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

Priority

- EP 2006066783 W 20060927
- EP 05021236 A 20050929
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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 5 0 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)

CPC (source: EP KR US)

A61P 1/04 (2017.12 - EP); **A61P 1/12** (2017.12 - EP); **A61P 3/10** (2017.12 - EP); **A61P 5/00** (2017.12 - EP); **A61P 5/50** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 11/02** (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/04** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 25/06** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 31/04** (2017.12 - EP); **A61P 37/08** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 243/10** (2013.01 - EP KR US); **C07D 249/12** (2013.01 - EP KR US); **C07D 401/12** (2013.01 - EP KR US); **C07D 403/12** (2013.01 - EP KR US)

Citation (search report)

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