

Title (en)
PYRIDINAMIDE DERIVATIVE AS KINASE INHIBITORS

Title (de)
PYRIDINAMID-DERIVATE ALS KINASE-INHIBITOREN

Title (fr)
DERIVES PYRIDINAMIDE SERVANT D'INHIBITEURS DE KINASE

Publication
EP 1718614 A1 20061108 (DE)

Application
EP 05700886 A 20050113

Priority
• EP 2005000273 W 20050113
• DE 102004009238 A 20040226

Abstract (en)
[origin: DE102004009238A1] Aryl amide compounds (I) and their salts, derivatives, solvates and/or stereoisomers including their mixtures in all ratios are new. Aryl amide compounds (I) of formula (Ar 1>-N(R 2>)-CH(=Y)-Ar 2>-Z-Ar 3>) and their salts, derivatives, solvates and/or stereoisomers including their mixtures in all ratios are new. Ar 1>-Ar 3>aromatic (optionally substituted with R 1>) or Het; Het : 1-2 aromatic heterocyclic ring with 1-4 N-, O- and/or S-; R 1>H, A, aryl, OR 4>, SR 4>, Oaryl, Saryl, N(R 4>) 2, NHaryl, Hal, NO 2, CN, (CH 2) mCOOR 4>, (CH 2) mCOOaryl, (CH 2) mCON(R 4>) 2, (CH 2) mCONHaryl, COR 4>, COaryl, S(O) mA, S(O) maryl, NHCOA, NHCOaryl, NHSO 2A, NHSO 2aryl, SO 2N(R 4>) 2, O(CH 2) n, N(R 4>) 2, O(CH 2) nNHR 3>, O(CH 2) n-oxo-piperazine, O(CH 2) n-oxomorpholine, O(CH 2) n-oxopyrrolidine, O(CH 2) nC(CH 2) 2(CH 2) nN(R 4>) 2, N(CH 2) nC(CH 3) 2(CH 2) nN(R 4>) 2, O(CH 2) nN(R 4>)SO mA, O(CH 2) nN(R 4>)SO mN(R 4>)A, O(CH 2) nN(R 4>)SO maryl, (CH 2) nN(R 4>)SO mA, (CH 2) nN(R 4>)SO mN(R 4>)A, (CH 2) nN(R 4>)SO maryl, O(CH 2) nSO mA, O(CH 2) nSO mN(R 4>)A, O(CH 2) nSO maryl, (CH 2) nSO mA, (CH 2) nSO mN(R 4>)A and/or (CH 2) nSO maryl; Y : O, S, C-NO-2, C(CN) 2 or N-R 3>; Z : G 1> n, G 1> nEG 2> m, EG 1> nG 2> m or G 1> nG 2> mE; R 2>-R 4>H, A or -alkylene-aryl; A : 1-10C alkyl (where 1-2 CH 2- group is replaced with O-, S and/or with -CH=CH- and/or 1-7H atom is replaced with Hal), aryl (optionally substituted with A), phenyl, OA, SA, Ophenyl, NH 2, NA 2, hal, NO 2, CN, (CH 2) mCOOR 4>, (CH 2) mCON(R 4>) 2, COR 4>, COaryl, S(O) mA, NHCOA or NHSO 2A substituted phenyl; E : O, SO m, NR 1>, CO, C=N or alkene; G 1>, G 2>CR 1>R 1> or E; Hal : F, Cl, Br or I; n : 0-5; and m : 0-2. Independent claims are also included for: (1) the preparation of (I); (2) medicament comprising (I), carriers and/or adjuvants and optionally at least additional drug active agents; (3) a set (kit) comprising divided packings of (I) and additional drug active agents; and (4) use of (I) in combination with radiotherapy and a compound (estrogen receptor modulators, androgen receptor modulators, retinoid receptor modulators, cytotoxic drug, antiproliferative agents, prenyl-proteintransferase inhibitors, 3-hydroxy 3-methyl glutaryl coenzyme A reductase inhibitors, HIV-protease inhibitors, reverse transcriptase inhibitors, growth factor receptor inhibitors and angiogenesis inhibitors) for preparing medicaments for the treatment and/or prophylaxis of diseases. ACTIVITY : Antiangiogenic; Cytostatic; Antidiabetic; Ophthalmological; Osteopathic; Antiarthritic; Antipsoriatic; Antirheumatic; Antiinflammatory; Dermatological; Gynecological; Vulnerary; Immunostimulant; Immunosuppressive. MECHANISM OF ACTION : Kinase activator; Kinase inhibitor; Tyrosine kinase inhibitor; Raf-kinase inhibitor. The ability of (I) to inhibit tyrosine kinase activity using biological assays. The results showed that (I) inhibited vascular endothelial growth factor stimulated mitogenesis in a culture containing human endothelial cells at HK-50 value of 0.01-5 microM.

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CPC (source: EP US)
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