School of Medical and Allied Sciences

Course Code: BPHT5003 Course Name: Pharmacology II

Androgens and Anabolic steroids

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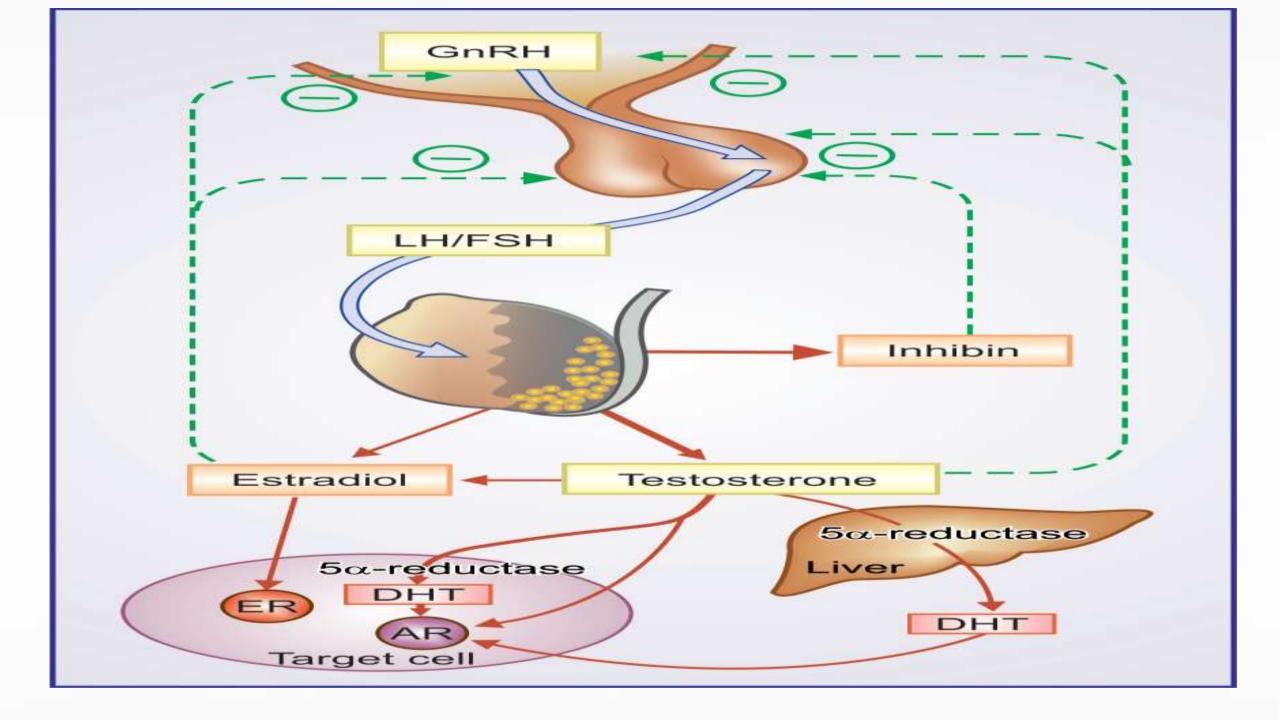
Androgens

These are substances which cause development secondary sex characters in the castrated male.

- Natural androgens
- Synthetic androgens

Regulation of secretion

Testosterone is secreted by the interstitial (Leydig) cells of the testes under the influence of pulsatile secretion of LH from pituitary. FSH is mainly responsible for promotion of spermatogenesis in tubular (Sertoli) cells.



ACTIONS:

- Sex organs and secondary sex characters (Androgenic)
- pubertal spurt of growth
- Erythropoiesis

Mechanism of Action:

Testosterone can largely be regarded as the circulating prohormone. In most target cells, the 4–5 double bond is reduced producing dihydrotestosterone— which binds more avidly with the cytoplasmic androgen receptor (AR), and this complex is more active than testosterone-receptor complex in combining with DNA. No subtypes of AR are known; both genital and nongenital (muscle, bone) cells express the same AR. After combining with androgen response elements of the target genes, DNA transcription is enhanced/repressed with the help of coactivators or corepressors, which may be tissue specific. The effects are expressed through modification of protein synthesis.

PHARMACOKINETICS

- Testosterone is inactive orally due to high first pass metabolism in liver.
- Testosterone in circulation is 98% bound to sex hormone binding globulin (SHBG) and to albumin.
- excreted in urine
- Plasma t½ of testosterone is 10–20 min.

USES:

- Testicular failure
- Hypopituitarism
- AIDS related muscle wasting
- Hereditary angioneurotic edema
- Ageing
- Idiopathic male infertility

Anabolic Steroids:

• These are synthetic androgens with supposedly higher anabolic and lower androgenic activity. Drugs are Nandrolone, Oxymetholone, Stanozolol and Methandienone.

Side effects

Anabolic steroids were developed with the idea of avoiding the virilizing side effects of androgens while retaining the anabolic effects.

But the same adverse effect profile applies to these compounds. The 17-alkyl substituted compounds oxymetholone, stanozolol, can produce jaundice and worsen lipid profile.

Contraindications are same as for testosterone.

Uses

- Catabolic states- Acute illness, severe trauma, major surgery, etc.
- Osteoporosis
- Suboptimal growth in boys
- Hypoplastic, haemolytic and malignancy associated anaemia
- To enhance physical ability in athletes

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