

Sulphonamides

Sulfonamides and Sulfones

Introduction

- Sulfonamides and sulfones are antimicrobial agents that inhibit bacterial growth by targeting folic acid synthesis, a crucial pathway for bacterial survival.

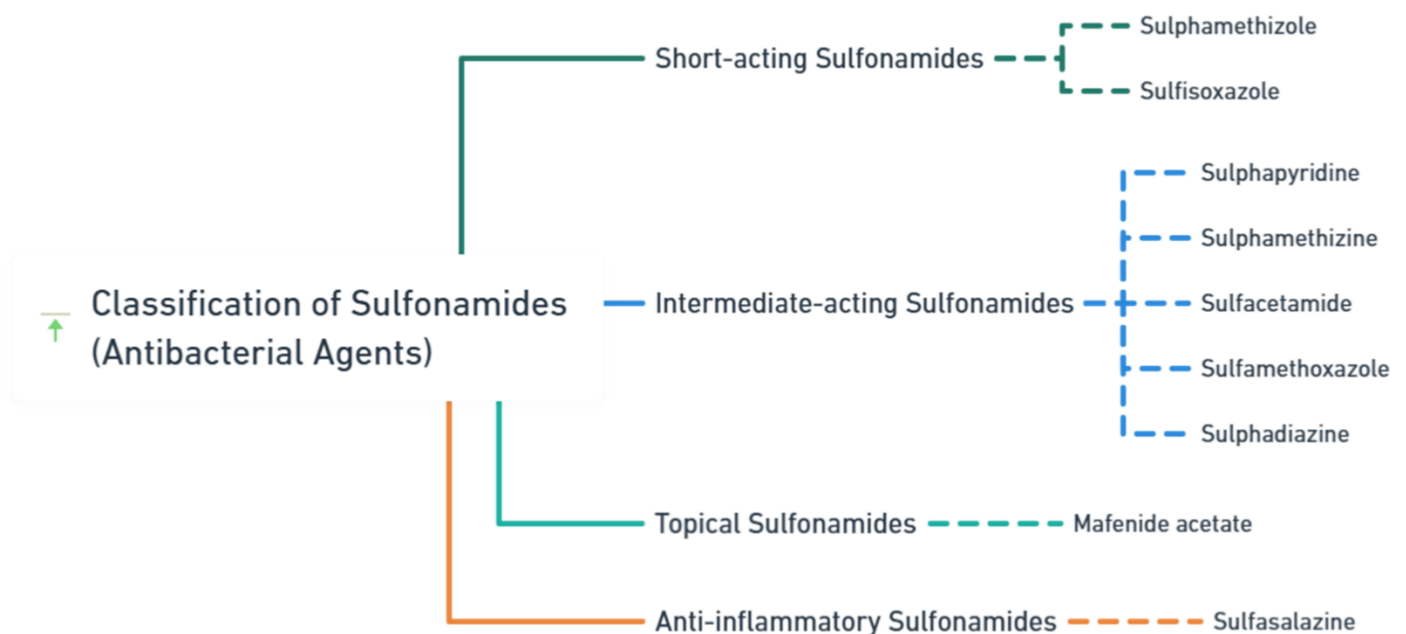
Historical Development

- Sulfonamides were the first synthetic antibacterial agents, discovered in the 1930s.
- Sulfones, like Dapsone, emerged later and became essential for treating leprosy and other bacterial infections.

Chemistry & Structure-Activity Relationship (SAR)

- Sulfonamides contain a sulfonyl functional group ($-\text{SO}_2\text{NH}_2$) attached to an aromatic ring, crucial for antibacterial activity.
- Modifications in the R-groups affect solubility, potency, and spectrum of activity.
- They act as competitive inhibitors of dihydropteroate synthase, blocking folic acid synthesis.

Classification of Sulfonamides (Antibacterial Agents)



1. Short-acting Sulfonamides

- Sulphamethizole
- Sulfisoxazole

2. Intermediate-acting Sulfonamides

- Sulphapyridine

- Sulphamethizine
- Sulfacetamide
- Sulfamethoxazole
- Sulphadiazine

3. Topical Sulfonamides

- Mafenide acetate

4. Anti-inflammatory Sulfonamides

- Sulfasalazine (*used for inflammatory bowel disease and rheumatoid arthritis*)

SAR of Sulfonamides (*Generalized class overview*)

Structural Feature	SAR Insight
p-Amino group	Essential for PABA mimicry and enzyme binding. Acetylation/inactivation reduces activity.
Sulfonamide (-SO₂NH₂) group	Key for competitive inhibition of dihydropteroate synthase .
Aromatic ring	Required for optimal fit; substitutions can affect potency.
N¹-substitution (alkyl, aryl)	Modifies absorption, protein binding, and half-life.
Electron-withdrawing groups	May increase activity by stabilizing molecule/enzyme complex.

Classification of Folate Reductase Inhibitors (*Potentiators of Sulfonamides*)

- These inhibit dihydrofolate reductase (DHFR), preventing bacterial DNA synthesis.
 - Trimethoprim
 - Cotrimoxazole (*Combination of Sulfamethoxazole + Trimethoprim*)

Classification of Sulfones (*Antileprotic and Antibacterial Agents*)

- Dapsone (*Used in leprosy, Pneumocystis pneumonia, and dermatitis herpetiformis*)

Mechanism of Action

- Sulfonamides act as competitive inhibitors of dihydropteroate synthase, preventing folic acid synthesis.
- Folate reductase inhibitors (Trimethoprim, Cotrimoxazole) block dihydrofolate reductase, enhancing the antibacterial effect.
- Sulfones, like Dapsone, have a similar mechanism but are primarily used for leprosy and certain bacterial infections.

Brand Names Of Important Marketed Products

- These are synthetic antimicrobial agents. **Sulphonamides** inhibit bacterial folic acid synthesis. **Sulphones** are structurally related and used mainly in leprosy.

Sulphonamides

Drug	Brand Name	Formulation	Uses
Sulfamethoxazole + Trimethoprim	Bactrim, Septran, Co-trimoxazole	Tablets, Suspension	UTIs, respiratory infections, PCP pneumonia
Sulfadiazine	Silvadene (with silver)	Tablet	Toxoplasmosis, meningitis
Sulfasalazine	Salazopyrin, Sazo	Tablets	Ulcerative colitis, rheumatoid arthritis
Sulfacetamide	Bleph-10, Sulfacyl Sodium	Eye drops	Bacterial eye infections
Sulfadoxine + Pyrimethamine	Fansidar, Malinil	Tablets	Malaria (with artesunate), intermittent preventive therapy

Sulphones

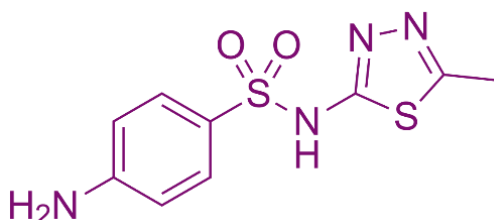
Drug	Brand Name	Formulation	Uses
Dapsone	Aczone, Avlosulfon	Tablets	Leprosy, dermatitis herpetiformis, acne
Clofazimine	Lamprene, Hanclox	Capsules	Multibacillary leprosy
Acedapsone	DADDS (long-acting)	Injection	Long-term leprosy management

Various Sulfonamides

1. Sulfamethizole

Chemical Structure / Formula

- Formula:** C₉H₁₀N₄O₂S₂
- Structure:** A thiazole-containing sulfonamide.



Mechanism of Action

- Inhibits dihydropteroate synthase, blocking folic acid synthesis in bacteria.
- **Bacteriostatic:** prevents bacterial growth.

Uses

- Urinary tract infections (UTIs).
- Respiratory infections.

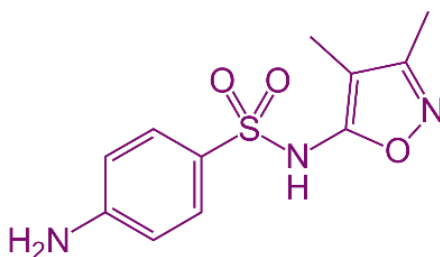
Side Effects

- Hypersensitivity reactions (rash, Stevens-Johnson syndrome).
- Crystalluria, nephrotoxicity.

2. Sulfisoxazole

Chemical Structure / Formula

- **Formula:** C₁₁H₁₃N₃O₃S
- **Structure:** Isoxazole-containing sulfonamide.



Mechanism of Action

- Inhibits folic acid synthesis by competing with para-aminobenzoic acid (PABA) for dihydropteroate synthase.

Uses

- UTIs, otitis media (often in combination with erythromycin).

Side Effects

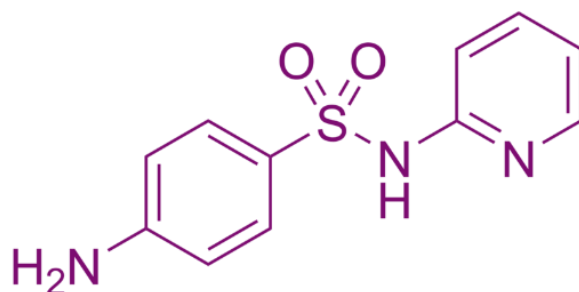
- GI upset, allergic reactions, crystalluria.

3. Sulfapyridine

Chemical Structure / Formula

- **Formula:** C₁₁H₁₁N₃O₂S

- **Structure:** Pyridine-containing sulfonamide.



Mechanism of Action

- Inhibits dihydropteroate synthase, blocking folate metabolism.

Uses

- Used in combination (as sulfasalazine) for inflammatory bowel diseases (IBD, ulcerative colitis, Crohn's disease).

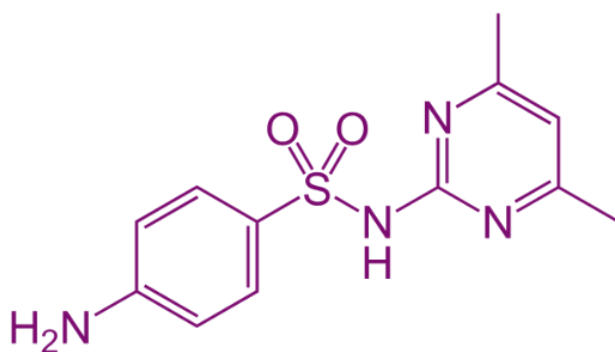
Side Effects

- Hypersensitivity, GI upset, hemolysis in G6PD-deficient patients.

4. Sulfamethizine

Chemical Structure / Formula

- **Formula:** C₁₂H₁₄N₄O₂S
- **Structure:** Thiazole-containing sulfonamide.



Mechanism of Action

- **Inhibits folic acid synthesis**, preventing bacterial DNA replication.

Uses

- Respiratory and urinary tract infections.

Side Effects

- Hypersensitivity reactions, nephrotoxicity, anemia.

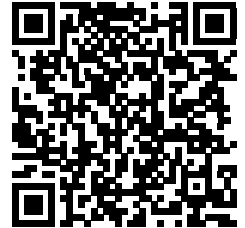


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