

UNIT – 4

Antifungal Agents

Antifungal Antibiotics:

1. **Amphotericin-B:**

- **Mechanism:** Binds to ergosterol in fungal cell membranes, causing leakage.
- **Usage:** Systemic fungal infections.

2. **Nystatin:**

- **Application:** Topical and oral antifungal for Candida infections.

3. **Natamycin:**

- **Usage:** Ophthalmic antifungal for fungal keratitis.

4. **Griseofulvin:**

- **Mechanism:** Inhibits fungal mitosis by disrupting microtubules.
- **Usage:** Systemic treatment for dermatophyte infections.

Synthetic Antifungal Agents:

1. **Clotrimazole, Econazole, Butoconazole, Oxiconazole:**

- **Application:** Topical antifungals for dermatophyte and yeast infections.

2. **Tioconazole, Miconazole:**

- **Usage:** Topical and systemic antifungals.

3. **Ketoconazole:**

- **Mechanism:** Inhibits fungal cytochrome P450 enzymes.
- **Usage:** Systemic antifungal.

4. **Terconazole, Itraconazole, Fluconazole:**

- **Application:** Systemic antifungals for various fungal infections.

5. **Naftifine Hydrochloride, Tolnaftate:**

- **Usage:** Topical antifungals for skin infections.

Anti-protozoal Agents

1. **Metronidazole, Tinidazole, Ornidazole:**

- **Mechanism:** Forms toxic intermediates that disrupt DNA.
- **Usage:** Treatment of protozoal infections, including amoebiasis.

2. **Diloxanide, Iodoquinol:**

- **Application:** Amoebiasis treatment.
3. **Pentamidine Isethionate:**
 - **Usage:** Treatment of Trypanosoma and Pneumocystis infections.
 4. **Atovaquone:**
 - **Application:** Treatment of malaria and Pneumocystis pneumonia.
 5. **Eflornithine:**
 - **Usage:** Treatment of African trypanosomiasis (sleeping sickness).

Anthelmintics

1. **Diethylcarbamazine Citrate:**
 - **Mechanism:** Antifilarial agent.
 - **Usage:** Treatment of filariasis.
2. **Thiabendazole:**
 - **Application:** Broad-spectrum anthelmintic.
3. **Mebendazole:**
 - **Mechanism:** Inhibits microtubule formation.
 - **Usage:** Broad-spectrum anthelmintic.
4. **Albendazole:**
 - **Mechanism:** Inhibits microtubule formation.
 - **Usage:** Treatment of various helminthic infections.
5. **Niclosamide:**
 - **Mechanism:** Inhibits oxidative phosphorylation in helminths.
 - **Usage:** Tapeworm infections.

Sulphonamides and Sulfones

Historical Development:

- **Chemistry:** Sulfonamides are derivatives of sulfanilamide, containing a sulfonyl group.

Classification and SAR of Sulfonamides:

1. **Sulfamethizole, Sulfisoxazole, Sulphamethizine, Sulfacetamide:**
 - **Mechanism:** Inhibit dihydropteroate synthase, a bacterial enzyme involved in folic acid synthesis.
2. **Sulphapyridine, Sulfamethoxazole, Sulphadiazine:**

- **Usage:** Antibacterial agents, often used in combination with trimethoprim (cotrimoxazole).

3. **Mafenide Acetate:**

- **Application:** Topical sulfonamide for burn infections.

4. **Sulfasalazine:**

- **Usage:** Treatment of inflammatory bowel disease.

Folate Reductase Inhibitors:

- **Trimethoprim, Cotrimoxazole:**

- **Mechanism:** Inhibits bacterial dihydrofolate reductase.

Sulfones:

- **Dapsone:**

- **Usage:** Treatment of leprosy and dermatitis herpetiformis.

This comprehensive overview provides detailed information on Antifungal agents, Anti-protozoal agents, Anthelmintics, and Sulphonamides and Sulfones, including mechanisms of action, applications, and important representatives within each category.