Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Bacitracin (Antibacterial)	Inhibitor of cell wall synthesis	Topical use	Against Gram positive bacteria		-Used in combination with Polymyxin B and Neomycin -Anti-inflammatory agents are usually added (Hydrocortisone)
Mupirocin (Pseudomonic acid A)	Protein synthesis inhibitor (targets tRNA)	-Topical use	-Most gram positive bacteria **including** MRSA -Treatment of impetigo (S. aureus, group A β-hemolytic strep) -Internasal ointment for eliminating nasal carriage of S aureus	- Internasal ointment for eliminating nasal carriage of S aureus may be associated with irritation of mucous membrane caused by the polyethylene glycol vehicle	-Not absorbed systemically so it's safe.
Retapamulin	Protein synthesis inhibitor	-Topical use	-Uncomplicated superficial skin infection caused by group A β-hemolytic strep. and S. aureus **excluding** MRSA -Treatment of impetigo	-Well tolerated with only occasional local irritation of the treatment site	-A semisynthetic pleromutilin derivative
Polymyxin B (Peptide antibiotic)	Affects the cell membrane	-Topical use	- Against gram-negative organisms, including Pseudomonas aeruginosa, Escherichia coli, enterobacter, and klebsiella		- Most strains of proteus and serratia are resistant, as are all gram-positive organisms.
Neomycin & Gentamicin	Affects protein synthesis	-Topical use	- Against gram-negative organisms, including E coli, proteus, klebsiella, and Enterobacter. -Gentamicin generally shows greater activity against P aeruginosa than neomycin	-Long term use of gentamicin causes appearance of gentamicin-resistant organisms -Nephrotoxicity & neurotoxicity	-Aminoglycosides -Not used systemically (very toxic) - Gentamicin is more active against staphylococci and group A β-hemolytic streptococci

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Clindamycin	Protein synthesis inhibitor (50S)	-Topical use	-Treatment of acne (Propionibacterium) -Available in fixed-combination topical gels with benzoyl peroxide and with tretinoin	-10% absorbed → possibility of Pseudomembranous colitis and bloody diarrhea -The hydroalcoholic vehicle and foam formulation (Evoclin) may cause drying, irritation of the skin, burning and stinging	-The water-based gel and lotion formulations are well tolerated and less likely to cause irritation. -Allergic contact dermatitis is uncommon
Metronidazole	-The nitro group accepts electrons forming reduced cytotoxic compounds that bind to proteins and DNA resulting in cell death	-Topical use	-Treatment of acne -May act as an anti-inflammatory agent by direct effect on neutrophil cellular function -Against anaerobic bacteria and protozoa -Effective in the treatment of rosacea	-Adverse local effects include dryness, burning, and stinging -Caution should be exercised when applying metronidazole near the eyes to avoid excessive tearing	-Metronidazole nitroimidazole antibiotic medication used particularly for anaerobic bacteria and protozoa
Erythromycin	Protein synthesis inhibitor (50S)	-Topical use (base rather than salt)	-Treatment of acne -In patients allergic to penicillin -Available in a fixed combination preparation with benzoyl peroxide (Benzamycin) for topical treatment of acne vulgaris	-Development of antibiotic-resistant strains of organisms, including staphylococci -Burning sensation at the time of application and drying and irritation of the skin	-Inhibitor of cytochrome p450 (we need to check if the patient takes warfarin) → causes severe bleeding
Miconazole & Clotrimazole	Inhibition of Ergosterol synthesis (inhibits 14-a demethylase)	-Topical use	-Ringworm -Tinea versicolor -Mucocutaneous candidiasis		-Imidazoles (antifungal) -Miconazole is a potent inhibitor of cytochrome p450 (warfarin metabolism)

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Ciclopirox Olamine		-Topical use	-Tinea versicolor		-Antifungal agent
Naftifine & Terbinafine	Inhibition of Ergosterol synthesis (inhibits squalene monooxygenase)	-Topical use -Terbinafine can be used orally	-Tinea pedis, tinea cruris, tinea corporis -Oral use of terbinafine is recommended for onychomycosis (ringworm of the nail)		-Allylamines (antifungal)
Amphotericin B	Bind to ergosterol and disrupt membrane integrity (Loss of cellular K+)	-Topical & IV use	-To treat serious disseminated yeast and dimorphic fungal infections in immuno-compromised hospitalized patients -C. albicans -IV injections are used as an alternative therapy for visceral leishmaniasis especially is areas with high resistance	-IV (common) → Fever, chills, and tachypnea -Anaemia, hypokalaemia, liver damage, thrombocytopenia and anaphylatic reactions -Very toxic (main toxicity is renal) -Nephrotoxicity is the most common and the most serious longterm toxicity of amphotericin B administration	-Polyene antifungal -Produced by the actinomycete Streptomyces - The polyene macrolides are very poorly absorbed orally and they are reluctant to pass through mucous membranes -80% of patients get reduction in kidney function which generally recovers after treatment
Nystatin	Bind to ergosterol and disrupt membrane integrity (Loss of cellular K+)	-Topical use only	-Superficial infections cause by C. albicans -Oral candidiasis (thrush), mild esophageal candidiasis and vaginitis	-More toxic than amphotericin B	-Polyene antifungal -Too toxic for systemic use
Tolnaftate		-Topical use			-Antifungal agent

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Fluconazole Itraconazole Ketoconazole	Inhibition of ergosterol synthesis	-Oral use	-Effective in systemic mycosis, mucocutaneous candidiasis, and other cutaneous infections	-Might have systemic side effects: hepatitis and liver enzyme elevations, and interactions	-Ketoconazole is an imidazole -Itraconazole & Fluconazole are triazoles
Griseofulvin	Disrupts microtubule aggregation during mitosis	-Oral use	-Effective against epidermophyton, microsporum, and trichophton	-Has many side effects.	-An antifungal -Requires prolonged treatment
Acyclovir Valacyclovir Penciclovir Famciclovir	Synthetic guanine analogs, inhibits DNA synthesis by inhibiting viral DNA polymerase	-Topical use	-Against herpes viruses -Ointments and creams are useful for recurrent orolabial herpes simplex infection		-Antiviral agents
Tacrolimus & Pimecrolimus	-Bind to FK binding protein inside the T-lymphocyte creating a complex -**Eventually**, they decrease IL-2 production and inhibit T-lymphocyte proliferation	-Topical & systemic use	-Used systematically after organ transplant -Used topically for the treatment of atopic dermatitis, and psoriasis	-Nephrotoxic -hepatotoxic -Seizures -Increase LDL which increases the risk for developing atherosclerosis	-Immuno-suppressants -The complex created inhibits calcineurin preventing the de-phosphorylation & translocation of transcription factor NFAT to the nucleus → decrease in the production of IL-2 → inhibition of T-lymphocyte proliferation -Tacrolimus is a substrate for Cyt. P450 -High levels of LDL are treated by statins which are inhibitors of cytochrome p450

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Imiquimod	-Stimulates peripheral mononuclear cells to release INF- ά -Stimulates macrophages to produce IL-1,-6, and -8 and TNF-ά	-Topical use	-Used topically for external genital and perianal warts (condyloma acuminatum) which is caused by HPV -Actinic keratosis -Primary basal cell carcinoma		-An immunomodulator
Permethrin		-Topical use	-Toxic to Pediculus humanus (lyse infection), Pthirus pubis, and Sarcoptes scabiei		-An ectoparasiticide
Lindane		-Topical use	-Used to treat pediculosis	- 10% absorbed and concentrated in fatty tissues -Very toxic if absorbed, can cause neurotoxicity and hematotoxicity	-Hexachloro-cyclohexane - An ectoparasiticide
Crotamiton		-Topical use	-Treating scabies -A general antipruritic (decreases itching)		-An ectoparasiticide
Sulfur & Malathion		-Topical use			-Ectoparasiticides
Hydroquinone	Reduce hyper-pigmentation of skin by inhibiting the enzyme tyrosinase → inhibition of melanin	-Topical use	-Skin whitening to reduce the color of skin -Temporary lightening		
Monobenzone	Reduce hyper-pigmentation of skin by inhibiting the enzyme tyrosinase → inhibition of melanin	-Topical use		-May be toxic to melanocytes resulting in permanent depigmentation	
Mequinol	Reduce hyper-pigmentation of skin by inhibiting the enzyme tyrosinase → inhibition of melanin	-Topical use	-Results in temporary lightening		

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Trioxsalen & Methoxsalen	Re-stimulate the melanocytes to produce melanin and induce pigmentation	-Topical use	-Repigmentation of depigmented macules of vitiligo	-They can cause cataract or skin cancer, because they intercalate with DNA	-Both drugs are psoralens, meaning that they require activation by light to perform their action
Sunscreens	Absorb UV light	-Topical use	-Useful in polymorphous light eruption, lupus erythematosus, and drug –induced photosensitivity.		-Examples are para amino benzoic acid (PABA) and its esters
Sunshades	Opaque materials that reflect light	-Topical use	-Useful in polymorphous light eruption, lupus erythematosus, and drug –induced photosensitivity		-Example: titanium dioxide
Retinoic Acid (Tretinoin)	-Stabilizes lysosomes. -Increases RNA polymerase activity. -Increases PGE2, cAMP, and cGMP levels. -Increases the incorporation of thymidine into DNA. -Gets rid of comedones -Thickens the epidermis helping in fine lines and wrinkles diminishment.	-Topical use	-Useful in acne treatment.	– Can cause erythema and dryness –Tumorigenic in animals	-Gets rid of comedones through decreasing the cohesion between epidermal cells and increasing epidermal cell turnover. This will result in expulsion of open comedones and the transformation of closed comedones into open ones -Thickens the epidermis by promoting dermal collagen synthesis and new blood vessel formation.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Isotretinoin (Accutane)	– Inhibits sebaceous gland size and function	-Oral use	-Restricted for severe cystic acne resistant to standard treatment.	-Toxicity: dryness, itching, headache, corneal opacities, pseudotumor cerebri (increase in intracranial pressure), inflammatory bowel disease, anorexia, alopecia, muscle and joint pain, and lipid abnormalities. ✓ -Teratogenic drug	Given orally: 1–2 mg/kg, given in two divided doses daily for 4–5 months.
Benzoyl Peroxide	Penetrates the stratum corneum or follicular openings and is converted to benzoic acid within the epidermis and dermis generating free radicals.	-Topical use	-Useful in acne treatment -Has antimicrobial activity against P. acnes, as well as peeling and comedolytic effects (inhibits formation of comedones) -Has activity against anaerobic bacteria	-Can cause bleaching of hair or colored fabrics	-Can be combined with erythromycin or clindamycin.
Azelaic Acid			-Useful in acne treatment.		-Has antimicrobial activity.
Acitretin		-Oral use	-useful in psoriasis treatment.	-Hepatotoxic and teratogenic	-Related to isotretinoin (similar side effects) -Patients should not become pregnant for 3 years after stopping treatment, and also should not donate blood.
Tazarotene	-It has anti-inflammatory and anti-proliferative actions	-Topical use	-useful in psoriasis treatment.	-Teratogenic. Also, can cause burning, stinging, peeling, erythema, and localized edema of skin	
Calcipotriene			-useful in psoriasis treatment.		-A synthetic vitamin D3 derivative.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Alefacept			-useful in psoriasis treatment.		-One of the biologic agents involved in psoriasis treatment. -It is an immunosuppressive dimer fusion protein of CD2 linked to the Fc portion of human IgG1
Efalizumab			-used to be useful in psoriasis treatment.	-Progressive multifocal leukoencephalopathy (PML) → withdrawn. -Can cause thrombocytopenia.	-One of the biologic agents involved in psoriasis treatment. -Recombinant humanized IgG1 monoclonal antibody -Was withdrawn
Etanercept			- useful in psoriasis treatment.		- One of the biologic agents involved in psoriasis treatment. -Dimeric fusion protein of TNF receptor linked to the Fc portion of human IgG1.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Topical Corticosteroids (Hydrocortisone, Prednisolone, Methyl-prednisolone, Dexamethasone, Betamethasone, Triamcinolone, Fluocinonide)		-Topical	-Useful as anti-inflammatory agents. -Used in dermatologic disorders that are very responsive to steroids: • Atopic dermatitis. • Seborrheic dermatitis. • Lichen simplex chronicus. • Pruritus ani. • Allergic contact dermatitis. • Eczematous dermatitis. • Psoriasis -Used alongside chemotherapeutic agents - often used in allergic conditions of the skin.	-Suppression of pituitary-adrenal axis. - Systemic effects: e.g. fat redistribution (buffalo hump, moon face). -Skin atrophy. -Erythema. -Pustules. -Acne. -Infections. -Hypo-/Hyperpigmentation. -Allergic contact dermatitis.	- Used alongside chemotherapeutic agents: 1) Hydrocortisone with Miconazole (in tinea infections). 2) Hydrocortisone with Bacitracin. -Triamcinolone is used in the treatment of keloid scars - prednisolone and dexamethasone might also be used as inhalers
Tar compounds			-Mainly used in psoriasis, dermatitis, and lichen simplex chronicus	- Can cause irritant folliculitis, phototoxicity, and allergic contact dermatitis.	
Salicylic Acid	-Solubilizes cell surface proteins resulting in desquamation of keratotic debris	- Topical use	- used to prevent the development of acne or to clear the skin from black heads	-Locally, can cause urticaria, anaphylactic and erythema multiforme reactions, irritation, inflammation, and ulceration	-One of the Keratolytic agents - Keratolytic in 3-6% concentration, but destructive in higher concentrations.
Propylene Glycole	- Increases the hydration of the outer layers of skin		-Usually used as a vehicle for organic compounds	Minimally absorbed, oxidized in liver to lactic acid and pyruvic acid.	-It increases the hydration of the outer layers of the skin as it develops an osmotic gradient through the stratum corneum.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Propylene Glycole (Cont.)			-Used alone as a keratolytic agent in concentrations of 40%- 70%, with plastic occlusion, or in gel with 6% salicylic acid.		-Minimally absorbed, oxidized in liver to lactic acid and pyruvic acid.
Urea	-It attracts water causing wetting and hydration of the skin.		-It is used to decrease the unpleasant oily feel of dermatologic preparations		-One of the keratolytic agents -Has a humectant activity, i.e. softening and moisturizing effect on the stratum corneum. -Increases water content as a result of its hygroscopic characteristics. -When absorbed, it is excreted in urine
Flurouracil	-Resembles uracil and inhibits thymidylate synthetase, thus interferes with DNA and may be RNA synthesis		- Used in multiple actinic keratosis		-One of the keratolytic agents -Considered to be an antimetabolite.
Nonsteroidal anti-inflammatory drugs			-When used as a gel (3% get formulation diclofenac), they have the ability to act as keratolytic agents		-Examples: diclofenac sodium and diclofenac potassium.
Aminolevulinic Acid		-Topical use	-Used in actinic keratosis.	After topical application (20%) and exposure to light, it produces a cytotoxic superoxide and hydroxyl radicals which can harm the skin.	-One of the keratolytic agents.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Doxepine	-Potent H1 and H2 – receptor antagonist			- Can cause drowsiness and anticholinergic effects.	-One of the Antipruritic Agents.
Pramoxine	-It causes anesthesia in the applied area, causing loss of itching sensations	-Topical use.			-One of the Antipruritic Agents. -Considered to be a local anesthetic agent.
Minoxidil (Rogaine)			- Designed as an antihypertensive agent. - Used to regrow hair on the scalp since it has trichogenic properties -Effective in reversing the progressive miniaturization of terminal scalp hairs associated with androgenic alopecia		- Vertex balding is more responsive than frontal balding.
Finasteride (Propecia)	- 5ά-reductase inhibitor which blocks the conversion of testosterone to dihydrotestosterone.	-Oral use (tablets)	- Serves as both a trichogenic (in men) and antitrichogenic agent (in women). - Also used to treat prostate hyperplasia	-Can cause decreased libido, ejaculation disorders, and erectile dysfunction.	
Eflornithine	– Is an irreversible inhibitor of ornithine decarboxylase, therefore, inhibits polyamine synthesis.		- Effective in reducing facial hair growth in 30% of women when used for 6 months		-One of the antitrichogenic agents -Polyamines are important in cell division and hair growth.

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Sodium Stibogluconate	- Binds to SH groups found on parasite's proteins disrupting their 3D structures. - Inhibits phosphofructokinase	-Topical use -IM injection -IV infusion	- Drug of choice for all forms of leishmaniasis	-Cough, Vomiting, Diarrhea, myalgia, arthralgia, ECG changes, Rash, Pruritus.	-One of the Pentavalent antimonials -IV infusions must be given slowly, because it is irritant. - Given for 20-28 days. - Resistance is increasing, especially in India. - Typical preparations contain 30% to 34% pentavalent antimony by weight as well as m-chlorocresol added as a preservative.
Miltefosine		-Oral use	- Drug of choice For visceral leishmaniasis	-Causes vomiting & diarrhea, hepatotoxicity, nephrotoxicity, and it is teratogenic.	- Given for 28 days.
Pentamidine	- Inhibits DNA replication. - Also, it is considered to be a DHF reductase inhibitor.	- IM or IV injection - Inhalation	- **Leishmaniasis**: Alternative to Na stibogluconate -**Pneumocystis jiroveci:** Treatment and prophylaxis of patients who cannot tolerate or fail other drugs. -**Trypanosomiasis:** For early hemolymphatic stage.	-Rapid Infusion: Hypotension, tachycardia, dizziness. -Pain at the injection site. -Others: Pancreatic, Renal, and Hepatic toxicity.	- Binds avidly to tissues, not the CNS. -Low lipid solubility

Dermatologic Pharmacology Summary

Drug	MOA	Route of Administration	Uses	Side Effects	Notes
Dapsone and Sulphones	Inhibit folate synthesis		- One of the Antilepromatous drugs. -Also used for Pn. Jeroveci in AIDS patients	-Hemolysis, particularly in G-6-PD deficiency. -GIT intolerance -Fever, Pruritus, Rashes. - Erythema Nodosum Leprosum	- Related to sulfonamides. - Combined with Rifampin and Clofazimine to overcome resistance. - Well absorbed and distributed. - Retained in the skin, muscle, liver and kidney ENL is suppressed by steroids or thalidomide.
Rifampin	-Induces Cytochrome P450		- One of the Antilepromatous drugs		- Discussed with antituberculosis drugs.
Clofazimine	-Binds to DNA		-Given for sulphone-resistant or intolerant cases	- Causes skin discoloration (red-brown to black) and GIT intolerance	-Stored widely in RES and skin. -Released slowly from storage sites, t1/2 = 2 months.

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