

论文

骨靶向新化合物四环素 哌嗪雌酚酮的雌激素活性测定

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摘要:

为寻找副作用小而有抗骨质疏松作用的雌激素衍生物,用雌激素受体结合竞争抑制实验,小鼠阴道细胞学实验,幼雌小鼠子宫重量法分别研究了骨靶向新化合物四环素-哌嗪雌酚酮(XW630)的雌激素活性。结果表明:XW630与子宫组织雌激素受体的相对亲和力为0.011,而雌酚酮与雌二醇分别为0.325及1.000。XW630的雌激素活性指数及细胞学实验均表明XW630的雌激素活性明显小于雌酚酮及雌二醇。提示有抗骨质疏松活性的XW630由于其雌激素活性低,值得进一步研究。

关键词: 四环素-哌嗪雌酚酮 雌激素受体 雌激素活性 抗骨质疏松作用

THE ESTROGENIC ACTIVITIES OF 2-[3-ESTRONE-N-ETHYL-PIPERAZINE-METHYL] TETRACYCLINE(XW630)— A NEW COMPOUND WITH ANTI-OSTEOPOROSIS ACTIVITY

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Abstract:

2-[3-Estrone-N-ethyl-piperazine-methyl]tetracycline (XW630) showing anti-osteoporosis activity is a new compound with piperazine-tetracycline in the steroid structure of estrone. The affinity of XW630 with uterine estrogen receptor and its estrogenic activity were studied in this paper. The relative affinities of estrone, estradiol and XW630 with estrogen receptor (ER) of the uterine myoma tissues were found to be 0.325,1.000 and 0.011, respectively, by the competitive assay. The estrogenic activity index of estrone, estradiol and XW630 were shown to be 9.70,10.30 and 6.90, respectively, by the uterine weight assay using immature mice. These indexes indicate that: the estrogenic activity of XW630 is about 11960 that of estrone and 17742 that of estradiol. The vaginal smear assay of oophorectomized mice also showed that the estrogenic activity of XW630 is less than that of estrone and estradiol.

Keywords: Estrogen receptor Estrogenic activity Antiosteoporosis activity 2-[3-Estrone-N-ethyl-piperazine-methyl]tetracycline

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