

Title (en)

NEW THIAZOLIDINONES WITHOUT BASIC NITROGEN, THEIR PRODUCTION AND USE AS PHARMACEUTICAL AGENTS

Title (de)

NEUE THIAZOLIDINONE OHNE BASISCHEN STICKSTOFF, IHRE HERSTELLUNG UND VERWENDUNG ALS PHARMAZEUTISCHE MITTEL

Title (fr)

NOUVEAUX THIAZOLIDINONES SANS AZOTE BASIQUE, LEUR PRODUCTION ET LEUR UTILISATION COMME AGENTS PHARMACEUTIQUES

Publication

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Application

**EP 06742815 A 20060424**

Priority

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Abstract (en)

[origin: DE102005020105A1] Thiazolidinone compounds (I) and their solvates, hydrates, stereoisomers, diasteromers, enantiomers or salts are new. Thiazolidinone compounds of formula (I) and their solvates, hydrates, stereoisomers, diasteromers, enantiomers or salts are new. Q : aryl; A, B 1>H, halo, OH, NR 3>R 4>, CN, NO 2, 1-4C-alkyl, 1-6C-alkoxy, 3-6C-heterocycloalkyl (optionally substituted by halo, OH, 3-6C heterocycloalkyl, NR 3>R 4> or CO(NR 3>)-M), (where the heterocycloalkyl contains one or more N, O, S, where -(CO)-, SO 2 or double bonds in the ring is optionally interrupted, where the ring is optionally substituted with 1-6C-alkyl, 3-6C-cycloalkyl, 1-6C-hydroxyalkyl or NR 3>R 4>), NR 3>(CO)-L, -NR 3>(CO)-NR 3>-L, COR 6>, -O-(CH2) pR 6>, CO(NR 3>)-M, NR 3>(CS)NR 3>R 4>, NR 3>SO 2-M, SO 2-NR 3>R 4>, SO 2(NR 3>)-M or O-(CH 2) p-aryl; p : 0-4; L : 1-6C-alkyl, 3-6C-heterocycloalkyl (optionally substituted with NR 3>R 4>), 1-6C-hydroxyalkoxy, 1-6C-dialkoxy or 3-6C-heterocycloalkyl, where the heterocycloalkyl contains one or more N, O, S, where -(CO)-, SO 2 or double bonds in the ring is optionally interrupted, where the ring is optionally substituted with 1-6C-alkyl, 3-6C-cycloalkyl, 1-6C-hydroxyalkyl or NR 3>R 4>; M : 1-6C-alkyl (substituted with NR 3>R 4> or 3-6C-heterocycloalkyl); R 1>1-4C-alkyl (optionally substituted with halo, 3C-cycloalkyl, allyl or propargyl); either R 2>H or 1-6C alkyl, 1-6C alkoxy, 1-6C alkenyl, 1-6C alkynyl, 3-6C cycloalkyl, 3-6C heterocycloalkyl, aryl or heteroaryl (all optionally substituted with halo, OH, CN, 1-6C alkyl, 1-6C alkoxy, 1-6C hydroxyalkyl, 3-6C cycloalkyl, 3-6C heterocycloalkyl, 1-6C alkynyl, aryoxy, (hetero)aryl or with a group of -S-1-6C alkyl, -COR 6>, -NR 3>R 4>, -NR 3>(CO)-L or -NR 3>COOR 7>), where the heterocycloalkyl is optionally interrupted by one or more N, O or S atoms and/or optionally one or more -(CO)- or -SO 2- group in the ring are interrupted and/or optionally one or more double bonds in the ring, and the (hetero)aryl, 3-6C cycloalkyl or 3-6C heterocycloalkyl ring in each case optionally substituted with one or more CN, halo, OH, 1-6C alkyl, 1-6C hydroxyalkyl, halo substituted 1-6C alkoxy, 3-6C cycloalkyl, 3-6C heterocycloalkyl, benzyl or (hetero)aryl; or R 2>R 5>3-6C heterocycloalkyl ring interrupted by at least one nitrogen and optionally interrupted by one or more O or S, or -(CO)- or -SO 2- group in the ring and one or more double bonds in the ring are interrupted or the ring is optionally substituted by one or more CN, halo, OH, 1-6C alkyl, 3-6C cycloalkyl, 1-6C hydroxyalkyl, 1-6C alkoxyalkyl or NR 3>R 4> or -COR 6> or (hetero)aryl, which is optionally with halo, 1-6C alkoxy, COR 6> or (hetero)aryl; either R 3>, R 4>1-6C alkyl, 1-6C-alkoxy, -CO-1-6C alkyl (all optionally substituted with halo, OH, 3-6C heterocycloalkyl, 1-6C hydroxyalkoxy or NR 3>R 4>) or aryl and the heterocycloalkyl is optionally substituted by one or more N, O or S or -(CO)- or -SO 2- group in the ring and one or more double bonds in the ring are interrupted or 3-6C heterocycloalkyl ring is optionally substituted by one or more CN, halo, OH, 1-6C alkyl, 1-6C hydroxyalkyl, 1-6C alkoxy, 3-6C cycloalkyl, -NR 3>R 4> or -CO-NR 3>R 4>, or H; or R 3>R 4>3-6C heterocycloalkyl ring interrupted by at least one nitrogen and optionally interrupted by one or more O or S, or -(CO)- or -SO 2- group in the ring and one or more double bonds in the ring are interrupted or the ring is optionally substituted by one or more 1-6C alkyl, 3-6C cycloalkyl, 1-6C hydroxyalkyl, 1-6C alkoxyalkyl, CN, OH or NR 3>R 4>; R 5>1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl (all optionally substituted with halo, OH, CN, 1-6C alkoxy, 3-6C cycloalkyl, 3-6C heterocycloalkyl or NR 3>R 4>), where heterocycloalkyl is optionally interrupted by one or more N, O or S atoms or -(CO)- or -SO 2- group in the ring and one or more double bonds in the ring are interrupted or the 3-6C heterocycloalkyl ring is optionally substituted by CN, halo, 1-6C alkyl, 1-6C hydroxyalkyl, 1-6C alkoxy, 3-6C cycloalkyl, NR 3>R 4>, -CO-NR 3>R 4>; R 6>OH, 1-6C alkyl, 1-6C alkoxy or NR 3>R 4>; R 7>-(CH 2) n-aryl or -(CH 2) n-heteroaryl; and n : 1-6. [Image] ACTIVITY : Cytostatic; Immunosuppressive; Endocrine-Gen.; Cardiovascular-Gen.; Antimicrobial; Neuroprotective; Virucide; Antipsoriatic; Dermatological; Antiarteriosclerotic; Vasotropic; Antiparasitic; Nephrotropic; Anticonvulsant; Nootropic; Muscular-Gen.; Antiparkinsonian; Anti-HIV; Antiinflammatory; Hepatotropic. MECHANISM OF ACTION : Polo-like kinase (PLK 1, PLK 2, PLK 3 or PLK 4) inhibitor. The polo-like kinase inhibiting activity of (I) was tested using biological assays. The results showed the (I) exhibited a median inhibitory concentration (IC 50) value of 230 nM.

IPC 8 full level

**C07D 277/20** (2006.01)

CPC (source: EP KR)

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