

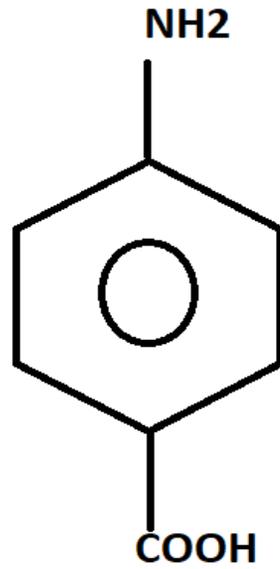


Sulphonamides Antibiotics

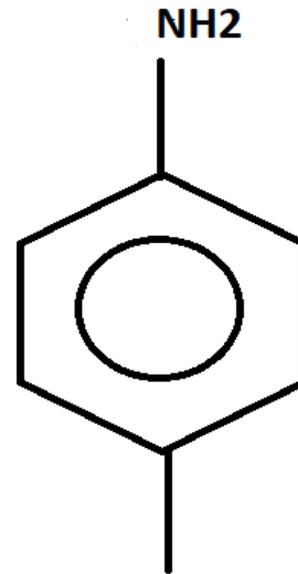
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- ▶ Have structural similarity with p-aminobenzoic acid (PABA) containing sulphonamide (SO₂NH₂) groups in their chemical structure.
 - ▶ Have bacteriostatic action against gram +ve & gram -ve bacteria
 - ▶ Sulfadiazine, sulfamethoxazole, cotrimoxazole, trimethoprim

- ▶ **MECHANISM OF ACTION**

- ▶ Sulfonamides compete with PABA which is an essential precursor in the synthesis of folic acid, required for the synthesis of DNA and RNA in bacteria.
- ▶ They inhibit bacterial folate synthetase and lead to impairment of DNA formation

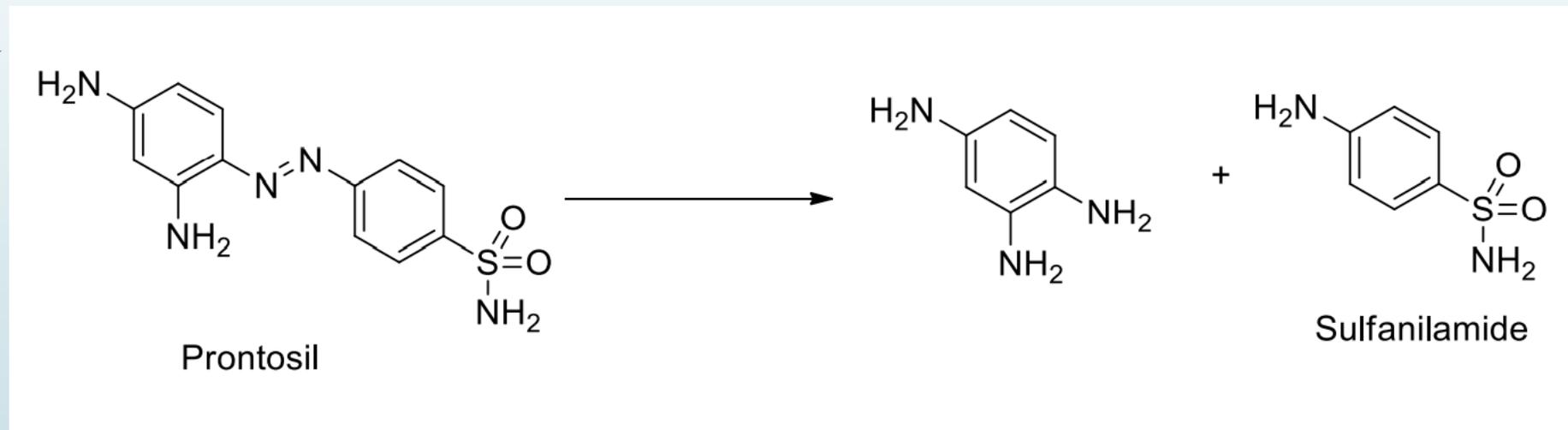


PABA



**S₀2NHR
SULPHONAMIDES**

- Sulfa drugs were discovered when a red dye called Prontosil had shown in-vivo antibacterial activity against streptococcal infection.
- Later it was found that prontosil was broken down in the body to release Sulfanilamide which was responsible for antibacterial action.



SULFONAMIDES	EXAMPLE	DOSE
Short Acting (4-8h) Rapidly Absorbed	Sulfadiazine Sulfisoxazole	0.5-1g q.i.d Child 150mg/kg (4-6 doses)
Intermediate acting (8-12h) Absorbed Slowly	Sulfamethoxazole	1g b.i.d for 2 days then 0.5 g b.i.d
Long acting (7days)	Sulfadoxine Sulfamethopyrazine	(used in combination with Pyrimethamine in malaria)
Special Purpose sulphonomides	Sulfacetamide sodium Sulfasalazine Silver sulfadiazine	Eye drops 10%, 20%, 30% (eye infection) 2-4g in divided dose (ulcerative colitis) Cream 1% (for burns)

Trimethoprim-Sulfamethoxazole combination (**Cotrimoxazole**)

- ▶ Cotrimoxazole causes sequential blocking of purine synthesis (synergism).
- ▶ Trimethoprim inhibits dihydrofolate reductase enzyme so inhibits ***tetrahydro-folic acid synthesis***.
- ▶ The combination is bactericidal.
- ▶ It is the combination of trimethoprim & sulfamethoxazole in the ratio 1:5.

PTERIDINE+PABA

DHPS



sulfonamides

Dihydropteroic acid

Dihydrofolic acid

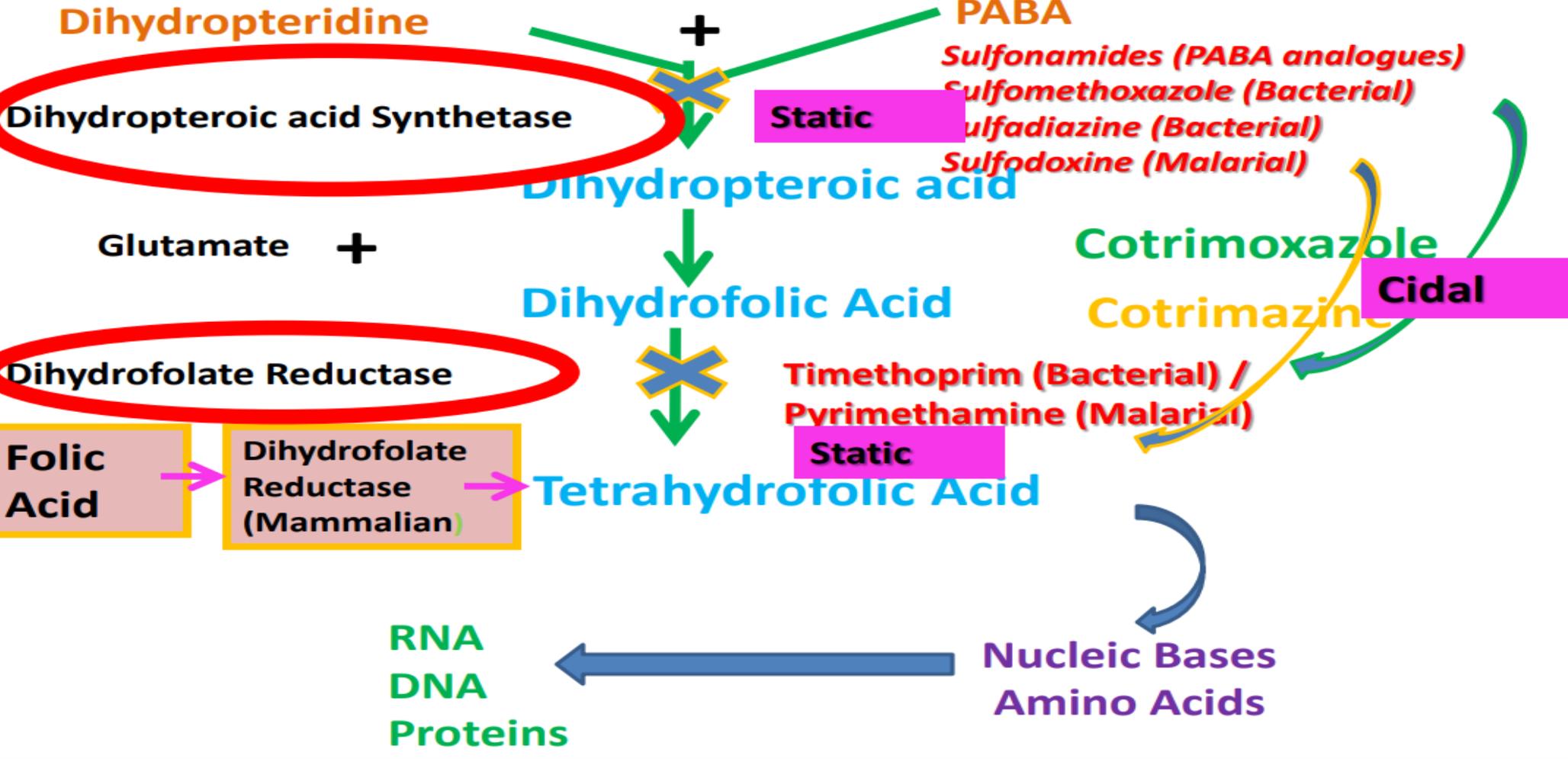
DHFR



Trimethoprim

Tetrahydrofolic acid

Sulfonamides (MOA)





Triple sulfa

- ▶ It is the combination of 3 sulfonamides together.
- ▶ Triple sulfa is an antibacterial medication that fights bacteria in the body.
- ▶ Triple sulfa vaginal is used to treat vaginal infections caused by the bacteria *Gardnerella vaginalis*.

Therapeutic Use

- **Toxoplasmosis** (infection caused by *Toxoplasma gondii* - Mild flu like infection (Sulfadiazine + Pyrimethamine)
- **Nocardiasis** (infection caused by *Nocardia*) Chronic infection on lungs, cutaneous part etc. (High dose for prolonged period)
- **Trachoma and Inclusion Conjunctivitis**
- **Lympho Granuloma Venereum** (infection of genitals) and **Chancroid** (Sexual infection Disease)
- **Malaria** (Pyrimethamine + Sulfadoxine)
- **Burn** (Silver sulfadiazine)
- **Urinary Tract Infection (UTI)**
- **Upper respiratory tract infections**

Contraindication

Should not be given for

- Infants
- Renal impaired patients
- Pregnancy



ADVERSE EFFECTS:

- **Abdominal Discomfort:** Nausea, Vomiting, diarrhoea etc.
- **Hypersensitivity reaction:** Allergy, Rash, Inflammation etc.
- **Kernicterus:** displacement of bilirubin in infant specially premature baby. These free bilirubin cross the BBB and becomes deposited in basal ganglia and sub-thalamic nuclei of brain which is leading to parkinsonism



ADVERSE EFFECTS:

- **Nephrotoxicity:** formation and deposition of crystalline aggregate in the kidney, Ureters and Bladder
- **Hematopoietic Disorders:** Aplastic Anaemia (Anemia due to deficiency of RBC), Thrombocytopenia, Granulocytosis (Decrease granulocyte in RBC), Bone marrow depression etc.

Doses

- ▶ Sulfadiazine: 500-1000 mg 8 hourly oral for adult and 150mg/kg/day for children
- ▶ Sulfadimidine: Initial 2 gm and then 1gm 6-8 hourly orally
- ▶ Sulfacetamide: Locally used as eye drop or eye ointment as the concentration of 10, 20 and 30%
- ▶ Sulfamethoxazole: 1gm BD for 2 days and then 0.5gm BD upto 10 days
- ▶ Silver Sulfadiazine: Used as a 1% cream topically in burning area

