Title (en)

USE OF PHOSPHORODIESTERASE IV INHIBITORS

Title (de)

VERWENDUNG VON PHOSPHODIESTERASE IV - INHIBITOREN

Title (fr)

UTILISATION D'INHIBITEURS DE LA PHOSPHODIESTERASE IV

Publication

EP 1435958 A1 20040714 (DE)

Application

EP 02777150 A 20020919

Priority

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- EP 0210507 W 20020919

Abstract (en)

[origin: DE10150517A1] The use of phenyl-substituted 2,3,4,5-tetrahydro-pyridazin-3-one, 3,6-dihydro-thiadiazin-2-one or 3,6-dihydro-oxadiazin-2-one derivatives (I) for treatment of osteoporosis, tumors, tumor metastases, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, ulcerative colitis or AIDS. The use of phenyl-substituted 2,3,4,5-tetrahydro-pyridazin-3-one, 3,6-dihydro-thiadiazin-2-one or 3,6-dihydro-oxadiazin-2-one derivatives of formula (I) or their salts, for treatment of osteoporosis, tumors, tumor metastases, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, ulcerative colitis or AIDS. (i) X = CH2 or S, provided that R<3> is in the 3-position and R<4> in the 4-position if X = S; Q = -Q'-R<5>; R<1>, R<2> = H or A; R<3>, R<4> = OH, OR<10>, SR<10>, SOR<10>, SO2R<10>, halo, OCH2O, NO2, NH2, NHR<10> or NR<10>R<11>; R<5> = phenyl (optionally substituted (os) by R<6> and/or R<7>); Q' = direct bond or 1-6C alkylene; R<6>, R<7> = NH2, NR<8>R<9>, NHR<10>, NR<10>R<11>, NO2, halo, OA, COOH or COOA; R<8>, R<9> = H, 1-8C acyl (os by 1-5 F and/or Cl), COOA, SOA, SO2A, CONH2, CONHA, CONA2, COCOOH, COCOOA, COCONH2, COCONHA or COCONA2; A = 1-6C alkyl (os by 1-5 F and/or Cl); R<10>, R<11> = A, 3-7C cycloalkyl, 4-8C methylenecycloalkyl or 2-8C alkenyl; (ii) X = CH2, S or O; Q = -Q'-C6H4-NHCOB; Q' = direct bond or 1-6C alkylene; B = aromatic heterocycle with 1-4 N, O and/or S heteroatom(s), bonded via N or C, os by 1-3 of halo, A and/or OA and optionally fused with a benzene or pyridine ring; R<1>, R<2> = H or A; R<3>, R<4> = OH, OR<5>, SR<5>, SOR<5>, SO2R<5>, halo, OCH2O, NO2, NH2, NHR<5> or NR<5>R<6>, R<3> being in the 3-position and R<4> in the 4-position; R<5>, R<6> = A, 3-7C cycloalkyl, 4-8C methylenecycloalkyl or 2-8C alkenyl; A = 1-10C alkyl (os by 1-5 F and/or Cl); (iii) X = S; Q = H; R<1>, R<2> = H or A; R<3> = H, OA or OA'; R<4> = OA'; A = 1-6C alkyl; A' = 1-6C alkyl, substituted by 1-13 F or Cl; (iv) X = S; Q = -Q'-R<5>; Q' = 1-6C alkylene; R<5> = NR<6>R<7>; or tri-, tetra-, penta- or hexamethyleneimino (optionally having one CH2 replaced by O); R<1>, R<2>, R<6>, R<7> = H or A; R<3>, R<4> = OH, OA, SA, SOA, SO2A, halo, OCH2O, 3-7C cycloalkoxy or OA'; A = 1-6C alkyl; A' = 1-6C alkyl, substituted by 1-13 F; or (v) X = CH2 or S; Q = -Q'-C6H4-NHCOB; Q' = direct bond or 1-4C alkylene; B = -YR<5> or -O-YR<5>; Y = direct bond or 1-10C alkylene; R<3>, R<4> = OH, OA, SA, SOA, SO2A, halo, OCH2O, NO2, NH2, NHA or NAA', R<3> being in the 3-position and R<4> in the 4-position; A, A' = 1-10C alkyl (os by 1-5 F and/or Cl), 3-7C cycloalkyl or 4-8C methylenecycloalkyl; R<5> = NH2,. NHA, NA2 or 3-8 membered saturated heterocycle (containing at least one N, os by A or OH and optionally having further CH2 groups replaced by NA, S or O).

IPC 1-7

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IPC 8 full level

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