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FACULTY OF NURSING

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Unit VIII Drug used on skin & Mucous Membrane

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llabus – (Unit VIII: Drug used on skin & ucous Membrane)

harmacology of commonly sed: Topical application for:

Skin.

Eye.

Ear.

Nose.

Buccal cavity.

Composition, action, dosage, route, indications contraindications, drug interactions, side effects, adverse, effects, toxicity & role of nurse.

SKIN

Skin: Dermatologic Pharmacology

Introduction
Dermatologic Vehicles
Antibacterial Agents
Antifungal Agents
Immunomodulators
Ectoparasiticides
Agents Affecting Pigmentation.

- Sunscreens
- Acne Preparations
- Corticosteroids
- Keratolytics & Destructive Agents
- Antipruritic Agents
- Trichogenic & Antitrichogenic Agents

troduction

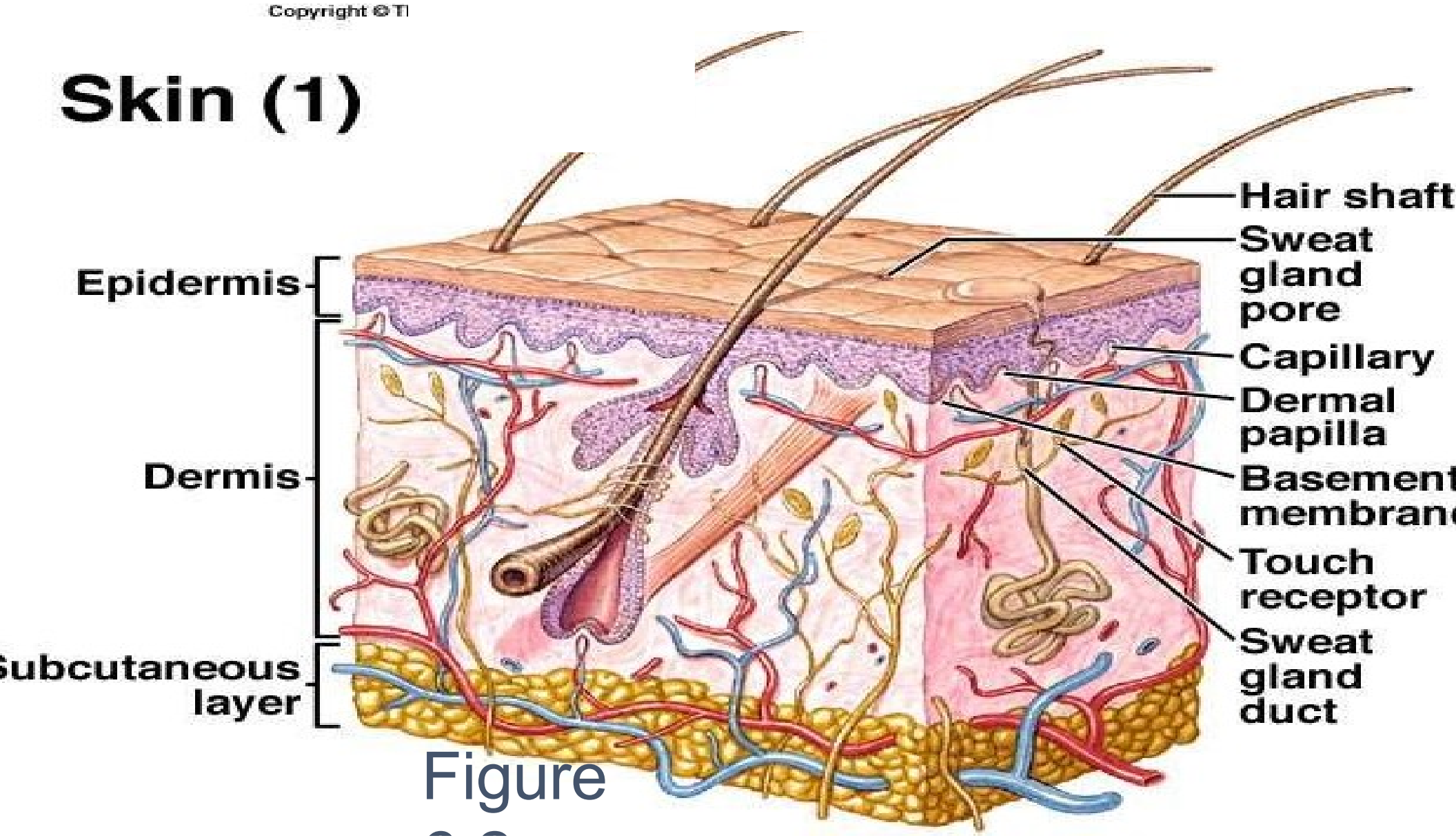
ne topical drugs are especially appropriate for diseases of the skin.

ome dermatologic diseases respond as well or better to drugs administered systemic

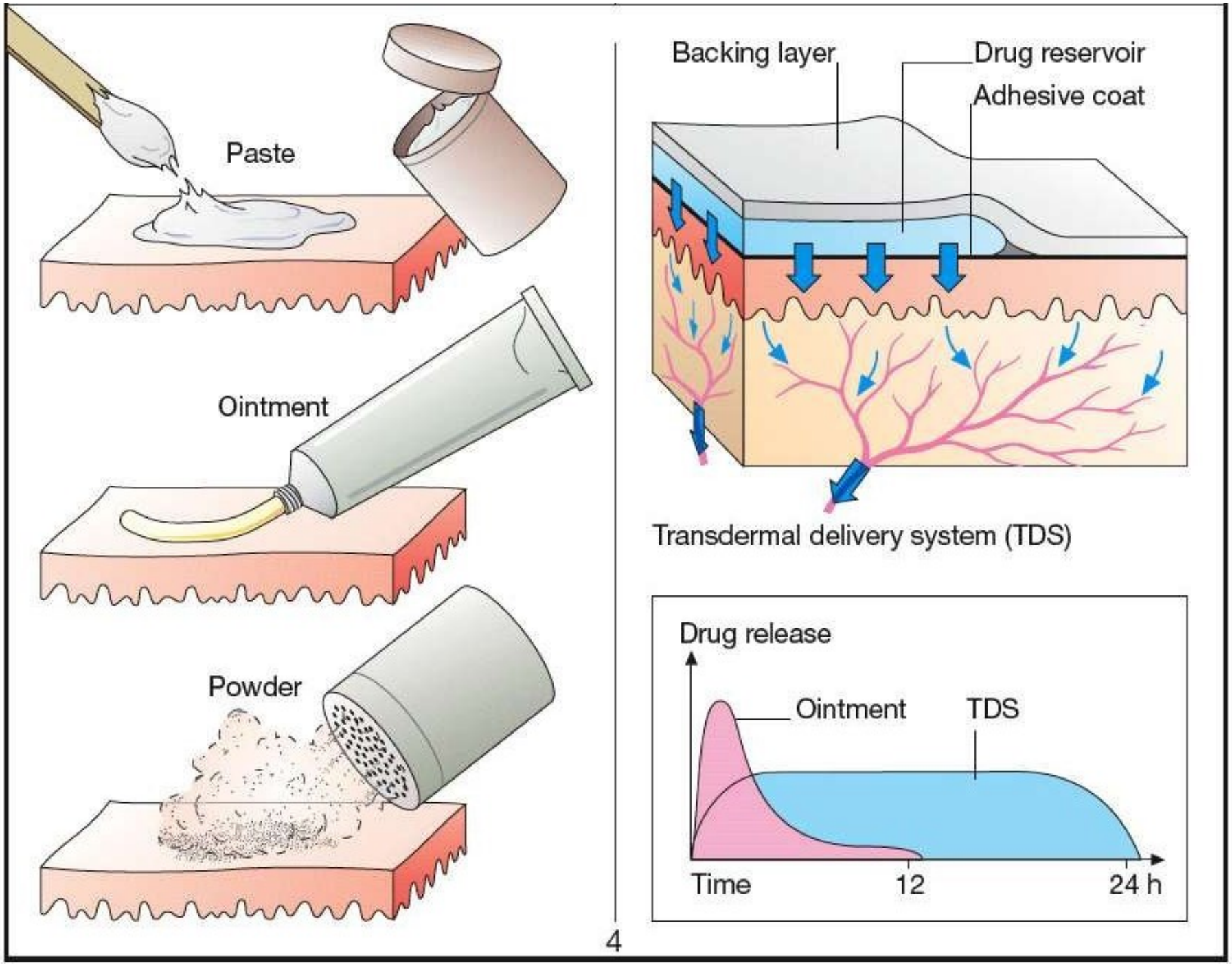
ajor variables that determine response to topical drugs include:

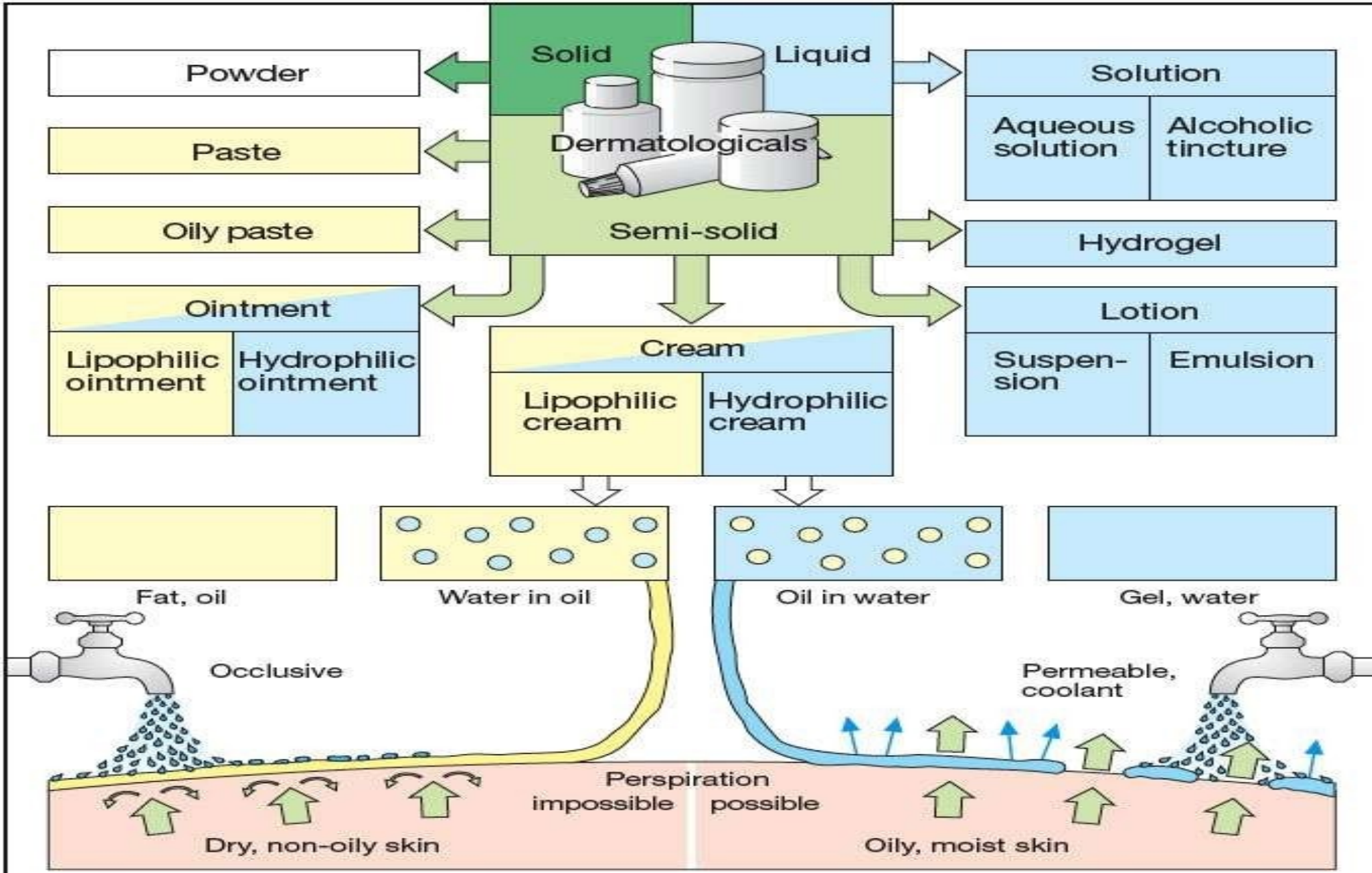
- Regional variation in drug penetration: the scrotum, face, axilla, and scalp are far more permeable than the forearm.
- Concentration gradient: increasing the concentration increases the mass of drug transferred per unit time.
- Dosing schedule: the skin acts as a reservoir, so the "local half-life" may be longe than systemic half-lives and permit once-daily application of drugs.
- Vehicles: vehicles maximize the skin penetration of the drug and their moistening drying effects have therapeutic benefit.
- Occlusion: occlusion (application of a plastic wrap) is extremely effective in maximizing efficacy.

Cutaneous Membrane = Skin

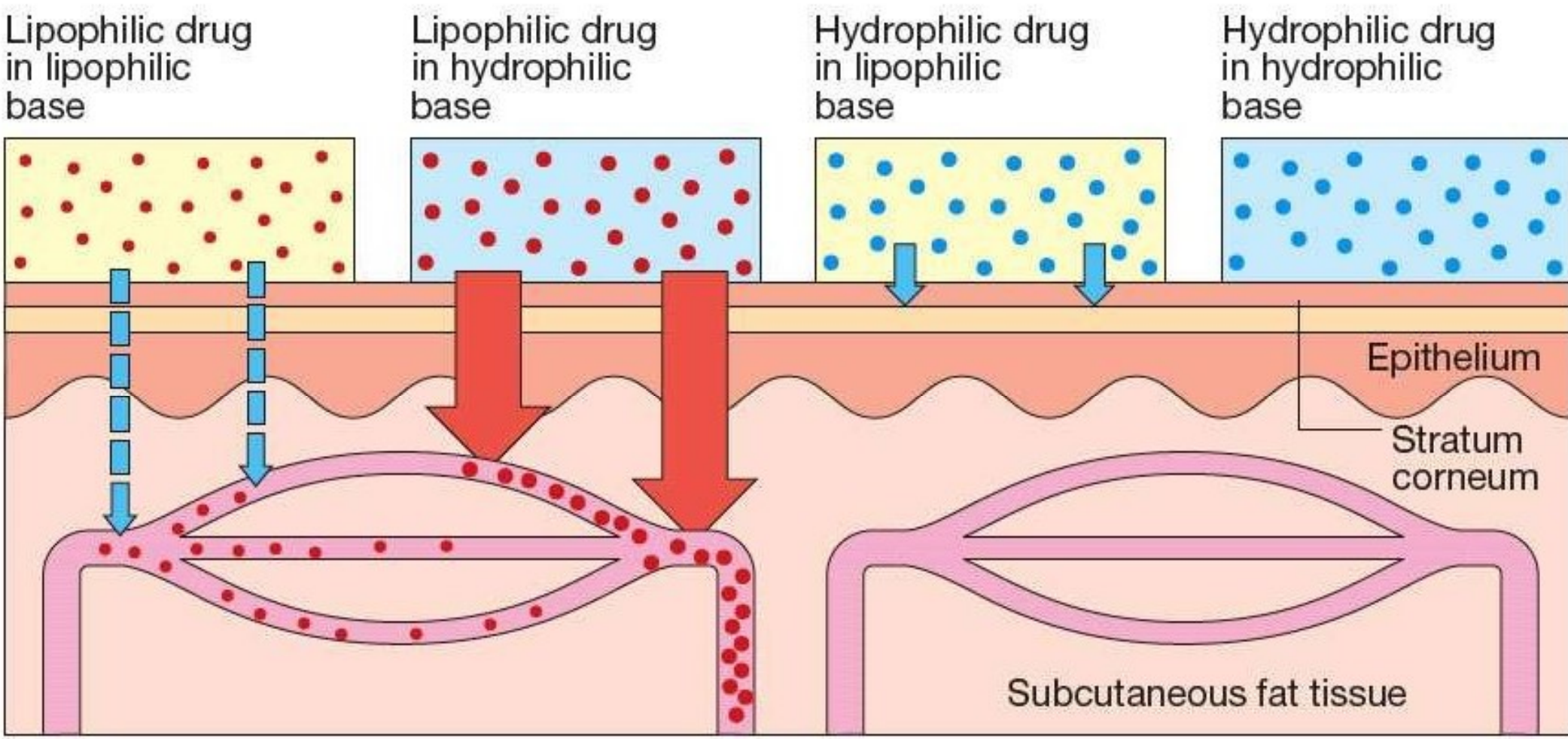


Figure


Paste
Ointment
Powder
Backing layer
Drug reservoir
Adhesive coat
Transdermal delivery system (TDS)
Drug release
Ointment
TDS
Time
12
24 h



Dermatologicals as skin protectants



Dermatologicals as drug vehicles

ermatologic Vehicles

mportant considerations in selection of a vehicle include:

- The solubility of the active agent in the vehicle
- The rate of release of the agent from the vehicle
- The ability of the vehicle to hydrate the stratum corneum, thus enhancing penetra
- The stability of the therapeutic agent in the vehicle
- Interactions of the vehicle, stratum corneum, and active agent.

epending upon the vehicle, drugs are classified as: tinctures, wet ressings, lotions, gels, aerosols, powders, pastes, creams, and ointments

he ability of the vehicle to retard evaporation from the skin is least in nctures and greatest in ointments.

ermatologic Vehicles Cont,d

Acute inflammation with oozing, vesiculation, and crusting is treated with drying preparations (tinctures, wet dressings, and lotions).

Chronic inflammation with xerosis, scaling, and lichenification is treat with lubricating preparations (creams and ointments).

Tinctures, lotions, gels, and aerosols are convenient for application to the scalp and hairy areas.

Emulsified creams are used in intertriginous areas without causing maceration.

ntibacterial Agents

Topical corticosteroids do not inhibit the effects of co-administered antibiotics.

In the treatment of secondarily infected dermatoses, combination thera is superior to corticosteroid therapy alone.

Antibiotic-corticosteroid combinations are useful in diaper dermatitis, otitis externa, and impetiginized eczema.

The pathogens in surgical wounds are those resident in the environmer information about regional drug resistance is important.

Antibacterial Agents Cont,d

Antibacterial agents include:

- Bacitracin & gramicidin
- Polymyxin B, neomycin and gentamicin
- Topical antibiotics in acne

Bacitracin & Gramicidin

Bacitracin and gramicidin are peptide antibiotics, active against gram-positive organisms such as streptococci, pneumococci, and staphylococci.

Most anaerobic cocci, neisseriae, tetanus bacilli, and diphtheria bacilli are also sensitive.

Bacitracin is compounded in an ointment base alone or in combination with neomycin, polymyxin B, or both.

Bacitracin is poorly absorbed through the skin, so systemic toxicity is rare but allergic contact dermatitis is frequent.

Polymyxin B, Neomycin and gentamicin

Polymyxin B is a peptide antibiotic effective against gram-negative organisms. All gram-positive organisms are resistant.

Neomycin and gentamicin are active against gram-negative organisms.

Gentamicin generally shows greater activity against *P aeruginosa* than neomycin.

Neomycin causes sensitization, particularly in eczematous dermatoses or if compounded in an ointment vehicle.

Topical Antibiotics in Acne

Currently, four antibiotics are so utilized: clindamycin, erythromycin, metronidazole, and sulfacetamide.

The effectiveness of topical therapy is less than that achieved by systemic administration of the same antibiotic.

Topical therapy is suitable in mild to moderate cases of inflammatory acne.

Clindamycin has activity against *Propionibacterium acnes*.

Erythromycin**:** the mechanism of action of topical erythromycin in inflammatory acne vulgaris is unknown.

Topical Antibiotics in Acne Cont,d

Adverse local reactions to erythromycin solution include a burning sensation at the time of application and drying and irritation of the skin.

The topical water-based gel is less drying and may be better-tolerated.

Metronidazole**:** Topical metronidazole is effective in the treatment of ac rosacea. The mechanism of action is unknown.

Topical use during pregnancy and by nursing mothers and children is n recommended.

Adverse local effects of the water-based gel formulation (MetroGel) include dryness, burning, and stinging.

Topical Antibiotics in Acne Cont,d

Caution should be exercised when applying metronidazole near the eyes to avoid excessive tearing.

Sodium Sulfacetamide**:** The mechanism of action is thought to be inhibition of *P acnes* by competitive inhibition of *p*-aminobenzoic acid utilization.

4% of topically applied sulfacetamide is absorbed so its use is contraindicated in patients having hypersensitivity to sulfonamides.

Antifungal Agents

Antifungal agents include:

- Topical antifungalagents:
  - Topical imidazoles
  - Nystatin
- Oral antifungal agents:
  - Oral azoles
  - Griseofulvin

Topical Imidazoles

- The topical imidazoles:
- They have a wide range of activity against dermatophytes, Candida albicans and Pityrosporum orbiculare.

Clotrimazole
Econazole
Ketoconazole
Miconazole
Oxiconazole
Sulconazole

Topical Imidazoles Cont,d

Once- or twice-daily application will result in clearing of dermatophyte infections in 2-3 weeks.

The medication should be continued until eradication of the organism is confirmed.

Paronychial and intertriginous candidiasis can be treated by any of these agents when applied three or four times daily.

Seborrheic dermatitis should be treated with twice-daily applications of ketoconazole until clinical clearing is obtained.

Nystatin

- Topical nystatin is used in cutaneous and mucosal candida infections
- It is not effective against dermatophytes.
- Oral candidiasis (thrush) is treated by holding 5 mL (infants, 2 mL) nystatin oral suspension in the mouth for several minutes four times daily before swallowing.
- An alternative therapy for thrush is to retain a vaginal tablet in the mouth until dissolved four times daily.
- Vulvovaginal candidiasis may be treated by insertion of 1 vaginal tablet twice daily for 14 days, then nightly for an additional 14-21 days.

Oral Azoles

Tinea versicolor is very responsive to short courses of once-daily dose of 200 mg Ketoconazole.

Significant side effects of Ketoconazole include gynecomastia and hepatitis.

Caution is advised when using ketoconazole in patients with a history hepatitis.

Routine evaluation of hepatic function is advisable for patients on prolonged therapy.

Oral Azoles Cont,d

The newer azole derivatives for oral therapy include fluconazole and itraconazole.

Fluconazole has a half-life of 30 hours. daily doses of 100 mg are sufficie for candidiasis; alternate-day doses are sufficient for dermatophytes.

The half-life of itraconazole is similar to fluconazole, with therapeutic concentrations remaining in the skin for 28 days.

Itraconazole should not be given to patients with ventricular dysfunction cause heart failure).

Routine evaluation of hepatic function is recommended for patients recei itraconazole for onychomycosis.

Oral Azoles Cont,d

- Administration of oral azoles with midazolam or triazolam potentiate hypnotic effects of these agents.
- Administration with HMG-CoA reductase inhibitors causes a significant risk of rhabdomyolysis.
- Administration of the oral azoles with midazolam, triazolam, or HMG-CoA inhibitors is contraindicated.

Griseofulvin

riseofulvin is effective orally against dermatophyte infections. It is neffective against candida and *P orbiculare*.

he adult dosage of the micronized ("microsize") form of the drug is 500 m aily in single or divided doses with meals.

riseofulvin is most effective in treating tinea infections of the scalp and labrous skin.

nfections of the scalp respond in 4-6 weeks, and infections of glabrous ski espond in 3-4 weeks.

riseofulvin is derived from a penicillium mold, and cross-sensitivity with enicillin may occur.

n prolonged therapy, routine evaluation of the hematopoietic, hepatic and enal systems is advisable.

Immunomodulators

crolimus and pimecrolimus are macrolide immunosuppressant's that hav
nificant benefit in atopic dermatitis.

th agents inhibit T-lymphocyte activation and prevent degranulation of m
ls by antigen-IgE complexes.

th agents are indicated for mild to moderate atopic dermatitis.

ither medication should be used with occlusive dressings.

Ectoparasiticides

Ectoparasiticides include:

- Permethrin
- Lindane (Hexachlorocyclohexane)
- Crotamiton
- Sulfur & Malathion.

Permethrin

Permethrin is toxic to *Pediculus humanus, Pthirus pubis*, and *Sarcopte scabiei*.

Residual drug persists up to 10 days following application.

permethrin 1% cream rinse is applied undiluted to affected areas of pediculosis for 10 minutes and then rinsed off with warm water.

For the treatment of scabies, a single application of 5% cream is appli to the body from the neck down, left on for 8-12 hours, and then wash off.

Lindane (Hexachlorocyclohexane)

indane is available as a shampoo or lotion.

0% of a dose applied to the forearm is absorbed and concentrated in fatty tiss
cluding the brain.

or pediculosis capitis or pubis, 30 mL of shampoo is applied to dry hair on th
calp or genital area for 4 minutes and then rinsed off.

o additional application is indicated unless living lice are present 1 week afte
eatment.

scabies a single application is applied to the entire body from the neck dow
ft on for 8-12 hours, and then washed off.

atients should be retreated only if active mites can be demonstrated, and neve
ithin 1 week of initial treatment.

Crotamiton

Crotamiton is available as a cream or lotion.

Crotamiton, is a scabicide with some antipruritic properties.

For scabies two applications are applied from the chin down at 24-hour intervals, with a cleansing bath 48 hrs. after the last application.

Crotamiton is can be used as an alternative to lindane.

Sulphur & Malathion

Sulfur remains a possible alternative drug for use in infants and pregnant women.

The usual formulation is 5% precipitated sulfur in petrolatum.

Malathion is available as a 0.5% lotion that should be applied to the hair when dry; 4-6 hours later, the hair is combed to remove nits and lice.

Agents Affecting Pigmentation

Hydroquinone, mequinol and monobenzone reduce hyperpigmentation
he skin.

hese compounds inhibit tyrosinase, interfering with the biosynthesis of
elanin.

Topical hydroquinone & mequinol result in temporary lightening, but
onobenzone causes irreversible depigmentation.

Monobenzone may cause hypopigmentation at sites distant from the area
f application.

Agents Affecting Pigmentation Cont,d

- Trioxsalen and methoxsalen are psoralens used for the repigmentation of depigmented macules of vitiligo.
- Psoralens must be photoactivated by long-wave-length ultraviolet light in the range of 320-400 nm (UVA) to produce a beneficial effect.
- The risks of psoralen photochemotherapy are cataracts and skin cancer.

Sunscreens

Contain chemical compounds that absorb ultraviolet light

- Topical medications against sunlight include:
  - Sunscreens:
  - Sunshades:

Contain opaque materials such as titanium dioxide that reflect light

- The three classes of compounds used in sunscreens are:
  - *p*-aminobenzoic acid (PABA) and its esters
  - The benzophenones
  - The dibenzoylmethanes

Sunscreens Cont,d

Sunscreens are designed to absorb ultraviolet B (UVB) wavelength (from 280 to 320 nm).

UVB is the range responsible for most of the erythema and tanning associated with sun exposure.

Chronic exposure to light in this range induces aging of the skin and photocarcinogenesis.

Para-aminobenzoic acid and its esters are the most effective available absorbers in the B region.

Sunscreens Cont,d

The benzophenones include oxybenzone, dioxybenzone, and sulisobenz

The benzophenones absorb from 250 to 360 nm, but their effectiveness
he UVB erythema is less than that of PABA.

The dibenzoylmethanes include Parasol and Eusolex.

The dibenzoylmethanes absorb wavelengths throughout the ultraviolet A
ange (320 to 400 nm), with maximum absorption at 360 nm.

Patients sensitive to UVA include: those with cutaneous lupus erythemat
nd drug-induced photosensitivity.

n these patients, dibenzoylmethane-containing sunscreen may provide
mproved photoprotection.

Sunscreens Cont,d

The sun protection factor (SPF) of a given sunscreen is a measure of it effectiveness in absorbing erythrogenic ultraviolet light.

It is determined by measuring the minimal erythema dose with and wit the sunscreen in a group of normal people.

The ratio of the minimal erythema dose with sunscreen to the minimal erythema dose without sunscreen is the SPF.

Fair-skinned individuals who sunburn easily are advised to use a produ with an SPF of 15 or greater.

Acne Preparations

- **Retinoic acid** (tretinoin), is the acid form of vitamin A. It is an effecti topical treatment for acne vulgaris.
- Several analogs of vitamin A (eg, isotretinoin), are effective orally in various dermatologic diseases.
- Its action in acne is due to decreased cohesion between epidermal cell and increased epidermal cell turnover.
- This results in the expulsion of open comedones and the transformatio of closed comedones into open ones.
- Topical retinoic acid is applied initially in a concentration sufficient to induce slight erythema with mild peeling.

Acne Preparations Cont,d

Topical retinoic acid should be applied to dry skin only, and care shou
be taken to avoid contact with the corners of the nose, eyes, mouth, ar
mucous membranes.

During the first 4-6 weeks of therapy, hidden comedones appear and it
seems that the acne has been aggravated by the retinoic acid.

With continued therapy, the lesions will clear, and in 8-12 weeks
optimal clinical improvement occurs.

The effects of **tretinoin** on keratinization and desquamation offer
benefits for patients with photodamaged skin.

Acne Preparations Cont,d

Prolonged use of tretinoin increases collagen synthesis and thickness o
the epidermis, so diminishes fine lines and wrinkles.

This drug may increase the tumorigenic potential of ultraviolet radiation

Patients using retinoic acid should avoid sun exposure and use a
protective sunscreen.

Isotretinoin (Accutane) is used in the treatment of severe cystic acne th
is recalcitrant to standard therapies.

Isotretinoin may act by inhibiting sebaceous gland size and function.

Teratogenicity is a significant risk in patients taking isotretinoin.

Acne Preparations Cont,d

Women must use an effective form of contraception for 1 month before, throughout therapy, and for one menstrual cycle following discontinuance of treatment.

A serum pregnancy test must be obtained within 2 weeks before therapy, and therapy should be initiated on the second or third day of the next menstrual period.

cne Preparations Cont,d

Benzoyl peroxide is an effective topical agent in the treatment of acne vulgaris.

It is converted to benzoic acid within the epidermis and dermis.

It is active against *P acnes* and has peeling and comedolytic effects.

Care should be taken to avoid contact with the eyes and mucous membranes.

Corticosteroids

- The antimitotic effects of corticosteroids on epidermis accounts for their action in diseases with increased cell turnover (psoriasis).
- Corticosteroids are only minimally absorbed following application to normal skin.
- Only 1% of a dose of hydrocortisone applied to the ventral forearm is absorbed.
- occlusion with a plastic wrap enhances penetration, yielding a tenfold increase in absorption.

Corticosteroids Cont,d

- Corticosteroid penetration varies and compared with the forearm hydrocortisone is absorbed:
  - 0.14 times as well through the plantar foot arch
  - 0.83 times as well through the palm
  - 3.5 times as well through the scalp
  - 6 times as well through the forehead
  - 9 times as well through vulvar skin
  - 42 times as well through scrotal skin
- Penetration increases several fold in the inflamed skin (atopic dermatitis) and exfoliative diseases.

orticosteroids Cont,d

Ointment bases tend to give better activity to the corticosteroid than do cream or lotion vehicles.

A tenfold increase in hydrocortisone concentration causes only a fourfol increase in the forearm absorption.

Intralesional injection of insoluble corticosteroids (eg, triamcinolone preparations) increases their penetration.

When these agents are injected into the lesion, measurable amounts are gradually released for 3-4 weeks.

Corticosteroids Cont,d

- Adverse local effects of topical corticosteroids include:
  - Atrophy
  - Steroid rosacea
  - Steroid acne
  - Alterations of cutaneous infections
  - Hypopigmentation
  - Hypertrichosis
  - Increased intraocular pressure
  - Allergic contact dermatitis

Depressed, shiny, wrinkled "cigarette paper"-appearing skin with telangiectases and tendency to develop purpura and ecchymosis

Persistent erythema, telangiectatic vessels, pustules, and papules

Keratolytics & Destructive Agents

Keratolytics & destructive agents include:

- Salicylic acid
- Propylene glycol
- Urea
- Podophyllum resin & podophyllotoxin
- Fluorouracil
- Aminolevulinic acid (ALA)

Salicylic Acid

Salicylic acid has been extensively used in as a keratolytic agent.

Its mechanism of action is not understood.

Salicylic acid is keratolytic in concentrations of 3-6%.

In concentrations greater than 6%, it can be destructive to tissues.

Particular care must be exercised when using the drug on the extremities of diabetics or patients with peripheral vascular disease.

Propylene glycol

Propylene glycol is used alone as a keratolytic agent in 40-70% concentrations, with plastic occlusion, or in gel with 6% salicylic acid.

It is also an effective humectant and increases the water content of the stratum corneum.

It develops an osmotic gradient, increasing hydration of the outer layer by drawing water out from the inner layers.

Urea

Urea in a compatible cream vehicle or ointment base has a softening and moisturizing effect on the stratum corneum.

It makes creams and lotions feel less greasy and decreases the oily feel of dru

Urea is also keratolytic by altering prekeratin and keratin, leading to increase solubilization.

As a humectant, urea is used in concentrations of 2-20% in creams and lotion

As a keratolytic agent, it is used in 20% concentration in hyperkeratosis of pa and soles.

Concentrations of 30-50% applied to the nail plate have been useful in soften the nail prior to avulsion.

Podophyllum Resin & Podophyllotoxin

The major use of podophyllum resin is in the treatment of condyloma acuminatum.

A 25% concentration of podophyllum resin in compound tincture of benzoin i used for condyloma acuminatum.

Application should be restricted to wart tissue only.

Podophyllotoxin is a cytotoxic agent with specific affinity for the mitotic spin

Normal assembly of the spindle is prevented, and epidermal mitoses are arres

The patient should wash off the preparation 2-3 hours after the initial applicat

Podophyllum Resin & Podophyllotoxin ---Contd

- If up to five applications have not resulted in resolution, other methods should be considered.
- Use during pregnancy is contraindicated in view of possible cytotoxic effects.
- Pure 0.5% podophyllotoxin (podofilox) is used for genital condylomas.

Fluorouracil

- Fluorouracil is used topically for actinic keratoses.
- The response begins with erythema, vesiculation, erosion, superficial ulceration, necrosis, and finally reepithelialization.
- Fluorouracil should be continued until the stage of ulceration and necrosis (in 3-4 weeks) and then stopped.
- The healing process continues for 1-2 months after therapy is discontinued.
- Excessive exposure to sunlight during treatment increases the intensit of the reaction and should be avoided.

Aminolevulinic Acid (ALA)

- Aminolevulinic acid (ALA) is an endogenous precursor of photosensitizing porphyrin metabolites.
- When topical ALA is applied, protoporphyrin IX (PpIX) accumulates the cell.
- When exposed to light of appropriate wavelength and energy, the PpI produces a photodynamic reaction.
- This reaction results in the formation of cytotoxic superoxide and hydroxyl radicals.
- Photosensitization by ALA and illumination with a blue light photodynamic therapy illuminator (BLU-U) is the basis for ALA therapy.

Aminolevulinic Acid (ALA) Cont,d

A 20% topical solution of ALA is used in the treatment ofactinic keratoses.

It is followed by blue light photodynamic illumination 14-18 hours later.

Patients must avoid exposure to sunlight or bright indoor lights for at least 40 hours after ALA application.

Antipruritic Agents

opical doxepin 5% cream (Zonalon) may provide significant antipruritic
ctivity in atopic dermatitis.

s mechanism may relate to the potent H_1- and H_2-receptor antagonist
roperties.

ercutaneous absorption is variable and may result in significant drowsin
n some patients.

ecause of its anticholinergic effect, topical use is contraindicated in urin
etention or narrow angle glaucoma.

richogenic & Antitrichogenic Agents

opical minoxidil (Rogaine) is effective in reversing the progressive miniaturization of s
airs in androgenic alopecia.

ertex balding is more responsive to therapy than frontal balding.

he mechanism of action of minoxidil on hair follicles is unknown.

he effect of minoxidil is not permanent, and cessation of treatment will lead to hair loss
onths.

nasteride (Propecia) blocks the production of dihydrotestosterone which is responsible
drogenic alopecia.

ral finasteride, 1 mg/d, promotes hair growth and prevents further hair loss in many me
drogenic alopecia.

eatment for at least 3-6 months is necessary to see increased hair growth or prevent fur
ir loss.

Trichogenic & Antitrichogenic Agents Cont,d

- Continued treatment with finasteride is necessary to sustain benefit.
- Adverse effects include: decreased libido, ejaculation disorders, and erectile dysfunction.
- Pregnant women should avoid finasteride even by handling crushed tablets.

It resolve in most men who remain on therapy and in all men who discontinue finasteride

There is risk of hypospadias in a male fetus

Trichogenic & Antitrichogenic Agents Cont,d

Eflornithine is an irreversible inhibitor of ornithine decarboxylase that catalyzes the biosynthesis of polyamines.

Polyamines are required for cell division, and inhibition of ornithine decarboxylase affects the rate of hair growth.

Eflornithine is effective in reducing facial hair growth in 30% of women when applied twice daily for 6 months.

Hair growth was observed to return to pretreatment levels 8 weeks after discontinuation.

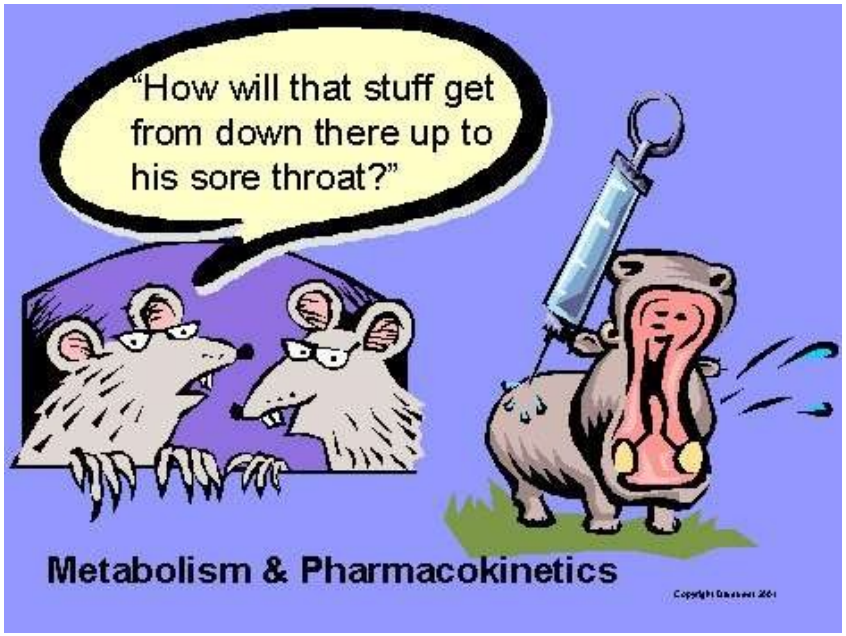
EYE

Pharmacokinetics

is the absorption, distribution, metabolism, and excretion of the drug

drug can be delivered to ocular tissue as:

- Locally:
  - Eye drop
  - Ointment
  - Periocular injection
  - Intraocular injection
- Systemically:
  - Orally
  - IV



Drug Delivery in Eyes

Topical

- drop
- ointment
- gel
- Soft contact lens

Periocular

- Subconj.
- Subtenon
- Peribulbar
- Retrobulbar

Intraocular

- Intracameral
- Intravitreal

Systemic

- oral
- intravenous
- Intramuscular

Factors influencing local drug penetration into ocular tissue

Drug concentration and solubility: the higher the concentration the better the penetration e.g pilocarpine 1-4% but limited by reflex tearing

Viscosity: addition of methylcellulose and polyvinyl alcohol increases drug penetration by increasing the contact time with the cornea and altering corneal epithelium

Lipid solubility: because of the lipid rich environment of the epithelial cell membranes, the higher lipid solubility the more the penetration

Amphipathic- epithelium/endothelium----lipophilic

stroma---hydrophilic

Factors influencing local drug penetration into ocular tissue

urfactants: the preservatives used in ocular preparations alter cell membr the cornea and increase drug permeability e.g. benzylkonium and iomersal

H: the normal tear pH is 7.4 and if the drug pH is much different, this will use reflex tearing

rug tonicity: when an alkaloid drug is put in relatively alkaloid medium oportion of the uncharged form will increase, thus more penetration

olecular weight and size:

TOPICAL

p (Gutta)- simplest and more
nvenient mainly for day time use

1 drop=50 microlitre

↓

njuctival sac capacity=7-13
icro liter

even 1 drop is more than enough

Method

hold the skin below the lower eye lid

pull it forward slightly

INSTALL 1 drop

- **measures to increase drop absorption**
  -wait 5-10 minutes between drops
  -compress lacrimal sac
  -keep lids closed for 5 minutes aft
  instillation

Ointments

Increase the contact time of ocular medication to ocular surface thus better effect

It has the disadvantage of vision blurring

The drug has to be high lipid soluble with some water solubility to have the maximum effect as ointment

eri-ocular injections

They reach behind iris-lens diaphragm better than topical application

E.g. subconjunctival, subtenon, peribulbar, or retrobulbar

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

Also steroid and local anesthetics can be applied this way

Periocular

Subconjunctival - To achieve higher concentrati

Drugs which can't penetrate cornea due to large size Penetrate via sclera

Subtenon— ant. Subtenon– disease ant to the Le

Post Subtenon– disease posterior to the ler

trobulbar-Optic neuritis

Papillitis

Posterior uveitis

Anesthesia

Retrobulbar-Optic neuritis

Papillitis

Posterior uveitis

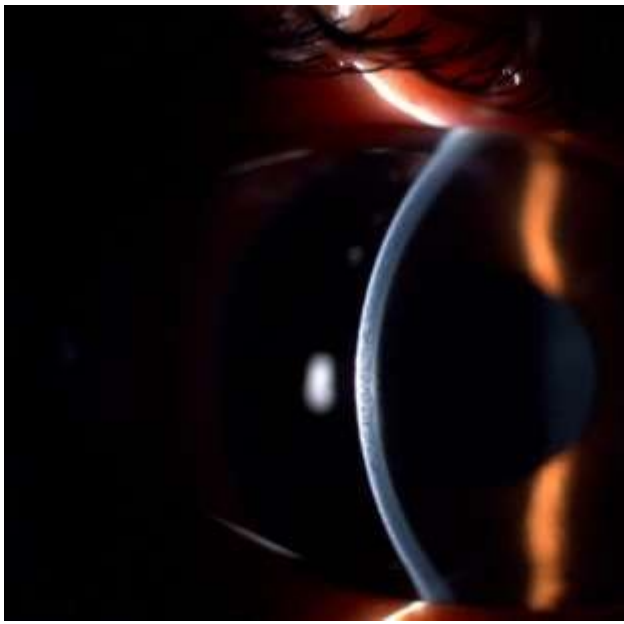
Anesthesia

ribulbar-- anesthesia

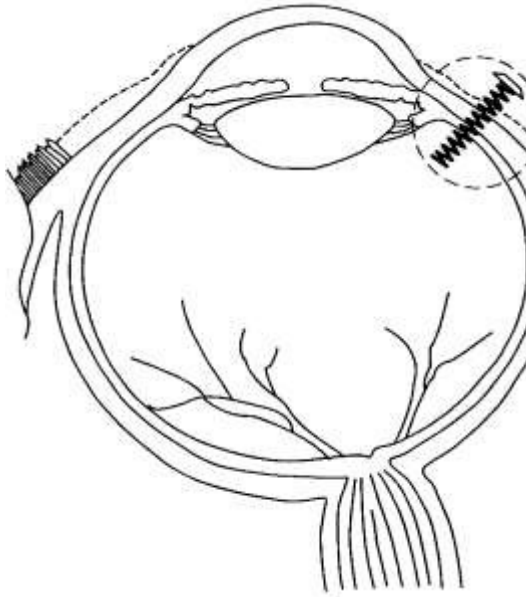
Peribulbar-- anesthesia

ntraocular injections

- Intracameral or intravitreal
- E.g.
  - Intracameral acetylcholine (miochol) during cataract surgery
  - Intravitreal antibiotics in cases of endophthalmitis
  - Intravitreal steroid in macular edema
  - Intravitreal Anti-VEGF for DR



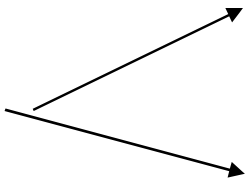
ustained-release devices



- These are devices that deliver an adequate supply of medication at a steady-state level
- E.g.
  - Ocusert delivering pilocarpine
  - Timoptic XE delivering timolol
  - Ganciclovir sustained-release intraocular device
  - Collagen shields

Common ocular drugs

Antibacterials (antibiotics)
Antivirals
Antifungal
Mydriatics and cycloplegics
Antiglaucoma
Anti-inflammatory agents
Ocular Lubricants
Ocular diagnostic drugs
Local anesthetics
Ocular Toxicology



Corticosteroids

NSAID

ntibacterial(antibiotics)

Penicillins

Cephalosporins

Sulfonamides

Tetracyclines

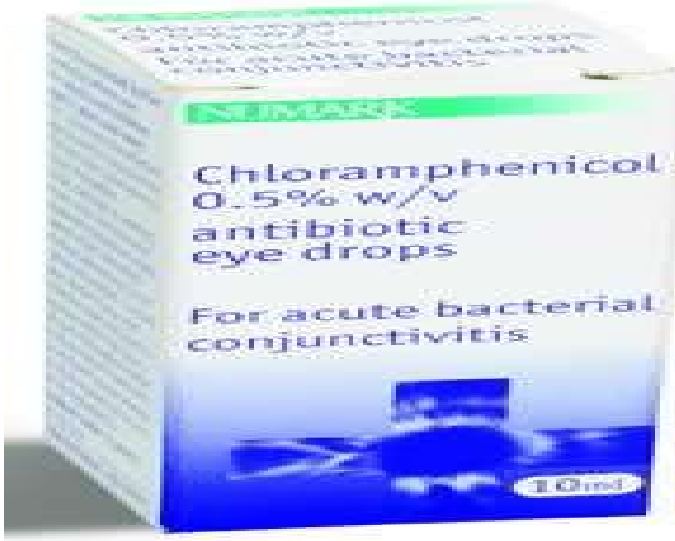
Chloramphenicol

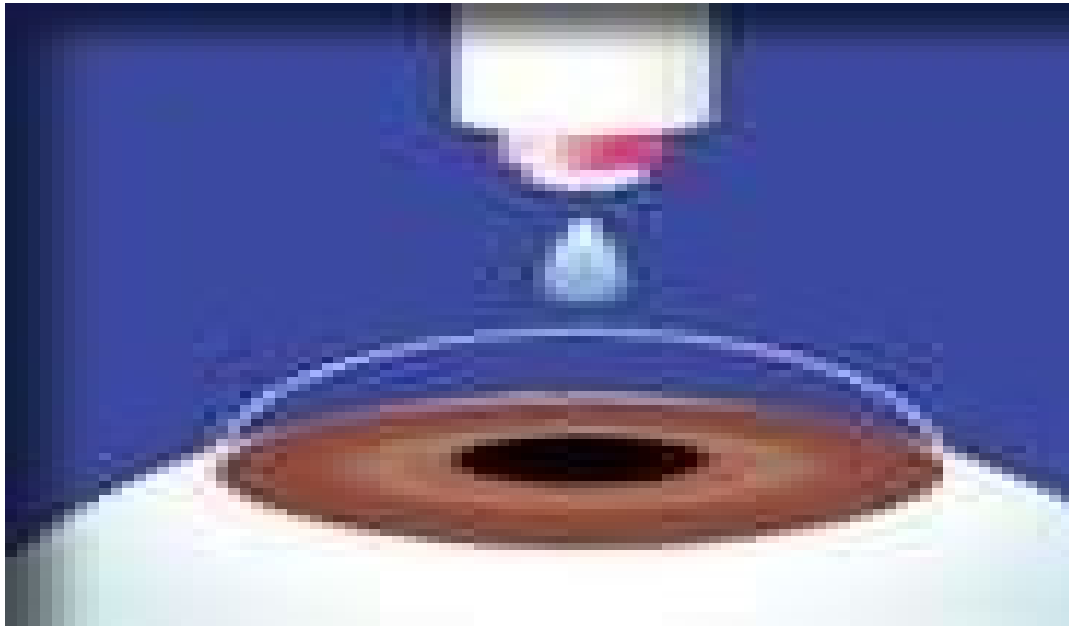
Aminoglycosides

Fluoroquinolones

Vancomycin

Macrolides





ntibiotics

Used topically in prophylaxis (pre and postoperatively) and treatment of ocular bacterial infections.

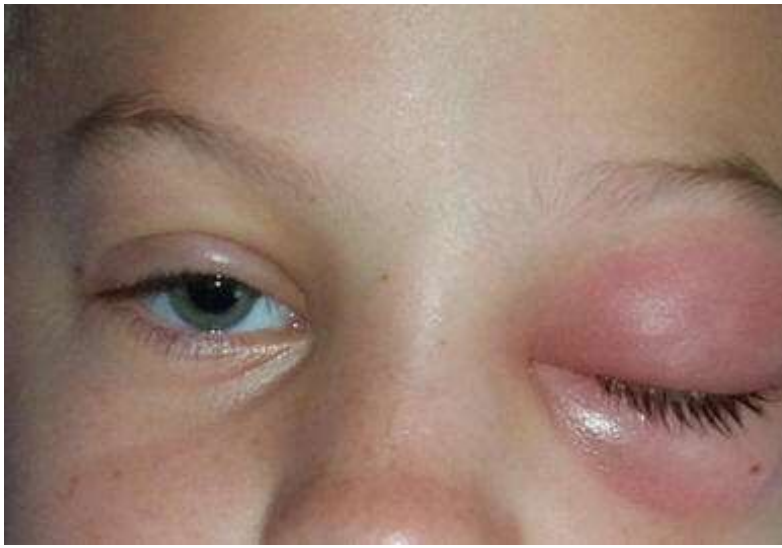
Used orally for the treatment of preseptal cellulitis

e.g. amoxycillin with clavulonate, cefaclor

Used intravenously for the treatment of orbital cellulitis

e.g. gentamicin, cephalosporin, vancomycin, flagyl

Can be injected intravitrally for the treatment of endophthalmitis



Specific antibiotic for almost each organisms

Sulfonamiodes- Chlamydial infections like TRACHOMA
INCLUSION CONJUNCTIVITIS
TOXOPLAMOSIS

Bacterial cell wall syntheis inhibitors-

Penicillin

Cephalosporins

I) first generation- gm + cocci eg cephazolone

ii) second generation —Gm – ve and antistaphylococcal— cefuroxime

iii) Third generation– Gm –ve bacilli --ceftriaxones

Side effects- allergic reaction
neutropenia
thrombocytopenia

Amino glycosides

mainly against gm negative bacilli

Bacterial protein synthesis inhibitors

Gentamycin—0.3% eye drop

Tobramycin- Pseudomonas 1% eye drop

Neomycin—0.3-0.5% eye drop

Tetracycline

Inhibit protein synthesis

active against both gm+ and gm -, some fungi and Chlamydia

Chloromphenicol

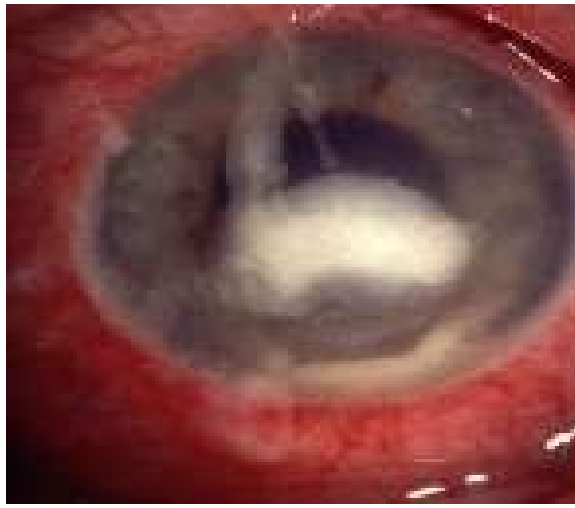
Broad spectrum ,bacteriostatic, gm+/gm-, Chlamydia

0.5% Eye drop, ointment

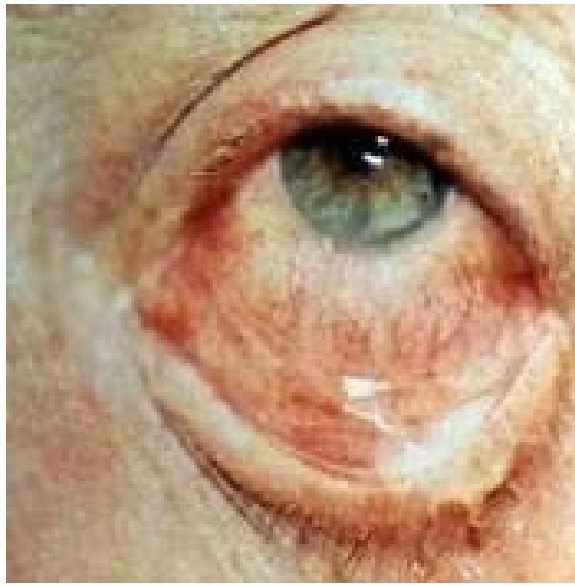
COMMONLY KNOWN AS JUKE MALAM

ntibiotics

Trachoma can be treated by topical and ystemic tetracycline or erythromycin, or ystemic azithromycin.



Bacterial keratitis (bacterial corneal ulcers) an be treated by topical fortified penicillins, ephalosporins, aminoglycosides, vancomycin, r fluoroquinolones.



Bacterial conjunctivitis is usually self limited ut topical erythromycin, aminoglycosides, luoroquinolones, or chloramphenicol can be sed

ntivirals

- Acyclovir

  3% oinment 5 times-10-14 days

  800mg oral 5 times 10-14 days

  intravenous for Herpes zoster retinitis

- Others

  Idoxuridine

  Vidarabine

  Cytarabine

  Triflurothymidine

  Gancyclovir

INDICATIO
NS
HZ
keratitis
Viral
uveitis

ANTIFUNGAL

INDICATIONS

Fungal corneal ulcer

Fungal retinitis/ Endophthalmitis

Commonly used drugs are

- **Polyenes**
  - Damage cell membrane of susceptible fungi
  - e.g. amphotericin B, natamycin, nystatin
  - side effect: nephrotoxicity
- **Imidazoles**
  - Increase fungal cell membrane permeability
  - e.g. miconazole, ketoconazole,fluconazile
- **Flucytocine**
  - Act by inhibiting DNA synthesis

ydriatics and cycloplegics

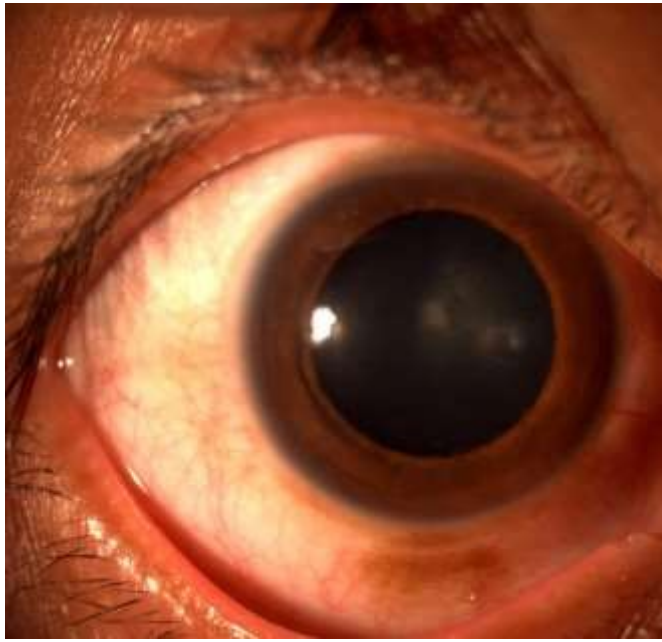
Dilate the pupil, ciliary muscle paralysis

CLASSIFICATION

Short acting- Tropicamide (4-6 hours)

Intermediate- Homatropine (24 hours)

Long acting- Atropine (2 weeks)



ndications

corneal ulcer

uveitis

cycloplegic refraction

Antiglaucoma drugs

Beta blockers-

Selective – betaxolol

Non selective- timolol

reduces aqueous humour production

→ Reduces IOP

Side effect

→ systemic

→ Bradycardia,
Sweating
Anxiety

→ ocular

→ Irritation

Frontal headache
Iris cyst
Follicular conjunctivitis

Carbonic anhydrase inhibitors

Systemic
Acetazoamide

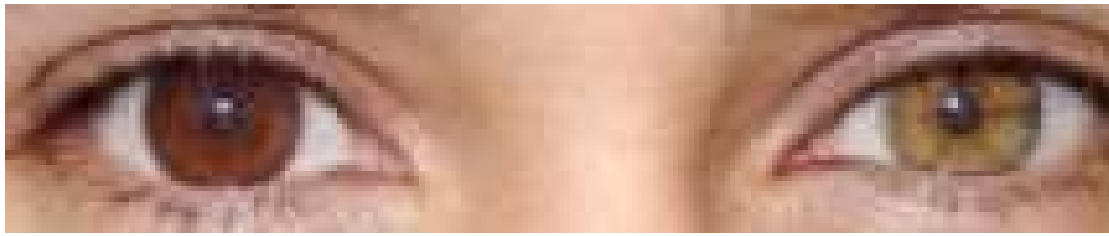
Topical
Dorzolamide
Brinzolamide

Mechanism of action---- Reduce aqueous humor formation

Side effect
Paresthesiae
Frequent urination
GI disturbances
Hypokalamia

Hyperosmotic agent--- iv mannitol

when IOP is very high 60-70



Prostaglandins

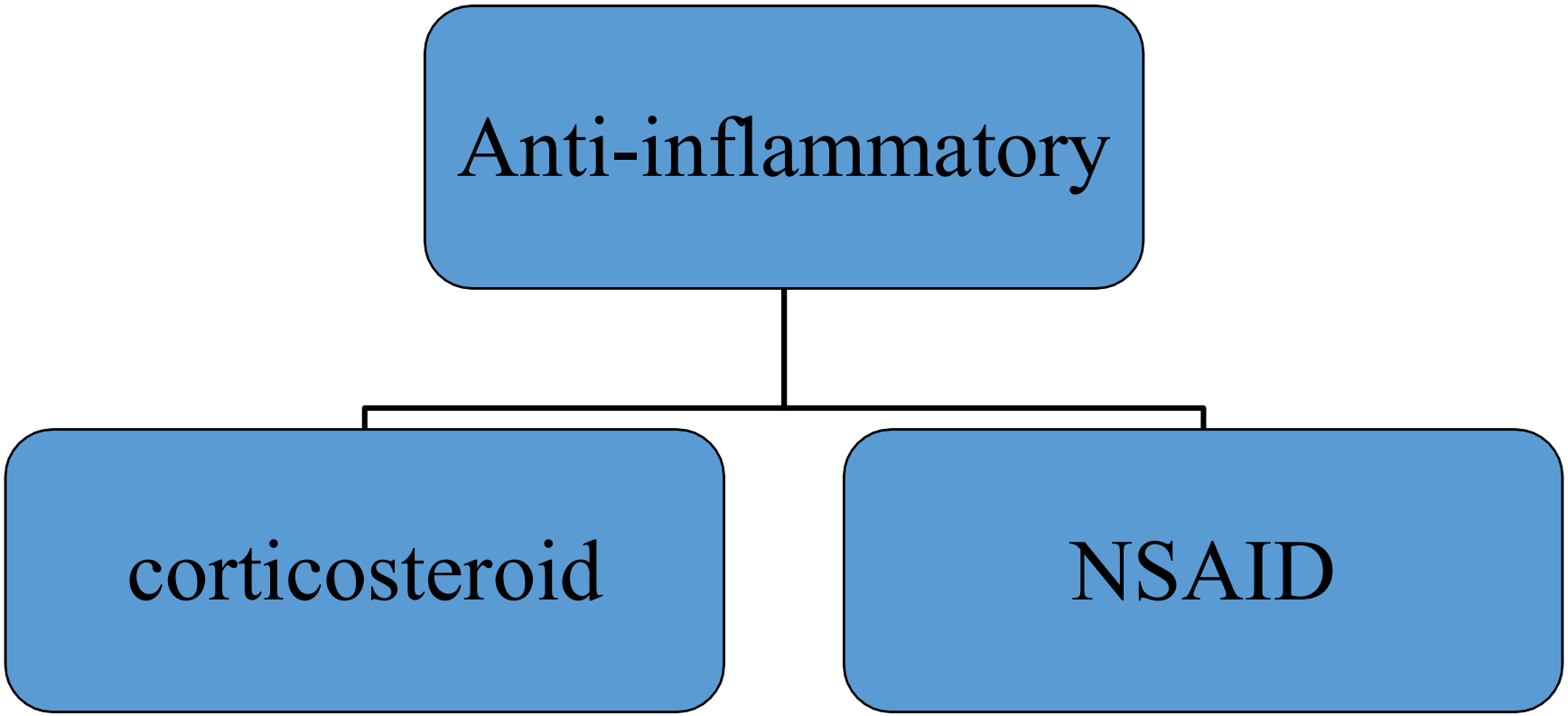
Latanoprost (0.005% eye drop) increased aqueous out flow

↓

Reduced IOP

Side effect– conjunctival redness, iris and periocular pigmentation

hypertrichosis, darkening of iris


Anti-inflammatory
corticosteroid
NSAID

Corticosteroids

CLASSIFICATION

Short acting

hydrocortisone, cortisone, prednisolone

Intermediate acting

Trimcinolone, Fluprednisolone

Long acting

Dexamethasone ,betamethasone

Indications

Topical

allergic conjunctivitis,
scleritis,
uveitis,
allergic keratitis
after intraocular and extra ocular surgeries

R GIVE STEROID IF YOU ARE SUSPECTING ACTIVE INFECTION

Systemic (pathology behind the LENS)

Posterior uveitis
Optic neuritis
corneal graft rejection

e effects

CULAR

Glaucoma
Cataract
Activation of infection
Delayed wound healing

SYSTEMIC

Peptic ulcer
Hypertension
Increased blood sugar
Osteoporosis
Mental changes
Activation of tuberculo
other infections

Pre-requisite

- BP
- Blood sugar
- Mantoux
- TC,DC,ESR
- CXR

NSAIDS

Topical use

- Flurbiprofen
- Indomethacine
- Ketorolac

ndications

- Episcleritis and scleritis
- Uveitis
- CME
- Pre - operatively to maintain dilation of the pupil

Ocular Lubricants

Indication

ocular irritations in various diseases

Dry eyes

Commonly available commercial tear substitutes

REFRESH TEARS

TEAR PLUS

MOISOL

OCCUWET

DUDROP

Ocular diagnostic drugs

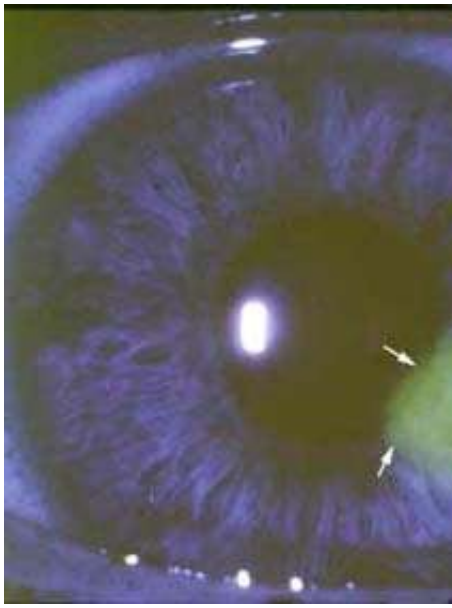
orescein dye

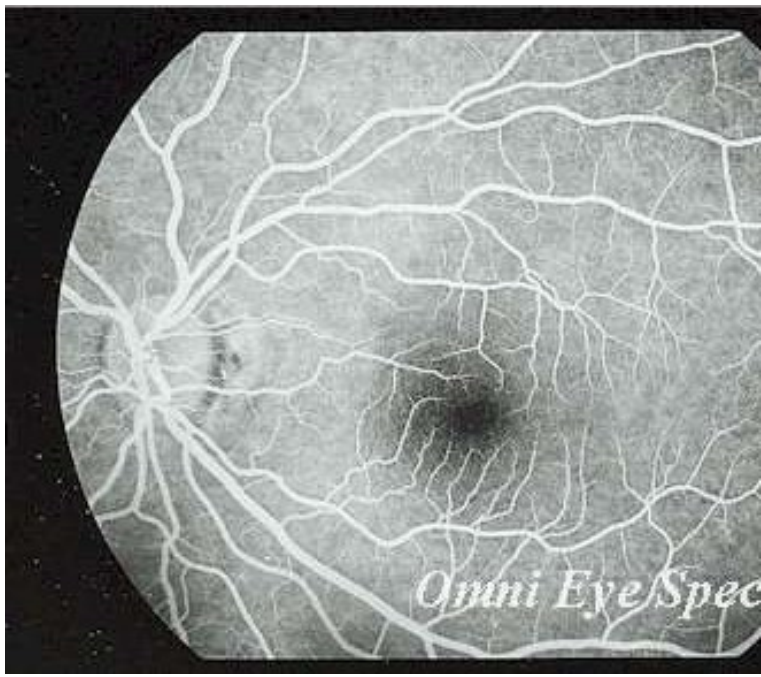
Available as drops or strips

Uses: stain corneal abrasions, applanation tonometry, detecting wound leak, NLD obstruction, fluorescein angiography

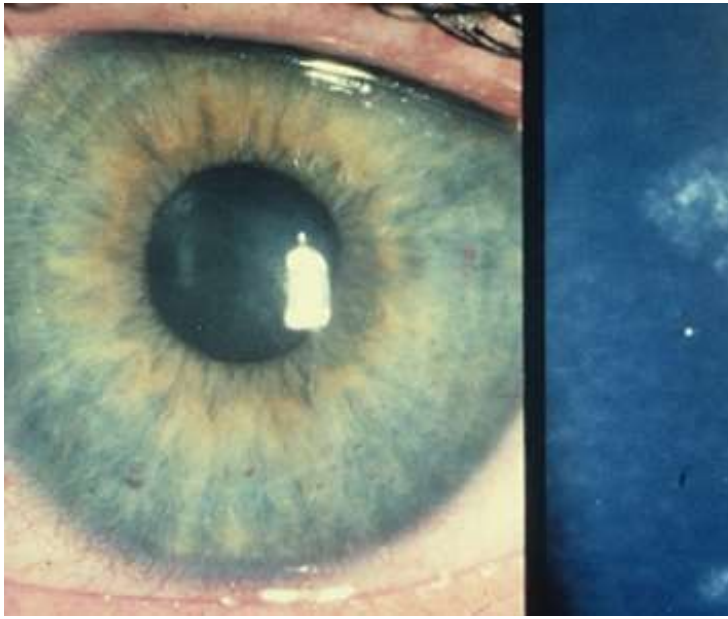
Caution:

- stains soft contact lens
- Fluorescein drops can be contaminated by Pseudomonas sp.





Ocular diagnostic drugs



- Rose bengal stain
  - Stains devitalized epithelium
  - Uses: severe dry eye, herpetic keratitis

Local anesthetics

Topical

- E.g. propacaine, tetracaine
- Uses: applanation tonometry, goniscopy, removal of corneal foreign bodies, removal of sutures, examination of patients who cannot open eyes because of pain
- Adverse effects: toxic to corneal epithelium, allergic reaction rarely

Local anesthetics

Orbital infiltration

- Peribulbar or retrobulbar
- Cause anesthesia and akinesia for intraocular surgery
- e.g. lidocaine, bupivacaine

Ocular toxicology

omplications of topical administration

Mechanical injury from the bottle
e.g. corneal abrasion

Pigmentation: epinephrine-
drenochrome

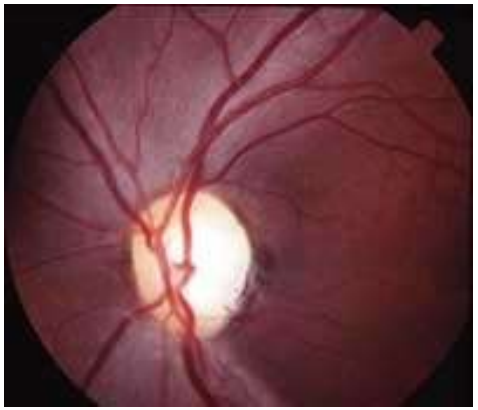
Ocular damage: e.g. topical
nesthetics, benzylkonium

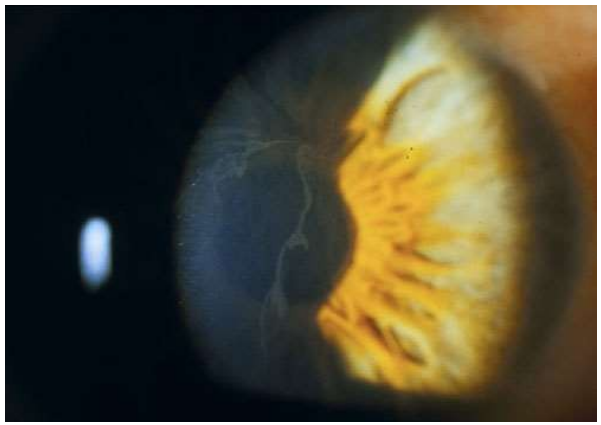
Hypersensitivity: e.g. atropine,
neomycin, gentamicin

Systemic effect: topical
henylephrine can increase BP

miodarone

- A cardiac arrhythmia drug
- Causes optic neuropathy (mild decreased vision, visual field defects, bilateral optic disc swelling)
- Also causes corneal vortex keratopathy (corneal verticillata) which is whorl-shaped pigmented deposits in the corneal epithelium





Digitalis

A cardiac failure drug

Causes chromatopsia (objects appear yellow) with overdose

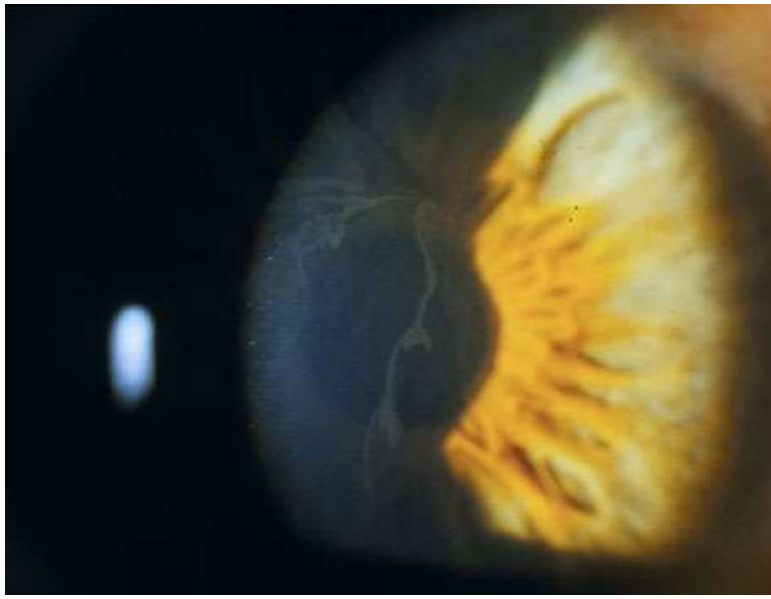
hloroquines

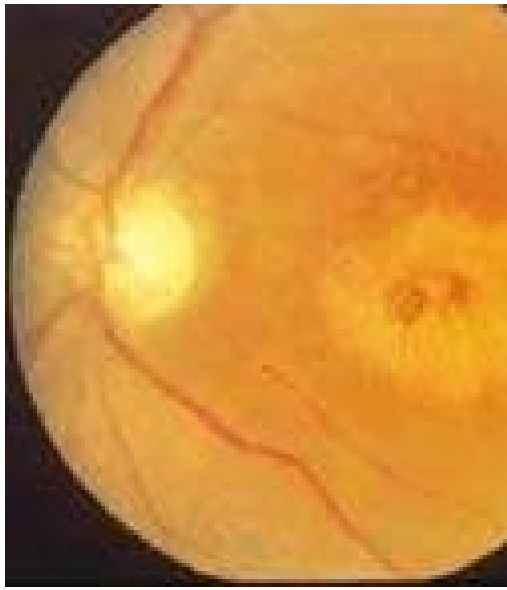
E.g. chloroquine, hydroxychloroquine

Used in malaria, rheumatoid arthritis, SLE

Cause vortex keratopathy (corneal verticillata) which is usually asymptomatic but can present with glare and photophobia

Also cause retinopathy (bull's eye maculopathy)





Chorpromazine

A psychiatric drug

Causes corneal punctate epithelial opacities, lens surface opacities

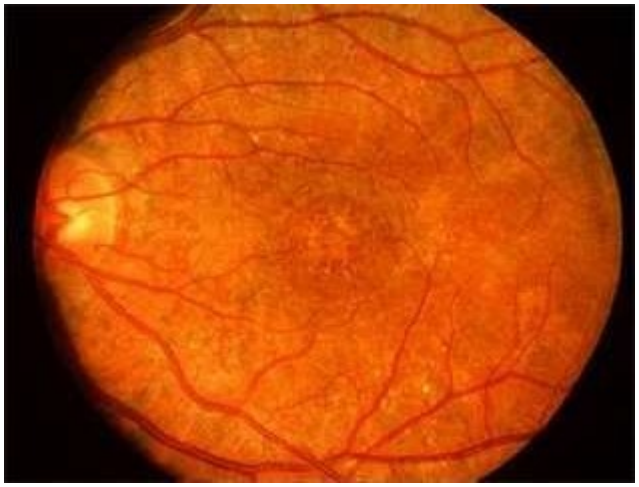
Rarely symptomatic

Reversible with drug discontinuation

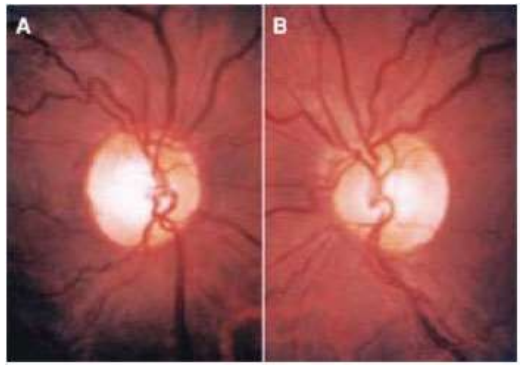
Thioridazine

A psychiatric drug

Causes a pigmentary retinopathy after high dosage



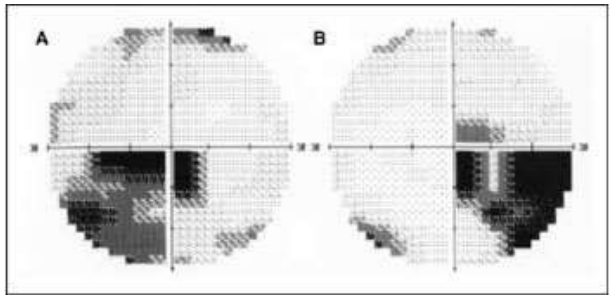
Ethambutol



An anti-TB drug

Causes a dose-related optic neuropathy

Usually reversible but occasionally permanent visual damage might occur



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