

Estrone

Estrone is an estrogen used to treat perimenopausal and postmenopausal symptoms.

Background

Estrone, one of the major mammalian estrogens, is an aromatized C18 steroid with a 3-hydroxyl group and a 17-ketone. It is produced in vivo from androstenedione or from testosterone via estradiol. It is produced primarily in the ovaries, placenta, and in peripheral tissues (especially adipose tissue) through conversion of androstenedione. Estrone may be further metabolized to 16-alpha-hydroxyestrone, which may be reduced to estriol by estradiol dehydrogenase.

Pharmacodynamics

Estrone, a synthetically prepared or naturally occurring steroidal estrogen obtained from pregnant equine urine, is the primary circulating estrogen after menopause. Estrone is naturally derived from the peripheral conversion of androstenedione by an aromatase enzyme found in adipose tissues and is converted to estradiol in peripheral tissues. The estrogenic potency of estrone is one third that of estradiol. Estropipate is piperazine-stabilized estrone sulfate. Estrone, and estropipate are used to treat abnormalities related to gonadotropin hormone dysfunction, vasomotor symptoms, atrophic vaginitis, and vulvar atrophy associated with menopause, and for the prevention of osteoporosis due to estrogen deficiency.

Mechanism of action

Estrogens enter the cells of responsive tissues (e.g. female organs, breasts, hypothalamus, pituitary) where they interact with estrogen receptors. Hormone-bound estrogen receptors dimerize, translocate to the nucleus of cells and bind to estrogen response elements (ERE) of genes. Binding to ERE alters the transcription rate of affected genes. Estrogens increase the hepatic synthesis of sex hormone binding globulin (SHBG), thyroid-binding globulin (TBG), and other serum proteins and suppress follicle-stimulating hormone (FSH) release from the anterior pituitary.

Toxicity

Symptoms of overdose include nausea and vomiting. Estrogen related side effects include nausea, breast tenderness, fluid retention and edema, headaches and/or migraines, chloasma and poor contact lens fit. Estrogen hormone deficiency is associated with breakthrough bleeding, hypomenorrhea, irritability, depression and menopausal symptoms. Withdrawal bleeds may occur in females.