

32.1. STEROIDAL DRUGS

32.1.1. Introduction

Steroids are structurally related compounds having a common cyclopentanoperhydrophenanthrene nucleus. They are extensively found in plants and animals. The fused tetracyclic steroid nucleus provides the carbon framework for at least four large groups of mammalian hormones, i.e., the oestrogens, androgens, progestins, and corticosteroids. These are of great medicinal value, and since animal sources yield them only at considerable cost, methods to manufacture them from sapogenins have been developed. Saponin is a glycoside (found in plants) consisting of a sapogenin as the aglycone moiety and a sugar. Sapogenin may be a steroid or a triterpene and the sugar may be glucose, galactose, a pentose, or a methylpentose. Steroids are obtained from dioscin (a glycoside), and *Dioscorea floribunda* (Mexican wild yam root).

Vitamin D, cardiac glycosides, etc. are some other compounds which can be considered as steroids.

32.1.2. Classification

Steroidal drugs are classified as follows:

- 1) **Sex Hormones:** Androgens, estrogens, and progesterone.
- 2) **Glucocorticoids (GCs):** These are a class of steroid hormones which bind to the Glucocorticoid Receptor (GR found in most of the vertebrate animal cells. The name **glucocorticoid** (glucose + cortex + steroid) has been derived from its role in regulating glucose metabolism, their synthesis in the adrenal cortex, and their steroidal structure. **Examples** of glucocorticoids are **hydrocortisone**, **11-dehydrocorticosterone**, and **corticosterone**.
- 3) **Mineralocorticoids (MCs):** The essential natural mineralocorticoids are **aldosterone** and **desoxycorticosterone**. Their effects on electrolyte and water metabolism and on cardiovascular system are identical; however, aldosterone is thirty times more potent than desoxycorticosterone. **Examples** of mineralocorticoids are **aldosterone**, **11-deoxycorticosterone**, and **11-deoxy-17-oxycorticosterone**.
- 4) **Cardiac Glycosides:** Digitoxin and Digoxin.

Androgens: These are a group of hormones that affect the growth and development of the male reproductive system. The main and most active androgen is **testosterone** formed by the Leydig cells in testes in males and also by the ovaries in females. Small quantities of androgens are also produced by the adrenal glands in both males and females.

Androgens are further classified as:

1) **Naturally Occurring Androgens**

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| i) Testosterone, | ii) Dihydrotestosterone, |
| iii) Dehydroepiandrosterone, and | iv) Androsterone. |

2) **Synthetic Androgens**

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| i) Methyl testosterone, * | ii) Fluoxymesterone, |
| iii) Testosterone undecanoate, and | iv) Mesterolone. |

Estrogens: These are the main female sex hormones. They help in the development and regulation of the female reproductive system and secondary sex characteristics. Estrogens are further classified as:

1) **Natural Estrogens**

- i) Estradiol (the most common type in women of child bearing age),
- ii) Estrone (the only estrogen body makes after menopause), and
- iii) Estriol (the main estrogen during pregnancy).

2) **Synthetic Estrogens**

i) **Steroidal**

- a) Ethinylestradiol,
- b) Mestranol, and
- c) Tibolone.

ii) **Nonsteroidal**

- a) Diethylstilbestrol (stilbestrol),
- b) Hexestrol, and
- c) Dienestrol.

Progesterone: It is one of the hormones in the bodies which stimulates and regulates many functions. It also helps in maintaining pregnancy. Progesterone is further classified as:

1) **Natural Progestin:** Progesterone.

2) **Synthetic Progestins**

i) **Progesterone Derivatives**

a) **Older Compounds**

- Medroxyprogesterone acetate (weak androgenic),
- Megestrol acetate,
- Dydrogesterone,
- Hydroxyprogesterone caproate (long acting injection).

b) **Newer Compound:** Nomegestrol acetate.

ii) **19-Nortestosterone Derivatives**

a) **Older Compounds**

- Norethindrone (Norethisterone),
- Norethynodrel,
- Ethynodiol diacetate,
- Lynestrenol (Ethinylestrenol),
- Allylestrenol,
- Norgestrel (Gonane),
- Levonorgestrel.