

Title (en)
17 BETA-ALKYL-17 ALPHA-OXY-ESTRATRIENES

Title (de)
17 BETA-ALKYL-17 ALPHA-OXY-ESTRATRIENE

Title (fr)
17 BETA-ALKYL-17 ALPHA-OXY-ESTRATRIÈNES

Publication
EP 2437746 A2 20120411 (DE)

Application
EP 10722316 A 20100526

Priority
• EP 2010003204 W 20100526
• EP 09075249 A 20090604
• EP 10722316 A 20100526

Abstract (en)
[origin: EP2258375A1] 17-beta -Alkyl-17-alpha -oxy-estratriene compounds (I) and their enantiomers, diastereomers, salts, solvate or salt of the solvates, are new. 17-beta -Alkyl-17-alpha -oxy-estratriene compounds of formula (I) and their enantiomers, diastereomers, salts, solvate or salt of the solvates, are new. Hal : F or C, where Hal is bonded to the 11-beta position of the estratriene component; R 1>, R 2>, R 4> : H, F, Cl or Br; R 3>, R17a : H, 1-4C-alkyl or 1-4C-alkanoyl; R17b : 1-4C-alkyl, 2-4C-alkenyl or 2-4C-alkynyl optionally fluorinated, where R17a is bonded to 17-alpha position of the estratriene component, and R17b is bonded to the 17-beta position of the estratriene component; U 1> : 1-13C-alkylene, 2-13C-alkenylene, 2-13C-alkynylene or A-B 1>; A : benzylidene or phenylene (which is bonded to estratriene component over CH 2) or 1-3C-alkylene-phenylene residue bonded to estratriene component over alkyl group; B 1> : 1-13C-alkylene, 2-13C-alkenylene or 2-13C-alkynylene, where A and B 1>are bonded over O atom; V 1> : methylene or -C(O)-; X : a bond or (1-3C)-alkylene; either R 5> : H, 1-4C-alkyl, 2-4C-alkenyl or 2-4C-alkynyl; and R 6> : H, CH 2R 6>or C(O)R 7>; or R 5>R 6> : non-fluorinated or partially fluorinated 1-6C-alkyl, 2-6C-alkenyl or 2-6C-alkynyl (optionally substituted by OH); or R 5>R 6>X, R 5>R 6>N : 4-6 membered heterocycle ring, which optionally further contains a heteroatom or a carbonyl group; R 7> : H; Y 1> : 5-8C-alkylene; and E 1> : 1-4C-perfluoroalkyl or phenyl (both 1-5 times substituted by halo or CF 3). An independent claim is included for a leaving group containing 17-beta -alkyl-17-alpha -oxy-estratriene compound of formula (IX). LG : a sulfonate group or halo. [Image] [Image] ACTIVITY : Cytostatic; Antiinfertility; Endocrine-Gen.; Gynecological; Contraceptive. MECHANISM OF ACTION : None given.

IPC 8 full level
A61K 31/56 (2006.01); **C07J 3/00** (2006.01)

CPC (source: EP KR US)
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C07C 215/30 (2013.01 - KR); **C07J 3/00** (2013.01 - KR); **C07J 41/0072** (2013.01 - EP US); **C07J 43/003** (2013.01 - EP US)

Citation (search report)
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Designated contracting state (EPC)
AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO SE SI SK SM TR

Designated extension state (EPC)
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EP 2258375 A1 20101208; AR 076980 A1 20110720; AU 2010256035 A1 20111222; BR PI1013017 A2 20160329; CA 2764249 A1 20101209; CL 2011003050 A1 20120615; CN 102802634 A 20121128; CO 6470855 A2 20120629; CR 20110647 A 20120216; CU 20110224 A7 20120415; DO P2011000374 A 20120115; EA 201101703 A1 20120629; EC SP11011501 A 20120131; EP 2437746 A2 20120411; IL 216524 A0 20120229; JP 2012528808 A 20121115; KR 20120042827 A 20120503; MA 33329 B1 20120601; MX 2011012878 A 20120112; NZ 596824 A 20130726; PE 20120318 A1 20120324; SG 176630 A1 20120130; TN 2011000617 A1 20130524; TW 201103547 A 20110201; US 2012157421 A1 20120621; UY 32688 A 20101231; WO 2010139411 A2 20101209; WO 2010139411 A3 20110310; ZA 201200036 B 20130626

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EP 09075249 A 20090604; AR P100101978 A 20100604; AU 2010256035 A 20100526; BR PI1013017 A 20100526; CA 2764249 A 20100526; CL 2011003050 A 20111202; CN 201080034280 A 20100526; CO 11166108 A 20111202; CR 20110647 A 20111202; CU 20110224 A 20111202; DO 2011000374 A 20111202; EA 201101703 A 20100526; EC SP11011501 A 20111202; EP 10722316 A 20100526; EP 2010003204 W 20100526; IL 21652411 A 20111122; JP 2012513491 A 20100526; KR 20127000101 A 20100526; MA 34401 A 20111201; MX 2011012878 A 20100526; NZ 59682410 A 20100526; PE 2011002048 A 20100526; SG 2011089034 A 20100526; TN 2011000617 A 20111202; TW 99118274 A 20100604; US 201013376194 A 20100526; UY 32688 A 20100603; ZA 201200036 A 20120103