Ophthalmic Therapeutics

(Commonly Used Eye Medications)

Topical Anesthetics

Useful for several diagnostic and therapeutic procedures :

- **Tonometry**
- **Removal of F.B. or sutures**
- **Gonioscopy**
- **Conjunctival or corneal scrapping**
- **Minor surgical operations on the globe**

Proparacaine Hydrochloride

(Ophthalmine)

Preparation : solution 0.50%

Dosage : one drop , repeated as necessary

Onset & duration of action :

Anesthesia begins within 10 seconds and lasts 10-15 minutes

Comment : Least irritating of topical anesthetics

Tetracaine Hydrochloride

(Pontocaine)

Preparation : solution 0.50% , ointment 0.50%

Dosage : one drop , repeated as necessary

Onset & duration of action :

Anesthesia begins within 1 minute and lasts 15-20 minutes

Comment : stings considerably on instillation

Local anesthetics for injection

Lidocaine hydrochloride

(Xylocaine)

Most common local anesthetic due to rapid onset and longer action (1-2 hours)

Approximately twice as potent as procaine

Up to 30 ml of 1% solotion may be used safely

Maximum safe dose is 4.50 mg/kg without epinephrine

and 7 mg/kg with epinephrine

Procaine Hydrochloride

(Novocaine)

Preparation : solution 1% , 2% and 10%

Dosage : approximately 50 ml of 1% solution

the maximum safe dose is 10mg/kg

Onset & duration of action : 45-60 minutes

Mepivacaine Hydrochloride

(Carbocaine)

Preparation : solution 1 , 1.5 , 2 ,3%

Dosage : infiltration and nerve block up to 20 ml of 1 or 2% solution

Onset & duration of action : approximately 2 hours

Comment : similar to lidocaine in potency

used in patients allergic to lidocaine

maximum safe dose :7 mg/kg

Bupivacaine Hydrochloride

(Marcaine , Sensorcaine)

Preparation : solution0.25 , 0.50 and 0.75%

Dosage : 0.75% solution is most frequently used in ophthalmology

maximum safe dose in adults : 250 mg with epinephrine and 200 without epinephrine

Bupivacaine is frequently mixed with an equal amount of Lidocaine

Onset & duration of action : onset of action is slower than Lidocaine , but persists much longer (6-10 hours)

Mydriatics and cycloplegics

Uses :

Dilating pupil

Paralyzing the muscles of accomodation

Mydriatics

(Sympathomimetics)

Comments :

Use both singly or with cycloplegics to facilitate Ophthalmoscopy , in treatment of uveitis and prior To cataract surgery

10% solution not be used in newborn infants , Cardiac patients receiving Resepin , guanethidine , Tricyclic antidepressants (because of increased Suseptebility to vasopressor effects

Cycloplegics

(parasympatholytics)

Atropine Sulfate

Preparation : solution 0.50%-3%; ointment 0.5% and 1%.

Dosage : For refraction in children, instill 1 drop of 0.25-0.5% solution in each eye twice a day for 1 or 2 days before the examination.

Onset & duration of action : The onset of action is within 30-40 minutes. A maximum effect is reached in about 2 hours. The effect lasts for up to 2 weeks in a normal eye but in the presence of acute inflammation the drug must be instilled 2 or 3 times daily to maintain its effect.

Comment : Atropine is an effective and long-acting cycloplegic. In addition to its use for cycloplegia in children , atropine is applied topically 2 or 3 times daily in the treatment of iritis. It is also used to maintain a dilated pupil after intraocular surgical procedures.

Scopolamine Hydrobromide

Preparation : solution 0.25%

Dosage : 1 drop two or three times daily

Onset & duration of action :

Cycloplegia occurs in about 40 minutes and lasts for 3-5 days when scopolamine is used as an aid to refraction in normal eyes. The duration of action is much shorter in inflamed eyes.

Comment : Scopolamine in an effective cycloplegic. It is used in the treatment of uveitis, in refraction of children, and postoperatively.

Homatropine Hydrobromide

Preparation : solution 2% and 5%

Dosage : For refraction, 1 drop in each eye and repeat two or three times at intervals of 10-15 minutes.

Onset & duration of action :

Maximum cycloplegic effect lasts for about 3 hours, but complete recovery time is about 36-48 hours. In certain cases, the shorter action is an advantage over scopolamine and atropine.

Cyclopentolate hydrochloride

(Cyclogyl)

Preparation : solution 0.50% , 1% and 2%

Dosage : For refraction, 1 drop in each eye and repeat after 10 minutes.

Onset & duration of action : The onset of dilation and cycloplegia is within 30-60 minutes.

The duration of action is less than 24 hours.

Comment : Cyclopentolate is more popular than homatropine & scopolamine in refraction because of its shorter duration of action. Occasionally, neurotoxicity may occur, manifested by incoherence, visual hallucinations, slurred speech, and ataxia. These reactions are more common in children.

Tropicamide (Mydriacyl)

Preparation : solution 0.50% and 1%; 0.25% with 1% hydroxamphetamine hydrobromide (paremyd)

Dosage : 1 drop of 1% solution two or three times

at 5 minutes intervals.

Onset & duration of action : The time required to reach the maximum cyclopelgic effects is usually 20-25 minutes;and the duration of this effect is only 15-20 minutes; therefore, the timing of the examination after instilling tropicamide is important. Complete recovery requiers 5-6 hours.

Comment : Tropicamide is an effective mydriatic with weak cycloplegic action and is therefore mostuseful for ophthalmoscopy

Cyclopentolate Hydrochloride

Phenylephrine Hydrochloride

(Cyclomydril)

Preparation : solution 0.2% cyclopentolate hydrochloride and 1% phenylephrine hydrochloride.

Dosage : 1 drop every 5-10 minutes for two or three doses. Pressure should be applied over the nasolacrimal sac after drop instillation to minimize systemic absorption.

Onset & duration of action : Mydriasis and some cycloplegia occur within the first 3-6 minutes. The duration of action is usually less than 24 hours. This drug combination is of particular value for pupillary dilation in examination of premature and small infants.

Phenylephrine Hydrochloride

(Neo-synephrine)

Preparation : solution 0.12 , 2.5 and 10%

Dosage : one drop , and repeat in 5-10 minutes

Onset & duration of action :

Effect usually occurs within 30 minutes after instillation and lasts 2-3 hours

DRUGS USED IN THE TREATMENT OF GLAUCOMA

The concentration used and the frequency of instillation should be individualized on the basis of tonometric measurments. Use the smallest dosage that effectively controls the intraocular pressure and prevents optic never damage

Direct acting cholinergic (parasympathmimetic) drugs

Pilocarpine hydrochloride & nitrate

Preparation : solution 0.25%, 0.5 - 6%, 8%, and 10%; gel 4%. Also available in a sustained release system (Ocusert)

Dosage : one drop up to six times a day; a ½ inch strip of gel in lower conjunctival cul-de-sac at bedtime

Comment : Pilocarpine was introduced in 1876 and is still a commonly used antiglaucoma drug.

Carbachol

Preparation : solution 0.75%, 1.5%, 2.25% and 3%

Dosage : 1 drop in each eye 3-4 times a day

Comment : Carbachol is poorly absorbed through the cornea and usually is used if pilocaroine is ineffective. Its duration of action is 4-6 hours. If benzalkonium chloride is used as the vehicle, the penetration of carbachol is significantly increased

ADRENERGIC (SYMPATHOMIMETIC) DRUGS

Epinephrine

Preparation : solution 0.1%, 0.25%,0.5% and 1%

Dosage : long duration of action (12-72 hours)

Comment :no miosis , suitable in incipient cataract

About 25% of patients develop local allergies.

Epinephrine acts by increasing outflow of aqeous humor.

Beta – adrenergic blocking drugs

Timolol Maleate

(Timoptic, Timoptic XE, Betimol)

Preparation : solution 0.25% and 0.5%;

gel 0.25% and 0.5%.

Dosage :

one drop of 0.25% or 0.5% in each eye once or twice daily if needed. One drop of gel once daily once or twice a day.

Comment : can lower IOP for 12-24 hour , no effect on pupil size and V.A. , contraind. In asthma & HF

Betaxolol Hydrochloride

(Betoptic)

Preparation : solution 0.25% and 0.5%

Dosage :

one drop once or twice daily.

Comment : Betaxolol has comparable efficacy to timolol in the treatment of glaucoma. Its relative beta1 receptor selectivity reduces the risk of pulmonary side effects, particularly in patients with reactive airway disease.

Levobunolol Hydrochloride

(Betagan)

Preparation : solution 0.25% and 0.5%.

Dosage :

one drop once or twice a daily.

Comment : levobunolol is a nonselective beta1 and beta2 blocker . It has effects comparable to those of timolol in the treatment of glaucoma.

Metipranolol Hydrochloride

(Optipranolol)

Preparation : solution 0.125% and 0.25.

Dosage :

one drop once or twice a daily.

Comment : Metipranolol is a non selectiv bet1 and beta2 blocker with ocular effects similar to those of timolol

Carteolol Hydrochloride

(Ocuperss)

Preparation : solution 0.1%

Dosage :

one drop once or twice a daily.

Comment : Carteolol is a nonselective beta-blocker with pharmacologic effects similar to those of other topical beta blockers used for the treatment of glaucoma

Alpha – adrenergic agonists

Apraclonidine hydrochloride

(Iopidine)

Preparation : solution 0.5% and 0.1%.

Dosage :

one drop of 1% solution before anterior segment laser treatment and a second drop upon completion of the procedure. One drop of 0.5% solution two or three times a day as short-term adjunctive treatment in glaucoma patients receiving other medication.

Comment : It is used as short term adjunctive therapy in patients on maximally tolerated medical therapy who need further reduction of interaocular peressure

Brimonidine Tartrate

(Alphagan)

Preparation : solution 0.2%

Dosage :

one drop two or three times daily May be used as monotherapy or in combination with other glaucoma medication . Frequently used as a replacement drug in patients unable to tolerate beta-blockers.

Carbonic anhydrase inhibitors

Methazolamide

(Neptazane)

Preparation : Tablets, 25-50 mg

Dosage :

50-100 mg two or three times daily (total not to exceed 600 mg/d).

Dichlorphenamide

(daranide)

Preparation : Tablets, 50 mg

Dosage :

Give a priming dose of 100-200 mg followed by 100 mg every 12 hours until the desired response is obtained the usual maintenance dosage for glaucoma is 25-50 mg three or four times daily. The total daily dosage should not exceed 300 mg daily.

Dorzolamide Hydrochloride

(Trusopt)

Preparation : solution 2%.

Dosage :

One drop two to four times daily. May be used as monotherapy but most frequently used in combination with other glaucoma medications.

Prostaglandin analogs

Latanoprost

(Xalatan)

Preparation : solution 0.005%.

Dosage :

1 drop once daily in the evening

Onset and duration of action: Reduction of intraocular pressure occurs in 3-4 hours, and the maximum effect is reached in 8-12 hours.

Acetazolamide

(Diamox)

Preparation and dosage :

Oral: Tablets, 125 mg and 250 mg; give 125-250mg two or fore times a day (dosage not to exceed 1 g in 24 hours). Sustained – release capsules, 500 mg; give 1 capsule once or twice a day.

Parenteral :

500 mg ampules , IM or IV

Osmotic agents

Glycerin

(Osmoglyn)

Preparation : Glycerin is usually given orally as 50% solution with water, organe juice or flavored normal saline solution over ice (1 ml of glycerin weighs 1.25 g).

Dosage : 1-1.5 g/kg

Onset & duration of action : Maximum hypotensive effects occurs in 1 hours and lasts 4-5 hours

Comment : oral adminstration and the absence of diuretic effects are significant advantages of glycerin over the other hyperosmotic agents.

Isosorbide

(Ismotic)

Preparation : 45% solution

Dosage : 1.5 g/kg orally.

Onset & duration of action : similar to glycerin

Comment : Unlike glycerin. Isosorbide dos not produce calories or elevated blood sugar. Other side reactions similar to glycerin. Each 220 ml of isosorbide contains 4.6 meq of sodium

Mannitol

(Osmitrol)

Preparation : 5-25% solution for injection.

Dosage : 1.5 – 2 g/kg intravenously, usually in 20% concentration.

Onset & duration of action :

Maximum hypotensive effects occurs in 1 hours and lasts 5-6 hours

Comment : Problems with cardiovascular overload and pulmonary edema are more common with this agent because of the large fluid volumes required.

Urea

(Ureaphil)

Preparation : 30% solution of lyophilized urea in invert sugar.

Dosage :

1-1.5 g/kg interavenously.

Onset & duration of action :

Maximum hypotensive effects occurs in 1 hours and lasts 5-6 hours

Topical corticosteroids

INDICATIONS :

For inflammatory conditions of anterior segment of the globe

NONSTEROIDAL ANTIINFLAMMATIORY AGENTS

Indomethacin

Flubiprofen (Ocufen)

Suprofen (Profenal)

Ketorolac (Acular)

Diclofenac (Voltaren

Other drugs used in the treatment of allergic conjunctivitis

Cromolyn Sodium

(Crolom)

Preparation : solution 4%

Dosage : one drop four to six times a day.

Comment : Cromolyn is useful in the treatment of many types of allergic conjunctivitis. Response to therapy usually occurs within a few days but sometimes not until treatment is continued for several weeks. Cromolyn acts by inhibiting the release of histamine and SRS-A (slow reacting substance of anaphylaxis) from mast cells. It is not useful in the treatment of acute symptoms.

Lodoaxamid Tromethamine

(Alomide)

Preparation : solution 0.1%

Dosage : one drop 4 times a day.

Comment : Lodoxamid is a mast cell stabilizer that inhibits type 1 immediat hypersesitivity reactions. It is indicated in the treatment of allergic reactions of the external ocular tissuse, including vernal conjunctivitis and vernal keratitis. As with cromolyn, a therapeutic response dose not usually occur until after a few days of treatment

Olapadine Hydrochloride

(patanol)

Preparation : solution 0.1%.

Dosage :

Twice a day at intervals of 6-8 hours

Comment : has both antihistamine and mast cell stabilizing action.

Levocabastine Hydrochloride

(livostin)

Preparation : Suspension 0.05%

Dosage : one drop four times a day.

Comment : a Selective , potent histamine H_1 receptor antagonist. It is useful in reducing acute symptoms occurs within minutes after application and lasts up to 2 hours.

ANTI-INFECTIVE OPHTHALMIC DRUGS

Topical antibiotic solutions & ointments

Bacitracin

Preparation : ointment, 500 units/g. commercially available in combinations with polymyxin B.

Comment : Most gram-positive organisms are sensitive to bacitracin. It is not used systemically because of its nephrotoxicity.

Erythromycine

Erythromycine ointment, 0.5% is an effective agent, particulary in staphylococcal conjunctivitis. It is not used systemically because of its nephrotoxicity

Neomycin

Preparation : Solution 2.5 and 5 mg/ml; ointment, 3.5-5 mg/g. commercially available in combination with bacitracin and polymxin B.

Dosage: Apply ointment or drops three or four times daily. Solution containing 50-100 mg /lit have been used for corneal ulcers.

Comment : Effective against gram-negative and gram – positive organisms. Neomycin is usually combined with some other drug to widen its spectrum of activity. It is best known in ointment and solution form, in which it is combined with polymyxin and bacirtacin. Contact skin sensitivity develops in 5% of patients if the drug is continued for longer than a week.

Polymixn B

Preparation : Ointment 10,000 units/g; suspension 10,000 units/ml. Commercially available in combination with bacitracin and neomycin.

Comment : Effective against many gram-negative organisms.

Topical preparations of systemic antibiotics

Tetracycline

Preparation : Suspension 10 mg/ml; ointment 10mg/g

Comment : Tetracycline, oxytetracycline, chlortetracycline have limited uses in ophthalmology because their effectiveness is so often impaired by the development of resistant strains. Solutions of these compounds are unstable with the exception of Achromycin in sesame oil which is widely used in the treatment of trachoma. Ointment may be used for prophylaxis of ophthalmia neonatorum.

Gentamicin

(Garamicin)

Preparation : Solution, 3 mg/ml; ointment, 3 mg/g

Comment : widely accepted for use in serious ocular infections, especially corneal ulcers caused gram-negative organisms. It is also effective against many gram-positive staphylococci but is not effective against streptococci. Many strains of bacteria resistant to gentamicin have developed.

Tobramycin

(tobrex, Aktop)

Preparation : Solution, 3 mg/ml; ointment, 3 mg/g

Comment : Similar antimicrobial activity to gentamicin but more effective against streptococci. Best reserved for treatment of pesudomonas keratitis, for which it is more effective.

Chloramphenicol

(Chloromycetin, chloroptic)

Preparation : Solution, 5 and 10 mg/ml; ointment, 10 mg/g.

Comment : chloramphenicol is effective against a wide variety of gram – positive and gram – negative organisms. It rarely causes local sensitization, but cases of aplastic anemia have occurred with long – term therapy.

Ciprofloxacin

(Ciloxan)

Preparation : Solution, 3 mg/ml

Dosage : For treatment of conjunctivitis, 1 drop every 2-4 hours. For treatment of corneal ulcers, 1 drop every 15-30 minutes for the first day, 1 drop every hour the second day and 1 drop every 4 hours thereafter.

Norfloxacin

(Chibroxin)

Preparation : Solution, 3 mg/ml

Dosage :

For conjunctivitis, same as that of ciprofloxacin

Ofloxacin

(Ocuflox)

Preparation : Solution, 3 mg/ml

Dosage :

For treatment of bacterial conjunctivitis, 1 drop every 2-4 hours for 2 days, then 1 drop 4 times a day.

COMBINATION ANTIBIOTIC AGENT

Several ophthalmic preparations are available

SULFONAMIDES

Sulfacetamide sodium

(Various)

Preparation : Ophthalmic solution, 10%, 15% and 30%; ointment 10%.

Dosage :

Instill 1 drop frequently, depending upon the severity of the conjunctivitis.

Sulfisoxazole

(Ganterisin)

Preparation : Ophthalmic solution, 4%, ointment 4%.

Dosage :

As for sulfacetamid sodium.

Topical antifungal agents

Natamicine

(Natacyn)

Preparation : Suspention, 5%.

Dosage :

Instill 1 drop every 1-2 hours

Comment:

Effective against filamentary and yeast forms. Initial drug of choice for most mycotic corneal ulcers.

Nystatine

(Mycostatin)

Nystatine is not available in ophthalmic ointment form, but the dermatologic preparation (100000 units/g) is not irritating to ocular tissues and can be used in the treatment of fungal infection of the eye.

Amphotericin B

(Fungizone)

Amphotericin B is more effective than nystatin but not available in ophthalmic ointment form. The dermatologic preparation is highly irritating. A solution:1.5-8 g/ml of distilled water in dextrose. Many patients have extreme ocular discomfort following application of this drug.

Miconazole

(Monistat)

A 1% solution is available in the form of an intravenous preparation that may be applied directly into the eye. The drug is not available in an ophthalmologic form.

Fluconazole

(Diflucan)

An 0.2% parenteral preparation is available and may be applied in to the eye.

No ophthalmologic product is available.

Anti-viral agents

Idoxuridine

(Herplex)

Preparation : Ophthalmic solution 0.1%; ointment 0.5%.

Dosage : one drop every hours during the day and every 2 hours at night. With improvement the frequency of instillation is gradually reduced. The ointment may be used 4-6 times daily or the solution used during the day & the ointment at bedtime

Comment : Used in the treatment of herpes simplex keratitis. Epithelial infection usually improves within a few days. Therapy should be continued for 3 or 4 days after apparent healing. Many ophthalmologists still prefer to denude the affected corneal epithelium and not use it.

Vidarabine

(Vira-A)

Preparation : Ophthalmic ointment 3%

Dosage : In H. keratitis, apply 4 times daily for 7-10 days.

Comment : Vidarabine is effective against herpes simplex virus but not other RNA or DNA viruses. It is effective in some patients unresponsive to idoxuridine. Vidarabine intreferes with viral DNA synthesis.The principal metabolite is arabinosylhypoxanthine (Ara-Hx). The drug is effective against herpetic corneal epithelial disease and has limited efficacy in stromal keratitis or uveitis. It may cause cellular toxicity and delay corneal regeneration. The cellular toxicity is less than that of idoxuridine.

Trifluridine

(Viroptic)

Preparation : solution 1%

Dosage : one drop every 2 hours (maximum total, 9 drop daily)

Comment : Acts by interfering with viral DNA synthesis. More soluble than either idoxuridine or vidarabine and probably more effective in stromal disease.

Acyclovir

(Zovirax)

Preparation : Capsules 200 mg.

Comment : Acyclovir is an antiviral agent with inhibitory activity against herpes simplex types 1 and 2 , varicella – zoster virus, epstein-Barr virus and cytomegalovirus.

Diagnostic dye solutions

Fluorescein Sodium

Preparation : solution 2%, in single- use disposable units: as sterile paper strips; as 10% sterile solution for intravenous use in fluorescein angiography.

Dosage : one drop.

Comment :

Used as a diagnostic agent for corneal epithelial defects , in applanation tonometry and in fitting contact lenses.

Rose Bengal

Preparation : solution 1% and strips, 1.3 mg

Dosage : one drop

Comment :

Used in diagnosis of keratoconjunctivitistis sicca; the mucous shreds and devitalized corneal epithelium stain with rose bengal.

Corneal Dehydrating Agents

Preparation : Anhydrous glycerin solution (Ophthalgan), hypertonic sodium chloride 2% and 5% ointment and solution (Absorbonac, AK-NaCl, Hypersal, Muro 128)

Dosage : one drop of solution or ¼ inch strip of ointment to clear cornea. May be repeated every 3-4 hours.

End