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雌酮和16,17-吡唑雌酮对大鼠子宫雌激素受体的作用

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摘要:

16,17-吡唑雌酮(16,17-PES)是一个以雌酮为母体而合成的雌酮衍生物。前曾报道,它的雌激素样活性仅为雌酮的 1/3或1/15,但却具有与雌酮相同的降血脂作用。本研究用大鼠重复了雌激素活性的测定,获得与过去相似的结果。 同时证明,它们的雌激素活性与子宫雌激素受体浓度之间存在着平行关系,即经雌酮和16,17-PES处理的动物,无论按 每个子宫所含受体数目或每mg蛋白所含受体浓度,前者均显著高于后者。但体外试验表明,二者取代³H-雌二醇与雌 激素受体结合的竞争曲线几乎完全重叠,说明二者对受体的亲和力是相等的。大鼠注射同一剂量的雌酮和16,17-PES后,它们在子宫内的分布量分别为69.0和38.4µg/g,这一结果可用来解释二者雌激素活性的差异,也可解释子宫受 ▶ 引用本文 体数目的差异。油水分布系数的测定未能说明雌酮和16,17-PES在子宫分布量的不同。

关键词: 雌酮 16.17-吡唑雌酮 雌激素活性 雌激素受体

EFFECTS OF ESTRONE AND 16, 17-PYRAZOLEESTRONE ON ESTROGEN RECEPTOR OF **RAT UTERUS**

WANG Nai-Gong; LI He-Ping and ZHANG Jun-Tian

Abstract:

16,17-Pyrazoleestrone is a derivative of estrone. Experiments showed that the estrogenic activity of 16,17-pyrazoleestrone was weaker than that of estrone. When a series of doses were used, estrone simutaneously increased uterine weight and estrogen receptor concentration, while 16,17pyrazoleestrone exhibited no significant influence on both parameters in rats. In in vitro studies, however, the affinity of these compounds for estrogen receptor was found to be very similar. Since estrogen was shown to have higher distribution in rat uterus, the conclusion was then made that the difference of uterine estrogen receptor concentration between the rats treated with estrone and 16,17pyrazoleestrone may be attributed to the difference in distribution in uterus.

Keywords: 16, 17-pyrazoleestrone Estrogenic activity Estrogen receptor Estrone

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