Title (en)

DIHYDROPTERIDINE DERIVATIVES, METHODS FOR THEIR PREPARATION AND THEIR USE AS DRUGS

Title (de)

DIHYDROPTERIDINONDERIVATIVE, VERFAHREN ZU DEREN HERSTELLUNG UND DEREN VERWENDUNG ALS ARZNEIMITTEL

Title (fr)

DÉRIVÉS DE DIHYDROPTERIDINE, MÉTHODES DE PRÉPARATION ET UTILISATION EN TANT QUE MÉDICAMENT

Publication

EP 1786818 A1 20070523 (DE)

Application

EP 05775932 A 20050819

Priority

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Abstract (en)

[origin: EP1632493A1] Dihydropteridinone derivatives (I) are new. Dihydropteridinone derivatives of formula (I) and their tautomers, isomers, acid addition salts, solvates and hydrates are new. L = a bond, A, OA or N(R 7>)A; A = 1-6C alkylene, 2-6C alkenylene, 2-6C alkynylene, 3-7C cycloalkylene, (1-4C)alkylene(6-10C)arylene(1-4C)alkylene or (1-4C)alkylene(6-10C)arylene, all optionally substituted with R 9>; R 1>, R 2>= H or 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl, all optionally substituted with R 9>, or R 1>+R 2>= 2-6C alkylene with 0-2 CH 2groups replaced by O or NR 7>, optionally substituted with R 9>; R 3>= H or 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, 3-8C cycloalkyl or 6-14C aryl, all optionally substituted with R 9>; or R 3>+R 2>or R 3>+R 1>= 2-6C alkylene optionally substituted with R 9>; R 4>= H, halo, CN, OH, NR 7>R 8>, A' or OA'; A' = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl, all optionally substituted with R 10>; R 5>= phenyl optionally substituted with R 11>; phenyl monosubstituted with R 6>; or 1-4C alkyl optionally substituted with R 9>; R 6>= NR 7>R 8>or 5- to 10-membered heterocycloalkyl with 1-3 heteroatoms (N, O, S), optionally substituted with R 12>; R 7>, R 8>= H or 1-6C alkyl; R 9>= halo, 1-4C alkyl, 1-4C alkoxy, CN, OH or CF 3; R 10>= halo, OH, oxo, 1-6C alkoxy, COOR 7>, NR 7>R 8>, CONR 7>R 8>, SO 2R 7>, CHF 2or CF 3; R 11>= halo, OH, CN, 1-4C alkyl, 1-4C alkoxy, COOR 7>, NR 7>R 8>, CONR 7>R 8>, SO 2R 7>, CHF 2, CF 3, 6-10C aryl or (6-10C)aryl(1-6C)alkyl; and R 12>= halo, CF 3, 1-6C alkyl, (6-10C)aryl(1-6C)alkyl 3-8C cycloalkyl or (3-8C)cycloalkyl(1-6C)alkyl. Independent claims are also included for intermediates of formula (A8), (A7a), (A7b) and (A5). PG = protecting group. [Image] [Image] [Image] ACTIVITY : Virucide; Anti-HIV; Antiinflammatory; Immunosuppressive; Antibacterial; Fungicide; Antiparasitic; Cytostatic; Dermatological; Antipsoriatic; Osteopathic; Vasotropic; Cardiant. Compounds (I) have good to very good activity in a HeLa S3 cytotoxicity assay, e.g. with an EC50 of less than 5 mu M, generally less than 1 mu M. MECHANISM OF ACTION : Polo-like kinase inhibitor.

IPC 8 full level

A61K 31/4375 (2006.01); **A61P 35/00** (2006.01); **C07D 475/04** (2006.01)

CPC (source: EP US)

A61P 1/04 (2017.12 - EP); **A61P 7/06** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 17/02** (2017.12 - EP); **A61P 17/06** (2017.12 - EP); **A61P 17/14** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 19/08** (2017.12 - EP); **A61P 25/28** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 31/04** (2017.12 - EP); **A61P 31/10** (2017.12 - EP); **A61P 31/12** (2017.12 - EP); **A61P 31/18** (2017.12 - EP); **A61P 33/00** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 35/02** (2017.12 - EP); **A61P 37/06** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 475/00** (2013.01 - EP US); **C07D 487/04** (2013.01 - EP US); Y02P 20/55 (2015.11 - EP US)

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