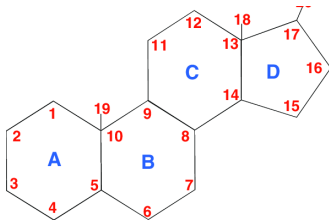


ENDOCRINE SYSTEM

CONTENTS

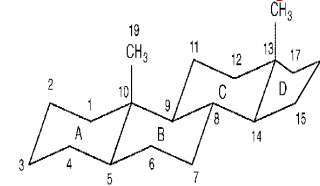
- Steroids :
- Sex hormone :
- Drugs for erectile dysfunction
- Oral contraceptive
- Corticosteroids
- Thyroid and anti thyroid drugs

STERIODS :



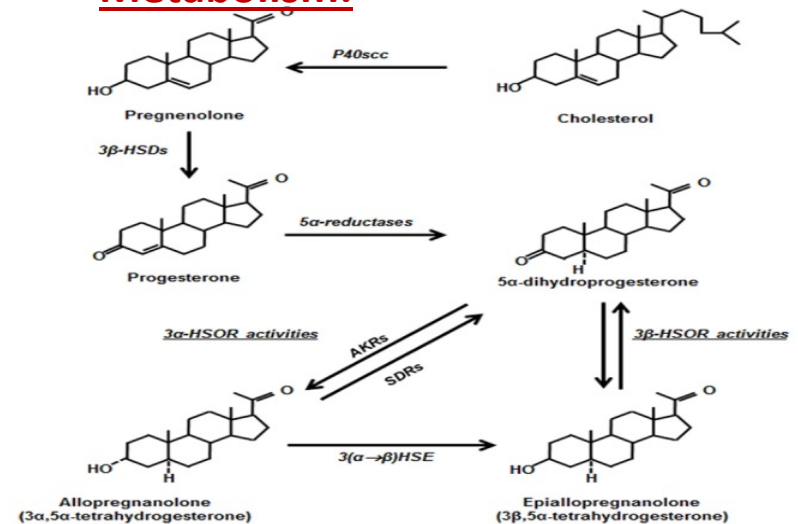
1. Solid line denote above the plane nucleus is beta configuration
2. circle indicate alpha configuration and dark dot indicate beta configuration
3. when methyl group is missing from side chain

Stereochemistry



1. The absolute stereochemistry of molecule shows that solid line are beta configuration and dash line are alpha configuration
2. The axial bond which is perpendicular to plane and equatorial bond is horizontal to plane.
3. The term cis and Trans are used to indicate Stereochemistry in ring.

Metabolism:



❖ SEX Hormones:

➤ Definition: Hormones are the substances which are secreted by ductless gland.

➤ Classification:

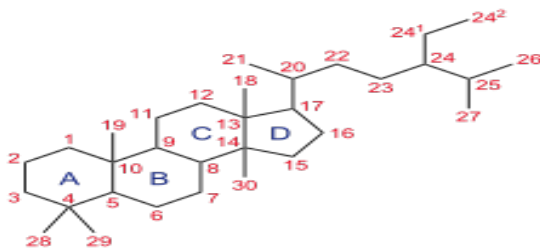
1. Oestrogen: estrogen, 17 β esterdiol

2. Gestogens:

❖ Progesterone: Norethindrone ,
Ethisterone

3. Anabolic agent: testosteron, Fluoxymestron

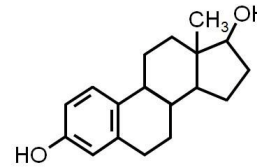
➤ SAR of androgen:



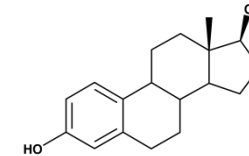
The steroidal skeleton is minimum structural requirement for androgenic activity.

➤ Structures:

1. Oestrogen:

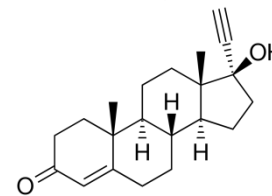


Estrogen



17 β Estradiol

2. Gestogens :

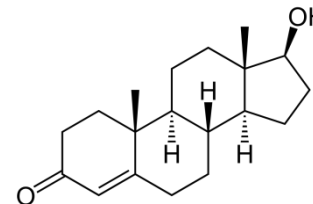


Ethisterone

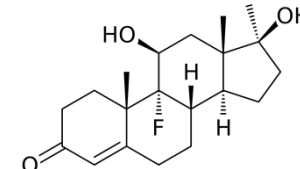


Norethindrone

3. Anabolic agents:



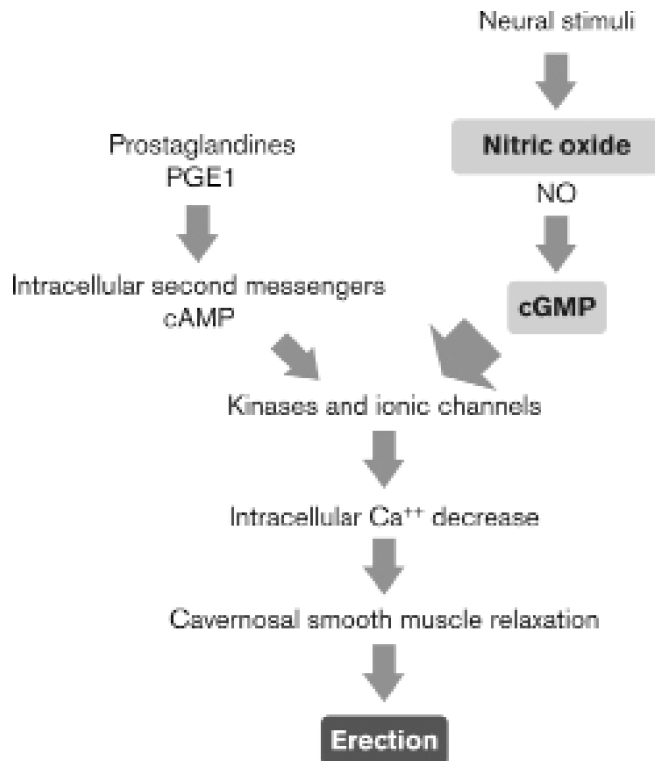
Testosterone



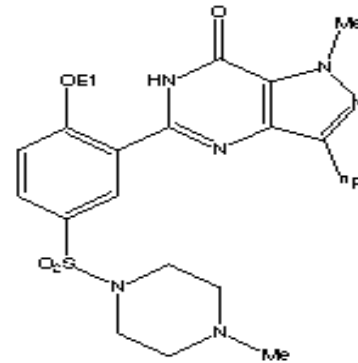
Fluoxymesterone

❖ Drugs for erectile dysfunction

- Definition: It is common urological disorder in male. ED is inability to maintain penile erection.
- Mechanism of action: The mediator of this response is nitric oxide. NO activates guanytyl cyclase which form cyclic guanosine monophosphate from guanosine triphosphate produced smooth muscle relaxation



- Drugs used:

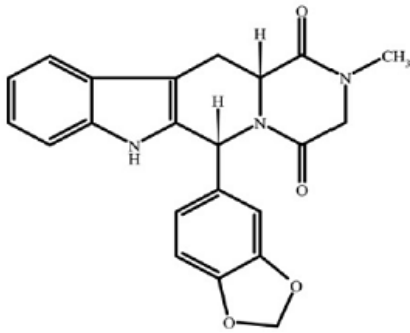


Sildenafil

Sildenafil

- It is medication used to treat ED
 - It is taken by mouth or injection into vein
 - Onset is typically within 20 min lasts for 2hrs
- Therapeutic effect:
 - Sexual dysfunction
 - Pulmonary hypertension
 - Anti depressant

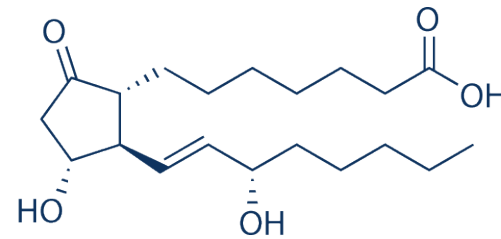
- Tadalafil
 - It is sold under brand name Cialis and used to treat ED .
 - It is tablet taken by mouth
 - Onset of action after 36 hrs.



Tadalafil

- Adverse effect:
 - Hypotension or headache
 - a blockage of blood vessels in the retina of the eye

- Alprostadil
 - It is synthetic prostaglandin in penile tissue
 - PEG allows relaxation of smooth muscles in corpus cavernosum
 - Available in intraurethral suppository

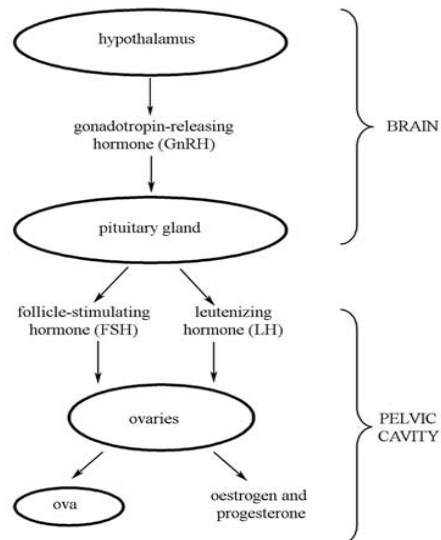


Alprostadil

- Adverse effect
 - Urethral pain and testicular pain
 - Headache
 - Dizziness
 - Back pain

❖ ORAL CONTRACEPTIVES

- DEFINITION: This are the medicines which are taken by mouth to prevent pregnancy are called oral contraceptives.
- Regulation of ovulation in females by LH and FSH

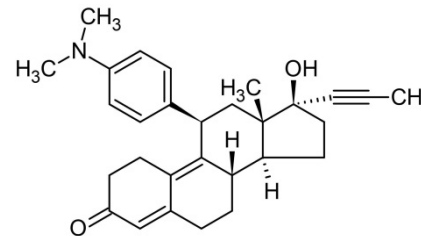


- CLASSIFICATION:
 - Combined tablet

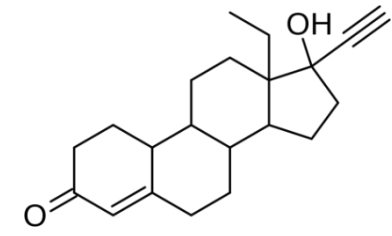
1. Monophasic combination
 2. Biphasic combination
 3. Triphasic combination
 - Progestin only
 - Depo-Provera
- Mechanism of action:

Birth control pills prevent fertilisation. The thickening of cervical mucus to prevent sperm entry into upper genital tract

- Drugs used :



Mifepristone



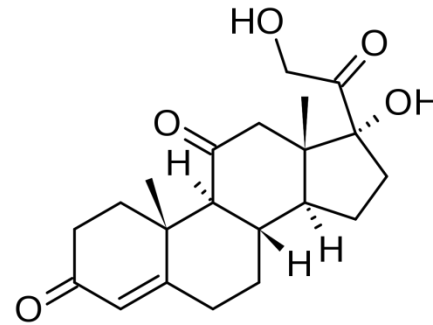
Norgestril

❖ CORTICOSTEROID

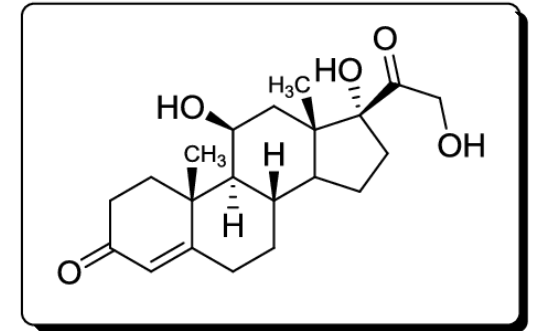
Definition: Are class of steroidal hormones that includes the hormones synthesized in adrenal

➤ CLASSIFICATION

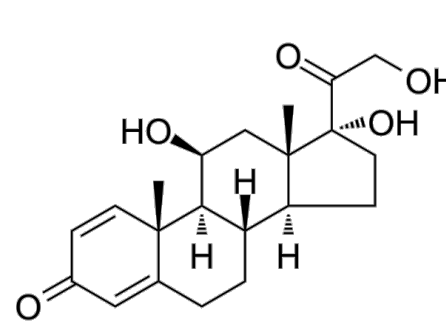
- 1)glucocorticoids-they control carbohydrate,fats E.g.-cortisone
- 2)Mineralocorticoids-they regulate the electrolyte
- And water level E.g.-aldosterone
- Mechanism of action
 - Glucocorticoid shows anti inflammatory action by inhibiting phospholipid release.
 - Glucocorticoid binds to cytosolic glucocorticoid receptor which activate on binding to the ligand
 - They enter the cell where they combine with steroid receptor in the cytoplasm



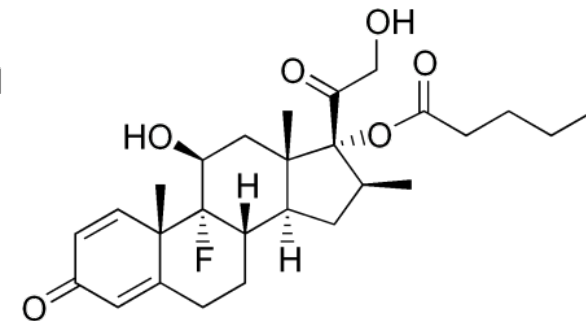
Cortisone



Hydrocortisone



Prednisolone

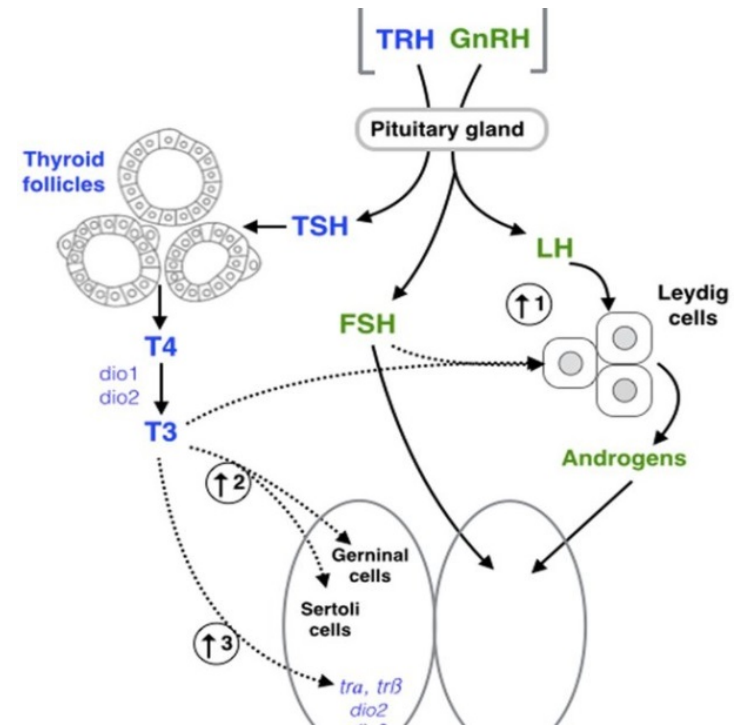


Betamethasone

❖ THYROID AND ANTI THYROID DRUGS

- Definition: A chemical substance made by the thyroid gland for export into the bloodstream. The thyroid gland needs iodine to make thyroid hormones. Three hormones secreted: Thyroxin (T₄), Triiodothyronine (T₃), Calcitonin
- Mechanism of action:
 - The precise mode of action of thyroid is incompletely understood
 - High affinity binding site for T₃ and T₄ in nucleus, mitochondria and plasma membrane
 - The affinity of these receptors for T₄ is 10 times lower than T₃
 - They also enter the cell to interact with receptors on mitochondria and chromatin material which shows results

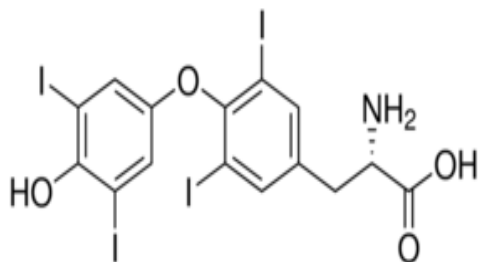
➤ THYROID HORMONE SECRETION



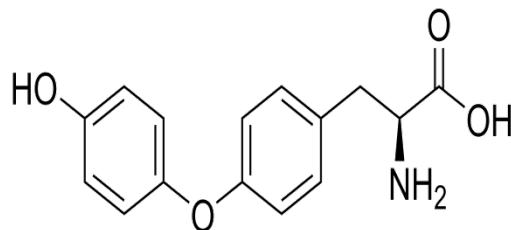
- Therapeutic uses
 - Thyroid deficiency states: It is used for treatment of myxedema, creatinine,
 - Treatment of hypothyroidism

■ ANTI THYROID DRUGS

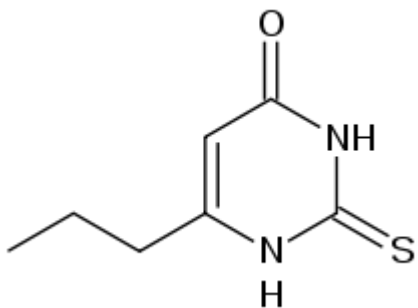
- Definition: These are drugs used to lower functional capacity of hyperactive thyroid gland
- Drugs used:



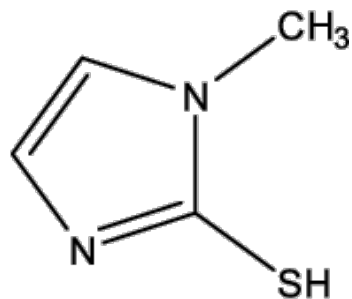
L-Thyroxine



L-tyronine



Propylthiouracil



Methimazole

REFERENCES:

1. Foye's principle of Medicinal Chemistry
2. Principle of medicinal chemistry by Kadam and Mahadik

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