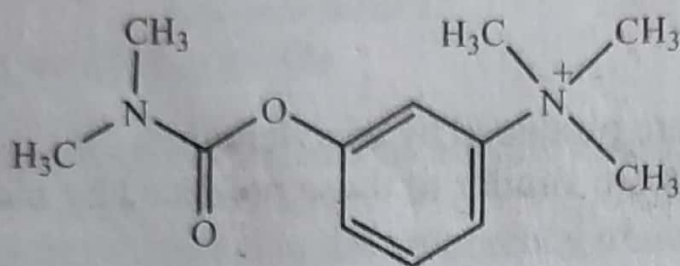


17.1.3.1. Neostigmine

Neostigmine is a cholinesterase inhibitor used for treating myasthenia gravis and for reversing the effects of muscle relaxants (e.g., gallamine and tubocurarine).

Chemical Structure



Neostigmine

Molecular Formula: $C_{12}H_{19}N_2O_2^+$

IUPAC Nomenclature: [3-(dimethylcarbamoyloxy)phenyl]-trimethylazanium

Properties: Neostigmine occurs as white coloured crystalline powder with a bitter taste and no odour. It is readily soluble in water and alcohol; and insoluble in ether. It may be sterilised by boiling. Its solutions are stable and neutral to litmus.

Uses: Neostigmine is used for urinary retention caused by general anaesthesia. It is used for treating curariform drug toxicity and Ogilvie syndrome (pseudo-obstruction of colon in critically ill patients). It causes menstrual bleeding in non-pregnant females with delayed menstrual period.

Stability and Storage Conditions: Neostigmine should be stored at room temperature (15-30°C), away from moisture and light.

Types of Pharmaceutical Formulations: Intravenous, intramuscular and subcutaneous injections, liquid, solution, and tablet.

Brand Names: Beemine, Myostigmine, and Bloxiverz.

17.1.3.2. Pyridostigmine

Pyridostigmine is a cholinesterase inhibitor having a little longer duration of action than neostigmine.

Molecular Formula: $C_9H_{13}N_2O_2$

IUPAC Nomenclature: 3-[(dimethylcarbamoyl)oxy]-1-methylpyridin-1-ium

Properties: Pyridostigmine occurs as a white, hygroscopic, crystalline powder with an agreeable, characteristic odour and a bitter taste. It is freely soluble in water, alcohol, and chloroform; slightly soluble in solvent hexane; and insoluble in ether.

Uses: Pyridostigmine is used orally for treating myasthenia gravis. It is also used for reversing the actions of muscle relaxants. It is used via parenteral route for treating neonatal myasthenia and exacerbations of myasthenia. It antagonises neuromuscular blocking agents and its effectiveness is one-fourth of that of neostigmine.

Stability and Storage Conditions: Pyridostigmine should be stored in well-closed containers away from light.

Types of Pharmaceutical Formulations: Intravenous injections, syrup, and tablets.

Brand Names: Distinon, Gravitor, Mestinon, Regonol, and Mysetin.

17.1.3.3. Pralidoxime

Pralidoxime is an antidote of organophosphate pesticides and chemicals. Reversible inactivation of acetylcholinesterase occurs as organophosphates binds to its esteratic site.

Molecular Formula: $C_7H_9N_2O$

IUPAC Nomenclature: 2-[(1E)-(hydroxyimino)methyl]-1-methylpyridin-1-ium

Properties: Pralidoxime chloride occurs as a white, non-hygroscopic, crystalline powder with no odour. It is soluble in water and stable in air.

Uses: Pralidoxime is used for treating poisoning caused by the organophosphate pesticides and chemicals. It is also used for controlling overdosage of anticholinesterase drugs used for treating myasthenia gravis.

Stability and Storage Conditions: Pralidoxime should be stored in closed containers at room temperature, away from heat, moisture, and direct light. It should not be frozen.

Types of Pharmaceutical Formulations: Intravenous and intramuscular injections, and powder for solution.

Brand Names: CBC-Pam, Clopam, and Lyphe.

17.1.3.4. Pilocarpine

Pilocarpine is a slowly hydrolysed muscarinic agonist with no nicotinic effects. It is used as a miotic and for treating glaucoma.

Molecular Formula: $C_{11}H_{16}N_2O_2$

IUPAC Nomenclature: methyl]oxolan-2-one.

(3S,4R)-3-ethyl-4-[(3-methylimidazol-4-yl)

Properties: Pilocarpine occurs as shining white crystals. It is soluble in water and alcohol.

Uses: Pilocarpine solution (1-5%) is used to cause meiosis and retard intraocular tension in the treatment of open-angle glaucoma. It is also used for treating radiation-induced dry mouth (xerostomia) and symptoms of dry mouth in patients suffering from Sjögrens syndrome.

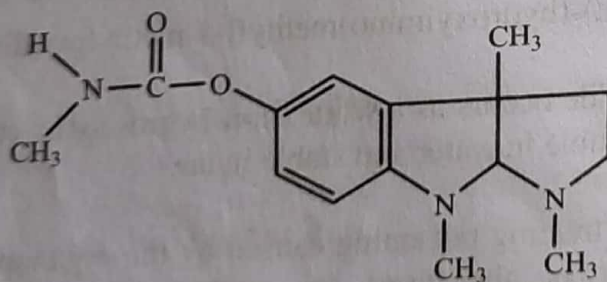
Stability and Storage Conditions: Pilocarpine is hygroscopic in nature, light-sensitive, and stable in air, thus should be stored at room temperature away from hot or moist areas.

Types of Pharmaceutical Formulations: Liquid, solutions, drops, and tablets.

Brand Names: Carpine, Carpinol, Carporen, Locarp, and Pilocar.

17.1.3.5. Physostigmine

Physostigmine is a cholinesterase inhibitor which gets quickly absorbed through membranes. It can be topically applied on the conjunctiva.

Chemical Structure

Physostigmine

Molecular Formula: $C_{15}H_{21}N_3O_2$

IUPAC Nomenclature: [(3aR,8bS)-3,4,8b-trimethyl-2,3a-dihydro-1H-pyrrolo[2,3-b]indol-7-yl] N-methylcarbamate

Properties: Physostigmine occurs as a white coloured microcrystalline powder with no odour. It is faintly soluble in water; and soluble in alcohol, benzene, chloroform, oils, dilute acids, and ether. It gets decomposed in the presence of light and air.

Uses: Physostigmine can be topically applied on the conjunctiva. It is used when the effects are required on the CNS such as in the treatment of severe anticholinergic toxicity.

Stability and Storage Conditions: Physostigmine becomes red and loses its efficiency when exposed to heat, light, air, and on contact with traces of metals. Its solutions are sensitive to heat and light and should be discarded if they become cloudy or dark brown. Its solutions should be stored in well-closed, light-resistant, alkali-less glass containers and should be used within a week. It should be stored below -40°C or between $15-30^{\circ}\text{C}$, unless otherwise specified by the manufacturer. It should not be frozen.

Types of Pharmaceutical Formulations: Intramuscular and intravenous injections.

Brand Names: Bi Miotic and Bi-Miltic.