

Dtug	C.S.F penetration	Serious toxicity
INH	Good	Nerve and Hepato
Rifampin	Good	Hepato, immuno,
Streptomycin	Poor	Nerve, Nephro
pyrazinamide (Z)	excellent	Hepato
Ethambutole	Fair	ocular

Anti-leprosy drug

It is the chronic infection caused by acid fast bacillus *Mic. leprae*.

This bacilli multiply very slowly in the body and not at all, so culture media, thus no vaccine is available.

Classification →

Sulphone → Dapsone, Sulfonamide

Non sulphone → (a) Antibiotic → Rifampicine
Ethionamide
ofloxacin, Minocycline
clarithromycin,

(b) Phenazine der. → Clofazimine

(c) Thiourea → Thioacetazone
(Amithiozone)

(d) Sulphonamide → Sulphathiazin

(e) Anti-inflammatory drugs → Aspirin, Chloroquine
Thalidomide
Antimalarial (Chloroquine)
(Teratogenic)
Anti-malarial (Bithionol)

(i) Corticosteroids → Prednisolone

Sulphonamides (DDS) → Dapsone similar to Sulphonamide
(Di-amino di-phenyl sulphone) having mode of action
(inhibition of PABA incorporation into folic acid) inhibit
folate synthesis Enz.

Pharmacokinetic → Dapsone conjugated in the
liver with glutathione acid
and excreted in the urine and milk.

Adverse effect → Mild haemolytic anemia,
Methemoglobinemia and
Heinz body formation, Haemolysis in both normal
and G-6-P dehydrogenase deficient. Red cells

⇒ cutaneous rxn - Rash, hypermelanosis,
Photosensitivity,
or Hepatitis, acute Pancytopenia

(Interfering Template function of DNA)

Clofazimine → Used in Sulphonamide Resistance Mic. leprosy
Thiazine Rimonophenazine dye - bacteriostatic
and anti-inflammatory action.

MOA → Clofazimine interferes with bacterial nucleic
acid metabolism by binding to DNA.

Adverse effect → Skin pigmentation, i.e. Reddish black discoloration
of skin, discoloration of hair,
and body secretion.

Contraindication →

→ Clofazime is avoided during Pregnancy, Liver and Kidney dis.

Rifampicine → ~~more~~ More active than dapsone

Thalidomide → not used in pregnancy because it is fetitogenic.

Antihelminth drugs → Schistosomicidal

Anti-Fungal drugs

classification → (A) Anti-biotic : Amphoteracin-B
(Polyen)
Nystatin
Natamycin, Hemicin
(Pimaricin)

~~Anti~~ Heterocyclic benzofuran → Griseofulvin

(B) Antimetabolite → 5-FU, (Flucytosin)

(C) Azoles → (a) imidazole : clotrimazole
(i) (topical) Econazole
Miconazole

(b) Thiazole : systemic
(Fluconazole) Itraconazole
Isavuconazole
Voroniconazole

(ii) Systemic → Ketoconazole

D. ~~Allylamine~~
Allylamine → Terbinafine

E. other → KI, undecylenic acid, clioquinolone,
cycloproxamine, Thiacetone
Benzoic acid, Salicylic acid, Sodium thiosulphate
Hydroxy stilbamidine.

~~Q10~~ Griseofulvin → isolated from *Penicillium griseofulvum*

MOR → it resembles colchicine like structure,
block dividing cells in metaphase.
(~~antagonist~~ the microtubule).

→ interfere with fungal DNA Replication, resulting
in distorted hyphal growth.

~~Imp~~ → Rapidly bind to keratin precursor cell and make
them resistance to fungal infection.

P-kinetic → it is metabolised in liver to
an inactive metabolite
6-methyl griseofulvin excreted in urine.

Toxicity → in children it may cause
Gynecomastia, Hyperpigmentation
of nipple and areola part, enlargement
frequency of micturition (xerostomia)
serum sickness, Mental dullness, impaired sense,
Dysgeusia (bad taste of tongue)

~~Imp~~ interaction → Barbiturates, and Primidone,
↑ microsomal catabolism of
Gri, through Enzyme induction.

(↑ metabolism) → warfarin and coumatin act to reduce
anticoagulant effect.

→ Reduced efficacy of oral contraceptive.

(Aid inactive form)

Amphotericin-B \rightarrow isolated from *Streptomyces nodosus*

MoA \rightarrow ~~It~~ binds to sterols in the cell membrane of sensitive fungi and alters membrane permeability, thereby depressing phosphate uptake and allowing leakage, and loss of small molecules like glucose and essential ions like K^+ .

Toxicity \rightarrow Nephrotoxicity
Thrombocytopenia: - Reducing by hydrocortisone and heparin.

R/F 5-FC \rightarrow MoA \rightarrow Anti-metabolite which inhibits thymidylate synthase, thereby depressing DNA synthesis, and incorporated into RNA.

Toxicity \rightarrow Reversible hepatic dysfunction, thrombocytopenia

imidazole \rightarrow MoA: - They inhibit the fungal cytochrome P₄₅₀ ~~by~~ lanosterol 14-demethylase and impair Ergosterol syn, leading to membrane abnormalities.