



MEDICINE
KING SAUD UNIVERSITY



MCQs

SAQs

summary

1: Pharmacology of drugs acting on the eye

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Summary

Challenges to drug delivery to the eye:

- 1) The blood brain barrier
- 2) They are cleared rapidly by tears or aqueous humor
- 3) High risk of infections due to Subconjunctival & intravitreal injections

Peri-ocular injection is a Good route for drugs with low lipid solubility (e.g. penicillins)
Peri-ocular injection is Used for infection of anterior segment and inflammation of uvea

All mitotic drugs such as Parasympathetic agonist can be used for both 1) Open and close glaucoma.

While the mydratic drugs such as (parasympathetic antagonist & sympathetic) can be used for ...

- 1) Restricted only for open glaucoma
- 2) Fountoscopic examination for eyes
- 3) Decongestion by alpha1 agonist

Latanoprost :

- 1) They have replaced beta blockers They are used topically once a day.
- 2) ADRS heterochromia iridis & Macular edema.

So in open angle glaucoma the first choice is Latanoprost then Beta blockers

Acute close glaucoma, we have to decrease IOP by :

- 1) Decrease Aqueous humor formation → by (Carbonic anhydrase inhibitors)
- 2) Increase the outflow of it → by (Mitotic Drugs)
- 3) Shifting of the fluid from the eyes chambers to circulatory blood by → (Osmotic agents)

Any drugs cause mydriasis can not be used in close angle glaucoma

- 1) Passive Mydriasis with cycloplegia → by cholinergic antagonist
- 2) Active Mydriasis without cycloplegia → By non-selective Adrenergic drugs or selective alpha agonist

Summary

Drugs can be delivered to ocular tissue as : **Locally (topically) or systemically**

1-Locally

<u>Eye drops</u>	<u>Ointments</u>	<u>Intra-ocular injection</u>	<u>Peri-ocular injection</u>
<ul style="list-style-type: none"> - Most common route of administration - Eye drops are saline containing drops “liquid” - has to be used several times. 	<ul style="list-style-type: none"> - Increases the contact time of ocular medication to ocular surface →providing better effect. 	<p>1- Intra-cameral: E.g. during cataract surgery.</p> <ul style="list-style-type: none"> - acetylcholine or - lidocaine <p>2- Intra-vitreol : E.g.</p> <ul style="list-style-type: none"> - antibiotics →endophthalmitis - steroid → macular edema 	<p>Types:</p> <ul style="list-style-type: none"> - subconjunctival. - Retro-bulbar. - Peri-bulbar. - Subtenon <ul style="list-style-type: none"> ▪ Good for drugs with low lipid solubility (e.g. penicillins) ▪ Steroid and local anesthetics can be applied this way. ▪ Used for infection of anterior segment and inflammation of uvea

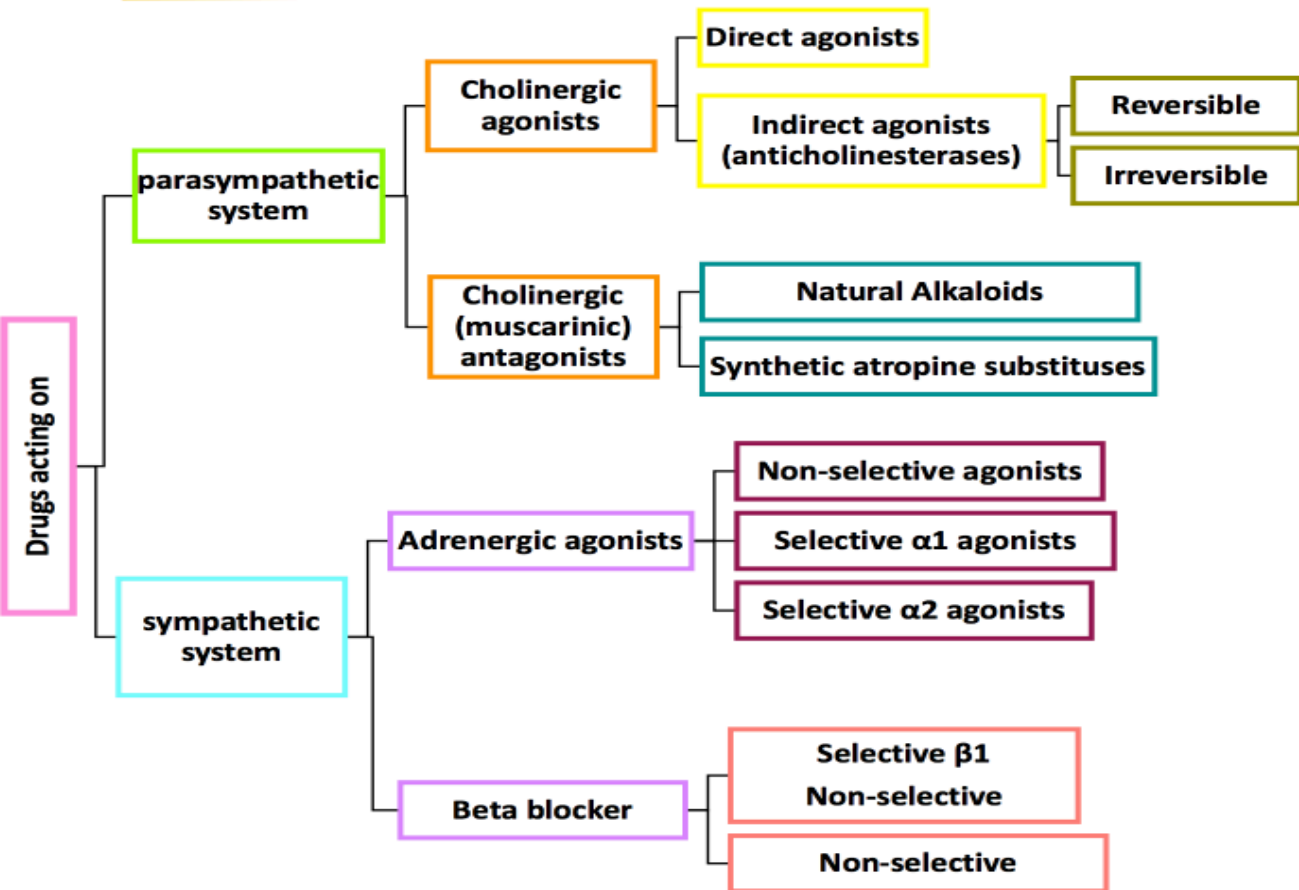
2-Systemically (IV or Oral)

➤ Factors 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 (inverse proportion)
- Eye inflammation:
more penetration with ocular inflammation.

Drugs causing corneal deposits

<u>Drugs</u>	<u>The deposits</u>
Digitalis	Chromatopsia (yellowish haze)
Sildenafil	1- Bluish haze. 2- Light sensitivity
Amiodarone, Chloroquine	1- Pigmented deposits of cornea. 2- Optic neuropathy.
Ethambutol	Optic neuropathy.
Phenothiazine	Brown pigmentary.
Steroids	1- Cataract formation 2- Increase IOP 3- Glaucoma



Ocular actions

Parasympathetic N.S.		Sympathetic N.S.
Cholinergic agonists	Cholinergic (muscarinic) antagonists	
* These 2 are opposite to each other		
2 contractions : 1- Constriction of the pupillary Circular muscle (sphincter muscle) (miosis) drugs causes constriction are Preferred in treatment of glaucoma 2-Contraction of the ciliary muscle (accommodation for near vision).	2 relaxations: 1- Passive *mydriasis → due to relaxation of circular muscles. 2- Cycloplegia (loss of near accommodation) → due to relaxation of ciliary muscle.	<ul style="list-style-type: none"> Contraction of dilator (radial) Pupillae (Active mydriasis) → α_1 Relaxation of ciliary muscles (accommodation for far vision) → β_2
Decrease in intraocular pressure ↓ IOP.	Increased IOP → glaucoma. (especially angle closure glaucoma)	Increase in intraocular pressure IOP
increases aqueous outflow		α & β receptors in the blood vessels of the ciliary processes help in regulation of aqueous humour formation
Increased lacrimation	Decreased lacrimal secretion → sandy eye.	Lacrimation α_1
Conjunctival Vasodilatation may Lead to congestion in eye	Loss of light reflex.	Vasoconstriction of conjunctival blood vessels α_1 (used as decongestion drug)

Parasympathetic Drugs

Cholinergic agonists

Drug	<u>Direct agonist</u>	<u>Indirect agonist (Anticholinesterase)</u>
	1- Methacholine 2- Acetylcholine 3- Carbachol 4- Pilocarpine	Reversible → 1- physostigmine 2- demecarium Irreversible → 1- echothiophate 2- isofluorophate
indications	Specific uses of first three drugs: 1- Induction of miosis in surgery . 2- Open angle glaucoma. Specific uses of Pilocarpine: 1- Open angle glaucoma	Specific uses: 1- Glaucoma 2- Ecothiophate: Accommodative esotropia 3- Physostigmine: In lice infestation of lashes
	General uses: 1- Glaucoma (open & closed angle) . 2- Counteract action of mydriatics. 3- To break iris-lens adhesions. 4- In accommodative esotropia (echothiophate).	
ADRs	- Diminished vision (myopia). - Headache	

Cholinergic (muscarinic) antagonists

Drug	<u>Natural</u> <u>Long duration</u>	<u>Synthetic</u> <u>Short duration</u>
	1- Atropine. 2- Scopolamine (Hyoscine)	1- homatropine. 2- cyclopentolate 3- tropicamide
indications	1- To prevent adhesion in uveitis & iritis. Due its passive mydriasis. 2- Funduscopy examination of the eye. & Measurement of refractive error	
ADRs	Cycloplegia, Loss of light reflex, sandy eye	
C.I	Glaucoma (angle closure glaucoma) increased I.O.P	

Sympathetic Drugs

Adrenergic agonists			
Drug	<u>Non-selective agonists</u>	<u>Selective α_1 agonists</u>	<u>Selective α_2 agonists</u>
	Epinephrine (Dipivefrin is the pro-drug)	Phenylephrine	Apraclonidine
indications	Open angle glaucoma.	1- Funduscopy examination 2- To prevent adhesion in uveitis & iritis. 3- Decongestant	1- Open angle glaucoma treatment 2- Prophylaxis against IOP spiking after glaucoma laser procedures.
ADRs	1- Headache. 2- Arrhythmia. 3- Hypertension	1- Hypertension 2- Rebound congestion.	1- Headache. 2- Bradycardia. 3- Hypotension.
C.I	1- In patients with narrow angles as they may precipitate closed angle glaucoma.	1- Precipitation of acute angle closure glaucoma in patients with narrow angles.	
	(α_1 effect) → because it is doing mydriasis.		

Adrenergic drugs: Beta blockers			
Drug	<u>Non-selective</u>	<u>Selective β_1 (cardio-selective)</u>	
	1- Timolol 2- Carteolol	Betaxolol	
indications	- Used in treatment of open angle glaucoma.		
		Can be used in patients with hypertension & ischemic heart disease.	
ADRs	Ocular irritation.		
C.I	- In asthma patients.		

Open Glaucoma (Chronic)

Decreasing production of aqueous humor:

Beta blockers.

Alpha-2 agonists.

Carbonic anhydrase inhibitors.

Increasing outflow of aqueous humor:

Prostaglandins.

Adrenergic agonists, nonspecific.

Parasympathomimetics.

Prostaglandins and **Beta blockers** are the most popular

Closure Glaucoma (Acute)

The use of drugs is limited to :

Oral

Acetazolamide

Topical cholinomimetics

e.g.: pilocarpine

Osmotic agents

hypertonic solutions of (Mannitol, Glycerol).

Analgesics

pethidine or morphine (for pain)

Summary

Others				
Drug	<u>Carbonic anhydrase inhibitors</u>		<u>Prostaglandin analogues</u>	<u>Systemic Osmotic agents (Dehydrating agents)</u>
	(oral)	(topical)	latanoprost, travoprost	mannitolol, Glycerol (for acute closure glaucoma)
	Acetazolamide	Dorzolamide (preferred)		
indications	open angle glaucoma			(prior to anterior surgical procedure) Used only in acute situations to temporarily reduce high IOP until more definitive treatments can be rendered.
ADRs	Myopia malaise, anorexia, GI upset, headache. Metabolic acidosis , renal stone.		Pigmentation of the iris (heterochromia iridis), Intraocular inflammation, Macular edema.	Diuresis, circulatory overload , pulmonary edema Heart failure - Central nervous system effects such as seizure, and cerebral hemorrhage.
C.I	Sulfa allergy , Pregnancy , Digitalis users.			

Anti-inflammatory Drugs						
Drug	<u>Corticosteroids</u>			<u>NSAIDs</u>		
	Topical		Systemic	Flurbiprofen	Ketorolac	Diclofenac
	1- Prednisolone 2- Dexamethasone 3- Hydrocortisone		1- Prednisolone 2- Cortisone			
M.O.A	inhibiting phospholipase A2			cyclooxygenase inhibitors		
indications	1- Anterior uveitis. 2- Severe allergic conjunctivitis. 3- Scleritis. 4- Prevention and suppression of corneal graft rejection. 5-postoperatively		1- Posterior uveitis. 2- Optic neuritis.	Preoperatively to prevent miosis during cataract surgery	Cystoid macular edema occurring after cataract surgery.	Postoperative inflammation
ADRs	- Glaucoma, cataract, mydriasis - Skin atrophy. - Secondary infection. - Delayed wound healing.			- Stinging (irritation) - Sterile corneal melt & perforation		

MCQs

1- A 20 year old female with chronic open angle glaucoma, 24 weeks pregnant, was prescribed a drug that decreased the production of her aqueous humor. Which of one of the following drugs is contraindicated in her case ?

A- Apraclonidine. B- Tropicamide. C- Acetazolamide. D- timolol

Answer: C

2- Which one of the following is ADRS of pilocarpine?

A- Headache. B- Arrhythmia. C-Diminished vision (myopia). D- Hypotension.

Answer: C

3- A 24 year old male patient was experiencing minor allergic hyperemia and congestion, and after taking the prescribed drug he realized an increase in his blood pressure, and later on developed acute angle closure glaucoma. Which is most likely the drug that was prescribed?

A- Tropicamide B- Phenylephrine C- Apraclonidine D- timolol

Answer: B

4- Systemic osmotic agents are only used in chronic cases?

A- true B- false

Answer: B

5- Which statement is true about the Diclofenac?

A- It is a COX (cyclo-oxygenase) inhibitor

B- It is a phospholipase A2 inhibitor

C- It is used preoperatively to prevent miosis during cataract surgery

D- It will cause delayed wound healing because of the immune response

Answer: A

6- A 53 year old hypertensive patient came to the clinic and during examination it was found that she had open angle glaucoma. Which drug of the following is the preferred in his case ?

A- Epinephrine B- Phenylephrine C- Betaxolol D- timolol

Answer: C

7- If an ophthalmologist wants to dilate the pupils for an eye examination, which of the following drugs could be theoretically useful?

A- Acetylcholine B- Pilocarpine C- Neostigmine. D- Tropicamide

Answer: D

8- Which of the following drugs is commonly used topically and once a day in the treatment of open glaucoma?

A- Pilocarpine B- Tropicamide C- Latanoprost D- carteolol

Answer: C

MCQs

9- A 32 year old female with chronic open angle glaucoma, was prescribed a drug that decreased the production of her aqueous humor as eye drops,. Later, she develop conjunctivitis. Which of one of the following rout of administration is prefer in her case ?

A- Ointment. B- Periocular injections C- Intraocular injections. D- does not mater

Answer: B

10- Which of one of the following rout of administration is the best for low lipid solubility such as penicillin into the eyes ?

A- Ointment. B- Periocular injections C- Intraocular injections. D- eye drops.

Answer: B

11- In my Fundusopic examination the ophthalmologist use tropicamid . When I leave I could not see my watch and I developed light sensitivity. Which one of the following drugs should the doctors used to counteract these symptoms ?

A- Pilocarpine B- Phenylephrine C- Apraclonidine D- timolol

Answer: A

SAQs

1- Give two examples of natural drugs that can be prescribed to a patient to prevent adhesion in uveitis & iritis, and to measure the refractive error.

Natural alkaloids: A- atropine B- Scopolamine (Hyoscine)

2- A 32 year old asthmatic patient came to the clinic because of hypertension and a cardiovascular disorder, and during examination it was found that she had open angle glaucoma. Which drug class is contraindicated and what is the mechanism?

Beta blockers, because of the B2 effect on the lungs (bronchospasm) and the B1 effect on the heart.

3- What's the name of the surgery that treats emergency acute narrow closed angle glaucoma?

Iridectomy

4- A patient was prescribed prednisolone to treat his severe allergic conjunctivitis. Mention 3 ADRs that he/she might experience?

- Glaucoma, cataract, mydriasis - Skin atrophy. - Secondary infection. - Delayed wound healing.

5- Name 3 drugs that can cause corneal deposits?

Sildenafil – Ethambutol – Steroids – Phenothazines – Digitalis - Amiodarone Chloroquine

SAQs

❖ A 61 year old female diagnosed with cataract in both eyes , After 2 weeks she will have cataract surgery.

1- which route of Administration is the best for lidocaine during the surgery?

Intracameral injection is the best.

2-To prevent the miosis during the surgery, which drug can be used?

Flurbiprofen (NSAID) can be used pre-operatively

3- After the surgery the patient may develop cystoid macular edema, which drug can be used to minimize it?

Ketorolac (NSAID)

4- Which drug have the high risk to develop cataract as side effect?

Corticosteroids such as prednisolone, cortisone dexamethasone and hydrocortisone

❖ A 61 year old male diagnosed with Open angle glaucoma in both eyes.

1- what are the drugs of choice in his case?

Prostaglandins and β blockers are the most popular

2-If the patient has Hypertension , which drug can be used safely ?

Beta blockers such as timolol.

3-If the doctor will prescribe one of the following drugs (Apraclonidine/ dorzolamide/ latanoprost) , what are the Mechanism of action?

- Apraclonidine \rightarrow is a Selective α_2 agonists \rightarrow \downarrow production of aqueous humor, and \uparrow uveoscleral outflow of aqueous humor
- Dorzolamide \rightarrow is Carbonic anhydrase inhibitors \rightarrow \downarrow production of aqueous humor by blocking carbonic anhydrase enzyme
- Latanoprost \rightarrow Prostaglandin analogues \rightarrow \uparrow uveoscleral aqueous outflow.

4-Tropicamide is Cholinergic antagonist, list some of its side effects?

Increase IOP due to its Passive Mydriasis. / cycloplegia / lose light reflex / Sandy eye.

5-List some eye medication may lead to increase in IOP ?

Cholinergic antagonist / corticosteroids

6-If the patient has high risk to develop close angle glaucoma due to its narrow angle, List some drugs can not be used in this case?

Epinephrine / Phenylephrine

❖ A Patient has glaucoma diagnosed with Anterior uveitis.

1- which route of Administration is the best for eye drugs ?

Peri-ocular injection

2-List two drugs can be used in this case, and explain their mechanism of actions?

Corticosteroids such as prednisolone, hydrocortisone \rightarrow by inhibiting phospholipase A2