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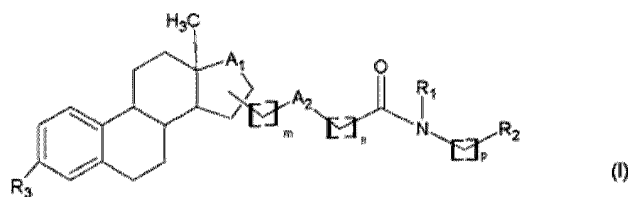
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(54) Title: IRREVERSIBLE 17BETA-HSD1 INHIBITORS



(57) Abstract: Compounds of formula (I), pharmaceutical compositions thereof, and kits thereof, are disclosed wherein A₁ is C(O) or CHR_{Z1} with R_{Z1} being OH, amine, imine, halogen, (C₁-C₅)alkoxy, OC(O)(C₁-C₅)alkyl, or OSO₂-amine; A₂ is CH₂ or O; R₁ is hydrogen, (C₁-C₅)alkyl optionally substituted with one or more Z substituents, (C₁-C₅)alkoxy optionally substituted with one or more Z substituents, (C₂-C₅)alkenyl optionally substituted with one or more Z substituents, (C₂-C₅)alkynyl optionally substituted with one or more Z substituents, (C₃-C₈)cycloalkyl, aryl, or heteroaryl; Z is halogen, OH, (C₁-C₅)alkyl, (C₁-C₅)haloalkyl, (C₁-C₅)alkoxy, (C₁-C₅)haloalkoxy, or amine; R₂ is a heterocyclic aromatic ring having 5, 6 or 7 members having at least one N, S and/or O; R₃ is a (C₁-C₅)alkyl substituted with one or more Br, Br⁷⁶, I, I¹²³, I¹²⁴, or I¹³¹; m is an integer from 0 to 2; n is an integer from 0 to 2; and p is an integer from 0 to 5. Compounds of Formula I are inhibitors of 17β-Hydroxysteroid dehydrogenase type 1 (17β-HSD1) and are for use in the treatment or prevention of steroid hormone dependent disease, such as breast cancer, lung cancer, prostate carcinoma, ovarian cancer, uterine cancer, endometrial cancer and endometrial hyperplasia.

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