

## Title (en)

NOVEL CARBOXAMIDE COMPOUNDS HAVING AN MCH-ANTAGONISTIC EFFECT, MEDICAMENTS CONTAINING SAID COMPOUNDS, AND METHODS FOR THE PRODUCTION THEREOF

## Title (de)

NEUE CARBONS UREAMID-VERBINDUNGEN MIT MCH-ANTAGONISTISCHER WIRKUNG, DIESE VERBINDUNGEN ENTHALTENDE ARZNEIMITTEL UND VERFAHREN ZU IHRER HERSTELLUNG

## Title (fr)

NOUVEAUX COMPOSES CARBOXAMIDE EXERCANT UNE ACTION ANTAGONISTE SUR LA MCH, MEDICAMENTS CONTENANT CES COMPOSES ET LEURS PROCEDES DE PRODUCTION

## Publication

**EP 1534689 A1 20050601 (DE)**

## Application

**EP 03794886 A 20030816**

## Priority

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## Abstract (en)

[origin: DE10238865A1] Carboxamides (I), their tautomers, diastereoisomers, enantiomers, mixtures and salts are new. Carboxamides of formula (I), their tautomers, diastereoisomers, enantiomers, mixtures and salts are new, including compounds where hydrogen atoms on carboxy or nitrogen are replaced by a group that can be cleaved in vivo. R1 and R2 = hydrogen, 1-8C alkyl or 3-7C cycloalkyl, both optionally substituted by R11, or phenyl, optionally substituted by one or more R12 and/or nitro, R1+R2 = 2-8C alkylene (particularly having one or two CH2 replaced by CH=N or CH=CH; or having one or two CH2 replaced by oxygen, sulfur, CO, C(=CH2) or NR13, provided two heteroatoms are not adjacent; having one or more hydrogen replaced by R14; or is substituted by 1 or 2 Cy, bound through single or double bonds, a single C, forming a spiro system, two adjacent C and/or N, forming a fused bicyclic system, or 3 or more C and/or N atoms, forming a bridged bicyclic system; R3 = hydrogen, 1-6C alkyl, 3-7C cycloalkyl, 3-7C cycloalkyl(1-4C)alkyl or 2-6C alkyl substituted by 1-6C alkoxy or amino, optionally substituted by 1 or 2 1-3C alkyl; X = single bond or 1-8C alkylene (particularly having 1 or 2 CH2 replaced by CH=CH, ethynyl, oxygen, S(O)x, CO or NR4, provided heteroatoms are not connected; and/or having 1 or 2 C substituted by hydroxy, omega-(hydroxy or 1-3C alkoxy) alkyl and/or 1-3C alkoxy and/or 1-6C alkyl; X+R1 or Z+R3 = heterocycle; Z = 1-4C alkylene (particularly two adjacent C may be linked by another 1-4C alkylene; one CH2 may be replaced by oxygen or NR5, or 1 or 2 C may be substituted by hydroxy, omega-(hydroxy or 1-3C alkoxy) alkyl, 1-3C alkoxy or amino(1-3C)alkyl (optionally N substituted by 1 or 2 1-3C alkyl) and/or 1 or 2 1-6C alkyl); A+Y' = carbocyclic or heterocyclic groups (Cy), and R1 with Y may form a heterocyclic group fused on Y and/or R3 with Y may form a saturated or partially unsaturated heterocycle fused on Y; A+R3 (i.e. -N(R3)CO-A-) = moiety of formula (i); Q = CR6R7, CR6=CR7, N=CR8, N=N, CONR9, CR8=N or CO; L1-L3 = R20; B' = Cy, bonded through a C atom of the carbocyclic part or fused phenyl or pyridyl or through N or C atom of the heterocyclic part; W' = single bond, oxygen, 1-4C alkylene(oxy), 2-4C alkenylene, oxy(1-4C)alkylene, 1-3C alkyleneoxy(1-3C)alkylene, (1-3C alkyl)imino, (1-3C alkyl)imino(1-4C)alkylene or 1-4C alkylene(1-3C alkyl)imino; W'-B' = W'=B' (i.e. (oxy)alkylene or alkyleneoxyalkylene); Cy = saturated 3-7 membered carbocycle; unsaturated 5-7 membered carbocycle; phenyl; saturated 4-7 membered or unsaturated 5-7 membered heterocycle containing nitrogen, oxygen or sulfur as heteroatoms; (un)saturated 5-7 membered heterocycle with 2 or more N or with one N and one O or S; aromatic 5 or 6 membered heterocycle with one or more of N, O and/or S; the 5-7 membered rings may be fused to a phenyl or pyridyl ring and/or have one CH2 replaced by CO, C(=CH2), SO or SO2; and the 6-7 membered rings may exist as bridged systems, containing imino (optionally substituted by 1-3C alkyl) or methylene, optionally substituted by 1 or 2 1-3C alkyl; and all optionally substituted on 1 or more C by R20 (also by nitro for phenyl groups) and/or by 1 or more R21 on N; R4, R5 and R16 = hydrogen, 3-7C cycloalkyl (Cyl), Cyl(1-3C)alkyl, 4-7C cycloalkenyl (Cya), Cya(1-3C)alkyl, omega-(hydroxy or 1-3C alkoxy)2-3C alkyl, or amino(1-6C)alkyl, optionally N-substituted by 1 or 2 1-3C alkyl; R6-R9 = hydrogen, 1-6C alkyl or omega-(hydroxy or 1-3C alkoxy)alkyl; also (except for R9) halo; R11 = R15O, R15OCO, R16R17N, R18R19NCO or Cy; R12 and R20 = halo, hydroxy, cyano, 1-4C alkyl, Cyl, hydroxy(1-3C)alkyl, R22-(1-3C)alkyl or R22; R13 and R17 = R16, also phenyl, phenyl(1-3C)alkyl, dioxolan-2-yl, 1-3C alkylcarbonyl, carboxy(1-3C)alkyl, 1-3C alkylcarbonylamino(2-3C)alkyl, 1-3C alkylsulfonyl or 1-3C alkylsulfonylamino(2-3C)alkyl; R14 = halo, 1-6C alkyl, R15O, R15OCO, R16R17N, R18R19NCO, R15O- or R15-OCO- (1-3C)alkyl, R16R17N(1-3C)alkyl, R18R19NCO(1-3C)alkyl or Cy-(1-3C)alkyl; R15 = hydrogen, 1-4C alkyl,

## IPC 1-7

**C07D 239/80; C07D 211/58; C07D 211/16**

## IPC 8 full level

**C07C 233/78** (2006.01); **C07D 205/04** (2006.01); **C07D 207/09** (2006.01); **C07D 207/16** (2006.01); **C07D 207/20** (2006.01); **C07D 209/14** (2006.01); **C07D 209/44** (2006.01); **C07D 209/46** (2006.01); **C07D 209/48** (2006.01); **C07D 211/34** (2006.01); **C07D 211/52** (2006.01); **C07D 211/64** (2006.01); **C07D 213/40** (2006.01); **C07D 213/56** (2006.01); **C07D 213/74** (2006.01); **C07D 217/04** (2006.01); **C07D 221/24** (2006.01); **C07D 221/26** (2006.01); **C07D 223/16** (2006.01); **C07D 239/91** (2006.01); **C07D 239/96** (2006.01); **C07D 249/18** (2006.01); **C07D 295/073** (2006.01); **C07D 295/096** (2006.01); **C07D 295/135** (2006.01); **C07D 295/185** (2006.01); **C07D 295/192** (2006.01); **C07D 295/215** (2006.01); **C07D 401/04** (2006.01); **C07D 401/10** (2006.01); **C07D 403/10** (2006.01); **C07D 407/10** (2006.01); **C07D 451/02** (2006.01); **C07D 471/10** (2006.01); **C07D 487/10** (2006.01)

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**DE 10238865 A1 20040311**; AR 043046 A1 20050713; AU 2003258620 A1 20040430; BR 0313790 A 20050712; CA 2496563 A1 20040325; CN 1678591 A 20051005; EA 012834 B1 20091230; EA 200500301 A1 20060224; EC SP055615 A 20050530; EP 1534689 A1 20050601; HR P20050179 A2 20060531; JP 2006507246 A 20060302; KR 20050040928 A 20050503; MX PA05002158 A 20050523; NO 20050068 L 20050304; PE 20040974 A1 20050112; PL 375344 A1 20051128; RS 20050173 A 20070921; TW 200413332 A 20040801; UA 82853 C2 20080526; WO 2004024702 A1 20040325; ZA 200500086 B 20060726

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