Orally Active Derivatives of 1,3,5(10)-estratriene

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Technology description

Summary

The utility of estrogenic substances in the practice of medicine is well documented. Estrogens may be used for the replacement of the natural hormone estradiol in hypogonadism, and following the removal of the ovaries or cessation of ovarian activity during menopause. They are also widely employed as a component of oral contraceptives. However, orally-active synthetic estrogens are associated with a number of side effects, such as: enhanced risk of endometrial carcinoma; induction of malignant carcinoma, especially in the cervix, breast, vagina and liver; promotion of gallbladder disease, thromboembolic and thrombotic diseases, myocardial infarction, hepatic adenoma, elevated blood pressure, and hypercalcemia; and reduced glucose tolerance.
The NIH announces a new family of novel, active estrogens that are nitrate esters of estradiol. These nitrate esters possess enhanced estrogenic activity following oral administration and lack a 17-ethynyl alcohol, which has been implicated in many side effects attributed to other synthetic estrogens. It is anticipated that these esters could be used in all instances where estrogen is prescribed as a treatment.

Market:
The hormone replacement market exceeds one billion dollars per year, and the oral contraceptive market is more than three billion dollars per year.

Application area

Hormone replacement therapies
Oral contraceptives

Institution

NIH - National Institutes of Health

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