

Title (en)
SELECTED CGRP ANTAGONISTS, METHODS FOR THE PRODUCTION THEREOF AND THEIR USE AS MEDICAMENTS

Title (de)
AUSGEWÄHLTE CGRP-ANTAGONISTEN, VERFAHREN ZU DEREN HERSTELLUNG SOWIE DEREN VERWENDUNG ALS ARZNEIMITTEL

Title (fr)
ANTAGONISTES DE CGRP SELECTIONNES, PROCEDE POUR LES PREPARER ET LEUR UTILISATION COMME AGENTS PHARMACEUTIQUES

Publication
EP 1931647 A2 20080618 (DE)

Application
EP 06806843 A 20060927

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Abstract (en)
[origin: EP1770086A1] Substituted piperidine compounds (I) and their tautomers and salts are new. Substituted piperidine compounds of formula (I) and their tautomers and salts are new. R 1>substituted 3,4-dihydro-1H-quinazolin-2-one compound of formula (1a), substituted 1,3,4,5-tetrahydro-benzo[d][1,3]diazepin-2-one compound of formula (2a) or 5-phenyl-2,4-dihydro-[1,2,4]triazol-3-one compound of formula (3a); R 1> 1>H or H 3C-O-; R 2>substituted toluene compound of formula (4a); R 2> 1>HO, H 3CO, H-C(O)-O or H 3C-C(O)-O-; R 2> 2>1-2C alkyl or H 3CO-; R 3>R4a-2-8C alkylene-NH- or heterocyclic compounds of formulae (5a-6a); R4a : H, H 2N, 13C-alkyl-NH, (1-3C alkyl) 2-N or 1-6C alkyl-O-C(O)-NH-; R 3> 2>, R 3> 4>H or 1-3C alkyl; R 3> 3>free electron pair or oxygen atom; R4b : H, 1-6C alkyl, H 2N-2-6C alkylene, 1-3C alkyl-NH-2-6C alkylene, (1-3C alkyl) 2-N-1-6C-alkylene, 3-6C cycloalkyl-1-3C-alkylene, NH 2, 1-3C alkyl-NH or (1-3C alkyl) 2-N; R 4>7 cyclic compounds e.g. heterocyclic compounds of formulae (7a-10a); and R 4> 2>R 3> 2>. Provided that: when X is C, R 4> 1> is H, OH or 1-3C alkyl; when X is N, R 4> 1> is a free electron pair or an oxygen atom; if X is N, then Y 1> is O, S, S(O), S(O) 2; if X is C, then Y 1> is S, S(O), S(O) 2; and provided that R 3> and R 4> are not bound to one another simultaneously via an N atom. [Image] [Image] [Image] [Image] ACTIVITY : Analgesic; Antidiabetic; Antiarthritic; Osteopathic; Antirheumatic; Antiallergic; Antiinflammatory; Antiasthmatic; Gastrointestinal-Gen.; Vasotropic; Antibacterial; Immunosuppressive; Dermatological; Vulnerary; Antipruritic; Cardiovascular-Gen. MECHANISM OF ACTION : Calcitonin gene related peptide (CGRP) receptor-antagonist. The ability of (I) to antagonize CGRP receptor was tested in SK-N-MC cells. The result showed that the IC 50 value of (I) was >= 10000 nM.

IPC 8 full level
C07D 249/12 (2006.01); **C07D 243/10** (2006.01); **C07D 401/12** (2006.01); **C07D 403/12** (2006.01)

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Citation (search report)
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