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Cholinergic Blocking agents

Presented By;-

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Specialization:- Pharmaceutical Chemistry

Cholinergic Blocking agents

- Cholinergic blocking agents are drugs that **block the action of acetylcholine (ACh)** at **muscarinic receptors**.

- They inhibit parasympathetic nerve activity → also called:
  - ✓ **Antimuscarinics**
  - ✓ **Parasympatholytics**
  - ✓ **Muscarinic antagonists**

- These drugs produce **opposite effects of ACh** (opposite of parasympathetic activity).

Classification of Cholinergic Blocking agents

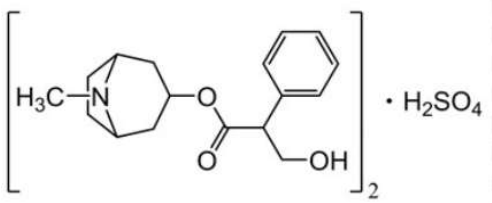
- **Solanaceous alkaloids and analogues:-** Atropine sulphate, Hyoscyamine sulphate, Scopolamine hydrobromide, Homatropine hydrobromide, Ipratropium bromide*.
- **Synthetic cholinergic blocking agents:-** Tropicamide, Cyclopentolate hydrochloride, Clidinium bromide, Dicyclomine hydrochloride*, Glycopyrrolate, Methantheline bromide, Propantheline bromide, Benztropine mesylate, Orphenadrine citrate, Biperidine hydrochloride, Procyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.

MOA of Cholinergic Blocking agents

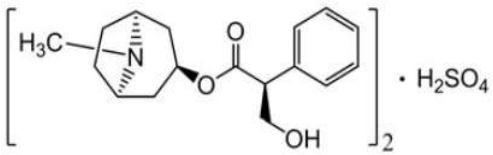
Cholinergic blocking agents competitively **block muscarinic receptors (M1–M5),** thereby **inhibiting parasympathetic activity** leading to mydriasis, bronchodilation, tachycardia, decreased GI motility, and reduced secretions.

A. Solanaceous Alkaloids & Analogues:-

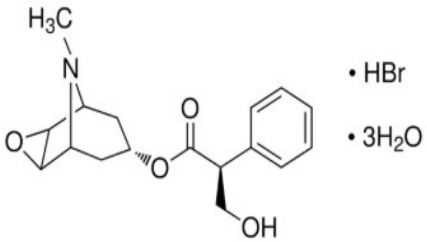
Drug	Introduction	Mechanism of Action (MOA)	Uses
Atropine sulphate	Natural alkaloid from Atropa belladonna; prototype anticholinergic.	Competitive muscarinic (M1–M5) receptor antagonist → blocks parasympathetic effects.	Bradycardia, organophosphate poisoning, pre-anesthetic medication, mydriasis & cycloplegia.
Hyoscyamine sulphate	L-isomer of atropine; more potent.	Selective muscarinic antagonist; reduces GI motility & secretions.	GI spasms, irritable bowel syndrome, antispasmodic.
Scopolamine hydrobromide	Natural alkaloid; more CNS penetration; strong central depressant.	Blocks muscarinic receptors in CNS & peripheral tissues.	Motion sickness (transdermal), postoperative nausea, pre-anesthetic sedation.
Homatropine hydrobromide	Semi-synthetic derivative of atropine; shorter action.	Muscarinic receptor antagonist causing mydriasis/cycloplegia.	Ophthalmic use: pupil dilation for eye examination.
Ipratropium bromide*	Synthetic quaternary ammonium; bronchodilator.	Muscarinic antagonist (M3 block) in bronchi → inhibits bronchoconstriction.	COPD, asthma, rhinorrhea.



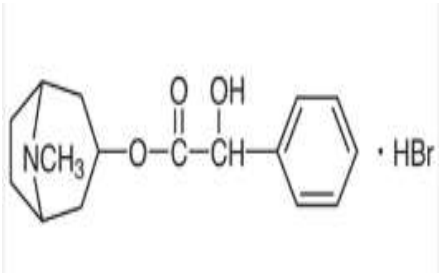
Atropine sulphate



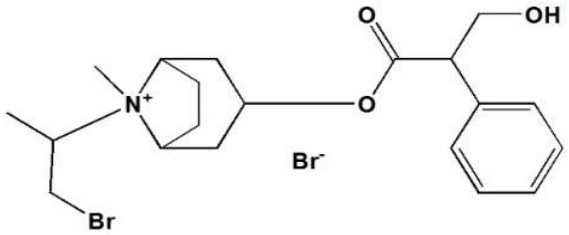
Hyoscyamine sulphate



Scopolamine hydrobromide



Homatropine hydrobromide

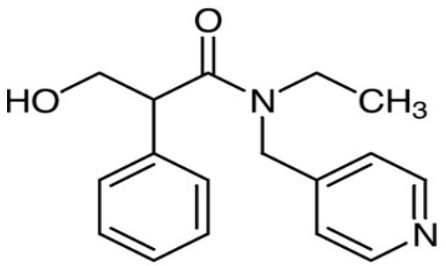


Ipratropium bromide

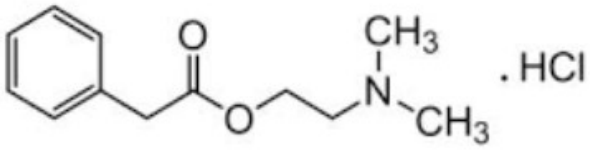
Synthesis of Ipratropium bromide

B. Synthetic Cholinergic Blocking Agents:-

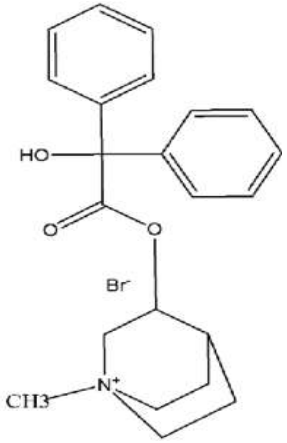
Drug	Introduction	Mechanism of Action (MOA)	Uses
Tropicamide	Short-acting synthetic mydriatic agent.	Muscarinic receptor antagonist → pupil dilation & cycloplegia.	Ophthalmic exams (mydriasis).
Cyclopentolate HCl	Intermediate-acting synthetic mydriatic.	Muscarinic blockade in the eye → mydriasis/cycloplegia.	Eye examination; cycloplegic refraction.
Clidinium bromide	Quaternary ammonium antimuscarinic.	Blocks M1/M3 receptors → ↓ GI motility & secretions.	Peptic ulcer, IBS, GI spasms (often with chlordiazepoxide).
Dicyclomine HCl*	Synthetic tertiary amine; potent antispasmodic.	M3 blockade in GI smooth muscle → antispasmodic effect.	IBS, GI colic, intestinal spasms.
Glycopyrrolate	Quaternary ammonium; no CNS entry.	Peripheral M1–M3 antagonism → ↓ secretions, bronchodilation.	Pre-anesthetic (↓ secretions), peptic ulcer, COPD (adjunct).
Methantheline bromide	Synthetic quaternary anticholinergic.	Blocks muscarinic receptors (GI & bladder).	Peptic ulcer, GI hypermotility, spasms.
Propantheline bromide	Quaternary ammonium antimuscarinic.	M-receptor antagonist → ↓ GI motility & secretions.	Peptic ulcer, hypermotility, bladder spasm.
Benztropine mesylate	Centrally acting anticholinergic; lipid soluble.	Blocks central M receptors; mild DA reuptake inhibition.	Parkinsonism, drug-induced extrapyramidal symptoms (EPS).
Biperiden HCl	Centrally acting antimuscarinic.	CNS M1 blockade → ↓ cholinergic activity in basal ganglia.	Parkinsonism, antipsychotic-induced EPS.
Procyclidine HCl*	Lipid-soluble anticholinergic.	Central M receptor antagonist → ↓ rigidity & tremors.	Parkinson's disease, drug-induced EPS.
Tridihexethyl chloride	Quaternary synthetic antispasmodic.	Blocks peripheral M receptors → relaxes smooth muscle.	GI hypermotility, peptic ulcer.
Isopropamide iodide	Long-acting quaternary antimuscarinic.	M1/M3 receptor block → ↓ secretions & motility.	Peptic ulcer, IBS, GI cramps.
Ethopropazine HCl	Phenothiazine-derived anticholinergic.	Central muscarinic antagonism.	Adjunct for Parkinsonism.



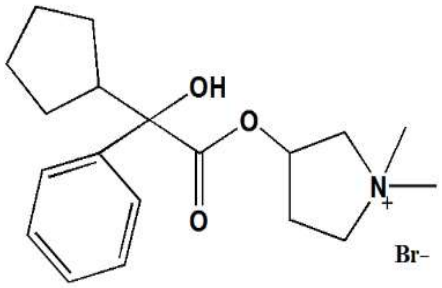
Tropicamide



Cyclopentolate hydrochloride

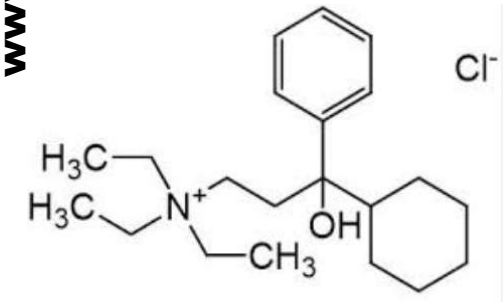


Clidinium bromide

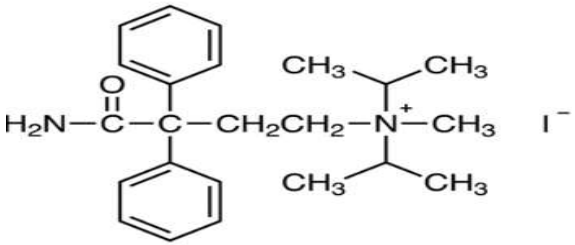


Glycopyrrolate

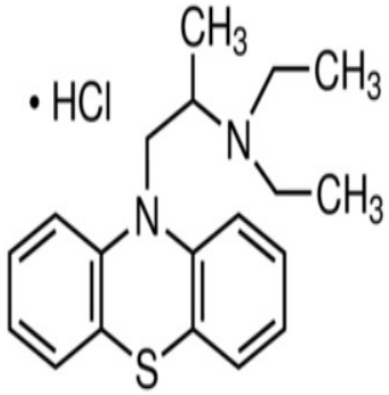
Methantheline bromide



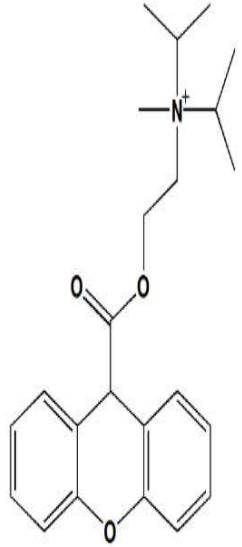
Tridihexethyl chloride



Isopropamide iodide

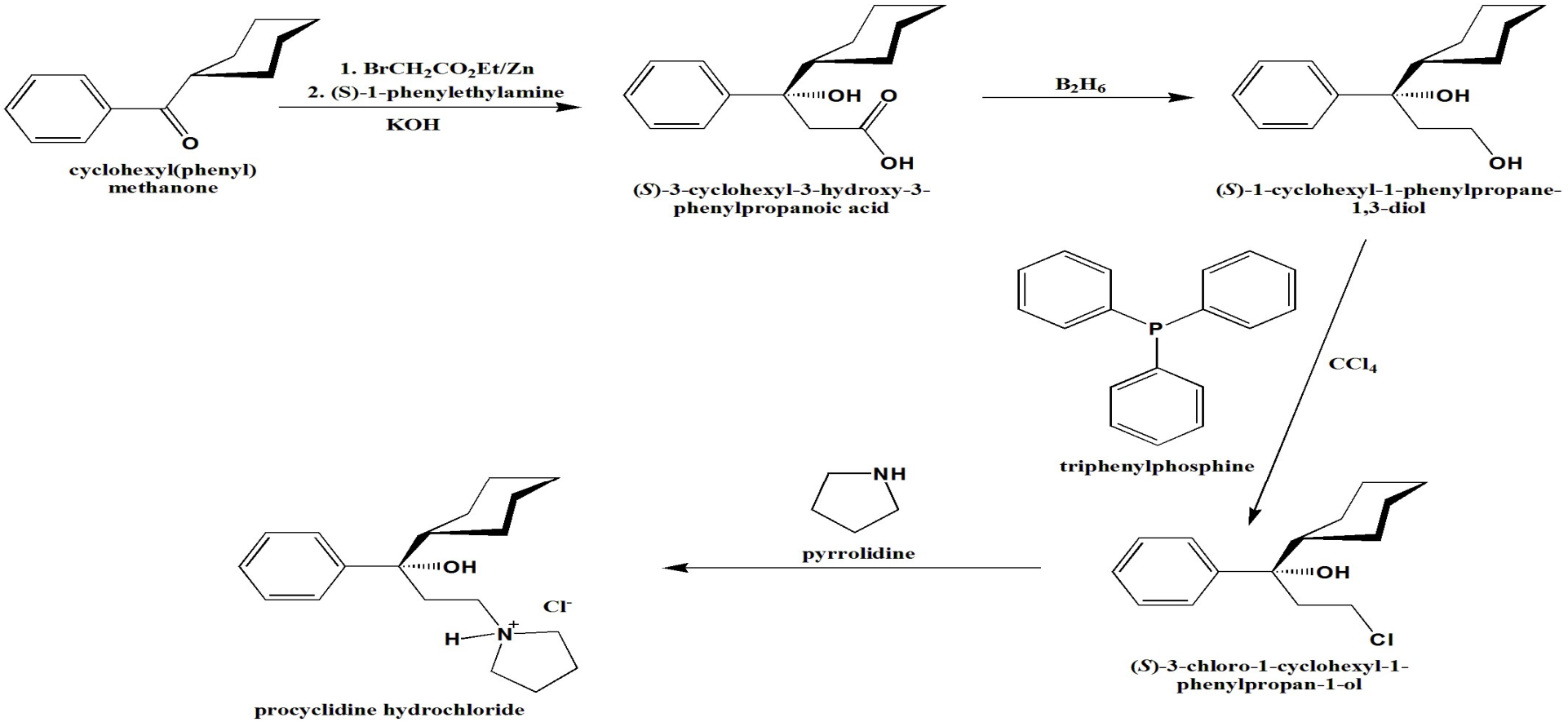


Ethopropazine hydrochloride

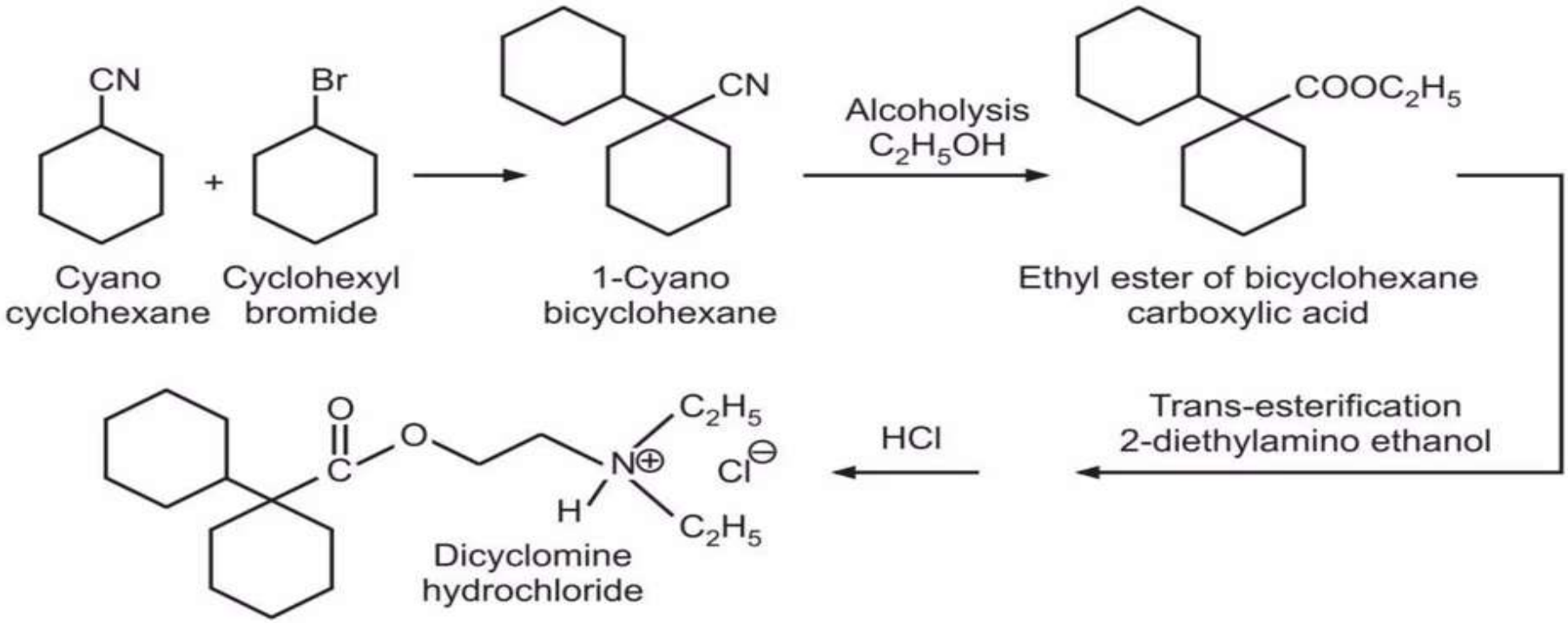


Propantheline

Synthesis of Procyclidine hydrochloride



Synthesis of Dicyclomine hydrochloride



Thank You

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