

OESTROGENS

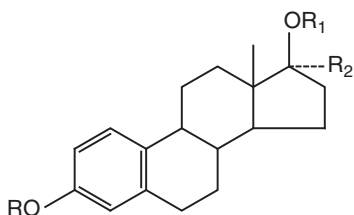
The mammalian ovary is a source of steroid hormones that maintain reproductive functions and oestrogen secretion in females.


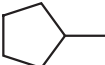
Classification

Oestrogens could be classified as follows:

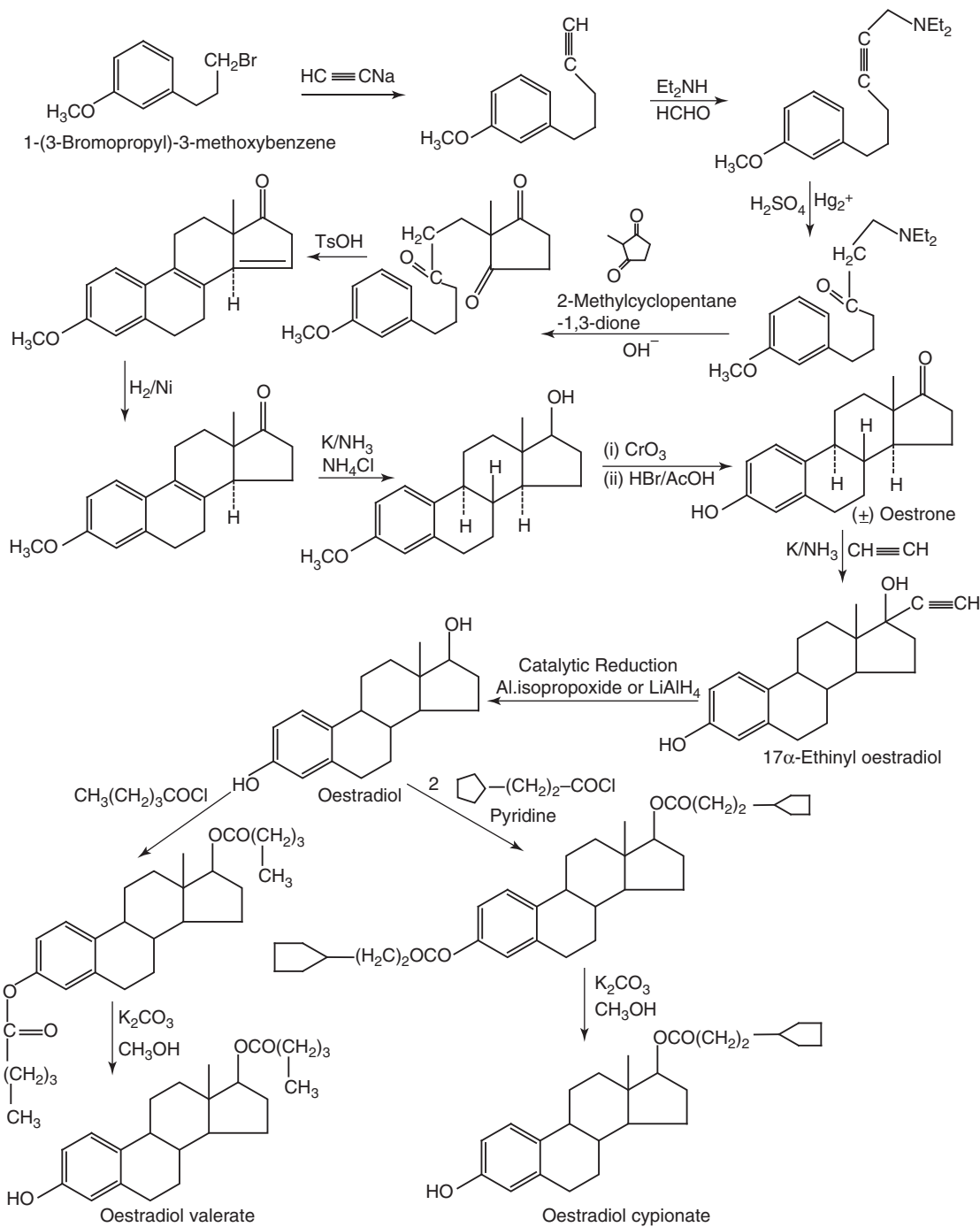
- i. **Natural steroidal:** Oestradiol, Oesterone
- ii. **Synthetic steroidal:** Ethynyl oestradiol, Mestranol
- iii. **Nonsteroidal synthetic:** Stilbesterol

i. Oestradiol derivatives



Name	R	R ₁	R ₂
Oestradiol	-H	-H	-H
Oestradiol valerate	-H	-CO(CH ₂) ₃ CH ₃	-H
Oestradiol cypionate	-H	-CO(CH ₂) ₂ 	-H
Oestradiol dipropionate	-COC ₂ H ₅	-COC ₂ H ₅	-H
Oestradiol benzoate	C ₆ H ₅ CO-	-H	-H
Ethinyl oestradiol	-H	-H	-C ≡ CH
Mestranol	CH ₃	-H	-C ≡ CH
Quinestrol		-H	-C ≡ CH

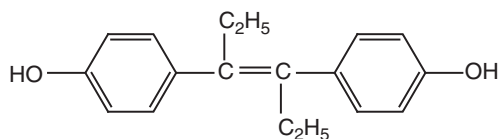
Oestradiol Derivatives



AQ 1

Properties: It exists as a creamy white crystalline powder hygroscopic, insoluble in water and soluble in alcohol.

ii. Diethylstilbestrol (Stilbetin, Stilphstrol)

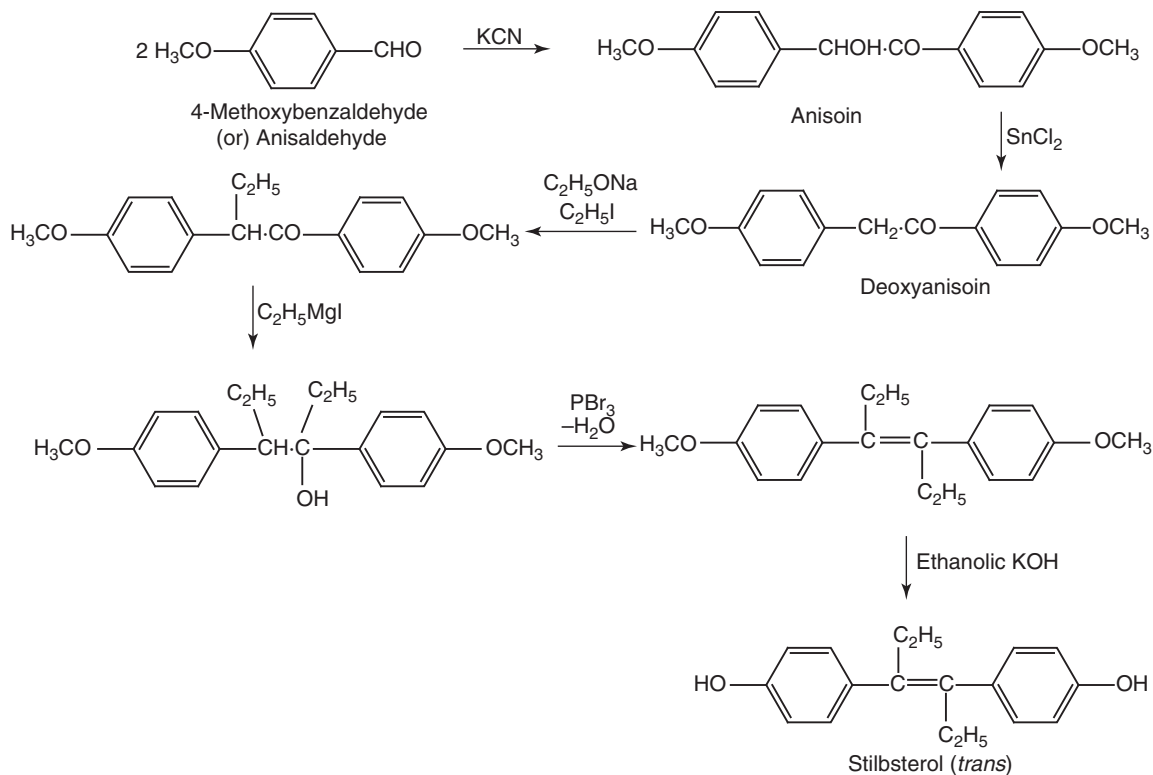


Properties: It is a white crystalline powder, insoluble in water and soluble in alcohol.

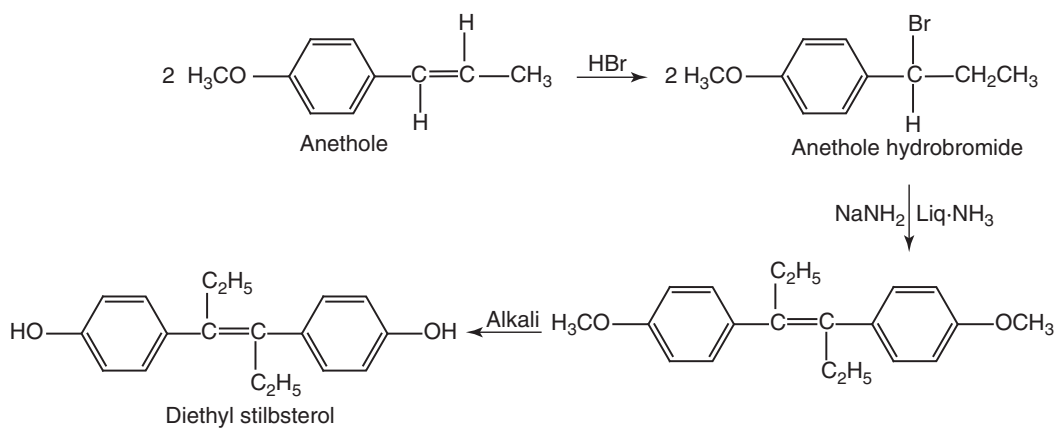
Dose: The dose for menopausal symptoms orally is 0.1–2 mg. For secondary amenorrhoea, the dose is 0.2–0.5 mg and for carcinoma, of the prostate the intake is 3 mg per day.

Synthesis

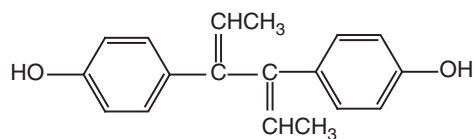
Method-I From: Anisaldehyde (Dodds method)



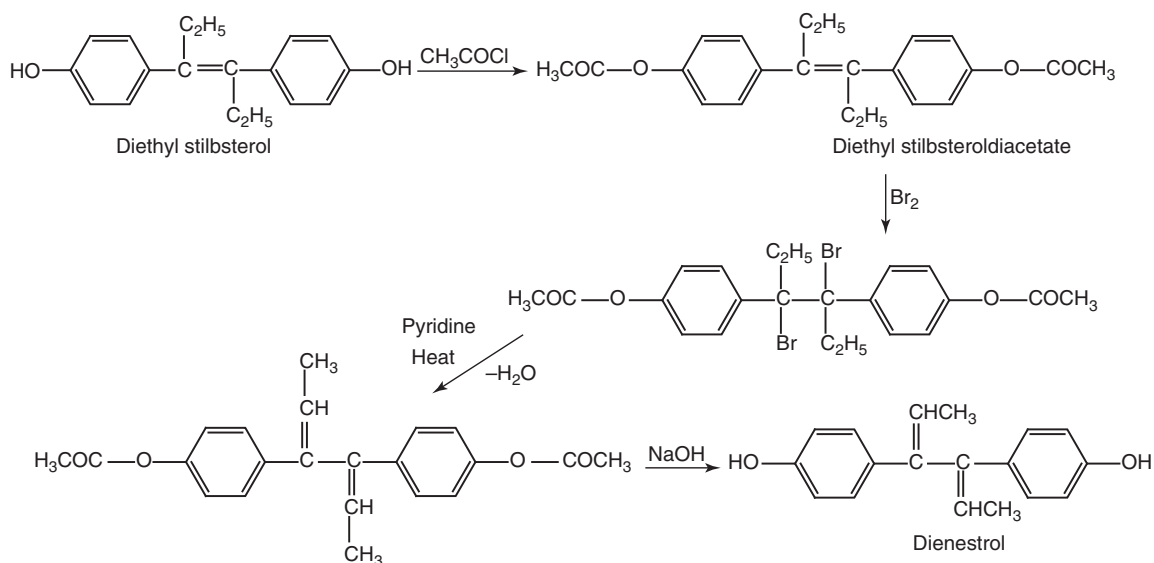
Method-II From: Anethole



iii. Dienestrol (Estragard)



Synthesis



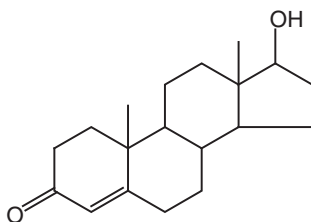
ANDROGENS AND ANABOLIC AGENTS

Androgens or male sex hormones are synthesized from cholesterol in the testes and adrenal cortex. In the liver, androgens are formed from C-21 steroids. The ovary also secretes small amounts of androgens.

Classification

1. **Androgenic or male sex characteristics promoting activity:** Compounds with androgenic activity are called androgens. It includes normal development, functioning, and maintenance of the male sex organs and sexual characteristics.
2. **Anabolic or muscle building activity:** Compounds with anabolic activity are called anabolic agents. It causes nitrogen retention by increasing the rate of protein synthesis, decreasing the rate of protein catabolism, and thus, promotes laying down of new tissues. It also stimulates the thickness rise and linear growth of the bones to some extent. The distinction of anabolic therapy of such wasting conditions such as cancer, trauma, osteoporosis, and also effects of immobilizations are also treated by the anabolic agents.

i. Testosterone (Nuvir, Andriol, Testoviron)

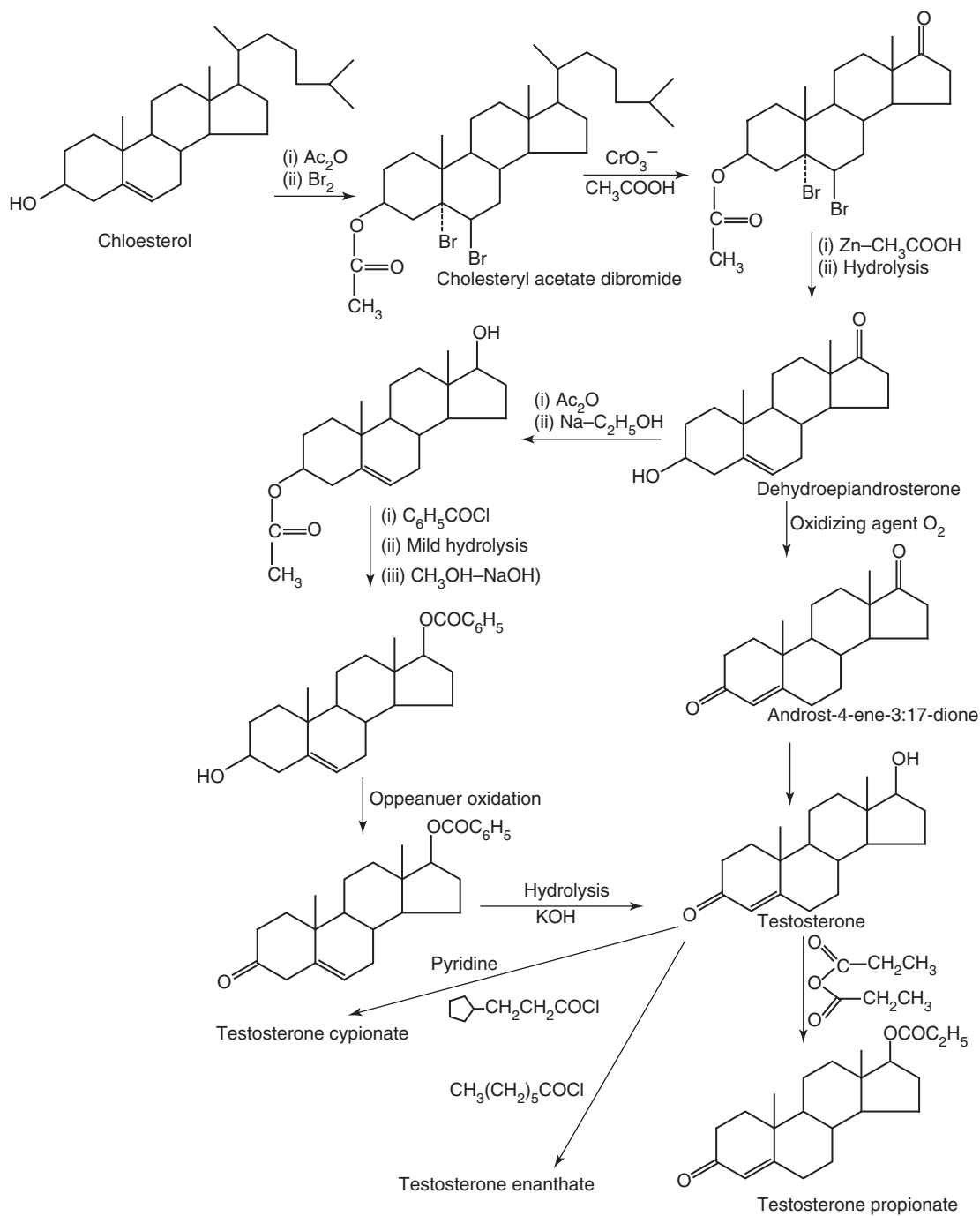


Properties and uses: It is a creamy white crystalline powder, insoluble in water, and soluble in alcohol. It may be used for palliative treatment of breast carcinoma in postmenopausal women.

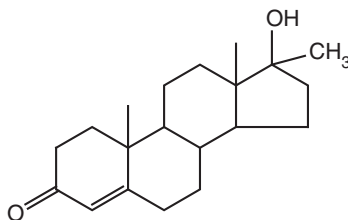
Assay: Dilute the sample in alcohol to 50 ml with ethyl alcohol. Measure the absorption at 241 nm.

Dose: The dose for prolonged treatment subcutaneously is 600 mg. For breast cancer, the dose is up to 1.5 g; alternatively, 10 to 30 mg per day through buccal administration.

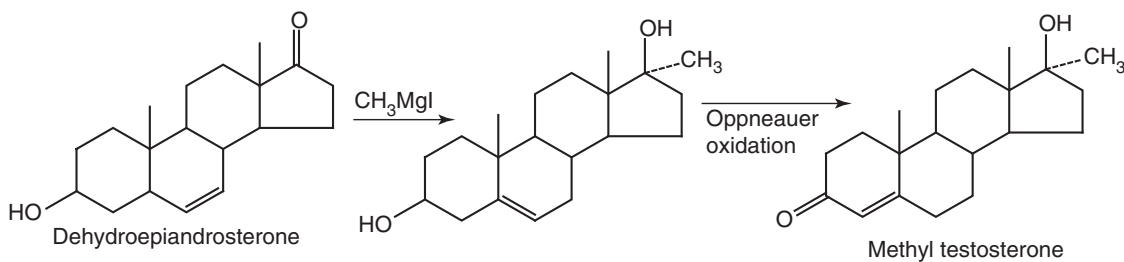
Synthesis



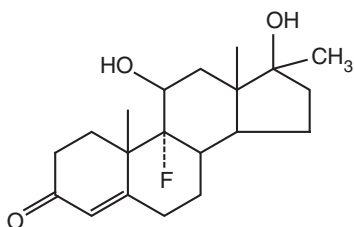
ii. Methyl testosterone



Synthesis



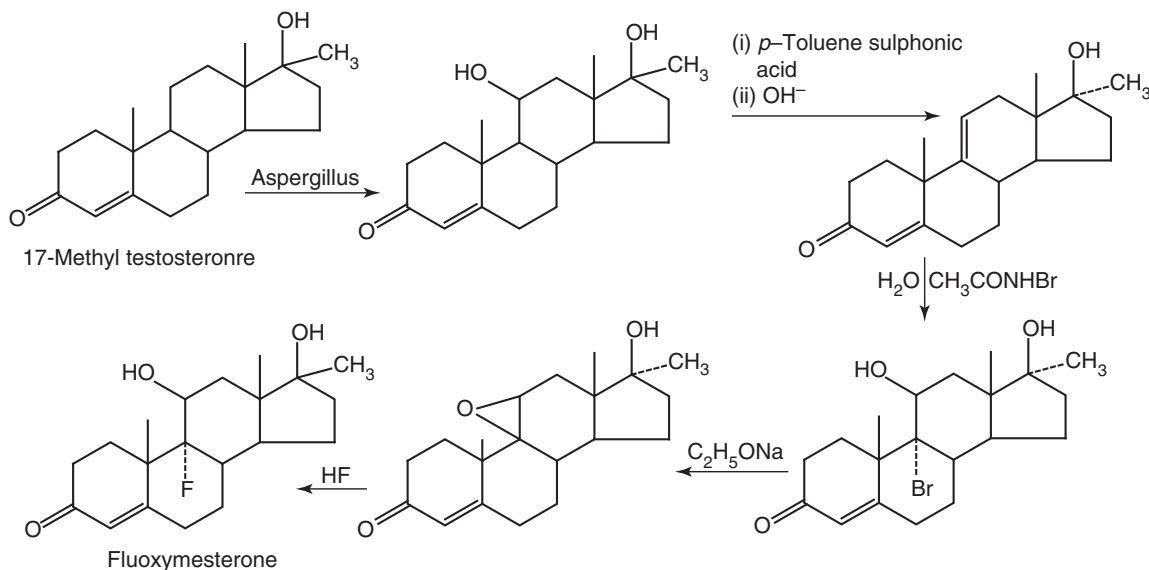
iii. Fluoxymesterone (Halotestin)



Properties: It exists as a white crystalline powder and insoluble in water. It is used in the treatment of postmenopausal osteoporosis in combination with an estrogen.

Dose: The dose orally for adults in the replacement therapy is 1–3 mg twice a day.

Synthesis



PROBABLE QUESTIONS

1. What are steroids? Provide the nomenclature and stereochemistry of steroids.
2. Classify steroids with suitable examples and mention their therapeutic uses.
3. Write a brief account of the androgens. How will you synthesize testosterone from the following: (a) Cholesterol (b) Dehydroepiandrosterone
4. Describe the synthesis of cortisone and hydrocortisone
5. Name the steroids used as contraceptives, draw their chemical structure, and write the synthesis of anyone of them.
6. Write a brief note on progesterone derivatives
7. Give the names and official status of at least five derivatives of the following, which are used in medicine. (a) Testosterone (b) Estradiol

SUGGESTED READINGS

1. Abraham DJ (ed). *Burger's Medicinal Chemistry and Drug Discovery* (6th edn). New Jersey: John Wiley, 2007.
2. Bhatnagar A, Brodie, AMH et al (eds.) Fourth International Anrnatase Conference. *J Steroid Bio Chem Mol Biol* 61: 107–426, 1997.