Title (en)

NEW N, N'- 2,4-DIANILINOPYRIMIDINE DERIVATIVES, PREPARATION THEREOF AS DRUGS, PHARMACEUTICAL COMPOSITIONS ESSENTIALLY AS IKK INHIBITORS

Title (de)

NEUE N,Nŷ-2,4-DIANILINPYRIMIDIN-DERIVATE, IHRE HERSTELLUNG ALS ARZNEIMITTEL, PHARMAZEUTISCHE ZUSAMMENSETZUNGEN DARAUS UND IHRE VERWENDUNG ALS IKK-HEMMER

Title (fr)

DERIVES DE N, N' - 2, 4 -DIANILINO PYRIMIDINES, LEUR UTILISATION COMME INHIBITEURS DE IKK, LEUR PREPARATION ET LEUR COMPOSITIONS PHARMACEUTIQUES

Publication

EP 2118092 A1 20091118 (FR)

Application

EP 08750461 A 20080102

Priority

- FR 2008000002 W 20080102
- FR 0700064 A 20070105

Abstract (en)

[origin: FR2911138A1] 4-Anilino-2-(4-(cyclic aminocarbamoyl or cyclic aminosulfamoyl)-anilino)-pyrimidine derivatives (I) are new. Pyrimidine derivatives of formula (I) (including racemates, enantiomers and diastereomers) and their acid addition salts are new. One of R 2-R 4halo or CF 3; and the others= H, halo or alkyl or alkoxy (both optionally substituted (os) by one or more halo); R 5H or halo; Z 1CO or SO 2; N-bonded ring : 4-7 membered saturated N-bonded ring, geminally substituted by R 1and R 6and optionally containing a 1-3C bridge; (i) R 1-X 1-R 7; and R 6H, OH, (CH 2) mOH, CONR a1R b1, CH 2NR a1R b1or COO-alk; (ii) R 1-X 2-R 7; and R 6H; (iii) R 1-N(R c1)-W 1; and R 6H; provided that if W 1= H, then Z 1= CO; (iv) R 1CH 2N(R c1)W 1; and R 6H; or (v) R 1CON(R c1)OR c1'; and R 6H; X 1(CH 2) m; R 7heterocycloalkyl, aryl or heteroaryl; X 2O, O(CH 2) m, CO, CONR c1, CONR c1O, CH(NR a1R b1), C(=NOH), C(=NNH 2) or (CH 2) nNR c1(CH 2) n; W 1H or 1-4C alkyl; n : 0-3; m : 1-3; R c1, R c1'H or 1-4C alkyl; R a1, R b1H, 1-4C alkyl or cycloalkyl; or NR a1R b1cyclic amino; R 8H, 1-4C alkyl or cycloalkyl; R 9H, alkyl, cycloalkyl or heterocycloalkyl; or NR 8R 9cyclic amino. Independent claims are included for the preparation of (I). ACTIVITY : Cytostatic; Antiinflammatory; Antidiabetic; Antiarthritic; Antirheumatic; Antipsoriatic; Osteopathic; Neuroprotective; Antiasthmatic; Immunosuppressive; Dermatological; Antiallergic; Immunomodulator; Antibacterial; Cardiant; Antiarteriosclerotic; Vasotropic; Anti-HIV: Antilipemic; Anorectic; Gynecological; Hypotensive; Ophthalmological; Nephrotropic; Virucide; Cerebroprotective; Antiarrhythmic; Hepatotropic; Antianemic. Unspecified compounds (I) are stated to have IC 50values of less than 10 mu M for reduction of the proliferation and cellular viability of various tumor cell lines (no detailed results given). MECHANISM OF ACTION : Kinase inhibitor; IKK inhibitor; Nuclear factor kappa-B (NF-KB) activation inhibitor; Cytokine production inhibitor; Apoptosis modulator. Unspecified compounds (I) are stated to have IC 50values of less than 10 mu M for inhibition of IKK1 and/or IKK2 (no detailed results given).

IPC 8 full level

A61K 31/506 (2006.01); **A61P 3/00** (2006.01); **A61P 29/00** (2006.01); **A61P 35/00** (2006.01); **C07D 401/12** (2006.01); **C07D 401/14** (2006.01); **C07D 403/12** (2006.01); **C07D 403/14** (2006.01); **C07D 407/14** (2006.01); **C07D 409/14** (2006.01); **C07D 413/14** (2006.01); **C07D 417/14** (2006.01)

CPC (source: EP US)

A61P 1/04 (2017.12 - EP); **A61P 1/16** (2017.12 - EP); **A61P 3/00** (2017.12 - EP); **A61P 3/04** (2017.12 - EP); **A61P 3/06** (2017.12 - EP); **A61P 3/10** (2017.12 - EP); **A61P 5/14** (2017.12 - EP); **A61P 7/00** (2017.12 - EP); **A61P 7/06** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 9/04** (2017.12 - EP); **A61P 9/06** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 9/12** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 11/02** (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 15/00** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/02** (2017.12 - EP); **A61P 17/06** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 19/08** (2017.12 - EP); **A61P 19/10** (2017.12 - EP); **A61P 21/00** (2017.12 - EP); **A61P 21/04** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 27/02** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 31/12** (2017.12 - EP); **A61P 31/18** (2017.12 - EP); **A61P 31/22** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 35/02** (2017.12 - EP); **A61P 35/04** (2017.12 - EP); **A61P 37/00** (2017.12 - EP); **A61P 37/04** (2017.12 - EP); **A61P 37/06** (2017.12 - EP); **A61P 37/08** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 209/10** (2013.01 - EP US); **C07D 211/58** (2013.01 - EP US); **C07D 213/24** (2013.01 - EP US); **C07D 231/12** (2013.01 - EP US); **C07D 239/42** (2013.01 - EP US); **C07D 277/22** (2013.01 - EP US); **C07D 285/06** (2013.01 - EP US); **C07D 285/14** (2013.01 - EP US); **C07D 333/06** (2013.01 - EP US); **C07D 401/12** (2013.01 - EP US); **C07D 401/14** (2013.01 - EP US); **C07D 403/12** (2013.01 - EP US); **C07D 403/14** (2013.01 - EP US); **C07D 407/14** (2013.01 - EP US); **C07D 409/14** (2013.01 - EP US); **C07D 413/14** (2013.01 - EP US); **C07D 417/14** (2013.01 - EP US)

Citation (search report)

See references of WO 2008099073A1

Designated contracting state (EPC)

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MT NL NO PL PT RO SE SI SK TR

Designated extension state (EPC)

AL BA MK RS

DOCDB simple family (publication)

FR 2911138 A1 20080711; **FR 2911138 B1 20090220**; AR 064730 A1 20090422; CA 2672959 A1 20080821; CL 2008000020 A1 20090123; CN 101605783 A 20091216; EP 2118092 A1 20091118; JP 2010514821 A 20100506; TW 200900068 A 20090101; US 2010093668 A1 20100415; UY 30857 A1 20080902; WO 2008099073 A1 20080821

DOCDB simple family (application)

FR 0700064 A 20070105; AR P080100012 A 20080103; CA 2672959 A 20080102; CL 2008000020 A 20080103; CN 200880004145 A 20080102; EP 08750461 A 20080102; FR 2008000002 W 20080102; JP 2009544426 A 20080102; TW 97100454 A 20080104; US 49599809 A 20090701; UY 30857 A 20080104