

论文

抗孕激素活性甾族化合物:7 $\alpha$ -和7 $\beta$ -甲基-A-环失碳双炔雄甾醇的合成

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摘要:

本文报道利用甾丸酮为原料,经脱氢和二甲基铜锂试剂甲基化,制备7-甲基甾丸酮。采用结晶法分离7 $\alpha$ -和7 $\beta$ -异构体后,分别进行锂氢还原、氧化、环合及炔锂炔化等反应,合成7 $\alpha$ -和7 $\beta$ -甲基-A-环失碳-2 $\alpha$ ,17 $\alpha$ -双乙炔基-5 $\alpha$ -雄甾-2 $\beta$ ,17 $\beta$ -二醇(II a, 和II b),经初步药理试验表明,II a对大鼠具有较强的抗孕激素活性,口服剂量在2.5 mg/kg时,能明显抑制假孕大鼠蜕膜瘤的生长。抗早孕最低口服有效剂量为3.5 mg/kg/4 d,II b则较弱。

关键词: 抗孕激素化合物 二甲基铜锂试剂 7 $\alpha$ -甲基-A-环-失碳-2 $\alpha$ ,17 $\alpha$ -双乙炔基-5 $\alpha$ -雄甾-2 $\beta$ ,17 $\beta$ -二醇 7 $\beta$ -甲基-A-环-失碳-2 $\alpha$ ,17 $\alpha$

ANTI PROGESTATIONAL STEROIDS: SYNTHESIS OF 7 $\alpha$ -AND 7 $\beta$ -METHYL-A-NOR-5 $\alpha$ -ANDROSTANE-2 $\alpha$ , 17 $\alpha$ -DIETHYNYL-2 $\beta$ , 17 $\beta$ -DIOL

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Abstract:

Introducing a methyl group at the 7-position of steroids may increase the biological activity of the alkylated derivative compared to the corresponding parent compound. Considering the various antiprogestational agents such as RMI 12,936 which possesses this structural, feature, we introduced a 7-methyl group into Anordrin, an effective antifertility agent, to yield 7 $\alpha$ - and 7 $\beta$ -methyl-A-nor-5 $\alpha$ -androstane-2 $\alpha$ , 17 $\alpha$ -diethynyl-2 $\beta$ , 17 $\beta$ -diol. The synthesis was accomplished by six steps from testosterone as shown in scheme 1 with good yield. Preliminary pharmacological results indicate that II a exhibited significant inhibition on the decidual reaction in pseudopregnant rats at an oral dose of 2.5 mg/kg. It was shown to be effective in anti-implantation and termination of early pregnancy in female rats, when given orally at a dose of 2.5 mg/kg/d for 4 days and 3.5 mg/kg/d for 4 days respectively. II b at a dose 10 mg/kg/d for 4 days showed only 30-40% efficacy in termination of early pregnancy.

Keywords: Dimethyl copper lithium reagent 7 $\alpha$ -Methyl-A-nor-5 $\alpha$ -androstane-2 $\alpha$ , 17 $\alpha$ -diethynyl-2 $\beta$ , 17 $\beta$ -diol 7 $\beta$ -Methyl-A-nor-5 $\alpha$ -androstane-2 $\alpha$ , 17 $\alpha$ -diethynyl-2 $\beta$ , 17 $\beta$ -diol Antiprogestational agent

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