## Anti-TB drugs

| | Isoniazid (INH) | Ethambutol | PAS (4-aminosalicylic acid) | Rifampin | Cyclo serine | Streptomycin |
|---|---|---|---|---|---|---|
| Class: | Hydrazide | -- | -- | Rifamycin(ansamycins) | | Aminoglycoside |
| MOA: | 1. Inhibits synthesis of mycolic acid | Mycolic acid competitor on cell wall | PABA inhibitor for folic acid | Inhibits DNA-directed RNA polymerase | | Inhibit protein synthesis |
| | 2. Anti-metabolite of NAD | | | | | |
| Uses: | Anti-TB | Only for dividing mycobacteria | 2nd line anti-TB that can be given orally as Na salt. | **Anti-TB and Anti-lepral drug.** | | |
| Notes: | | | Synthesis involves Kolbe reaction then reduction. | Semi-synthetic from rifampicin. | | Kanamycin, gentamycinamikacin can also be anti-TB. |

Anti-tubercular combination **"Rimactazide"**: Isoniazid (INH) + Rifampin

## Anri-lepral drugs

| Dapsone (DDS) | Acedapsone | Sulfoxone sodium |
|---|---|---|
| Not water soluble or long acting so prodrugs were produced. | Orally bioavailable and long acting. | Can be injected (water soluble) |
| | From acetylation of dapsone. | Prodrug of dapsone. |

## Sulphonamides

| Sulphanilamide | Sulfisoxazole | Sulfdiazine & Sulfametoxazole | Succinyl sulfathiazole | Sulfasalazine | Mafenide acetate |
|---|---|---|---|---|---|
| Original sulphonamide form from prodrug dye, prontosil, in-vivo activation by **azoreductase.** | Short-acting | Intermediate-acting | For GIT | For ulcerative colitis | Topical for burn therapy. |
| | It's rapidly excreted so concentrates in urine. | Silfadiazine: burn therapy | They're poorly absorbed so they concentrate in GIT. | Designed to be poorly absorbed from GIT to concentrate there. | Not a typical sulfonamide. |
| | UT antiseptic. | Sulfamethoxazole is chosen in the cotrimoxazole combination to have the same t1/2 as trimethoprim. | Prodrug hydrolyzed by amidase enzyme. | A prodrug that's activated by bacterial azoreductase enzyme into 5-ASA (not absorbed/remain in large intestine) & antibacterial sulfapyridine. | |

**MOA: Anti-metabolite of PABA during THFA synthesis.**
- Inhibition of enzymes DHFS & DHFR can provide safety and selectivity.
Synergistic combination: **sulphamethoxazole + trimethoprim =Cotrimoxazole/septrin**