

Title (en)
PROCESS FOR PREPARING 7-ALKOXYCARBONYL SUBSTITUTED STEROIDS

Title (de)
VERFAHREN ZUR HERSTELLUNG VON 7-ALKOXYCARBONYLSUBSTITUIERTEN STEROIDEN

Title (fr)
PROCESSUS DE PREPARATION DE STEROIDES 7-ALCOXYCARBONYLE SUBSTITUE

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Abstract (en)
[origin: WO2006032970A2] Processes are described for the conversion of a steroid substrate having a 4,7-carbonyl bridge to a structure comprising a 7a-alkoxycarbonyl substituent by reaction of the substrate with an alkoxy group source, preferably in the presence of a base. Several optional process modifications are described. The reaction may be conducted at a temperature greater than about 70°C, with substantially shorter residence times than are required at lower temperatures. A saponification target may be incorporated into the reaction medium to consume free hydroxide compounds. The product 7a-alkoxycarbonyl compound may be recovered by crystallization, residual steroid values may be recovered from the crystallization mother liquor by extraction, and the extract may be processed to produce a repulp solution wherein the steroids may be re-equilibrated to produce additional 7a-alkoxycarbonyl substituted steroid product. Alternatively, the repulp solution may be recycled to a primary reactor wherein 4,7-carbonyl bridge substrate is converted to 7a-alkoxycarbonyl product. The process is particularly useful in the preparation of eplerenone, wherein a diketone intermediate comprising a 4,7-carbonyl bridge is reacted with an alkali metal methoxide to yield an 11a-hydroxy-7a-methoxycarbonyl compound (hydroxyester), the 11a-hydroxy group is converted to a leaving group which is then abstracted to produce a ?-9,11 enester, and the enester is epoxidized to eplerenone. Also disclosed is an epoxidation reaction conducted at relatively low hydrogen peroxide to enester substrate ratio.

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