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Unit-IV/Part-B

Sex Hormones

Presented By;-

Mr. Samarpan Mishra (Assistant Professor)

Specialization:- Pharmaceutical Chemistry

Sex Hormones

1. **Sex Hormones** are steroid hormones that regulate reproductive functions, sexual characteristics, and various physiological processes.
2. They are primarily secreted by the **gonads (testes in males and ovaries in females)** and to some extent by the **adrenal cortex.**

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Biosynthesis Of Sex Hormones From Cholesterol

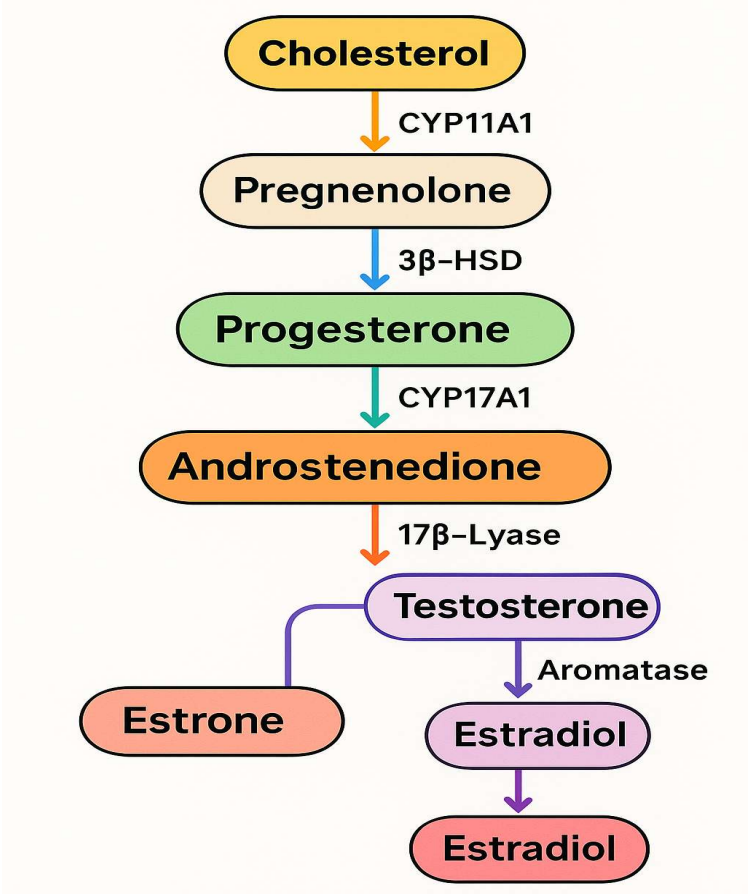

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Table with **Introduction, Mechanism of Action (MOA), and Uses (Working)**

Drug	Introduction	Mechanism of Action (MOA)	Uses (Working)
Testosterone	Primary male sex hormone and anabolic steroid. Synthesized in testes (males) and small amounts in ovaries (females).	Binds to androgen receptors → modulates gene transcription → promotes male sexual characteristics and muscle growth.	Used in testosterone deficiency, hypogonadism, delayed puberty, and certain anemias.
Nandrolone	Synthetic anabolic steroid derived from testosterone with reduced androgenic activity.	Binds androgen receptors → enhances protein synthesis and nitrogen retention.	Used in anemia of chronic kidney disease, osteoporosis, and to promote muscle growth.
Progesterone	Natural female sex hormone (progestogen), secreted by corpus luteum and placenta.	Binds progesterone receptors → alters gene transcription → prepares endometrium for implantation and maintains pregnancy.	Used in hormone replacement therapy (HRT), contraception, and infertility treatments.
Oestriol (Estriol)	Weak natural estrogen, primarily produced during pregnancy.	Binds estrogen receptors (ERα, ERβ) → regulates transcription of estrogen-responsive genes.	Used in HRT for menopausal symptoms and urinary incontinence.
Oestradiol (Estradiol)	Most potent natural estrogen, produced in ovaries.	Binds estrogen receptors → modulates transcription of genes regulating female reproductive system.	Used in contraception, HRT, osteoporosis prevention, and menopausal symptoms.
Oestrone (Estrone)	Weak estrogen, primarily formed from peripheral conversion of androgens.	Binds estrogen receptors but with lower affinity than estradiol.	Used in HRT (less common) for menopausal symptoms.
Diethylstilbestrol (DES)	Synthetic non-steroidal estrogen.	Binds estrogen receptors → mimics natural estrogen activity.	Previously used in menopausal symptoms and pregnancy complications (discontinued due to risk of cancers and birth defects).

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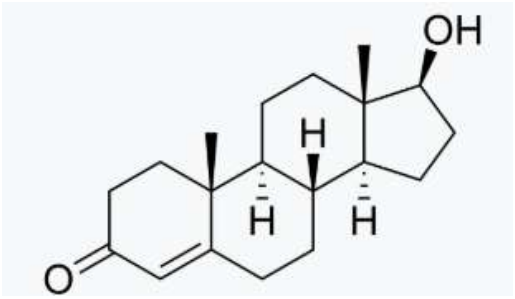
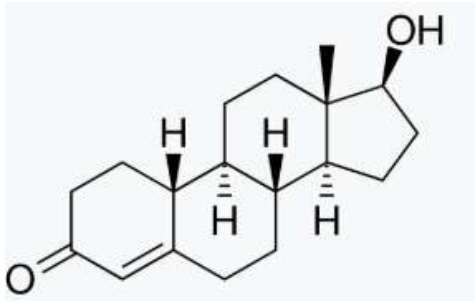
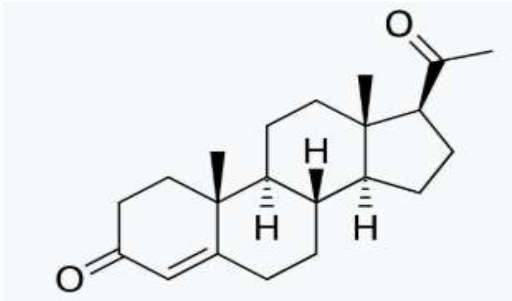


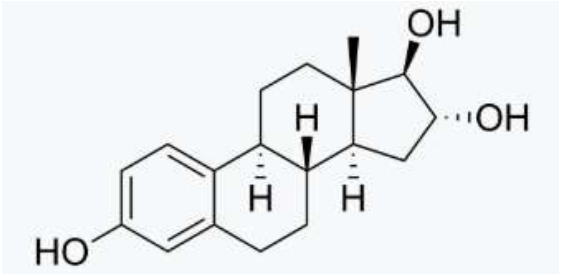
Testosterone



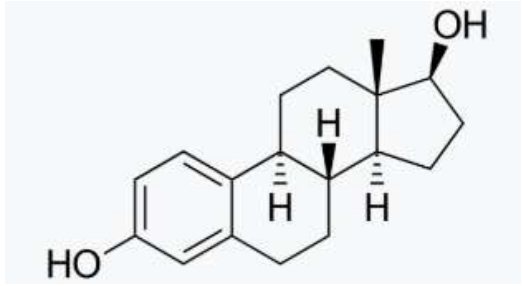
Nandrolone



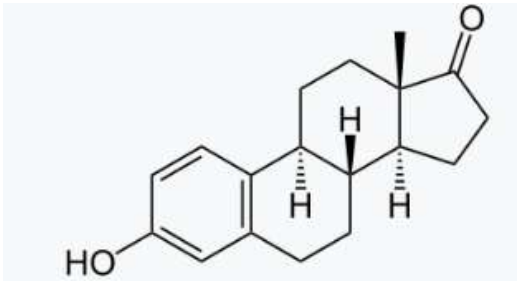
Progesterone



Estriol



Estradiol



Estrone

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Unit-IV/Part-C

Drugs for Erectile Dysfunction

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Drugs for Erectile Dysfunction

Drugs for Erectile Dysfunction (ED) are medications used to **improve or restore the ability to achieve and maintain an erection sufficient for sexual activity**.

Erectile dysfunction occurs due to various reasons such as poor blood flow to the penis, hormonal imbalances, psychological factors, or nerve damage.

Mechanism of Erectile Dysfunction Drugs

Most commonly, these drugs **enhance blood flow to the penis by increasing levels of cyclic GMP (cGMP), which relaxes smooth muscle in penile blood vessels**.

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Table for Sildenafil and Tadalafil with Introduction, MOA, and Uses (Working):

Drug	Introduction	Mechanism of Action (MOA)	Uses (Working)
Sildenafil	A selective Phosphodiesterase type-5 (PDE-5) inhibitor; first oral drug approved for erectile dysfunction (Viagra).	Inhibits PDE-5 → prevents breakdown of cGMP → increased smooth muscle relaxation and vasodilation in corpus cavernosum → enhances erection during sexual stimulation.	- Erectile dysfunction (ED) - Pulmonary arterial hypertension (PAH) (under brand name Revatio).
Tadalafil	A long-acting PDE-5 inhibitor; known as "weekend pill" due to long half-life (36 hours).	Inhibits PDE-5 → increases cGMP → prolonged smooth muscle relaxation and blood flow in penis.	- Erectile dysfunction (ED) - Benign prostatic hyperplasia (BPH) - Pulmonary arterial hypertension (PAH).

Comparative chart of Sildenafil vs. Tadalafil for quick revision:

Feature	Sildenafil	Tadalafil
Class	PDE-5 inhibitor	PDE-5 inhibitor
Brand Name	Viagra, Revatio	Cialis, Adcirca
Onset of Action	~30–60 minutes	~30 minutes
Duration of Action	4–6 hours	Up to 36 hours (“weekend pill”)
Half-life	4 hours	17.5 hours
Food Interaction	Delayed absorption with high-fat meals	No significant food effect
Uses	ED, Pulmonary arterial hypertension (PAH)	ED, BPH, PAH
Side Effects	Headache, flushing, nasal congestion, visual disturbances (blue-tinted vision)	Headache, back pain, muscle aches (myalgia), flushing
Special Note	More visual side effects due to PDE-6 inhibition	Preferred for longer duration and once-daily use

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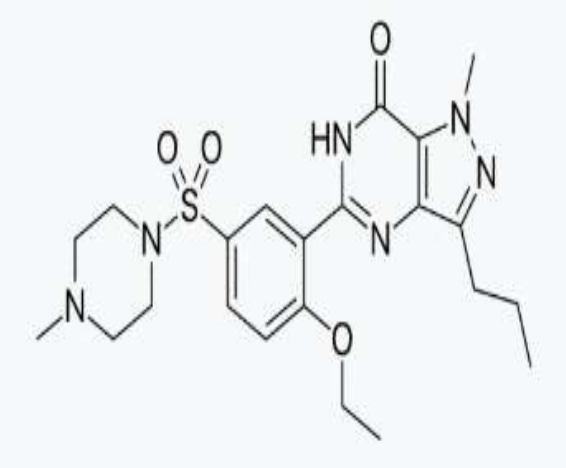
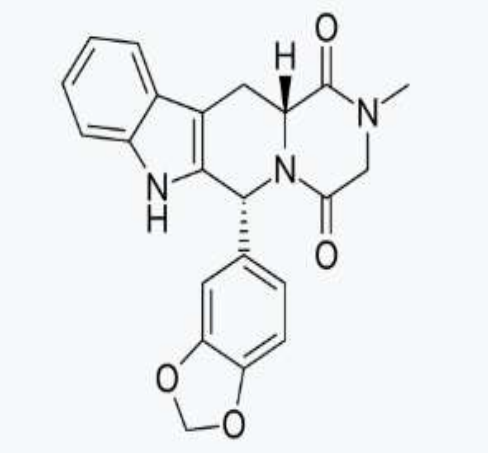


Sildenafil



Tadalafil

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Unit-IV/Part-D

Oral Contraceptive

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Oral Contraceptive

- **Oral contraceptives** are **hormone-containing medicines taken by mouth on a regular schedule to prevent pregnancy**.
- They work primarily by providing **synthetic estrogen and/or progestin** that suppress the natural hypothalamic–pituitary–ovarian axis, thereby **inhibiting ovulation**, and secondarily by **thickening cervical mucus (blocks sperm)** and **making the endometrium less receptive to implantation**.

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Table with Introduction, MOA, and Uses (Working)

Drug	Introduction	Mechanism of Action (MOA)	Uses (Working)
Mifepristone	A synthetic steroid with antiprogestin activity (progesterone receptor antagonist).	Competitively binds to progesterone receptors → blocks progesterone effects → causes decidual breakdown, detachment of the embryo, and softening of the cervix.	- Medical termination of early pregnancy (with misoprostol) - Emergency contraception (off-label).
Norgestrel	A second-generation synthetic progestin, derivative of 19-nortestosterone.	Binds to progesterone receptors → inhibits LH surge → prevents ovulation; also thickens cervical mucus and alters endometrium.	- Component of combined oral contraceptives - Progestin-only contraceptive pills.
Levonorgestrel	A highly potent second-generation progestin (active isomer of norgestrel).	Inhibits LH surge and ovulation (if taken before ovulation); thickens cervical mucus; alters endometrial lining to prevent implantation.	- Emergency contraception ("morning-after pill") - Intrauterine devices (IUDs, e.g., Mirena) - Oral contraceptives.

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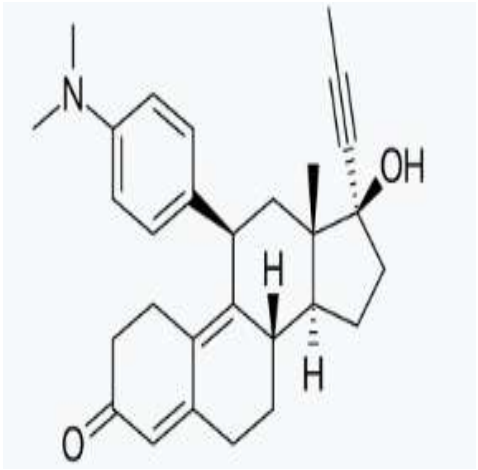
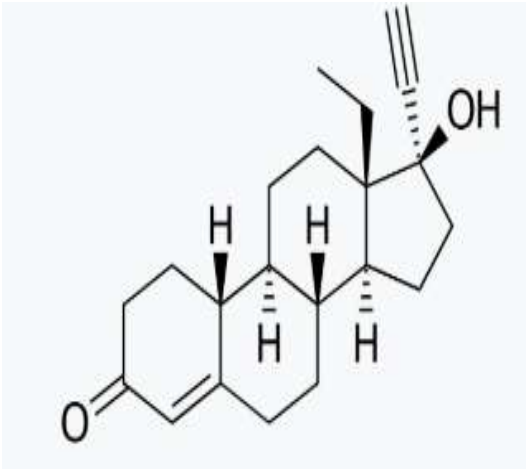


Mifepristone



Levonorgestrel

Thank You

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