Chapter-3
Pharmacology & Toxicology

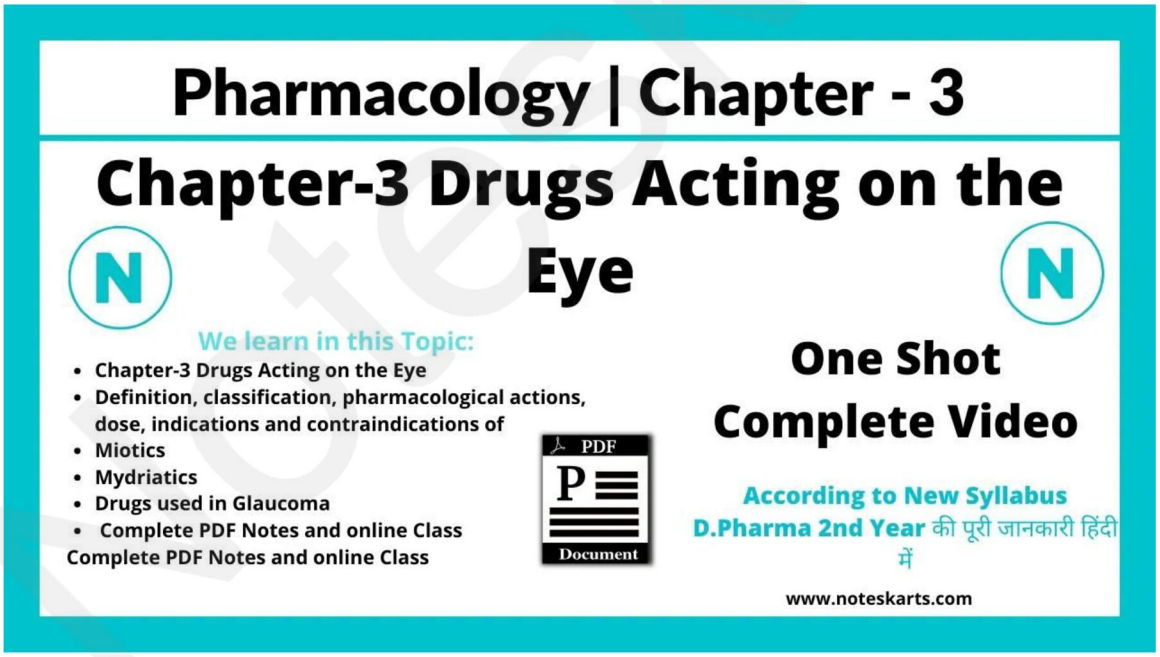
D.Pharma 2nd Year Notes

Chapter- 3

Drugs Acting on the Eye:

Definition, classification, pharmacological actions, dose, indications and contraindications of

- **Miotics**
- **Mydriatics**
- **Drugs used in Glaucoma**



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Drugs Acting on the Eye:

Miotics:

- Miotics are a class of drugs that cause the pupil to constrict, or contract, by constricting the ciliary muscle.
- This contraction increases the angle between the cornea and iris, which allows aqueous humor to drain from the eye more easily.
- They may be used in the treatment of glaucoma and accommodative esotropia and, sometimes, after a mydriatic examination.
- Miotics are either parasympathomimetic (cholinergic-stimulating) drugs which have a direct muscarinic action, such as pilocarpine and carbachol, or anticholinesterase drugs which block the effect of acetylcholinesterase thus letting acetylcholine produce its effect, such as physostigmine and neostigmine etc.

Classification of anticholinesterases

Reversible anticholinesterases

Short acting (Alcohols) edrophonium

Intermediate acting (Carbamates esters)
Physostigmine, Neostigmine
Pyridostigmine, Ambenonium

Irreversible anticholinesterases

Phosphates esters *(very stable covalent bond)*

e.g. Ecothiophate & Isoflurophate

Neostigmine:

- Neostigmine is a cholinesterase inhibitor used in the symptomatic treatment of myasthenia gravis by improving muscle tone.

Pharmacological Action

- Neostigmine is a parasympathomimetic, specifically, a reversible cholinesterase inhibitor.
- The drug inhibits acetylcholinesterase which is responsible for the degredation of acetylcholine. So, with acetylcholinesterase inhibited, more acetylcholine is present By interfering with the breakdown of acetylcholine, neostigmine indirectly stimulates both nicotinic and muscarinic receptors which are involved in muscle contraction.
- It does not cross the blood-brain barrier.

Indications

- Miotics
- Myasthenia gravis
- Muscle relaxant- reversal of non-depolarising muscle relaxant

Contraindication:

- Contraindicated in patients with known hypersensitivity to the drug. Because of the presence of the bromide ion, it should not be used in patients with a previous history of reaction to bromides.
- It is contraindicated in patients with peritonitis or mechanical obstruction of the intestinal or urinary track.

Mydriatics

- Mydriatics are types of medicine that make the pupil of the eye dilate (open up).
- Mydriatics also tend to relax the focusing muscles of the eye, which means that blurred vision is a common side effect.

The commonly used mydriatics comprise two groups of drugs:

a) **Parasympatholytic,** which cause pupillary dilatation and paralysis of accommodation by rendering the sphincter pupillae and ciliary muscles insensitive to acetylcholine.

b) **Sympathomimetic,** which imitate or potentiate the action of adrenaline and produce pupillary dilatation but no cycloplegia.

- These drugs potentiate the action of parasympatholytic drugs. Most mydriatics reach their maximal effect by 30 to 6o minutes, although in children and people with deeply pigmented irides this may take longer.

Classification of Mydriatics:

1. **Anti-cholinergics**
   - Atropine
   - Cyclopentolate
   - Tropicamide
2. **Adrenergics**
   - Adrenaline
   - Ephedrine
   - Phenylephrine
3. **General anesthetics**
   - Ether
   - Halothane
4. **Local Anesthetics**
   - Cocaine

Atropine

- Atropine is a prescription medicine used to treat the symptoms of low heart rate (bradycardia), reduce salivation and bronchial secretions before surgery or as an antidote for overdose of cholinergic drugs or mushroom poisoning. Atropine may be used alone or with other medications.

Pharmacological Action of Atropine

- Atropine binds to and inhibits muscarinic acetylcholine receptors, competitively blocking the effects of acetylcholine and other choline esters.
- It acts as a reversible non-specific antagonist of muscarinic receptors, showing affinity for the M1, M2, M3, M4 and M5 receptor subtypes.
- Atropine antagonizes the effects of acetylcholine on tissues innervated by postganglionic cholinergic nerves, such as smooth muscle, cardiac tissue, exocrine glands and the central nervous system. Also, it acts in less innervated smooth muscle that responds to endogenous acetylcholine.
- The actions of atropine can be overcome by increasing the concentration of acetylcholine at receptor sites (for instance, the use of anticholinesterase agents that inhibit the hydrolysis of acetylcholine)

Dose

Intramuscular device

- 0.25mg/0.3mL
- 0.5mg/0.7mL
- 1mg/0.7mL
- 2mg/0.7mL

Indications

- For causing mydriasis.
- Atropine is indicated for the treatment of poisoning by susceptible organophosphorus nerve agents having anticholinesterase activity as well as organophosphorus or carbamate insecticides in adults and pediatric patients weighing more than 41 kg (90 pounds).

- An anti-sialagogue when reductions of secretions of the respiratory tract are needed.
- It is used to prevent vagal stimulation prior to procedures in, prolonged cardiac arrest.

Contraindication

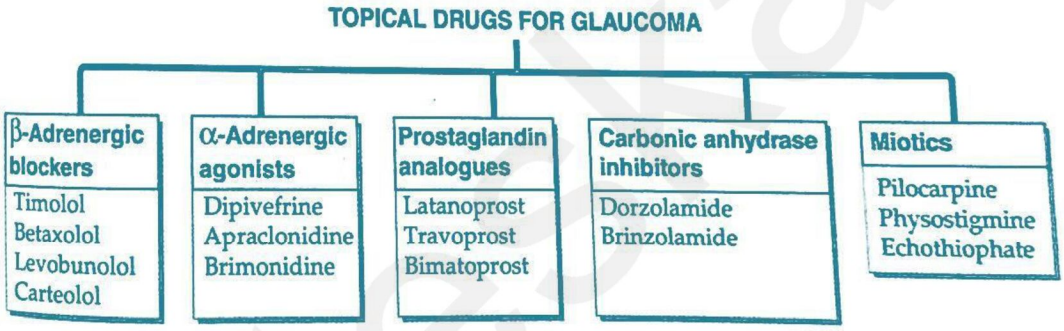
- Atropine generally is contraindicated in patients with glaucoma, pyloric stenosis, thyrotoxicosis, fever, urinary tract obstruction and ileus.

Drug Used in Glaucoma:

Glaucoma:

- Glaucoma is a disease that damages your eye's optic nerve.
- It usually happens when fluid builds up in the front part of your eye.
- That extra fluid increases the pressure in your eye, damaging the optic nerve.
- Glaucoma is a leading cause of blindness for people over 60 years old. But blindness from glaucoma can often be prevented with early treatment.

Classification of Glaucoma:



Timolol

- Timolol is a non-selective beta adrenergic blocker used in the treatment of elevated intraocular pressure in ocular hypertension or open angle glaucoma.
- This medication is used to treat high pressure inside the eye due to glaucoma (open angle-type) or other eye diseases (such as ocular hypertension).
- Lowering high pressure inside the eye helps to prevent blindness. This medication works by decreasing the amount of fluid within the eye.

Pharmacological Action of Timolol:

Timolol

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Binds to beta-adrenergic receptors, and block endogenous adrenergic stimulation

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Prevents the production of aqueous humor

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Lowers the ocular pressure inside the eye

Indication

- Ophthalmic timolol is indicated for the treatment of increased intraocular pressure in patients with ocular hypertension or open-angle glaucoma.
- The oral form of this drug is used to treat high blood pressure.
- In certain cases, timolol is used in the prevention of migraine headaches.

Contraindication:

- Overactive Thyroid Gland
- Diabetes
- Low Blood Sugar
- Myasthenia Gravis, A Skeletal Muscle Disorder
- Complete Heart Block
- Partial Heart Block
- Sinus Bradycardi