药学学报 1964, 11(10) 659-664 DOI: ISSN: CN:

论文

17α-甲基睾丸素及其某些衍生物对去势大鼠和小鼠同化作用的观察

张均田;雷海鹏

中国医学科学院药物研究所药理学系,北京

摘要:

本文用去势大鼠和小鼠研究17*α*-甲基睾丸素(Ⅰ)及一些衍生物的同化和雄性素样作用。同化作用指标是观察大、小鼠提肛肌和小鼠肾脏重量的增加,雄性素样作用是以精囊重量的增加来表示。结果指出,I的双氢衍生物——17*α*-甲基-(5*α*-雄甾烷-17*β*-羟-3-酮(Ⅱ)和17*α*-甲基-(5*α*)-雄甾烷-3*β*,17*β*-二羟(Ⅲ)的口服剂量为30毫克/公斤时,在去势大鼠的同化和雄性素样作用与I无显著不同。剂量12.5毫克/公斤肌肉注射时,则Ⅱ对去势小鼠的同化作用比I显著增强,雄性素样作用与I无显著不同;而Ⅲ的同化作用和雄性素样作用均有减弱。17*α*-甲基-(5*α*)-雄甾烷-17*β*-羟(Ⅳ)系I的3位脱氧衍生物,当給去势大鼠口服30毫克/公斤时,其同化作用比I显著增强;給去势小鼠肌肉注射12.5毫克/公斤时,其同化作用与I大致相同,但雄性素样作用只有I的1/3,因之,同化与雄性素样作用比值高至3.63—4.50。睾丸素17位脱氧衍生物——5*α*-雄甾烷-3-羟(Ⅴ)和5*α*-雄甾烷-3-酮(Ⅵ)的剂量为30—40毫克/公斤时,无論口服或注射,在去势大鼠和小鼠均不出現同化和雄性素样作用。以上結果表明,将化合物I 4,5位氫化和3位脱氧,可使同化作用:雄性素样作用比值明显提高,17位脱氧則失去同化和雄性素样作用。

关键词:

THE ANABOLIC AND ANDROGENIC ACTIVITIES OF 17*α*-METHYLTESTOSTERONE AND RELATED STEROIDS IN CASTRATED RATS AND MICE

CHANG CHUN-T'IEN LEI HAI-P'ENG

Abstract:

17*α*-Methyltestosterone (Ⅰ) and related steroids were studied for their anabolic and androgenic activities in castrated rats and mice. For rats the levator ani weight was taken as the criterion of anabolic activity, and the weight of the seminal vesicles served as a measure of androgenic activity. For mice the same parameters were used and, besides, the kidney weight was employed as an additional measure of anabolic activity. Results indicated that the anabolic and androgenic activities of 17*α*-methyl-(5*α*)-androstane-17*β*-ol-3-one (Ⅱ) or 17*α*-methyl-(5*α*)-androstane-3*β*, 17*β*-diol (Ⅲ), 4,5-hydro-genated analogues of (Ⅰ), at a dosage of 30 mg/kg by garage in castrated rats, were not significantly different from those of Ⅰ. However, when the doses were reduced to 12.5 mg/kg, the anabolic activity of Ⅱ in castrated mice was much greater than that of Ⅰ, while the androgenic activity of the two compounds was approximately equal. The anabolic and androgenic activities.of Ⅲ were weaker as compared with those of Ⅰ.The compound 17*α*-methyl-(5*α*)-androstane-17*β*-ol (Ⅳ) (3-deoxy-analog of Ⅰ) at a daily dosage of 30 mg/kg by gavage in castrated rats was shown to be more active on the levator ani and the seminal vesicles than Ⅰ. In castrated mice, Ⅳ caused similar anabolic effects as Ⅰ in doses of 12.5 mg/kg, while its androgenic potency was only one third of that of Ⅰ. Castrated rats and mice were treated with 5*α*-androstane-3-ol and 5*α*-androstane-3-one (17-deoxy analogue of testosterone) by gavage or by injection at a dosage of 30 and 40 mg/kg. Neither anabolic nor androgenic activities were observed. From the above results, it may be concluded that removal of the oxygen atom from C_3 and hydrogenation at C_4 and C_5 in 17*α*-methyltestosterone molecule may increase anabolic and/or decrease androgenic activity, while removal of the oxygen from C_{17} of testosterone results in loss of both anabolic and androgenic activities. In view of the increase in anabolic activity by removal of the oxygen at C_3, synthesis of and pharmacological studies on a series of 3-deoxy analogues of testosterone and cortisone are in progress and will be reported in due course.

Keywords:

收稿日期 1963-09-27 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

参考文献：

本刊中的类似文章

文章评论 (请注意:本站实行文责自负, 请不要发表与学术无关的内容!评论内容不代表本站观点.)

反馈人		邮箱地址	
反馈标题		验证码	0179