-triméthyl phényle	SO ₂ NH ₂	Н	CH ₃
2,4,6-triméthyl phényle	SO ₂ NH ₂	benzyle	CH ₃
2,4,6-triméthyl phényle	SO ₂ NH ₂	benzyle	Н
2,4,6-triméthyl phényle	SO ₂ NH ₂	Н	Н
2,4,6-triméthyl phényle	F	Н	CH ₃
2,4,6-triméthyl phényle	F	benzyle	CH ₃
2,4,6-triméthyl phényle	F	benzyle	Н
4-cyclopropyle phényle	F	Н	Н
4-cyclopropyle phényle	SO ₂ NH ₂	Н	F
4-cyclopropyle phényle	SO ₂ NH ₂	benzyle	F
4-cyclopropyle phényle	F	Н	F
4-cyclopropyle phényle	F	benzyle	F
o,o'-diméthyl-p-cyclopropyle phényle	CN	Н	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	CN	benzyle	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	CN	benzyle	Н
o,o'-diméthyl-p-cyclopropyle phényle	CN	Н	Н
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	Н	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	benzyle	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	benzyle	Н
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	Н	Н
o,o'-diméthyl-p-cyclopropyle phényle	CN	Н	F
o,o'-diméthyl-p-cyclopropyle phényle	CN	benzyle	F
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	Н	F
o,o'-diméthyl-p-cyclopropyle phényle	CH=CHCN	benzyle	F
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	Н	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	benzyle	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	benzyle	Н
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	Н	Н
o,o'-diméthyl-p-cyclopropyle phényle	F	Н	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	F	benzyle	CH ₃
o,o'-diméthyl-p-cyclopropyle phényle	F	benzyle	Н
o,o'-diméthyl-p-cyclopropyle phényle	F	Н	Н
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	Н	F
o,o'-diméthyl-p-cyclopropyle phényle	SO ₂ NH ₂	benzyle	F
o,o'-diméthyl-p-cyclopropyle phényle	F	Н	F
o,o'-diméthyl-p-cyclopropyle phényle	F	benzyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	cyclopropyle	CH ₃

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	cyclopropyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	cyclopropyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	CH ₃	Н
4-cyclopropylènaphth-1-yle	CN	CH ₃	CH ₃
4-cyclopropylènaphth-1-yle	CN	cyclopropyle	CH ₃
4-cyclopropylènaphth-1-yle	CN	cyclopropyle	Н
4-cyclopropylènaphth-1-yle	CN	CH ₃	Н
4-cyclopropylènaphth-1-yle	CH=CHCN	CH ₃	CH ₃
4-cyclopropylènaphth-1-yle	CH=CHCN	cyclopropyle	CH ₃
4-cyclopropylènaphth-1-yle	CH=CHCN	cyclopropyle	Н
4-cyclopropylènaphth-1-yle	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phényle	CN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CN	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CN	cyclopropyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	CN	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	cyclopropyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phényle	CN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CN	cyclopropyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CN	cyclopropyle	Н
o,o'-di-CH ₃ -p-CN-phényle	CN	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	cyclopropyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	cyclopropyle	Н
o,o'-di-CH ₃ -p-CN-phényle	CH=CHCN	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CN	cyclopropyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	cyclopropyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	CH=CHCN	CH ₃	F
4-cyclopropylènaphth-1-yle	CN	CH ₃	F
4-cyclopropylènaphth-1-yle	CN	cyclopropyle	F
4-cyclopropylènaphth-1-yle	CH=CHCN	CH ₃	F
4-cyclopropylènaphth-1-yle	CH=CHCN	cyclopropyle	F

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ O-p-CN-phényle	CN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	CN	cyclopropyle	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	cyclopropyle	F
o,o'-di-CH ₃ O-p-CN-phényle	CN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	CN	cyclopropyle	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	CH=CHCN	cyclopropyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	cyclopropyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	cyclopropyle	Н
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	CH ₃	Н
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	CH ₃	CH ₃
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	cyclopropyle	CH ₃
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	cyclopropyle	Н
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	CH ₃	Н
4-cyclopropylènaphth-1-yle	F	CH ₃	CH ₃
4-cyclopropylènaphth-1-yle	F	cyclopropyle	CH ₃
4-cyclopropylènaphth-1-yle	F	cyclopropyle	Н
4-cyclopropylènaphth-1-yle	F	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NHCH ₃	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	cyclopropyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ O-p-CN-phényle	F	CH ₃	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	F	cyclopropyle	CH ₃
o,o'-di-CH ₃ O-p-CN-phényle	F	cyclopropyle	Н
o,o'-di-CH ₃ O-p-CN-phényle	F	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	cyclopropyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	cyclopropyle	Н
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phényle	F	CH ₃	CH ₃

(suite)

Ar	V	W	Z
o,o'-di-CH ₃ -p-CN-phényle	F	cyclopropyle	CH ₃
o,o'-di-CH ₃ -p-CN-phényle	F	cyclopropyle	Н
o,o'-di-CH ₃ -p-CN-phényle	F	CH ₃	Н
o,o'-di-CH ₃ -p-CN-phényle phényle	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	SO ₂ NH ₂	cyclopropyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	cyclopropyle	F
o,o'-di-CH ₃ O-p-(CH=CHCN) phényle	F	CH ₃	F
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	CH ₃	F
4-cyclopropylènaphth-1-yle	SO ₂ NH ₂	cyclopropyle	F
4-cyclopropylènaphth-1-yle	F	CH ₃	F
4-cyclopropylènaphth-1-yle	F	cyclopropyle	F
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	SO ₂ NH2 ₂	cyclopropyle	F
o,o'-di-CH ₃ O-p-CN-phényle	F	CH ₃	F
o,o'-di-CH ₃ O-p-CN-phényle	F	cyclopropyle	F
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	CH ₃	F
o,o'-di-CH ₃ -p-CN-phényle	SO ₂ NH ₂	cyclopropyle	F
o,o'-di-CH ₃ -p-CN-phényle	F	CH ₃	F
o,o'-di-CH ₃ -p-CN-phényle	F	cyclopropyle	F
4-cyclopropyle phényle	CN	CH ₃	CH ₃
2,4,6-triméthyl phényle	CN	cyclopropyle	CH ₃
2,4,6-triméthyl phényle	CN	cyclopropyle	Н
2,4,6-triméthyl phényle	CN	CH ₃	Н
2,4,6-triméthyl phényle	CH=CHCN	CH ₃	CH ₃
2,4,6-triméthyl phényle	CH=CHCN	cyclopropyle	CH ₃
2,4,6-triméthyl phényle	CH=CHCN	cyclopropyle	Н
2,4,6-triméthyl phényle	CH=CHCN	CH ₃	Н
2,4,6-triméthyl phényle	CN	CH ₃	F
2,4,6-triméthyl phényle	CN	cyclopropyle	F
2,4,6-triméthyl phényle	CH=CHCN	CH ₃	F
2,4,6-triméthyl phényle	CH=CHCN	cyclopropyle	F
2,4,6-triméthyl phényle	SO ₂ NH ₂	CH ₃	CH ₃
2,4,6-triméthyl phényle	SO ₂ NH ₂	cyclopropyle	CH ₃
2,4,6-triméthyl phényle	SO ₂ NH ₂	cyclopropyle	Н
2,4,6-triméthyl phényle	SO ₂ NH ₂	CH ₃	Н
2,4,6-triméthyl phényle	F	CH ₃	CH ₃
2,4,6-triméthyl phényle	F	cyclopropyle	CH ₃
2,4,6-triméthyl phényle	F	cyclopropyle	Н

(suite)

Ar	V	W	Z
4-cyclopropyle phényle	F	CH ₃	Н
4-cyclopropyle phényle	SO ₂ NH ₂	CH ₃	F
4-cyclopropyle phényle	SO ₂ NH ₂	cyclopropyle	F
4-cyclopropyle phényle	F	CH ₃	F
4-cyclopropyle phényle	F	cyclopropyle	F
2,4,6-triméthyl phényle	CN	CH ₃	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	CN	cyclopropyle	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	CN	cyclopropyle	Н
o,o'-diméthyl-p-cyclopropyl phényle	CN	CH ₃	Н
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	CH ₃	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	cyclopropyle	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	cyclopropyle	Н
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	CH ₃	Н
o,o'-diméthyl-p-cyclopropyl phényle	CN	CH ₃	F
o,o'-diméthyl-p-cyclopropyl phényle	CN	cyclopropyle	F
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	CH ₃	F
o,o'-diméthyl-p-cyclopropyl phényle	CH=CHCN	cyclopropyle	F
o,o'-diméthyl-p-cyclopropyl phényle	SO ₂ NH ₂	CH ₃	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	SO ₂ NH ₂	cyclopropyle	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	SO ₂ NH ₂	cyclopropyle	Н
o,o'-diméthyl-p-cyclopropyl phényle	SO ₂ NH ₂	CH ₃	Н
o,o'-diméthyl-p-cyclopropyl phényle	F	CH ₃	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	F	cyclopropyle	CH ₃
o,o'-diméthyl-p-cyclopropyl phényle	F	cyclopropyle	Н
2,4,6-triméthyl phényle	F	CH ₃	Н
2,4,6-triméthyl phényle	SO ₂ NH ₂	CH ₃	F
2,4,6-triméthyl phényle	SO ₂ NH ₂	cyclopropyle	F
2,4,6-triméthyl phényle	F	CH ₃	F
2,4,6-triméthyl phényle	F	cyclopropyle	F
o,o'-di-CH ₃ -p-acétyl-phényle	CN	CH ₃	Н
o,o'-di-CH ₃ -p-acétyl-phényle	CN	Н	Н
o,o'-di-CH ₃ -p-acétyl-phényle	CN	CH ₃	CI
o,o'-di-CH ₃ -p-acétyl-phényle	CN	Н	CI

^{18.} Composé selon la revendication 5, qui est un composé de formule IA-1 où Z est CI, W est méthyle, V est CN ; et Ar est 2,6-diméthyl-4-cyanophényle.

REFERENCES CITED IN THE DESCRIPTION

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