Title (en)

PRODRUGS OF ER-BETA-SELECTIVE SUBSTANCES METHOD FOR PRODUCTION THEREOF AND PHARMACEUTICAL COMPOSITIONS COMPRISING THE SAME

Title (de)

PRODRUGS ER-BETA-SELEKTIVER SUBSTANZEN, VERFAHREN ZU DEREN HERSTELLUNG UND DIESE VERBINDUNGEN ENTHALTENDE PHARMAZEUTISCHE ZUSAMMENSETZUNGEN

Title (fr)

PROMEDICAMENTS DE SUBSTANCES ER-BETA-SELECTIVES, PROCEDES DE REALISATION ASSOCIES ET COMPOSITIONS PHARMACEUTIQUES CONTENANT CES COMPOSES

Publication

EP 1957514 A1 20080820 (DE)

Application

EP 06829356 A 20061127

Priority

- EP 2006011728 W 20061127
- DE 102005057225 A 20051129

Abstract (en)

[origin: DE102005057225A1] Sulfamoyl compounds (I) of 8beta -substituted estratrienes and their pharmaceutically acceptable salts are new. Sulfamoyl compounds, of formula STEROID-Z (I), of 8beta -substituted estratrienes and their pharmaceutically acceptable salts are new. Z : grop of formula (a); n : 0-4; one of R 1>, R 2,> R 3>-SO 2NH 2 or -NHSO 2NH 2; others of R 1>, R 2>, R 3>, X, X 1>H, halo, cyano, nitro, 1-6C alkyl, C pF 2p+1, OCOR 20>, COOR 20>, OR 20>, CONHR 20> or OCONHR 21>; p : 1-3; R 20>, R 21>1-5C alkyl, 3-8C cycloalkyl, aryl, 1-4C alkylene-(aryl or 3-8C cycloalkyl) or 3-6C cycloalkylene(1-4C)alkyl, and R 20> may also be H; STEROID : group of formula (b); R 31>group Z shown above; R 17>hydroxy, tri(1-4C alkyl)silyloxy or OCOR 20>; alternatively, R 17>Z and then R 31> = hydroxy, methoxy, tri(1-4C alkyl)silyloxy or OCOR 20>; R 8>linear or branched, optionally partly or entirely halogenated, alkyl, alkenyl or alkynyl of up to 3 C; and R 16>H, halo or Me, and R 16> and R 17> may be in alpha or beta positions. An independent claim is also included for the preparation of (I). [Image] ACTIVITY : Antiinfertility; Osteopathic; Cardiant; Vasotropic; Antiinflammatory; Antiarthritic; Antirheumatic; Immunosuppressive; Cytostatic; Gynecological. MECHANISM OF ACTION : Carboanhydrase (CA) inhibitor. (3'-Hydroxy-8'beta -vinylestra-1',3',5'(10')-trien-17'beta -yl)-3-sulfamoylbenzoate (Ia) showed IC50 against CAI and CAII of 3900 and 570 nM, respectively.

IPC 8 full level

C07J 41/00 (2006.01); **A61K 31/565** (2006.01); **A61P 5/30** (2006.01)

CPC (source: EP KR)

A61K 31/565 (2013.01 - KR); **A61P 1/04** (2017.12 - EP); **A61P 5/24** (2017.12 - EP); **A61P 5/30** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 13/08** (2017.12 - EP); **A61P 15/08** (2017.12 - EP); **A61P 15/12** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 17/14** (2017.12 - EP); **A61P 19/00** (2017.12 - EP); **A61P 19/10** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 37/00** (2017.12 - EP); **A61P 37/02** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07J 41/00** (2013.01 - KR); **C07J 41/0072** (2013.01 - EP)

Citation (search report)

See references of WO 2007062876A1

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

Designated extension state (EPC)

AL BA HR MK RS

DOCDB simple family (publication)

DE 102005057225 A1 20070531; AU 2006319382 A1 20070607; BR PI0619237 A2 20110920; CA 2630438 A1 20070607; CN 101316856 A 20081203; CR 9997 A 20080922; EA 200801272 A1 20081030; EC SP088482 A 20080630; EP 1957514 A1 20080820; JP 2009517426 A 20090430; KR 20080072087 A 20080805; NO 20082918 L 20080627; WO 2007062876 A1 20070607; ZA 200805658 B 20100127

DOCDB simple family (application)

DE 102005057225 A 20051129; AU 2006319382 A 20061127; BR PI0619237 A 20061127; CA 2630438 A 20061127; CN 200680044792 A 20061127; CR 9997 A 20080520; EA 200801272 A 20061127; EC SP088482 A 20080529; EP 06829356 A 20061127; EP 2006011728 W 20061127; JP 2008542680 A 20061127; KR 20087015777 A 20080627; NO 20082918 A 20080627; ZA 200805658 A 20080627