

PHB



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Topic Name : Anticholinergic Drugs

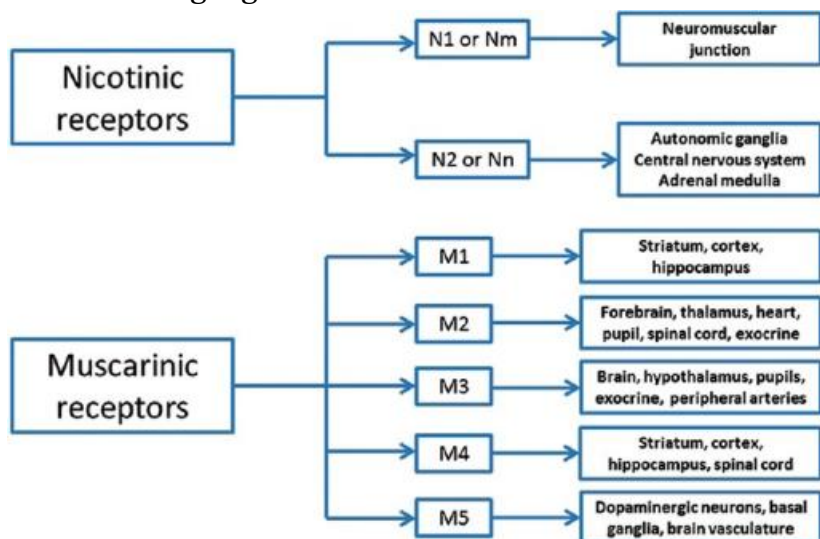
Anti-cholinergic drugs are those which antagonise the effect of neurotransmitter Acetylcholine (ACh) on autonomic effectors & in the CNS exerted through “Muscarinic receptors” and though nicotinic antagonists also block certain actions of ACh, they are referred to as “Ganglion blockers” & “Neuromuscular blockers”.

Muscarinic receptor site:

- Heart
- Salivary glands
- Smooth muscles of GIT
- Genitourinary tract
- Urinary bladder

Nicotinic acetylcholine receptor site:

- Nerve endings of neuromuscular junction.
- Acetylcholine is also the neurotransmitter at postganglionic nicotinic receptors located at the NMJ (Neuromuscular junction) & autonomic ganglia.



Classification:

Anticholinergic drugs can be classified based on their receptor specificity and mechanism of action:

1. Natural alkaloid:

e.g. Atropine, Scopolamine (hyoscyne)

2. Semi-synthetic derivative:

e.g. Homatropine, Atropine methonitrate, Ipratropium bromide.

3. Synthetic compound:

a. **Mydriatics:** e.g. Cyclopentolate, tropicamide

b. **Anti-secretory:**

(i) **Quarternary:** e.g. Glycopyrolate, Propantheline, Isopropamide.

(ii) **Tertiary amines:** e.g. Pirenzepine, Dicyclomine

c) **Vasicoselective:** e.g. Oxybutynin, flavoxate.

d) **Anti-parkinsonian:** e.g. Benzhexol, biperiden.

Muscarinic Antagonists:

These drugs selectively block muscarinic acetylcholine receptors, which are found in various tissues including smooth muscle, cardiac muscle, glandular tissue, and certain neurons.

Examples include:

Atropine: Used to dilate the pupil during ophthalmic procedures, treat bradycardia, and as an antidote for certain types of poisoning.

Scopolamine: Used to prevent motion sickness, nausea, and vomiting.

Oxybutynin: Used to treat overactive bladder and urinary incontinence.

Tiotropium: Used as a long-acting bronchodilator in the treatment of chronic obstructive pulmonary disease (COPD).

Nicotinic Antagonists:

These drugs selectively block nicotinic acetylcholine receptors, which are found in the central and peripheral nervous systems as well as neuromuscular junctions.

Examples include:

Hexamethonium: A ganglionic blocker used in the past to treat hypertension.

Trimethaphan: Another ganglionic blocker used in the past to treat hypertension.

Tubocurarine: A neuromuscular blocking agent used as a muscle relaxant during surgery.

Mechanism of Action:

Anticholinergic drugs exert their pharmacological effects by competitively blocking the binding of acetylcholine to cholinergic receptors. By inhibiting the action of acetylcholine, these drugs interfere with cholinergic neurotransmission and produce a variety of effects, including:

- Decreased smooth muscle contraction, leading to relaxation of the gastrointestinal tract, bronchial tubes, and bladder.
- Inhibition of glandular secretions, reducing salivation, lacrimation, and sweating.
- Pupil dilation (mydriasis) and cycloplegia (loss of accommodation) due

to blockade of muscarinic receptors in the eye.

- Increased heart rate (tachycardia) and reduced atrioventricular (AV) conduction, particularly at higher doses.

Uses:

Anticholinergic drugs have several therapeutic uses across different medical conditions:

- **Ophthalmology:** Used to dilate the pupil (mydriasis) and relax the ciliary muscle (cycloplegia) during eye examinations and surgeries.
- **Gastroenterology:** Used to treat gastrointestinal disorders such as irritable bowel syndrome (IBS) and peptic ulcers by reducing gastrointestinal motility and secretions.
- **Urology:** Used to treat overactive bladder and urinary incontinence by reducing detrusor muscle activity and increasing bladder capacity.
- **Respiratory Medicine:** Used as bronchodilators in the treatment of COPD and asthma by reducing bronchial smooth muscle tone.
- **Neurology and Psychiatry:** Used to treat Parkinson's disease, motion sickness, and certain psychiatric disorders by reducing tremors, nausea, and cholinergic symptoms.
- **Anesthesia:** Used as premedication to reduce salivation and respiratory secretions, and to prevent bradycardia during surgery.

Anticholinergic Drugs
(Atropinic Drugs, Muscarinic antagonists, Parasympatholytics)

