

Title (en)  
QUADRATIC ACID II DERIVATIVES

Title (de)  
QUADRATSÄUREDERIVATE II

Title (fr)  
DERIVES D'ACIDE QUADRATIQUE II

Publication  
**EP 1910312 A1 20080416 (DE)**

Application  
**EP 06762312 A 20060630**

Priority  
• EP 2006006379 W 20060630  
• DE 102005035742 A 20050729

Abstract (en)

[origin: DE102005035742A1] Cyclobut-3-ene-1,2-dione derivatives (I) and their derivatives, solvates, salts, tautomers and stereoisomers, including mixtures in all proportions, are new. Cyclobut-3-ene-1,2-dione derivatives of formula (I) and their pharmaceutically useful derivatives, solvates, salts, tautomers and stereoisomers, including mixtures in all proportions, are new. R : fused heterocyclic residue of formula (i)-(viii); X : (CH<sub>2</sub>)<sub>n</sub>, CHA, NH, NA or 3-6C cycloalkane-1,1-diyl; X' : (CH<sub>2</sub>)<sub>n</sub>; R<sup>1</sup>>H, A, halo, COA, cyano, COOH, COOA or CONH<sub>2</sub>; R<sup>2</sup>>OH, OA, NH<sub>2</sub>, NHA, NAA', halo, A, CONH<sub>2</sub>, CONHA, CONAA', CONHAr, CONHHet, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHA, SO<sub>2</sub>NAA', SO<sub>2</sub>NHAr, SO<sub>2</sub>NHHet, NHSO<sub>2</sub>A, NHSO<sub>2</sub>Ar, NHSO<sub>2</sub>Het, NHCOA, NHCOAr or NHCOHet; R<sup>3</sup>>H, thiol, A, COOH, COOA, CONH<sub>2</sub>, CONHA or CONAA'; R<sup>4</sup>>H, A, COOA, CONH<sub>2</sub>, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHA or CH<sub>2</sub>NAA'; R<sup>5</sup>>H, A or COA; R<sup>6</sup>>H, A, hydroxy, NH<sub>2</sub>, NHA or NAA'; Ar : phenyl, naphthyl or biphenyl (all optionally substituted by 1-5 A, OA, hydroxy, thiol, SA, halo, nitro, cyano, (CH<sub>2</sub>)<sub>n</sub>Ar', (CH<sub>2</sub>)<sub>n</sub>COOH, (CH<sub>2</sub>)<sub>n</sub>COOA, CHO, COA, SO<sub>2</sub>A, CONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, CONHA, CONAA', SO<sub>2</sub>NHA, SO<sub>2</sub>NAA', NH<sub>2</sub>, NHA, NAA', OCONH<sub>2</sub>, OCONHA, OCONAA', NHCOA, NHCOOA, NACOOA, NHSO<sub>2</sub>OA, NASO<sub>2</sub>OA, NHCONH<sub>2</sub>, NACONH<sub>2</sub>, NHCONHA, NACONHA, NHCONAA', NACONAA' and/or NHCO(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>); Ar' : phenyl, naphthyl or biphenyl (all optionally substituted by 1-3 A, OA, hydroxy, thiol, SA, halo, nitro, cyano, (CH<sub>2</sub>)<sub>n</sub>phenyl, (CH<sub>2</sub>)<sub>n</sub>COOH, (CH<sub>2</sub>)<sub>n</sub>COOA, CHO, COA, SO<sub>2</sub>A, CONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, CONHA, CONAA', SO<sub>2</sub>NHA, SO<sub>2</sub>NAA', NH<sub>2</sub>, NHA, NAA', OCONH<sub>2</sub>, OCONHA, OCONAA', NHCOA, NHCOOA, NACOOA, NHSO<sub>2</sub>OA, NASO<sub>2</sub>OA, NHCONH<sub>2</sub>, NACONH<sub>2</sub>, NHCONHA, NACONHA, NHCONAA' and/or NACONAA'); Het : mono- or bi-cyclic, saturated, unsaturated or aromatic heterocycle with 1-4 O, N and/or S (optionally substituted by 1-3 A, OA, hydroxy, thiol, SA, halo, nitro, cyano, (CH<sub>2</sub>)<sub>n</sub>Ar', (CH<sub>2</sub>)<sub>n</sub>COOH, (CH<sub>2</sub>)<sub>n</sub>COOA, CHO, COA, SO<sub>2</sub>A, CONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, CONHA, CONAA', SO<sub>2</sub>NHA, SO<sub>2</sub>NAA', NH<sub>2</sub>, NHA, NAA', OCONH<sub>2</sub>, OCONHA, OCONAA', NHCOA, NHCOOA, NACOOA, NHSO<sub>2</sub>OA, NASO<sub>2</sub>OA, NHCONH<sub>2</sub>, NACONH<sub>2</sub>, NHCONHA, NACONHA, NHCONAA', NACONAA', =S, =NH, =NA and/or =O); Het<sup>1</sup>>mononuclear saturated heterocycle with 1-2 N and/or O, (optionally substituted by 1 or 2 A, OA, hydroxy, halo and/or =O); A and A' : 1-10C alkyl (optionally substituted by 1-7 fluoro and/or chloro); halo : fluoro, chloro, bromo or iodo; m : 2-5; and n : 0-2. Independent claims are included for the following: (1) preparation of (I); and (2) kit containing, in separate parts, (I) and a second pharmaceutical. [Image] [Image] [Image] [Image] ACTIVITY : Cytostatic; Antidiabetic; Anorectic; Antilipemic; Hypotensive; Cardiant; Nephrotropic; Antiinflammatory; Antithrombotic; Ophthalmological; Antibacterial; Nootropic; Auditory; Neuroprotective; Antiarteriosclerotic. MECHANISM OF ACTION : Kinase inhibitor; Serine-threonine kinase inhibitor.

IPC 8 full level

**C07D 235/06** (2006.01); **A61K 31/343** (2006.01); **A61K 31/4188** (2006.01); **A61P 35/00** (2006.01); **C07D 209/80** (2006.01); **C07D 231/56** (2006.01); **C07D 277/64** (2006.01); **C07D 307/82** (2006.01); **C07D 471/04** (2006.01); **C07D 513/04** (2006.01)

CPC (source: EP US)

**A61P 1/04** (2017.12 - EP); **A61P 1/16** (2017.12 - EP); **A61P 3/00** (2017.12 - EP); **A61P 3/04** (2017.12 - EP); **A61P 3/06** (2017.12 - EP); **A61P 3/10** (2017.12 - EP); **A61P 7/00** (2017.12 - EP); **A61P 7/04** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 9/04** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 9/12** (2017.12 - EP); **A61P 9/14** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 13/00** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/02** (2017.12 - EP); **A61P 17/06** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 25/08** (2017.12 - EP); **A61P 25/22** (2017.12 - EP); **A61P 25/28** (2017.12 - EP); **A61P 27/02** (2017.12 - EP); **A61P 27/06** (2017.12 - EP); **A61P 27/12** (2017.12 - EP); **A61P 27/16** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 31/00** (2017.12 - EP); **A61P 31/04** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 35/02** (2017.12 - EP); **A61P 35/04** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 209/80** (2013.01 - EP US); **C07D 231/56** (2013.01 - EP US); **C07D 235/04** (2013.01 - EP US); **C07D 235/06** (2013.01 - EP US); **C07D 249/18** (2013.01 - EP US); **C07D 277/62** (2013.01 - EP US); **C07D 277/64** (2013.01 - EP US); **C07D 307/82** (2013.01 - EP US); **C07D 471/04** (2013.01 - EP US); **C07D 513/04** (2013.01 - EP US)

Citation (search report)

See references of WO 2007014608A1

Citation (examination)

WO 2007022858 A1 20070301 - MERCK PATENT GMBH [DE], et al

Designated contracting state (EPC)

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU LV MC NL PL PT RO SE SI SK TR

DOCDB simple family (publication)

**DE 102005035742 A1 20070201**; AR 054877 A1 20070725; AU 2006275161 A1 20070208; CA 2616669 A1 20070208; EP 1910312 A1 20080416; JP 2009505958 A 20090212; US 2008234266 A1 20080925; WO 2007014608 A1 20070208

DOCDB simple family (application)

**DE 102005035742 A 20050729**; AR P060103277 A 20060728; AU 2006275161 A 20060630; CA 2616669 A 20060630; EP 06762312 A 20060630; EP 2006006379 W 20060630; JP 2008523157 A 20060630; US 99707306 A 20060630