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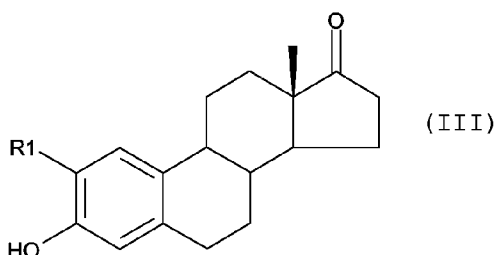
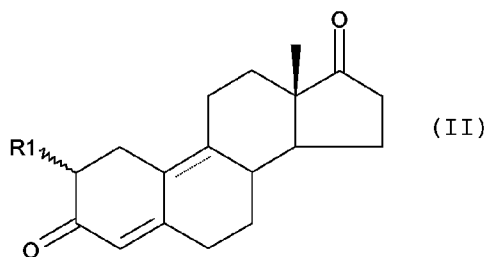
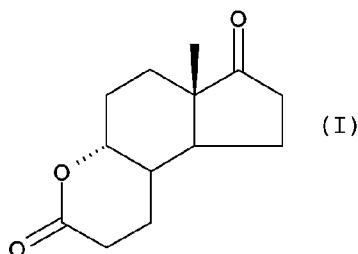
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(54) Title: PROCESS FOR THE PREPARATION 2-SUBSTITUTED-DERIVATIVES OF ESTRONE AND ESTRADIOL



(57) Abstract: The invention provides a process for the preparation of 2- substituted-derivatives of estrone and estradiol comprising i) the preparation of a compound of general formula (II) by reacting a compound of general formula (I) in one or more steps to a compound of general formula II wherein R1 is a C1-C10 alkyl, alkenyl or aryl group; -C1, -Br, -I, -F; -CN; -OH; or a -OR2, -O(CO)R2 or -R2-OH group, wherein R2 is an alkyl or alkylene group having 1-6 C atoms; and the bonding between atoms 9 and 10 is a single or a double bond. ii) aromatization of the compound of general formula II to a compound of general formula III wherein R1 and R2 have the above defined meanings; and iii) optionally, reduction of the compound of general formula III to a compound of general formula IV wherein R1 and R2 have the above defined meanings. Furthermore the invention provides several novel compounds, which can be intermediates in the above process, and processes to prepare these novel compounds. The invention also provides 2-alkoxy-estrone, 2-alkoxy- estradiol or mixtures thereof essentially free from other estrogenic intermediates.



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