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论文

甾体激素 Ⅱ.9α-氟副肾皮质激素的新合成路线

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摘要:

自16*α*,17*α*-环氧孕甾-4-烯-11*α*-醇-3,20-二酮(Ⅰ)經对甲苯磺酰化和消去反应得到16*α*,17*α*-坏氧孕甾-4,9(11)-二烯-3,20-二酮(Ⅱ),用氫溴酸打开环氧。氫解脫溴得到孕甾-4,9(11)-二烯-17*α*-醇-3,20-二酮(Ⅲ),引入C_{21}-OAc得到孕甾-4,9(11)-二烯-17*α*,21-二醇-3,20-二酮21-醋酸脂(Ⅳ),然后按已知方法合成9*α*-氟-可的唑(Ⅵ).(Ⅵ)对(Ⅰ)的收率为17.4%理論。也进行了由(Ⅲ)先引入9*β*,11*β*-环氧,然后引入C_{21}-OAc以合成9*α*-氟可的唑的試探。

关键词:

STEROID HORMONES——Ⅱ.ALTERNATIVE ROUTE TO THE SYNTHESIS OF 9α-FLUORO-CORTICOIDS

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Abstract:

The method of Ringold and Stork for the introduction of cortical hormone side chain was extended to the 9(11)-unsaturated steroid analogue. Thus, starting from 16*α*,17*α*-epoxypregn-4-en-11*α*-ol-3, 20-dione (Ⅰ), tosylation and elimination of the tosylate group gave 16*α*, 17*α*-epoxypregn-4, 9(11)-dien-3, 20-dione (Ⅱ), cleavage of the epoxide ring with hydrobromic acid and debromination by hydrogenolysis gave pregn-4, 9(11)-dien-17*α*-ol-3, 20-dione (Ⅲ), then introduction of the 21-acetoxy group gave pregn-4, 9(11)-dien-17*α*, 21-diol-3, 20-dione 21-acetate (Ⅳ), from which 9*α*-fluorocortisol (Ⅵ) was obtained by known method. The overall yield of (Ⅵ) to (Ⅰ) was 17.4 per cent of theory. An alternative synthesis proceeding through epoxidation of (Ⅲ) prior to the introduction of 21-acetoxy group was also shown to be workable.

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