Sex hormones (Steroids)

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Testosterone

IUPAC: (17β) -17-Hydroxyandrost-4-en-3-one

- O The androgen receptor exists in the cytoplasm bound to the heat shock proteins HSP90 and HSP70.
- O After binding to an androgen, the androgen receptor dissociates from HSP90 and undergoes a conformational change to slow the rate of dissociation from the androgen receptor.
- O The androgen-receptor complex is transported into the nucleus where it binds to DNA and induce expression of specific genes.

Uses: Testosterone is indicated to treat primary hypogonadism.

Progesterone

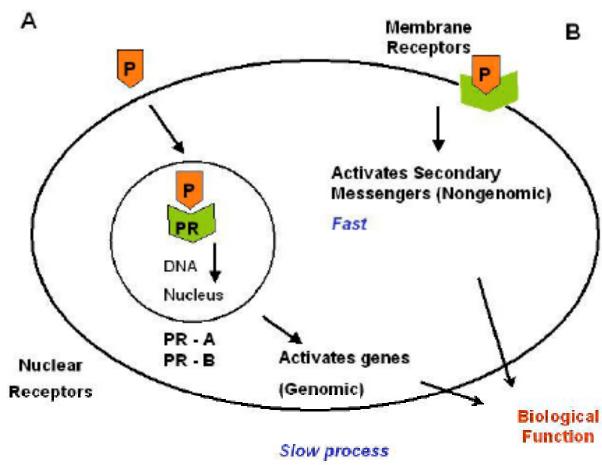
IUPAC: 17-Acetyl-10,13-dimethyl-tetradecahydro-3H-cyclopenta[a]phenanthren-3-one

o Progesterone binds and activates its nuclear receptor, *PR*, which plays an important part in the signaling of stimuli that maintain the endometrium during its preparation for pregnancy.

O Progesterone receptor (PR) is a member of the nuclear/steroid hormone receptor (SHR) family that is expressed primarily in female reproductive tissue as well as the central nervous system.

O As a result of its binding its associated steroid hormone prevent pregnancy by changing the consistency of cervical mucus to be unfavorable for sperm penetration, and by inhibiting folliclestimulating hormone (FSH), which normally causes ovulation.

Uses: This drug is indicated in amenorrhea and abnormal uterine bleeding due to hormonal imbalance. The tablet form of progesterone in contraceptive formulations is indicated for the prevention of pregnancy.



Nandralone

IUPAC: (17β) -17-Hydroxyestr-4-en-3-one

MOA

O It is a synthetic androgens and anabolic steroids .

O It acts as an agonists of the androgen receptor (AR), the biological target of androgens like testosterone and dihydrotestosterone (DHT).

O This action leads to growth of muscle, stimulation of appetite and increase in red blood cell production.

Uses: Nandrolone esters are used clinically, although increasingly rarely, for people in catabolic states with major burns, cancer, and AIDS.

Oestriol

MOA

IUPAC: $(16\alpha, 17\beta)$ -Estra-1(10), 2, 4-trien-3, 16, 17-triol

O The drug interacts with a cytoplasmic estrogen receptor.

O The estrogen receptor-ligand complex then enters the nucleus of the target cell, and regulate gene transcription which leads to formation of messenger RNA.

O The mRNA interacts with ribosomes to produce specific proteins that express the effect of estriol upon the target cell.

O Estrogens increase the hepatic synthesis of various proteins and suppress follicle-stimulating hormone (FSH) from the anterior pituitary.

Uses: Used as a test to determine the general health of an unborn fetus.

Oestradiol

IUPAC: (17β) -Estra-1(10),2,4-triene-3,17-diol

MOA

- O Estradiol works by acting as an agonist of estrogen receptor.
- Once the estrogen receptor has bound to its ligand, it enters the nucleus of the target cell, regulating gene transcription and formation of of messenger RNA.
- O This mRNA makes contact with ribosomes producing specific proteins that express the effect of estradiol upon the target cell.

Uses: It is used for the treatment of breast cancer and for the treatment of androgen-dependent prostate cancer.

Estrone

IUPAC: 1,3,5(10)-Estratrien-3-ol-17-one

MOA

O Estrogens enter the cells of responsive tissues (e.g. female organs, breasts, hypothalamus, pituitary) where they interact with estrogen receptors.

O Hormone-bound estrogen receptors dimerize, translocate to the nucleus of cells and bind to estrogen response elements (ERE) of genes.

O Binding to ERE alters the transcription rate of affected genes. Estrogens increase the hepatic synthesis of various proteins and suppress folliclestimulating hormone (FSH) release from the anterior pituitary.

Uses: For management of postmenopausal symptoms.

Diethylstilbestrol

IUPAC: (E)-3,4-Bis(p-hydroxyphenyl)-3-hexene

MOA

O It is a synthetic nonsteroidal estrogen. It diffuse into their target cells and interact with a protein receptor, the estrogen receptor.

O This causes downstream increases the hepatic synthesis of various proteins and suppress follicle-stimulating hormone (FSH) from the anterior pituitary.

Uses: Used in the treatment of prostate cancer.

O Used in the prevention of miscarriage or premature delivery in pregnant women prone to miscarriage or premature delivery.