

## 17.1. CHOLINERGIC DRUGS

### 17.1.1. Introduction

Cholinergic drugs elicit either direct or indirect effects, similar to those produced by acetylcholine (ACh). The synthesis of ACh takes place in nerve tissues, cholinergic synapses, and in the walls of intestine. ACh stimulates the cholinergic receptors by binding to the enzymes released by the nerve impulses.

The following two types of effects are produced by acetylcholine:

- 1) **Nicotinic Effects:** These effects are similar to those produced by nicotine on the ganglia and motor end plate.
- 2) **Muscarinic Effects:** These effects are parasympathetic (such as a slowed heart rate and increased activity of smooth muscle) produced by muscarine.

### 17.1.2. Classification

Cholinergic drugs can be classified as follows:

- 1) **Direct Acting Agents (Cholinergic Agonists):** These drugs produce actions similar to ACh by directly interacting with cholinergic receptors:
  - i) **Choline Esters:** Acetylcholine, Methacholine, Carbachol, and Bethanechol.
  - ii) **Cholinergic Alkaloids:** Muscarine, Pilocarpine, Arecholine, and Oxotremorine.
- 2) **Indirect Acting Agents (Anticholinesterase):** These drugs produce actions similar to ACh by increasing the availability of ACh at the sites:
  - i) **Reversible**
    - a) **Carbamates**
      - **Tertiary Amines:** These can cross blood-brain barrier, e.g., Physostigmine.
      - **Quaternary Amines:** These cannot cross blood-brain barrier, e.g., Distigmine, Neostigmine, and Pyridostigmine.
    - b) **Acridine:** Tacrine.
  - ii) **Irreversible**
    - a) **Organophosphorus Compounds:** Diisopropyl fluorophosphate, Sarin, Parathion, Tabun, Soman, Echothiophate, and TEPP
    - b) **Carbamates:** These are used as insecticides, e.g., Carbaryl and Propoxur.