







Chapter 12. Sex Hormones

Syllabus:

-  **Male Sex hormones:** Testosterone, Nandralone (19 nortestosterone),
-  **Female Sex Hormones:** Oestriol, Oestradiol, Oestrone, Diethyl stilbestrol
-  **Progesterone**

SEX HORMONE

-  The sex hormones, concern with the sexual processes and the development of Secondary characteristics which differentiate males from females.
-  These are the Steroidal hormones (estrogen and testosterone), which are synthesized in mammals by the ovary, testis, A. cortex, corpus luteum and placenta.
-  Sex hormones:
 - **Androgens (Male hormone):** Androsterone, Testosterone
 - **Oestrogens (Female/Follicular hormone):** oestrone, oestriol, oestradiol, stilbesterol, hexesterol
 - **Gestogens (Corpus luteum hormones):** Progesterone

12.1. MALE SEX HORMONES (ANDROGENS)

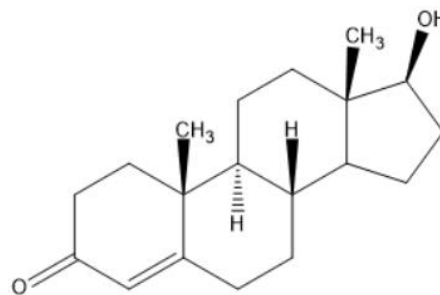
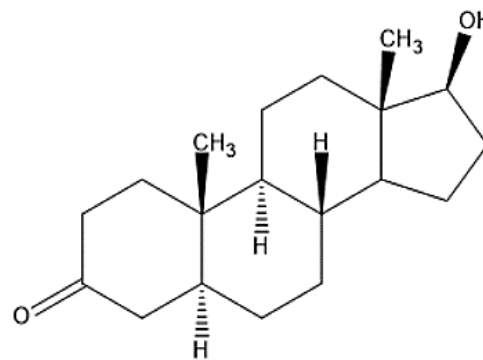
Androgens are biosynthesised by adrenal cortex and reproductive organs. Testosterone is the primary male sex hormone, which is produced in the testes (interstitial cells), stimulated by LH and responsible for the development of secondary sex characters in the male.

Biological Role

- ✓ Promote spermatogenesis
- ✓ Maturation of spermatozoa
- ✓ Develop and maintain primary organs of the male reproductive system
- ✓ Develop sexual behaviours
- ✓ In female, maintain libido and general wellbeing

Testosterone & Derivatives:

- 1. Testosterones:** Testosterone, Nandralone (19 nortestosterone), 17 α methyl testosterone, methandrosterone
- 2. Testosterone esters:** Testosterone 17 β propionate, Testosterone 17 β enanthate
- 3. Androgen Antagonist:** Megasterol acetate, Cyproterone acetate
- 4. 5 α Reductase Inhibitors:** Finasteride, Dutasteride

1) Testosterone**17 β -hydroxy-4-androsten-3-one****2) Dihydrotestosterone****17 β -hydroxy-5 α androstan-3-one**

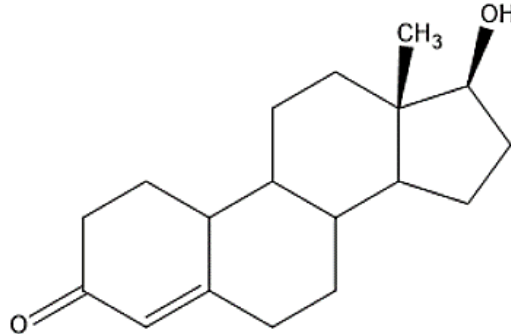
MOA: Testosterone and dihydrotestosterone (highly active metabolite) are moved inside the cell and bind with the Androgen Receptor (AR; a type of nuclear receptor), located genital and non-genital (muscle and bone) and promote the gene transcription and produce androgenic effects.

Uses:

- ✓ Used in hormone replacement therapy and in male infertility

- ✓ Used in acquired hypogonadism and associated with HIV infection
- ✓ In hypopituitarism

3) Nandralone (19 nortestosterone)



17 β -hydroxy-19 nor 4-androsten-3-one

MOA: Similar as testosterone

Uses:

- ✓ in postmenopausal metastatic breast carcinoma
- ✓ treatment of anaemia of chronic renal failure, breast carcinoma, fibrinogen excess, growth failure, and Turner's syndrome
- ✓ treatment of aplastic anaemia
- ✓ also used in veterinary medicine

12.2. FEMALE SEX HORMONES

Oestrogen biosynthesized in the by adrenal cortex and reproductive organs of females. Oestradiol is the prime sex hormone, which is produced in the ovary. Oestradiol played vital role in female for development of secondary sex organs.

Oestrogens Derivatives

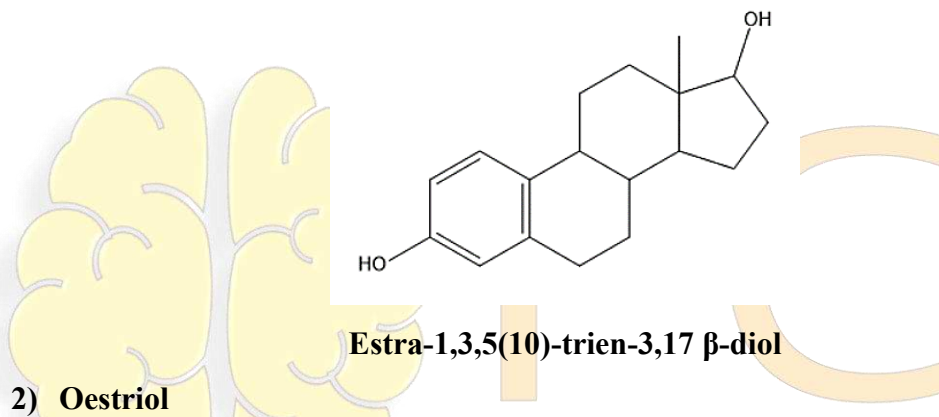
1. **Oestrogen hormone:** Estrone, Estradiol, Estriol
2. **Oestrogen esters:** Ethyl estradiol, Mestranol
3. **Conjugated Oestradiol ester:** Equilenin, Equillin
4. **Distilbesterol:** Distilbesterol, Bisphenol-A

Mechanism of Action: Oestrogen and derivatives activate the Oestrogen Receptor (nuclear receptor) and regulate the gene transcription.

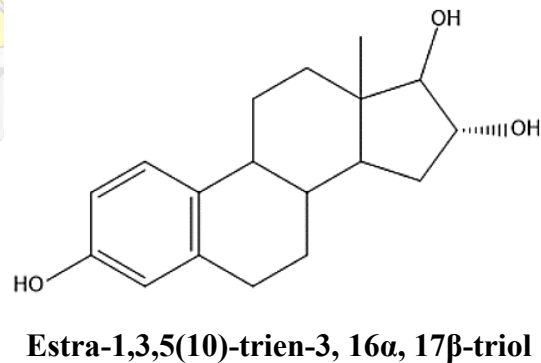
Uses:

- ✓ Maintenance the menopause
- ✓ Hormone replacement for female hypogonadism or primary ovarian failure and ovarian cancer
- ✓ Used to development of reproductive organs

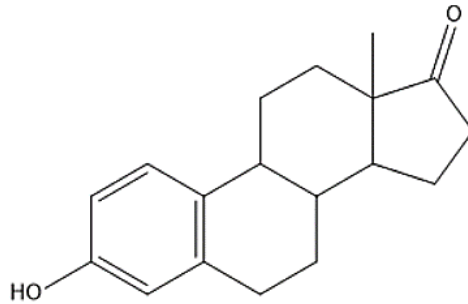
1) Oestradiol



2) Oestriol

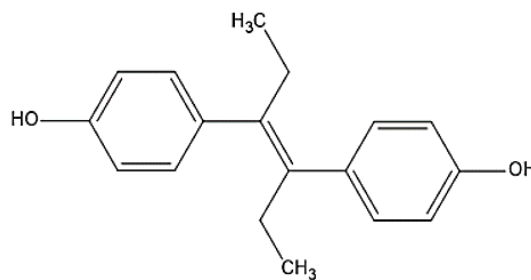


3) Oestrone



3 hydroxy-Estra-1,3,5(10)-trien-17-one

4) Diethyl stilbestrol



Diethyl-4,4-stilbendiol

*Trans form is more active than cis form

Uses:

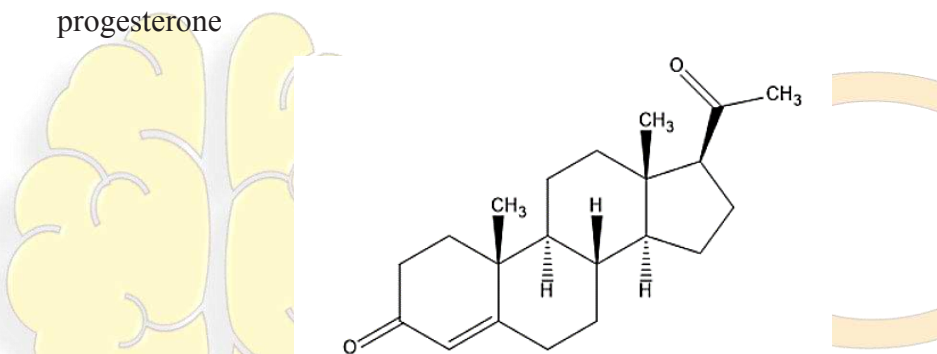
- ✓ DES is a synthetic nonsteroidal oestrogen has been used in the palliation of breast
- ✓ Used in prostate cancer
- ✓ Used in the malignant neoplasm of breast in postmenopausal woman

12.3. PROGESTERONE

The natural pregestational hormone or progestogen is secreted mainly by the corpus luteum in the second part of the menstrual cycle. Small amounts are also secreted by the testis in the male and the adrenal cortex in both sexes, and large amounts are secreted by the placenta. **Progesterone** is an endogenous steroid and progestogen sex hormone involved in the menstrual cycle, pregnancy, and embryogenesis of humans and other species

Progesterone and Derivatives

1. **Progesterone:** Progesterone, medroxy progesterone acetate, megestrol
2. **Synthetic:** Norgesterol, Levonorgesterol, Ethisterone, Dimethisterone, 19 nor progesterone



Pregn-4-ene-3, 20-dione

Mechanism of Action: Progesterone binds with progesterone receptor which located inside cells of female reproductive tract, the mammary glands, hypothalamus and pituitary. Progesterone receptor complex decrease the release of GnRH from the hypothalamus and rounded the pre-ovulatory luteinizing hormone rush.

Biological Role:

- ✓ Require for development for female reproductive organs.
- ✓ Require to increase the endometrial accessibility for implantation of an embryo.
- ✓ After implantation, it requires to maintain the pregnancy.
- ✓ Also involved in mammary glands development

Uses:

- ✓ Used as hormonal contraceptives
- ✓ Used with oestrogen for menopausal HRT
- ✓ Used in dysmenorrhoea
- ✓ Treatment of threatened miscarriage and prevention of recurrent miscarriage