

OCULAR PHARMACOLOGY

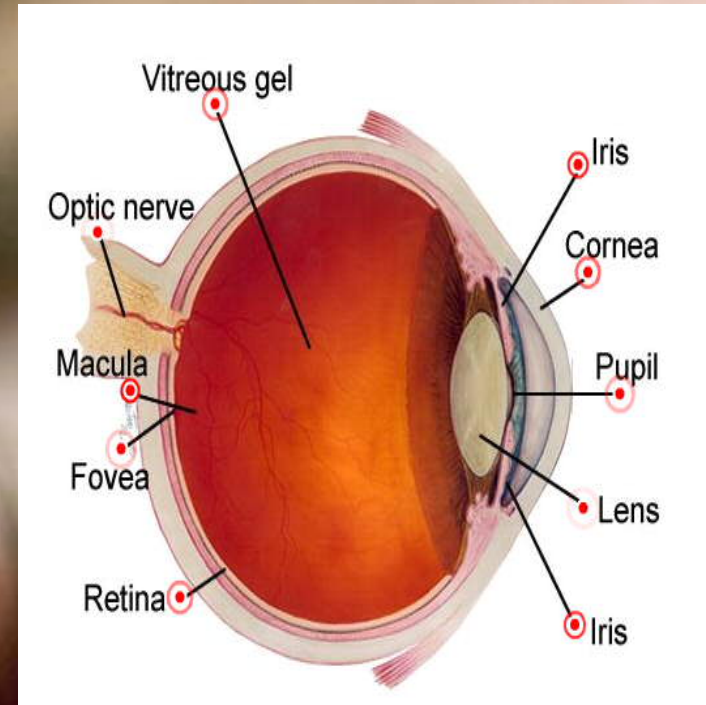
ILOS

Outline common routes of administration of drugs to the eye

Classify drugs used for treatment of disorders of the eye

Elaborate on autonomic, anti-inflammatory drugs & drugs used for glaucoma

Hint on ocular toxicity of some drugs



ROUTES OF ADMINISTRATION

1- Topical

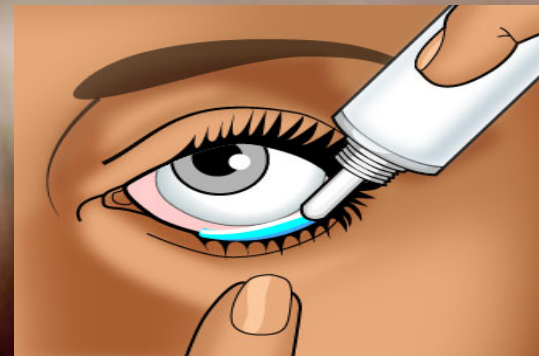
Eye drops

Ointments

Injections

2- Systemic

Oral, IV





TOPICAL APPLICATIONS

Advantages

Convenient

Economic

Relatively safe

Disadvantages

Compliance

Corneal & conjunctival toxicity

LOCAL INJECTIONS

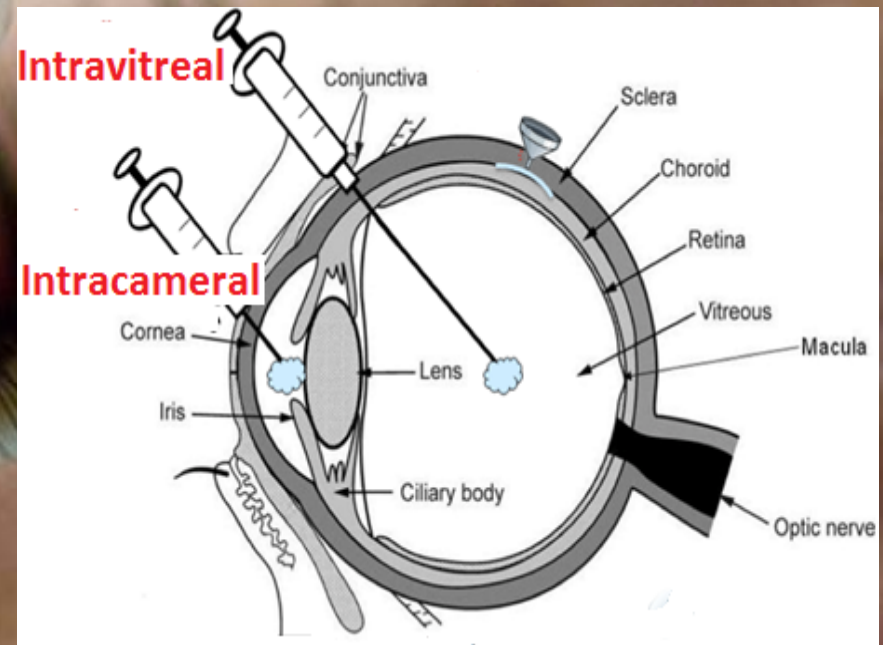
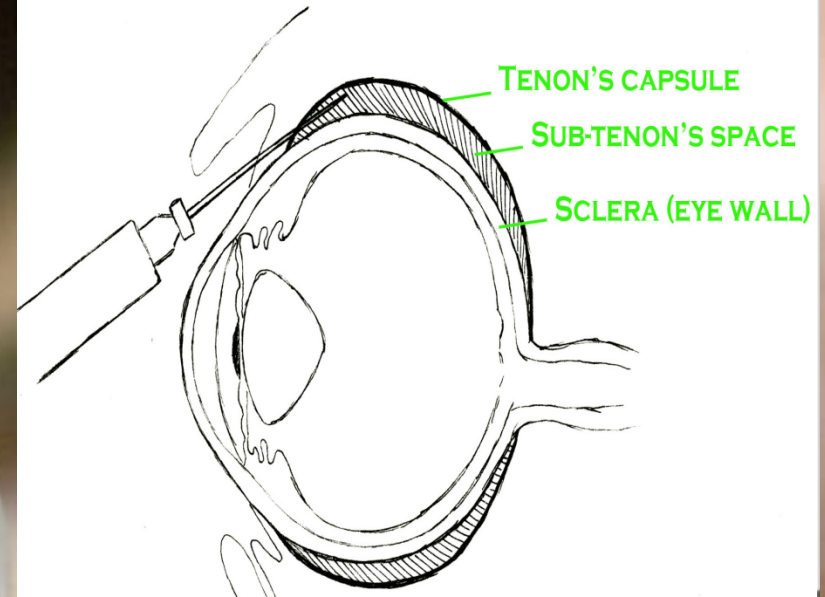
i- Periocular

Subconjunctival, peribulbar, retrobulbar, or subtenon

ii- Intraocular

Intracameral or intravitreal

SUB-TENON'S INJECTION



PERI-OCULAR INJECTIONS

- Subconjunctival, subtenon, peribulbar, or retrobulbar

For infection of anterior segment and inflammation of uvea

- bypass the conjunctival and corneal epithelium which is good for drugs with low lipid solubility (e.g. penicillins)

- **steroid and local anesthetics**

Local toxicity, tissue injury, globe perforation, optic nerve damage



Intraocular injections

For anterior segment surgery, infections & retinitis

Intracameral acetylcholine during cataract surgery

Intravitreal antibiotics in cases of endophthalmitis

Intravitreal steroid in macular edema

ADRS: Retinal, intraocular, corneal toxicity

SYSTEMIC DRUGS

Factor influencing systemic drug penetration into ocular tissue

lipid solubility of the drug: more penetration with high lipid solubility

Protein binding: more effect with low protein binding

Eye inflammation: more penetration with ocular inflammation

OCULAR DRUGS

A close-up photograph of a hand holding a white plastic eye dropper, dispensing a drop of clear liquid into a person's eye. The background is blurred, focusing on the eye and the dropper.

Autonomic drugs

Miotics
Mydriatics
Cycloplegics

Antiglaucoma Drugs

Anti-inflammatory drugs

Steroids & NSAIDs

Chemotherapeutics

Local anesthetics

Antibacterial,
antifungal,
antiviral,
antimitotic

Ocular lubricants

AUTONOMIC DRUGS

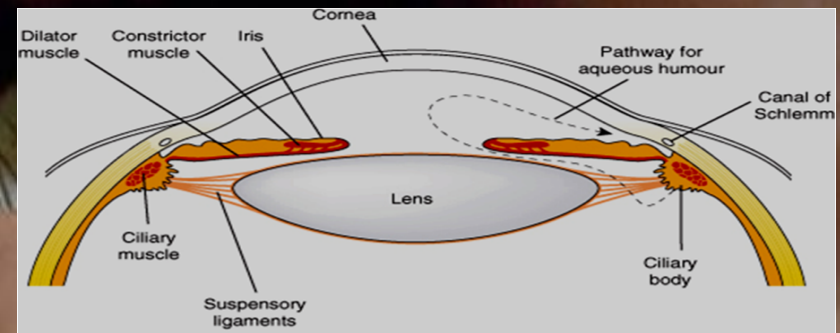
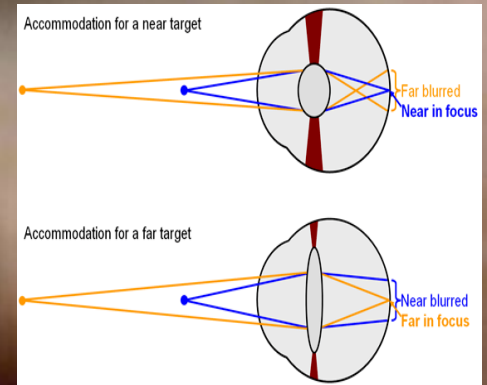
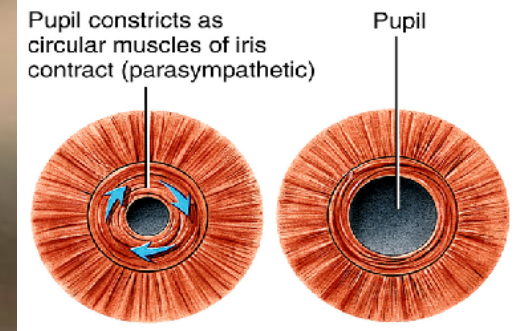
Parasympathetic Drugs

- Constriction of the pupillary sphincter muscle (**miosis**)
- Contraction of the ciliary muscle (accommodation for near vision).
- Increase aqueous outflow through the trabecular meshwork into canal of Schlemm by ciliary muscle contraction

Decrease intraocular pressure

- Increase lacrimation

- Conjunctival vasodilatation



PARASYMPATHETIC DRUGS

Clinical uses

Glaucoma (open and close angle)

Counteract action of mydriatics

-To break adhesions

-In accommodative esotropia (ecothiophate)

-In lice infestation of lashes (physostigmine)

Ocular ADRS

Diminished vision (myopia), headache

PARASYMPATHETIC DRUGS

Clinical uses

Drugs	Ocular uses
Acetylcholine Carbachol Methacholine	Induction of miosis in surgery Glaucoma
Pilocarpine	In open angle glaucoma
Physostigmine	Glaucoma, accommodative esotropia
Ecothiophate	Glaucoma, accommodative esotropia

CHOLINERGIC ANTAGONISTS

- **Mydriasis** *due to relaxation of circular muscles*

- **Cycloplegia** (loss of near accommodation) due to relaxation of ciliary muscles

Loss of light reflex

Increased I.O.P

- ↓ Lacrimal secretion → sandy eye

CHOLINERGIC ANTAGONISTS

A close-up photograph of a person's eye. A white plastic eye dropper is positioned above the eye, with its tip just above the eyelid. The eye is looking upwards. The background is blurred, showing the person's face and hair.

Clinical uses

-To prevent adhesion in uveitis & iritis

-Funduscopy examination of the eye

-Measurement of refractive error

ADRENERGIC AGONISTS

Non-selective agonists

Mechanism: ↑ uveoscleral outflow of aqueous humor

Uses: open angle glaucoma

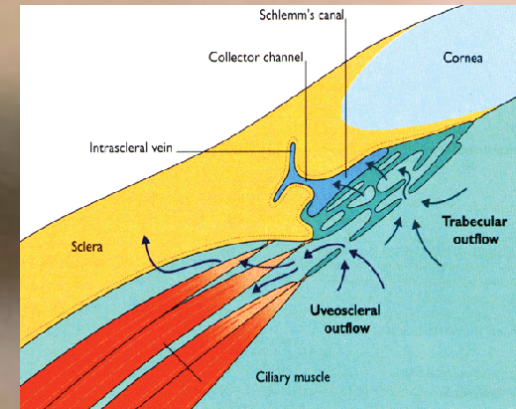
α_1 agonists e.g. phenylephrine

Mydriasis (without cycloplegia)

-Fundusopic examination of the eye

-Decongestant in minor allergic hyperemia of eye

-To prevent adhesion in uveitis & iritis



ADRENERGIC AGONISTS



α_2 agonists e.g. apraclonidine

Mechanism: ↓ production of aqueous humor

Uses: glaucoma treatment,
Prophylaxis against IOP spiking after
glaucoma laser procedures

β - BLOCKERS

non-selective: timolol, carteolol

selective: betaxolol (beta 1
“cardioselective”)

Mechanism: Act on ciliary body to ↓
production of aqueous humor

Uses: open angle glaucoma

Advantages can be used in patients with
hypertension/ischemic heart disease

Ocular ADRS:- irritation

TREATMENT OF OPEN ANGLE GLAUCOMA (CHRONIC)

Goal is to decrease IOP

➤ Decreasing production of aqueous humor

B- blockers

α_2 agonists

Carbonic anhydrase inhibitors

➤ Increasing outflow of aqueous humor

Prostaglandins

Adrenergic agonists (non-specific)  **↑ uveoscleral outflow**

Parasympathomimetics

CARBONIC ANHYDRASE INHIBITORS

Acetazolamide (oral), Dorzolamide (topical)

Mechanism:-↓ production of aqueous humor

Side Effects:

myopia, malaise, anorexia, GI upset,
headache
metabolic acidosis, renal stone,

Contraindications:

sulpha allergy, digitalis users, pregnancy

PROSTAGLANDINS

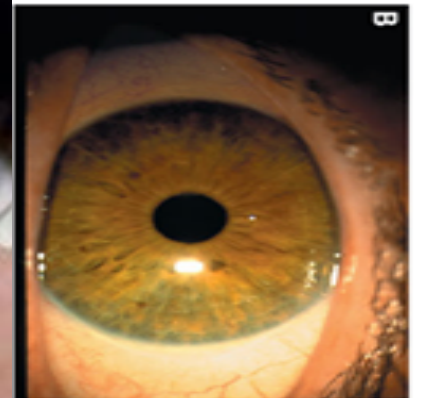
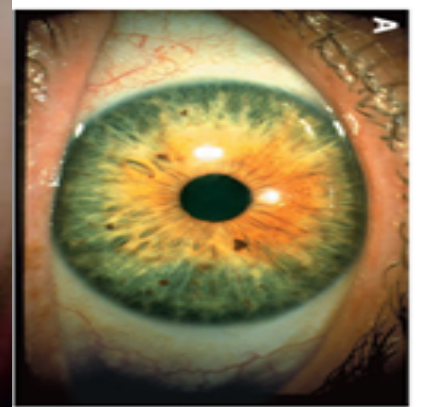
Latanoprost

Mechanism: \uparrow Uveoscleral outflow

Uses: open angle glaucoma

Administration: Topical drops

Side Effects: Iris color change



DRUG THERAPY OF ACUTE ANGLE CLOSURE GLAUCOMA (NARROW ANGLE)

Acute, painful increases of pressure

Is associated with occlusion of the outflow drainage pathway

Emergency situation that require treatment before surgery (Iridectomy)

Oral Acetazolamide

Topical cholinomimetics e.g.: pilocarpine

Dehydrating agents: IV infusion Of hypertonic solution (Mannitol, Glycerol)

Analgesics: pethidine or morphine (for pain)



OSMOTIC AGENTS

Glycerol 50% syrup (cause nausea, hyperglycemia)

Mannitol 20% IV (cause fluid overload and not used in heart failure)

Clinical uses

Dehydrate vitreous body which reduce IOP prior to anterior surgical procedures

Used for short term management of acute rise in IOP

CORTICOSTEROIDS

Mechanism:- Inhibition of arachidonic acid release by inhibiting phospholipase A₂

Topical:- prednisolone, dexamethasone, hydrocortisone

Uses: postoperatively, anterior uveitis, severe allergic conjunctivitis, scleritis, prevention and suppression of corneal graft rejection

Systemic:- prednisolone, cortisone

Uses: posterior uveitis, optic neuritis

Ocular ADRS:- Glaucoma, cataract, skin atrophy, secondary infection, delayed wound healing.

NSAIDS

e.g. flurbiprofen, diclofenac, ketorolac

Mechanism: inhibition of cyclo-oxygenase

Uses: Flurbiprofen preoperatively to prevent miosis following cataract surgery

Diclofenac for postoperative inflammation

Ketorolac for cystoid macular edema occurring after cataract surgery

Side effects: stinging , sterile corneal melt & perforation

TOXICOLOGY

Digitalis causes chromatopsia with overdose

Chloroquine causes retinopathy

Amiodarone causes optic neuropathy & pigmented deposits of the cornea

Phenothiazines cause brown pigmentary deposits in the cornea, conjunctiva & eyelid



Sildenafil

Inhibits PDE₅ in the corpus cavernosum to achieve penile erection

It also mildly inhibits PDE₆ which controls the level of cyclic GMP in the retina → seeing a bluish haze & causing light sensitivity

Steroids → cataract formation, elevated IOP & glaucoma

Ethambutol → optic neuropathy characterized by gradually progressive central scotomas & vision loss