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MEDICINAL CHEMISTRY - III

UNIT 4

TOPIC :

- Sulfones : *Dapsone*.



Sulfones

- Sulfones are synthetic antimicrobial agents structurally related to sulphonamides.
- They act by inhibiting folic acid synthesis in microorganisms and are mainly bacteriostatic.

Example

- Dapsone (most important sulfone)

Mechanism of Action

- ◇ Sulfones are structural analogs of PABA
- ◇ They inhibit dihydropteroate synthase
- ◇ Folic acid synthesis is blocked
- ◇ DNA synthesis is inhibited
- ◇ Growth of bacteria is suppressed
- ◇ Hence, sulfones are bacteriostatic drugs

Spectrum of Activity

- *Mycobacterium leprae*
- *Mycobacterium tuberculosis* (weak)
- *Plasmodium* species
- Some Gram-positive bacteria

Pharmacokinetics (Dapsone)

- ▲ Well absorbed orally
- ▲ Widely distributed in tissues
- ▲ Long plasma half-life
- ▲ Metabolized in liver
- ▲ Excreted in urine

Therapeutic Uses Dapsone

- ⇒ Leprosy (part of MDT with rifampicin & clofazimine)
- ⇒ Dermatitis herpetiformis
- ⇒ Malaria prophylaxis (with pyrimethamine)
- ⇒ Pneumocystis jirovecii pneumonia (alternative drug)

Adverse Effects

Common

- Nausea
- Headache
- Skin rash

Serious

- Hemolytic anemia (especially in G6PD deficiency)
- Methemoglobinemia
- Peripheral neuropathy
- Hepatotoxicity

Contraindications

- G6PD deficiency
- Severe anemia
- Liver disease

Resistance

- Altered target enzyme
- Reduced drug uptake
- Cross-resistance with sulphonamides

Dapsone

- Dapsone (diamino-diphenyl sulfone) is a synthetic sulfone antibacterial.
- It is primarily used in the treatment of leprosy and as prophylaxis for *Pneumocystis jirovecii* pneumonia (PCP) in immunocompromised patients.
- Dapsone works by inhibiting bacterial folic acid synthesis, similar to sulfonamides, leading to bacteriostatic activity.

Classification

Based on Chemical Class

- Sulfonyl derivative (sulfone)
- Synthetic antibacterial

Based on Action

- Bacteriostatic – inhibits growth of bacteria
- Mechanism: competitive inhibition of dihydropteroate synthase (DHPS) → blocks folic acid synthesis

Based on Use

- Oral therapy – tablets
- Topical therapy – gels for acne and dermatological infections

Structure

- Sulfone nucleus with two para-amino phenyl groups

Molecular formula: $C_{12}H_{12}N_2O_2S$

Structural Characteristics

- Poorly water-soluble → oral absorption enhanced with food
- Lipophilic → allows penetration into tissues including skin and leprotic lesions
- Formulated as oral tablets and topical gels

Nomenclature

- Dapsone → generic name
- Brand names: Avlosulfon, Dapsone, DDS
- Formulations: tablets, gels

Mechanism of Action

- ◈ Dapsone competes with para-aminobenzoic acid (PABA).
- ◈ Inhibits dihydropteroate synthase (DHPS) → prevents formation of dihydrofolic acid.
- ◈ Blocks bacterial folic acid metabolism, inhibiting DNA, RNA, and protein synthesis.
- ◈ Results in bacteriostatic effect, especially against *Mycobacterium leprae*.
- ◈ Hence, dapsone is bacteriostatic.

Spectrum of Activity

- ⇒ *Mycobacterium leprae* – leprosy
- ⇒ *Pneumocystis jirovecii* – prophylaxis in immunocompromised patients
- ⇒ Gram-positive bacteria: *Staphylococcus aureus* (topical use)
- ⇒ Limited activity against Gram-negative bacteria

Uses

- ▲ Leprosy – part of multidrug therapy (with rifampicin and clofazimine)
- ▲ *Pneumocystis jirovecii* pneumonia (PCP) – prophylaxis in HIV patients
- ▲ Dermatological infections and acne – topical gels
- ▲ Occasionally used in other protozoal infections

Adverse Effects

- Gastrointestinal: nausea, vomiting
- Hypersensitivity reactions: rash, fever, exfoliative dermatitis
- Rare: peripheral neuropathy, hepatitis

Chemical Degradation

- ❖ Stable under normal storage conditions
- ❖ Store in cool, dry place, protected from light
- ❖ Avoid moisture to maintain tablet integrity

Structure–Activity Relationship (SAR)

- Sulfone group ($-\text{SO}_2-$) → essential for DHPS inhibition
- Para-amino substitution → improves binding to bacterial DHPS
- Lipophilicity → allows penetration into tissues and leprotic lesions

- Effective as bacteriostatic agent against *Mycobacterium leprae* and *P. jirovecii*

