

**PHB**



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**Course Name : D. Pharm**

**Year : Second Year**

**Subject Name : Pharmacology**

**Topic Name : Cholinergic Drugs**

The **autonomic system** is further broken down into the sympathetic and parasympathetic nervous system.

The **sympathetic (SNS)** or Adrenergic and **parasympathetic (PSNS)** or Cholinergic are opposing systems.

**Definition:** Drugs that stimulate the parasympathetic system. Also called parasympathomimetics – they mimic the effects of the PSNS neurotransmitter.

*Cholinergic agents copy the action of acetylcholine (ACh) – a neurotransmitter released from nerve endings that bind on the receptors of cell membranes of organs, tissues, and glands.*

They exert their pharmacological effects by activating cholinergic receptors, which are found throughout the body.

Classified based on their mechanism of action:

### **I. Direct-Acting Cholinergic drugs (Cholinergic Agonists)**

These drugs directly bind to and activate cholinergic receptors, leading to the stimulation of cholinergic pathways.

**A. Choline Ester: e.g.** Acetylcholine, Methacholine, Carbachol, bethanechol

**B. Cholinomimetic alkaloids: e.g.** Pilocarpine, Muscarine,

**Bethanechol:** Used to stimulate smooth muscle contractions in the gastrointestinal tract and urinary bladder.

**Pilocarpine:** Used to treat conditions like

glaucoma by stimulating miosis (pupil constriction) and reducing intraocular pressure.

**Carbachol:** Used in ophthalmology for intraocular procedures to induce miosis and reduce intraocular pressure.

### **2. Indirect-Acting Cholinergic Agonists (Cholinesterase Inhibitors):**

These drugs inhibit the activity of acetylcholinesterase, the enzyme responsible for breaking down acetylcholine. By inhibiting acetylcholinesterase, these drugs increase the concentration of acetylcholine at cholinergic synapses, leading to enhanced cholinergic effects.

### **II. Indirectly acting cholinergic drugs**

#### **A. Reversible cholinesterase inhibitors:**

**(i) Carbamates: e.g.** Neostigmine, Physostigmine, Pyridostigmine, Edrophonium, Donepezil

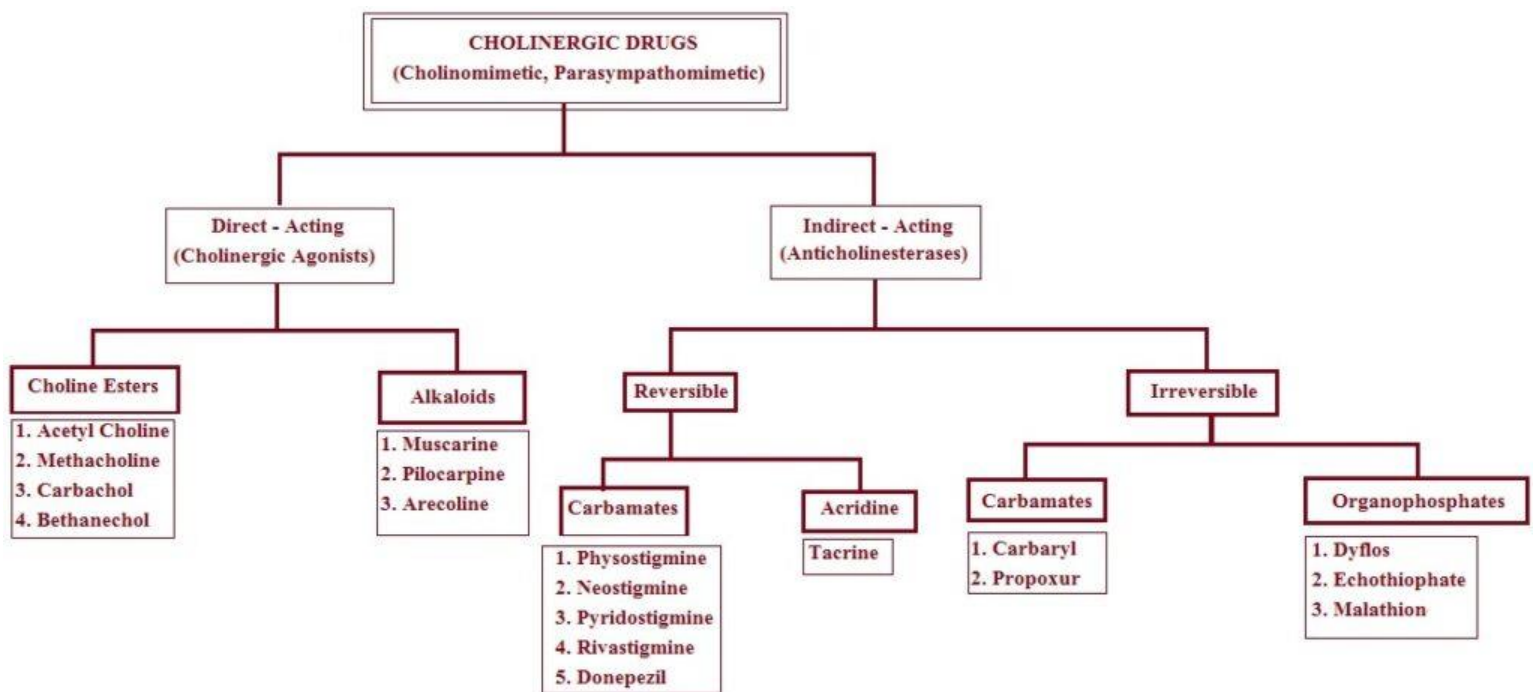
**(ii) Acridine: e.g.** Tacrine

#### **B. Irreversible cholinesterase inhibitors:**

**(i) Carbamates: e.g.** Carbaryl, Propoxur (baygon)

**(ii) Organophosphates: e.g.** Dyflos, Echothiopate, Malathion

**Donepezil, rivastigmine, and galantamine:** Used in the treatment of Alzheimer's disease to improve cognitive function by increasing acetylcholine levels in the brain.



such as muscle contraction or neuronal excitation.

**Neostigmine and pyridostigmine:** Used to treat myasthenia gravis, a neuromuscular disorder characterized by muscle weakness, by enhancing neuromuscular transmission.

**Edrophonium:** Used as a diagnostic agent to differentiate myasthenia gravis from other neuromuscular disorders.

**Mechanism of Action:**

**Direct-Acting Cholinergic Agonists:**

- Direct-acting cholinergic agonists, such as muscarinic receptor agonists (e.g., pilocarpine) or nicotinic receptor agonists (e.g., nicotine), bind directly to cholinergic receptors and activate them.
- Muscarinic agonists selectively activate muscarinic receptors, leading to various physiological responses depending on the tissue or organ involved.
- Nicotinic agonists selectively activate nicotinic receptors, producing effects

**Indirect-Acting Cholinergic Agonists (Cholinesterase Inhibitors):**

- Indirect-acting cholinergic agonists, also known as cholinesterase inhibitors, prevent the breakdown of acetylcholine by inhibiting the activity of acetylcholinesterase, the enzyme responsible for acetylcholine degradation.
- By inhibiting acetylcholinesterase, these drugs increase the concentration and duration of action of acetylcholine at cholinergic synapses, leading to enhanced cholinergic effects.
- Cholinesterase inhibitors are used in the treatment of conditions such as Alzheimer's disease (e.g., donepezil, rivastigmine) and myasthenia gravis (e.g., neostigmine, pyridostigmine).

**Uses:**

Cholinergic drugs have various therapeutic uses based on their mechanism of action:

- Direct-acting cholinergic agonists are used to stimulate smooth muscle contraction, increase glandular secretions, and induce miosis.
- Indirect-acting cholinergic agonists (cholinesterase inhibitors) are used in the treatment of Alzheimer's disease, myasthenia gravis, and certain types of glaucoma.
- Cholinergic drugs can also be used in diagnostic procedures, such as the edrophonium test for myasthenia gravis.