

Ophthalmic Therapeutics

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Ophthalmic drug types

- 1. Eye drops (solution, suspension)
- 2. Eye oint
- 3. Eye gel
- 4. Intravitreal
- 5. Intracameral
- 6. Peribulbar
- 7. Systemic rout



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- Four barriers for topical drops to intraocular space
- 1. Tear film.....Water solubility (Ionized)
- 2. Corneal Epithelium.....Fat solubility (Union)
- 3. Corneal Stromal.....Water solubility (Ionized)
- 4. Corneal Endothelium..... Fat solubility (Union)

- Biphasic drugs.....better penetration to eye
- Oint and gel----- increase time for present to eye but lower drug availability to eye due to entrapment of drug. blurred vision

1. LUBRICANTS

- 1. Artificial tear (preservative & preservative-free)
- 2. Simple eye oint
- 3. Vitamin A



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Sterile Eye Ointment

Sina Darou Laboratories Company

www.sinadarou.com



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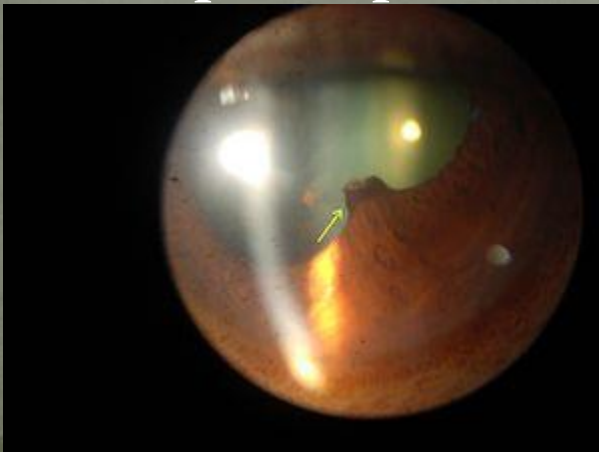
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2. Anticholinergic agents

- Anticholinergics are mydriatic and cycloplegic.
- Mydriatics dilate the pupil.
- Cycloplegics paralyze accommodation.



- (1) dilate the pupil to facilitate ophthalmoscopy and intraocular surgery
- (2) dilate the pupil and paralyze accommodation, particularly **in young patients, as an aid in refraction**
- (3) dilate the pupil and paralyze accommodation in uveitis to prevent synechia formation and relieve pain and photophobia



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Tropicamide

- Cycloplegia and Mydriatic
- Onset: 20-40 min
- Duration : 5-6 h
- Caution in CP, Albinism, Down's syn

Cyclopentolate

- Onset: 20-40 min
- Duration: 12-24 h

Homatropin

- Duration: 36-48 h

Atropin sulfate

- Cycloplegic duration: at least 5 days
- Mydriatic duration: 10-14 days

Atropin

- 1. refraction in children with deviation
- 2. Uveitis
- 3. Mydriasis pre and post- special surgery
- 4. Malignant glaucoma
- 5. Intravenous used for oculocardiac reflex suppression during ocular surgery

Atropin points

- 1. Blurred vision and photophobia (not more than 14 d)
- 2. for reduce systemic absorption, digital pressure on medial canthus
- 3. older pt. more sensitive
- 4. Black or brown iris need to more dose of this drug
- 5. Cyclorefraction in deviated eye (special children)
- 6. contraindication in Down and albinism

3. Cholinergic agents

- Acetylcholine chloride
- Pilocarpin

Acetylcholine chloride

- Miosis and ciliary muscle constriction (Accommodation)
- Onset: seconds
- Duration: 10-20 min
- Topical usenot effect (two reasons: 1. 2.)
- 0.2 -2 ml intracameral use

Pilocarpine

- Miosis:
- Onset: 10-30 min
- Duration: 2-8 h

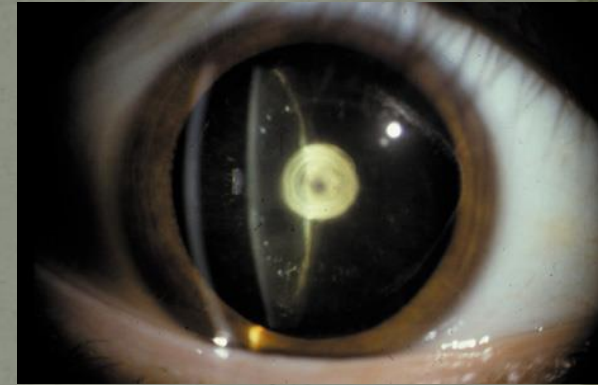
- Decrease IOP (in OAG):
- Onset: 75 min
- Duration: 4-14 h

Pilocarpine

- Indication:
- 1. POAG
- 2. Acute ACG....before Surgical or Laser PI
- 3. Pilocarpin 1% for decrease glare after CRS

Pilocarpine

- Caution
 1. uveitis
 2. pulmonary asthma
 3. Axial cataract
 4. age under 50 y..... Induce accommodation....
Pseudomyopia
 5. peripheral retinal traction...in myopic eyes and
peripheral tears



Beta-blockers

- 1. Timolol
- 2. Betaxolol
- 3. Phenylepherin

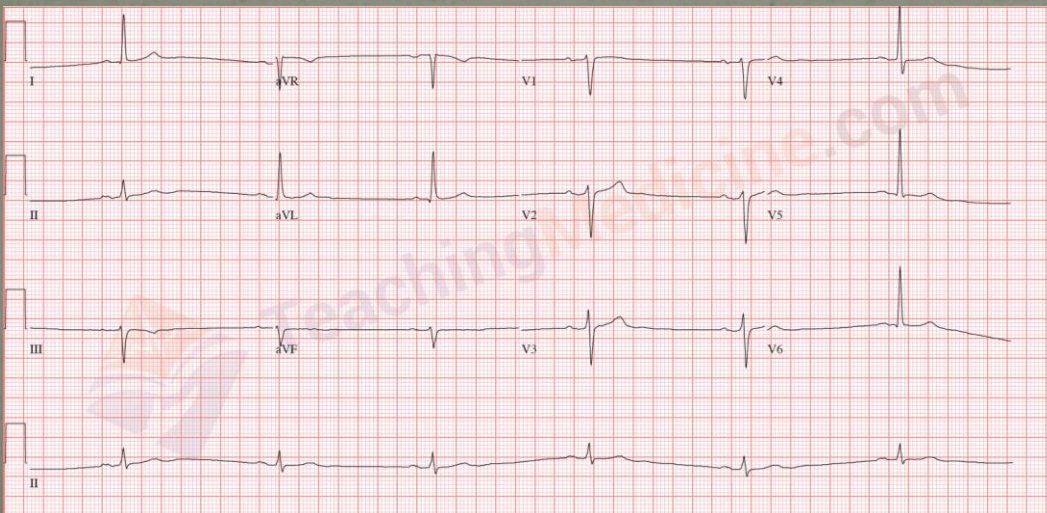
Timolol

- IOP.....decrease aqueous secretion
- Onset: 30 min
- Peak effect: 1-2 h
- Duration: 24 h

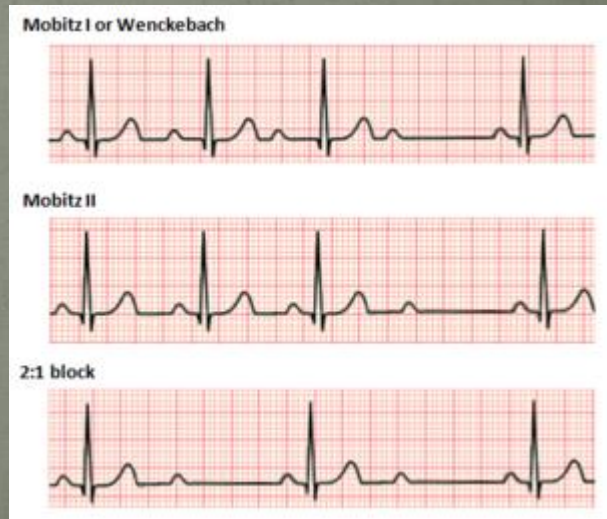
- Tachyphylaxy
-

Timolol

- Pulmonary disease (Ashtma, COPD)
- Heart failure, AV block (grade 2)
- Sinus bradycardia
- Max effect for IOP after 2 weeks

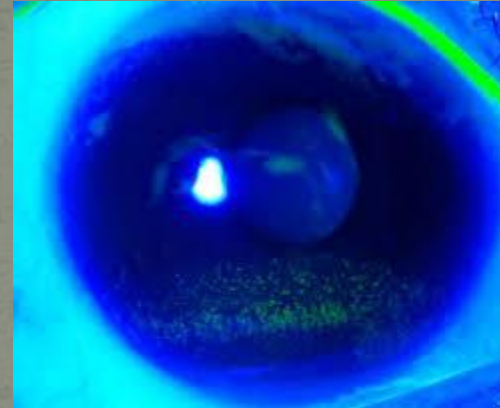


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Timolol side effects

- Corneal anesthesia
- Keratitis (PEE)
- Cardiac bradyarrhythmia
- Decrease libido
- Bronchospasm



Betaxolol

- Selective for β_1 (cardioselective)
- Ok for pulmonary disease
- Effect85% of Timolol (why??)

Phenylepherin

- Block α_1mydriasis, decrease ocular congestion
- Peak: 10-90 min
- Duration: 3-7 h

Phenylepherin

- Rapid mydriasis
- Anti congestion
- Caution:
 - 1. ACG
 - 2. athrosclerosis, cardiovascular effect, DM, HTN
 - 3. pediatric and aging.... HTN and arrhythmia

Alpha-adrenergic

- Brimonidine
- Naphazolin
- Naphazolin-Antazolin

Brimonidine

- Selective for α_2
- Decrease IOP by 2 ways.....1. aqueous production 2. increase uveoscleral flow
- Effect....4-6 mmHg
- **Neuroprotective**

Brimonidine

- OAG
- Ocular hypertension

- Every 8 h

Brimonidine

- 1. Tachyphylaxis
- 2. NO cardiac effect....suitable for cardiovascular pt.
- 3. Xerostomia
- 4. Allergic conjunctivitis
- 5. decrease sys BP

Brimonidine

- Contraindication:
- Age under 2 y...BBB pass...decrease BP, seizure

Naphazoline

- Effect on vascular α_1 receptors ...vascular constriction
- Onset: 10 min
- Duration: 2-6 h

Naphazoline

- Pediatric and infants...CNS depression...coma, severe decrease body temperature

Naphazoline

- Don't use more than 3-4 d
- Angle narrow or closure....AACG
- Older age with cardiovascular or hyperthyroid
- Prolong use....Rebound effect

Naphazoline-Antazoline

- Antazoline.....H₁ blocker (Antihistamine)
- Allergy, Congestion, Inflammation

Ketotifen

- Mast cell stabilizer and H₁ blocker
- Rapid effect due to H₁ blocker
- Continuous effect due to Mast cell stabilizer
- Side effect: redness, headache, rinitis

Cromolyn Sodium

- No antihistamin effect
- Effectiveness for VKC (some weeks before start disease, **not in acute stage**)
- Every 4-6 h
- No corticosteroid side effects (Cataract, Gluacoma)

Carbonic Anhydrase inhibitors (CAIs)

- Acetazolamid (Diamox)
- Dorzolamide

Acetazolamid (Diamox)

- Decrease aqueous production ... 50-60%.....IOP
- aqueous production occurs in nonpigmented ciliary body
- Decrease IOP effect don't correlation with moder effect.

Acetazolamid (Diamox)

- Primary glaucoma
- Secondary glaucoma
- Before Sx

Acetazolamid (Diamox)

- **Adult:** Tablets, 125 mg and 250 mg; give 125–250 mg
- 2 to 4 times a day (dosage not to exceed 1 g in 24 h)
- Sustained-release capsules, 250 mg and 500 mg; give 1 capsule once or twice a day

- **Pediatric:** 8-30 mg/kg/d

Acetazolamid (Diamox) Side effects

- lack of appetite
- paraesthesia
- gut disturbances
- fatigue
- kidney stones (for prevention---Water drink)
- aplastic anemia, thrombocytopenia

Acetazolamid (Diamox)

- Thiazid and Digoxin with Diamox:
- Hypocalemia and Arrhythmia
- Increase glucose....DM
- Liver cirrhosis, COPD, DM

Acetazolamid (Diamox) contraindication

- Childbearing age
- First trimester

Dorzolamide drop

- Decrease IOP
- Alone 14-23% IOP
- Combination with beta-blocker... more 3.5 mmHg

- OAG
- ACG
- OHT

- Every 3 times/d
- If combination with beta-blockerevery 2 times/d

Hyperosmotic agents

- 1. Mannitol
- 2. Glycerin
- 3. Sodium chloride

Manitol

- Increase osmolality of plasma.....decrease IOP
- Peak effect: 30-60 min after injection
- Duration: 4-8 h

- Rebound increase IOP 12h after inj.

Manitol

- Use in:
- Acute glaucoma attack
- Before ocular Sx

Manitol

- Dosage:
- 1-2 gr/kg for 30-60 min, infusion

Manitol

- Electrolyte abnormality
- SAH
- With Digoxin....Dig toxicity due to **hypocalcemia**
- Caution in Pt. with heart, pulmonary, or renal failure
- Only intravenous injection
- Extravasation of drug tissue edema and necrosis
- Only solution mode for use (not crystalline form)

Glycerin

- Decrease IOP in systemic use
- Decrease corneal edema in topical use

- Onset: 10 min
- Peak: 60-90 min
- Duration: 5h

Glycerin

- Dosage
- Adults:
 - 1-1.5 gr/kg....oral.....then 0.5gr/kg q6h
- Pediatric:
 - 1-1.5gr/kg....oral....same dose q 4-8h

Glycerin

- In cardiac disease and HTN Heart failure and arrhythmia
- In DM pt. Hyperglycemia and hyperosmotic coma
- Urinary retention
- Heart failure in renal disease due to increase blood volume

Sodium chloride

- Eye drop and ointment q6h
- Decrease corneal edema

Prostaglandin analogs

- Latanoprost
- PG F_{2α}....uveoscleral pathway.... Decrease IOP
- 27-35% decrease IOP
- First line in glaucoma....due to efficacy and low complication
- In adults....q daily

Latanoprost

- Iris pigmentation
- Periocular tissue pigmentation (lids)
- Increase eyelash (Size and Numbers)
- Blurred vision, conj. Hyperemia, FB sensation, SPK
- CME in uveitis
- Recurrence of HSV and increase corneal graft rejection

Anti-inflammatory agents

- Steroid
- Pass from cytoplasmic membrane.... Intracellular complex.....induce transcription.... Proteins....anti-inflammatory effect
- Effects on both acute and chronic inflammation responses
- Acute: warmness, hyperemia, edema, pain
- Chronic: vascular proliferation, fibroblastic, Scar

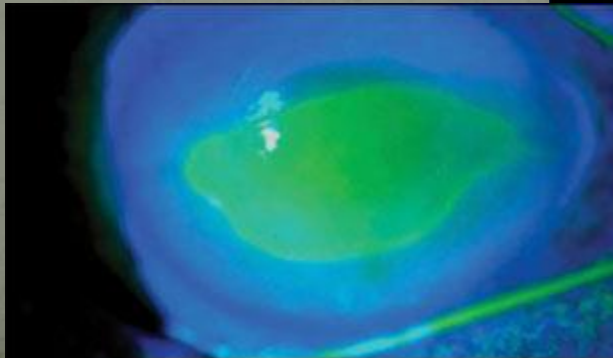
- Effect on Biochemical level:
- Arachidonic acid----PG, TXA
- Inhibition of lytic enzyme from lysosome

- Effect on Immune sys:
- Inhibition of macrophage
- T-cell sequestration
- Decrease B-cell----IgM,IgG
- PMN (inhibition function but increase in numbers)
- **Don't effect on Mast-cell & IgE**

- Inflammation disorder of conj and lid
- Uveitis
- Corneal rejection
- Stromal HSK (not epithelial HSK)
- Sympatic ophthalmia
- Behcet
- Choroidopathies
- Pars planitis
- Orbital psuedotumor, Graves ophthalmia, dacryoadenitis,
- Capillary hemangioma (intralesion injection)

Contraindication

- Herpes epithelial keratitis
- Keratitis due to bacterial, fungal, Acanthamoeba
- Persistent epithelial defect



- Caution:
- Cataract
- Chronic OAG

- Evaluation for Cataract and IOP

- Not use in dry eye or epithelial defect -----chance of opportunity infections

Prednisolone

- Highest penetration to ocular tissue in all drops
- High anti-inflammatory effect
- Oral dosage: 1-2 mg/kg

Betamethasone

- After ocular Sx....q6h but can increase in dosage to q1-2h

Methyl prednisolone

- Acetate form.....suspension mode (Shake before use)
- Pulse therapy:
- 250 mg/qid in optic neuritis



Triamcinolone

- Similar to prednisolone, suspension mode
- Don't use intravenous

- In chronic use of corticosteroid drops...FML
- FML ---low penetration to eye



Antibiotics

- Sulfacetamide sodium
- Tetracyclin
- Chloramphenicol
- Erythromycin

Sulfacetamide sodium

- Bacterial conjunctivitis
- Bacteriostatic
- Effect on pos. & neg. gram
- Don't chance of secondary fungal infection



Tetracycline

- Broad spectrum
- Bacteriostatic
- Reversible attach to 30s ribosome

- Systemic use for chronic blepharitis due to MGD

- Anti-inflammatory effect
- Inhibition of proteinolytic enzyme

Chloramphenicol

- Broad spectrum
- Bacteriostatic
- Reversible to 50s ribosome
- Idiosyncratic side effect: not reversible Aplastic Anemia



Erythromycin

- Bacteriostatic
- In high concentration...Bacteriocide
- Reversible 50s ribosome
- Prevention in chlamydia and N. gonorrhoea in infants

Floroqiunolone

- Ofloxacin
- Levofloxacin
- Ciprofloxacin
- Gatifloxacin



- Inhibition of DNA Gyrase & Topoisomerase 5
- Monotherapy in corneal infection but not if infection more than 3 mm or more than 30% of stromal thickness
- Don't use in corneal infection due to streptococcus

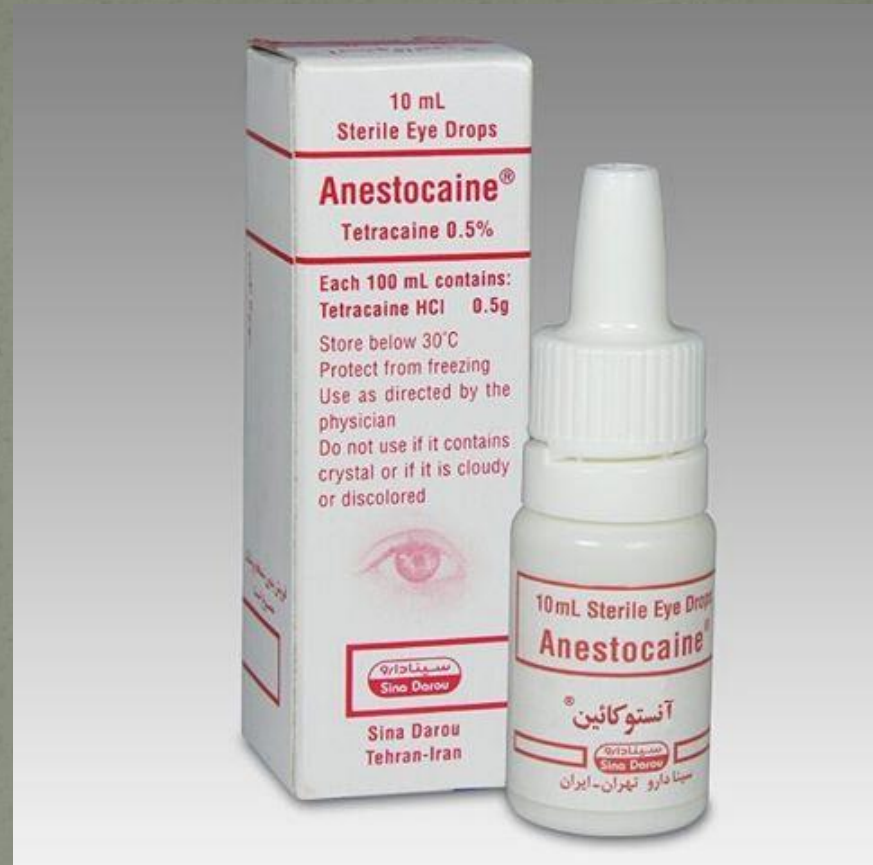
Acyclovir

- Activated by thymidine kinase---- inhibition of viral DNA
- Effective on HSV and VZV
- Acyclovir ointment: 5 times per day
- Oral: 400mg every 5h
- Intravenous: 30mg/kg/q8h in herpes encephalitis

- 5% ointment only for skin
- 3% ointment for topical ocular use

Tetracaine

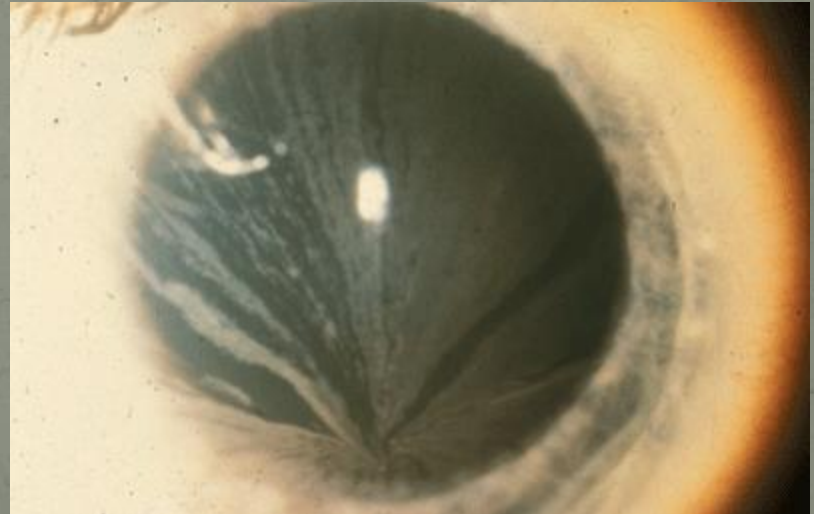
- Anesthesia
- Onset: 30s
- Duration: 30min



Effects on systemic drugs on eye

Amiodarone

- Corneal deposit and brown-yellow pigmentation

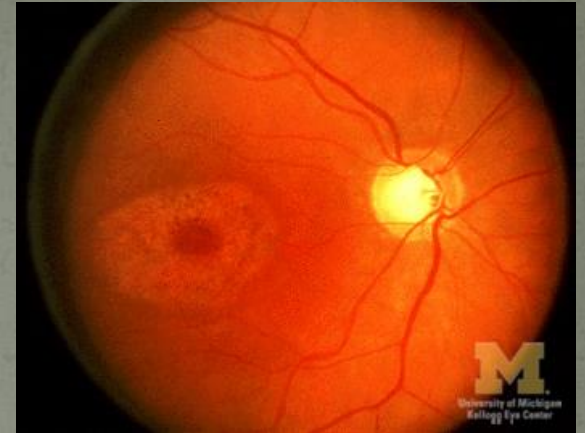


Antidepressant

- OAG
- Induce acute ACG

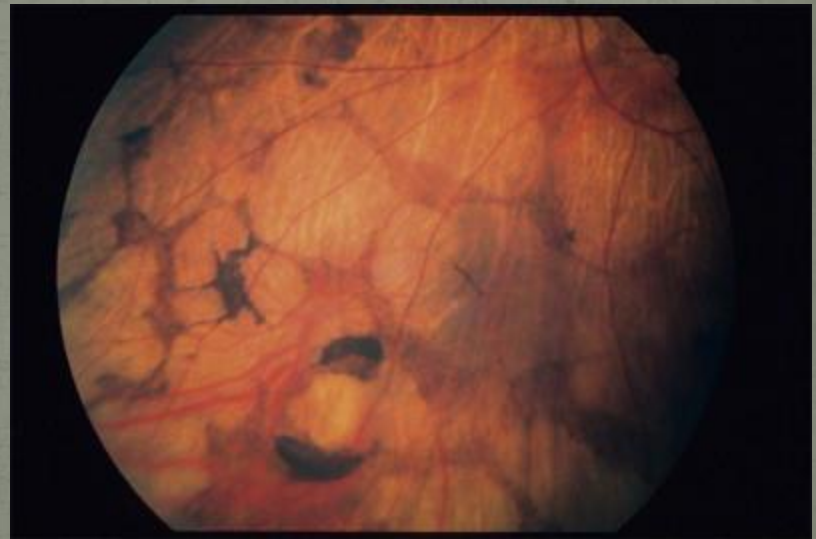
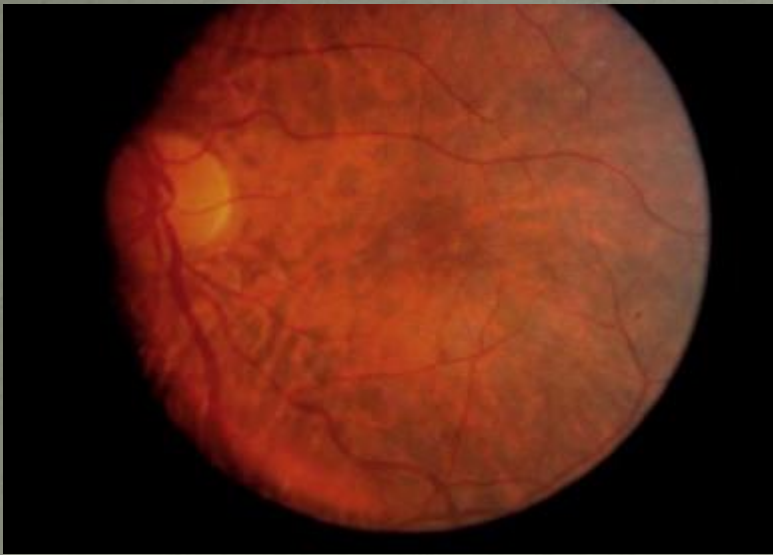
Chloroquine

- 1. Damage to Macula-----bull's eye
- Before start and q6months:
- VF, funduscopy, color vision test, EOG
- 2. corneal involvement



Chlorpromazine

- Pigmentation on cornea and fundus

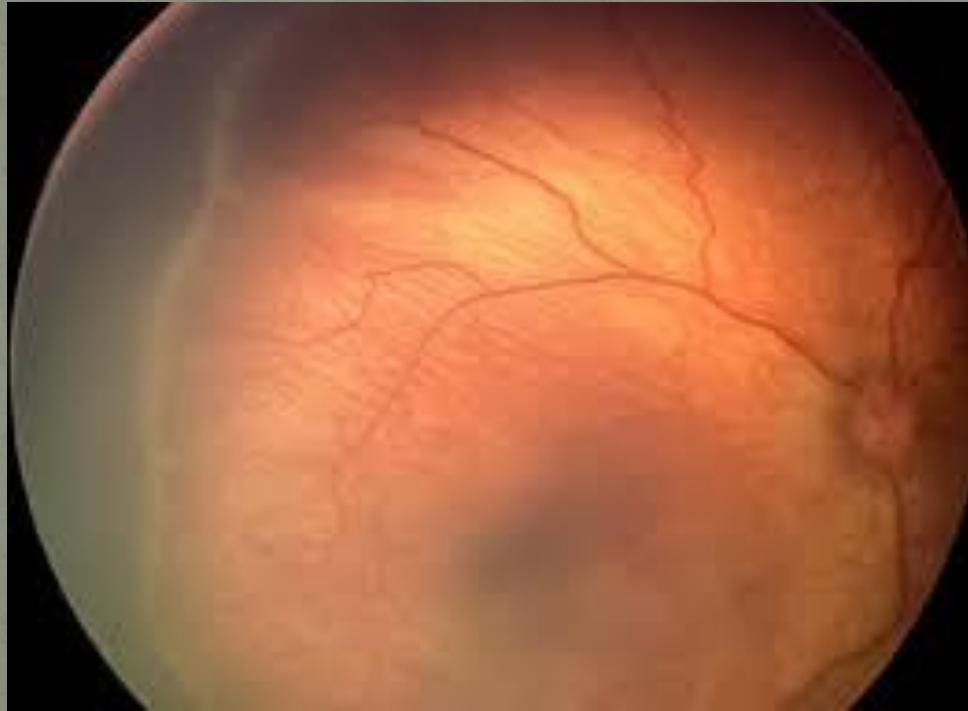


Corticosteroid

- Cataract....if more 10mg/day for 1 years
- Chronic OAG
- Increase HSK
- Topical or Systemic had more effect on eye????
- TOPICAL

Oxygen

- ROP



Ethambutol

- Decrease vision (optic nerve or fundus)
- Color blindness (red-green)
- Before start and q 6 m:
- VF, VA, red-green test

Phenytoin & Phenobarbital

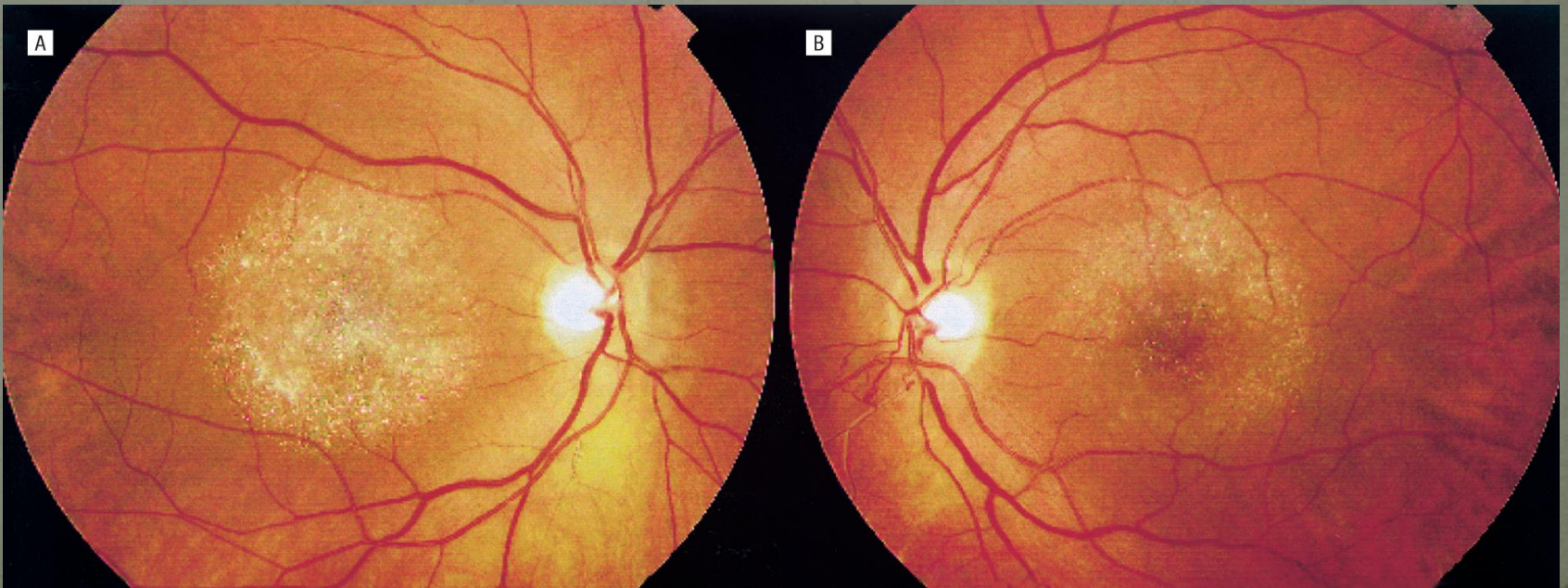
- 3rd nerve involvement--- nystagmus and decrease convergence and accommodation

Digitals

- Color halo
- Blurred vision

Tamoxifen

- Macular lesion
- Ring keratopathy
- reversible bilateral optic neuritis (very rare)



ANY
QUESTIONS

