Title (en)

NEW PHENYL- (4-PHENYL-PYRIMIDIN-2-YL) - AMINES DERIVATIVES, PREPARATION THEREOF AS DRUGS, PHARMACEUTICAL COMPOSITIONS AND USE THEREOF ESSENTIALLY AS IKK INHIBITORS

Title (de)

NEUE PHENYL-(4-PHENYL-PYRIMIDIN-2-YL)-AMINDERIVATE, IHRE HERSTELLUNG ALS ARZNEIMITTEL, PHARMAZEUTISCHE ZUSAMMENSETZUNGEN UND IHRE VERWENDUNG ALS IKK-HEMMER

Title (fr)

DERIVES DE PHENYL- (4-PHENYL-PYRIMIDIN-2-YL) - AMINES COMME INHIBITEURS DE IKK, LEUR PREPARATION ET LEUR COMPOSITIONS PHARMACEUTIQUES

Publication

EP 2111395 A1 20091028 (FR)

Application

EP 08761728 A 20080102

Priority

- FR 2008000003 W 20080102
- FR 0700065 A 20070105

Abstract (en)

[origin: FR2911139A1] 2,4-Diaminopyrimidine derivatives (I) are new. 2,4-Diaminopyrimidine derivatives of formula (I) are new. R 2-R 4H, halo, (halo)alkyl or (halo)alkoxy, provided that one is halo or CF 3; R 5H or halo; Z : CO or SO 2; D : H, cycloalkyl, or alkyl, alkenyl or alkynyl optionally substituted with halo, OR 8 or NR 8R 9, where alkyl can also be substituted with a C-bonded 5-membered heterocycloalkyl group optionally substituted with halo, alkyl or alkoxy; W' : a 4- to 10-membered mono- or bicyclic ring containing O, S, SO, SO 2, NR 10, CO, dioxolane, CF 2, CHOR 8 or CHNR 8R 9, where the ring can have a 1-3C bridge when W contains NR 10; NW'D= 4- to 7-membered azacycloalkyl substituted with R 1 and R 6 on the same C atom, optionally with a 1-3C bridge; R 10H; cycloalkyl; alkyl optionally substituted with naphthyl, halo, OH, alkoxy, aryl, heteroaryl, NR 8R 9, CONR 8R 9, phosphonate, alkylthio, alkylsulfonyl or optionally substituted heterocycloalkyl; or alkenylmethyl or alkynylmethyl optionally substituted with naphthyl, halo, OH, alkoxy, aryl and heteroaryl; R 1X 1R 7, X 2R 7, NRcQ, CH 2NRcQ or CONRcOR'c; R 6H or is R 6' when R 1= X 1R 7; X 1(CH 2) m; R 7optionally substituted heterocycloalkyl, aryl or heteroaryl; R 6'H, OH, (CH 2) nOH, CONRaRb, CH 2NRaRb, COOH or COOR; R : alkyl; X 2O, O(CH 2) m, CH(OH)(CH 2) n, CO, CONRc, CONRcO, CHNRaRb, C=NOH, C=NNH 2, (CH 2) n1NRc(CH 2) n2; Q : H or 1-4C alkyl optionally substituted with PO(OEt) 2, OH, OR, CF 3, CONR 8R 9 and SO 2R; n, n1, n2 : 0-3; m : 1-3; Rc, R'c : H or 1-4C (halo)alkyl; R 8, R 9H; alkyl optionally substituted with halo, OH, alkoxy, NH 2, NHR, NRR, CONH 2, CONHR, CONRR, alkylthio, optionally substituted phenyl or optionally substituted heterocyclyl; or (hetero)cycloalkyl optionally substituted with halo, OH, alkoxy, NH 2, NHR, NRR, CONH 2, CONHR, CONRR; or NR 8R 9 is an optionally substituted cyclic amine containing 0-2 other heteroatoms (O, S, N, NRc); Ra, Rb= H or 1-4C alkyl or cycloalkyl optionally substituted with halo, OH, OR, NH 2, NHR and NRR, or NRaRb is a cyclic amine containing 0-2 other heteroatoms (O, S, N, NRc), optionally substituted with halo or (halo)alkyl; N : all rings are optionally substituted with halo, OH, alkoxy, alkyl, hydroxyalkyl, alkoxyalkyl, CN, CF 3, OCF 3 or NRaRb. Independent claims are also included for two processes for preparing (I). [Image] ACTIVITY : Antiinflammatory; Antidiabetic; Cytostatic. Unspecified compounds (I) inhibit proliferation of various tumor cell lines with an IC50 of less than 10 microM. MECHANISM OF ACTION : Protein kinase IKK inhibitor.

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 239/42** (2006.01); **C07D 401/12** (2006.01); **C07D 401/14** (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 1/16 (2017.12 - EP); **A61P 3/00** (2017.12 - EP); **A61P 3/10** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 11/02** (2017.12 - EP); **A61P 13/12** (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 29/00** (2017.12 - EP); **A61P 31/12** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 35/02** (2017.12 - EP); **A61P 37/08** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 211/58** (2013.01 - EP US); **C07D 213/06** (2013.01 - EP US); **C07D 233/56** (2013.01 - EP US); **C07D 237/04** (2013.01 - EP US); **C07D 239/42** (2013.01 - EP US); **C07D 277/22** (2013.01 - EP US); **C07D 307/78** (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 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 2008099074A1

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 2911139 A1 20080711; AR 064731 A1 20090422; CA 2673532 A1 20080821; CL 2008000022 A1 20080516; CN 101600697 A 20091209; EP 2111395 A1 20091028; JP 2010514822 A 20100506; TW 200848048 A 20081216; US 2010069417 A1 20100318; UY 30858 A1 20080902; WO 2008099074 A1 20080821

DOCDB simple family (application)

FR 0700065 A 20070105; AR P080100013 A 20080103; CA 2673532 A 20080102; CL 2008000022 A 20080103; CN 200880003597 A 20080102; EP 08761728 A 20080102; FR 2008000003 W 20080102; JP 2009544427 A 20080102; TW 97100461 A 20080104; US 49610509 A 20090701; UY 30858 A 20080104