**Unit-III** 

# Anti-tubercular Agent

**Presented By:-**

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## **Anti-tubercular Agent**

- An anti-tubercular agent (or anti-tuberculosis drug) is a medication used to treat tuberculosis (TB), which is a bacterial infection caused by *Mycobacterium tuberculosis*.
- These drugs are designed to kill or inhibit the growth of the TB bacteria.

## Classification-Anti-tubercular Agent

#### 1. First-Line Drugs (most effective and commonly used)

- 1. Isoniazid (INH) bactericidal; inhibits mycolic acid synthesis
- **2. Rifampicin** (**RIF**) bactericidal; inhibits bacterial RNA synthesis
- 3. Pyrazinamide (PZA) active in acidic environments; mechanism not fully understood
- 4. Ethambutol (EMB) bacteriostatic; inhibits arabinogalactan synthesis (cell wall)
- 5. Streptomycin aminoglycoside; inhibits protein synthesis (less commonly used now)

#### 2. Second-Line Drugs (used for resistant TB)

- 1. Fluoroquinolones e.g., Levofloxacin, Moxifloxacin
- 2. Injectable agents e.g., Amikacin, Capreomycin, Kanamycin
- 3. Others Ethionamide, Cycloserine, Linezolid, Bedaquiline, Delamanid

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Streptomycin

## Synthetic anti tubercular agent

Drug	Mode of Action	Uses
Isoniazid*	Inhibits synthesis of mycolic acids (essential components of the mycobacterial cell wall)	First-line treatment of tuberculosis (TB); active against rapidly dividing bacilli
Ethionamide	Inhibits mycolic acid synthesis by blocking InhA enzyme	Second-line drug for multidrug- resistant TB (MDR-TB)
Ethambutol	Inhibits arabinosyl transferases involved in cell wall arabinogalactan synthesis	First-line treatment of TB; mainly used to prevent resistance
Pyrazinamide	Disrupts mycobacterial cell membrane metabolism and transport functions	First-line drug; particularly effective in acidic environments (e.g., inside macrophages)
Para-aminosalicylic acid*	Inhibits folic acid synthesis by acting as a PABA analog	Second-line agent for drug- resistant TB

## Anti-tubercular anti-infective agent

Drug	Mode of Action	Uses
Rifampicin	Inhibits DNA-dependent RNA polymerase, blocking RNA synthesis	First-line treatment of TB; active against both intracellular and extracellular bacilli
Rifabutin	Similar to rifampicin; inhibits bacterial RNA polymerase	Used in TB patients co-infected with HIV (fewer drug interactions than rifampicin)
Cycloserine	Inhibits bacterial cell wall synthesis by blocking alanine racemase and Dalanine ligase	Second-line agent for multidrug- resistant TB (MDR-TB)
Streptomycin	Aminoglycoside antibiotic; inhibits protein synthesis by binding 30S ribosomal subunit	First-line injectable for TB; used especially in severe or extrapulmonary TB
Capreomycin sulphate	Cyclic peptide antibiotic; inhibits protein synthesis (exact target not fully defined)	Second-line injectable for drug-resistant TB (especially MDR-TB)

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### Synthesis of Isoniazid

### Synthesis of Para amino salicylic acid

#### From- anthranilic acid

Anthranilic acid 
$$\begin{array}{c} \text{COOH} \\ \text{NH}_2 \\ \text{HNO}_3 \\ \text{H}_2\text{SO}_4 \end{array} \begin{array}{c} \text{COOH} \\ \text{NH}_2 \\ \text{(i) NaNO}_2/\text{HCI} \\ \text{(ii) H}_2\text{O, Boil} \end{array}$$

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## THANK YOU