Antibiotics

Macrolide/ Miscellaneous/ Prodrugs

Presented by:-

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Macrolide

- A **macrolide** is a type of **antibiotic** that is used to treat various **bacterial infections**.
- Macrolides contains macrocyclic lactone ring (12-16 members) with attached sugars.
- **Common Macrolide Antibiotics:-** Azithromycin, Clarithromycin, Erythromycin.

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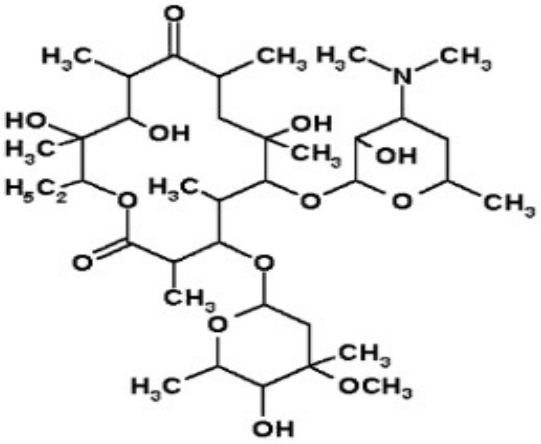
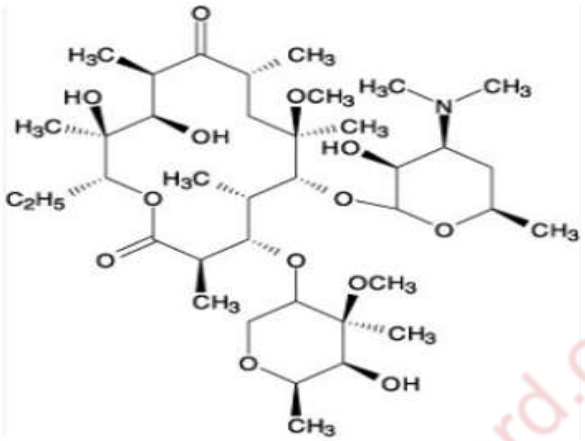
Classification of Macrolide

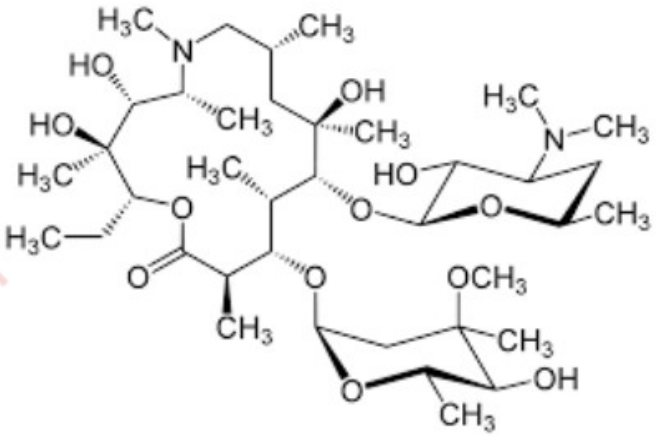
1. **12-membered ring macrolides:**-Methymycin.
2. **14-membered ring macrolides:**-Erythromycin, Roxithromycin, and Clarithromycin.
3. **15-membered ring macrolides:**-Azithromycin
4. **16-membered ring macrolides:**-Spiramycin, rokitamycin, josamycin, and midecamycin.



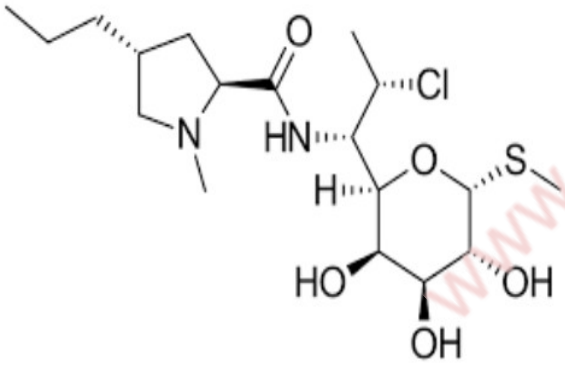
Erythromycin



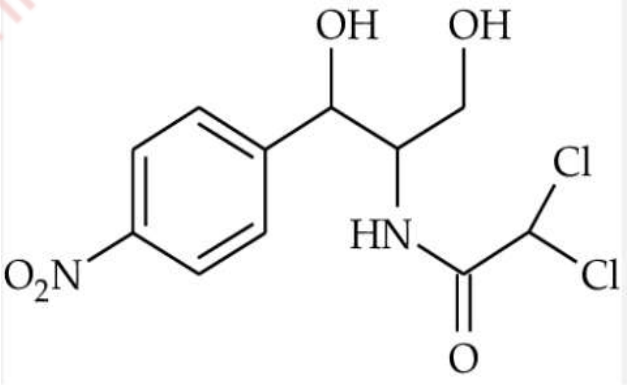
Clarithromycin



Azithromycin



Clindamycin



Chloramphenicol

MOA of Macrolide / Miscellaneous

Macrolide/Miscellaneous

They bind to the **50S subunit** of bacterial ribosomes

Blocking the production of essential proteins

Give **Bacteriostatic Activity**

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Macrolide

Erythromycin

Brand Name	Formulation	Therapeutic Uses
Erythrocin	Tablets, capsules, IV injection	Respiratory infections, skin infections, STIs, whooping cough
E-Mycin	Tablets	Pharyngitis, bronchitis, acne, prophylaxis in penicillin-allergic patients
Ilosone	Oral suspension	Pediatric infections (ear, throat), skin infections
Ery-Tab	Delayed-release tablets	Long-term respiratory infections, acne, STIs
PCE (Erythromycin ethylsuccinate)	Enteric-coated tablets	Respiratory and skin infections, better GI tolerance
Eryc	Capsule with enteric-coated pellets	Used for those sensitive to GI irritation; various bacterial infections
Erythromycin Ophthalmic	Eye ointment	Neonatal conjunctivitis, bacterial eye infections

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Macrolide

Clarithromycin

Brand Name	Formulation	Therapeutic Uses
Biaxin	Tablets, extended-release tablets, suspension	Respiratory infections, skin infections, *Helicobacter pylori* (with other drugs)
Klaricid	Tablets, suspension	Throat and sinus infections, pneumonia, bronchitis
Clacid	Tablets, suspension	Skin and soft tissue infections, tonsillitis, pharyngitis
Klarith	Tablets	Upper respiratory tract infections, otitis media
Clarithromycin Actavis	Tablets, suspension	Mycobacterial infections (e.g., *Mycobacterium avium* complex), HIV-associated infections

Macrolide

Azithromycin

Brand Name	Formulation	Therapeutic Uses
Zithromax	Tablets, suspension, IV injection	Respiratory tract infections, skin infections, STIs, ear infections
Azithrocin	Tablets, oral suspension	Throat infections, pneumonia, sinusitis
Azax	Tablets, suspension	Bronchitis, tonsillitis, pharyngitis
Z-Pack	5-day oral tablet pack	Mild to moderate infections like sinusitis, pharyngitis, and skin infections
Azee	Tablets, suspension	Typhoid, tonsillitis, respiratory infections
Azithromycin Actavis	Tablets, suspension	Bacterial infections of the chest, throat, nose, and skin

Miscellaneous

Clindamycin

Brand Name	Formulation	Therapeutic Uses
Cleocin	Capsules, injection, topical, vaginal cream	Serious anaerobic infections, respiratory infections, skin infections
Dalacin C	Capsules, injection	Dental infections, bone and joint infections, pelvic inflammatory disease
Clindac-A / Clindamycin Phosphate Gel	Topical gel	Acne vulgaris, bacterial skin infections
Clinsol	Capsules, topical gel	Skin infections, acne
Evoclin	Foam (topical)	Acne treatment
Clindamycin Vaginal Cream (various brands)	Vaginal cream	Bacterial vaginosis

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Miscellaneous

Chloramphenicol

Brand Name	Formulation	Therapeutic Uses
Chloromycetin	Capsules, eye drops, eye ointment	Eye infections (e.g., bacterial conjunctivitis), typhoid fever, meningitis
Chloramphenicol Sodium Succinate	IV injection	Serious systemic infections like meningitis, rickettsial infections
Optrex Infected Eye Drops	Eye drops	Bacterial eye infections
Econochlor	Oral and injectable forms	Broad-spectrum bacterial infections (when other antibiotics are not effective)
Mycetin	Capsules, suspension	Typhoid fever, rickettsial diseases, brain abscesses
Chloramex	Eye ointment or drops	Conjunctivitis and other eye infections

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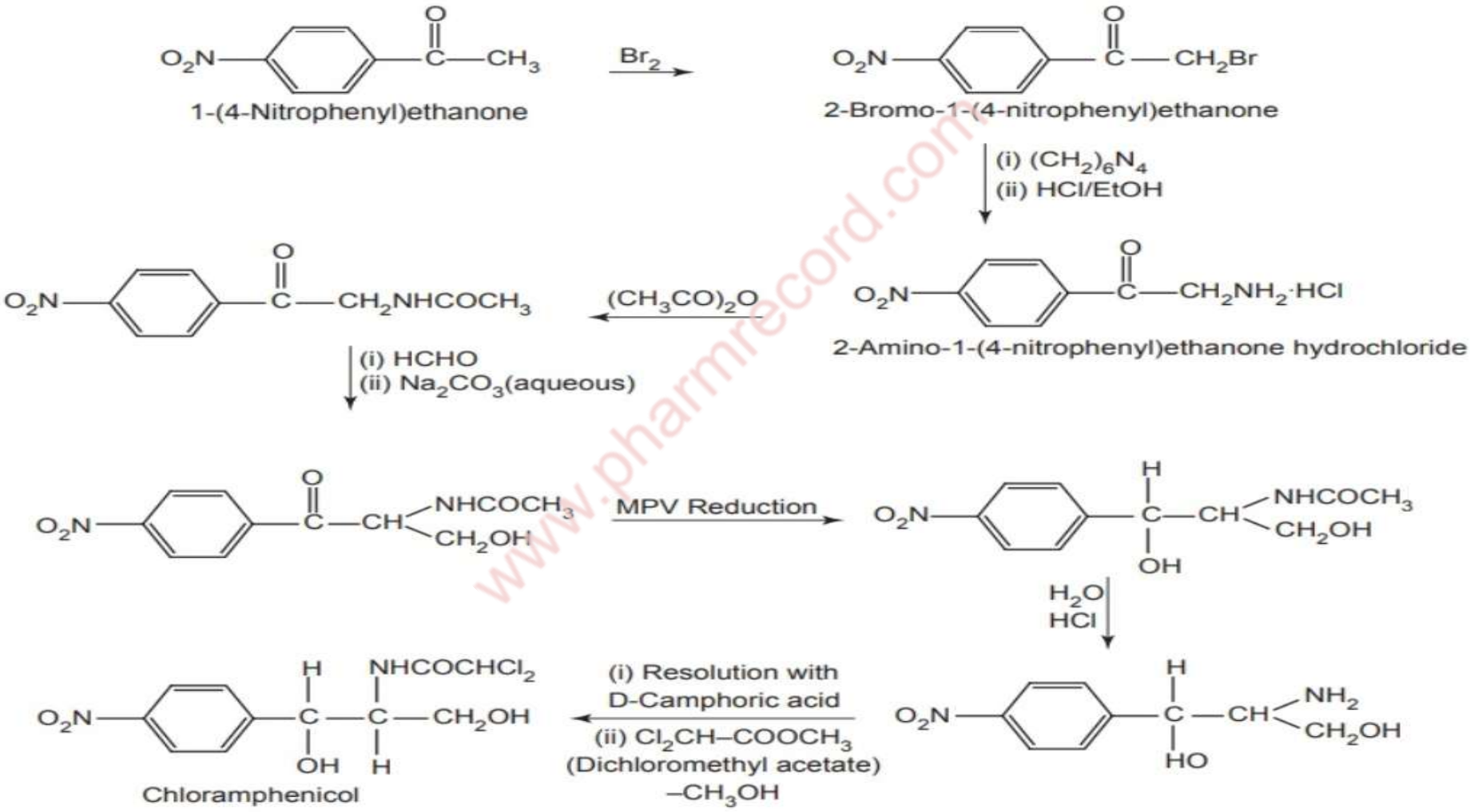
Synthesis of Chloramphenicol



Prodrugs

A prodrug is an inactive or less active form of a drug that is converted into its active form inside the body through chemical or enzymatic processes.

Prodrug design can significantly impact the drug's Absorption, Distribution, Metabolism, and Excretion (ADME) properties, ultimately affecting its effectiveness and safety.

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Prodrugs

How do they work?

- **Administration:-** The prodrug is taken into the body (e.g., orally, injection).
- **Activation:-** Once inside, the body's enzymes or conditions (like pH) convert the prodrug into its active form.
- **Action:-** The active drug then works on its target (e.g., a receptor, enzyme, or microorganism).

Examples:

1. **Aspirin:-** A prodrug that's converted to salicylic acid in the body.
2. **Codeine:-** Converted to morphine in the liver.
3. **Enalapril:-** A blood pressure medication converted to enalaprilat.

Application of Prodrugs Design

1. Improving Drug Absorption (Bioavailability)

Some drugs are poorly absorbed in the body. Prodrugs can be designed to improve this.

Example:

Valacyclovir → Prodrug of *acyclovir* (used for herpes infections)

Valacyclovir has much better oral absorption than acyclovir.

2. Reducing Side Effects

Prodrugs can help minimize side effects by making the active drug available only at the target site.

Example:

Sulfasalazine → Broken down in the colon to produce 5-ASA (anti-inflammatory)

Limits the drug's effect to the intestines, reducing systemic side effects.

3. Enhancing Drug Delivery to Specific Sites

Some barriers, like the **blood-brain barrier (BBB)**, are hard for drugs to cross. Prodrugs can help them get through.

Example:

L-DOPA → Prodrug of dopamine

L-DOPA crosses the BBB and is then converted to dopamine in the brain (used in Parkinson's disease).

Application of Prodrugs Design

4. Improving Chemical Stability

Some drugs degrade easily in the stomach or blood. Prodrugs can protect them until they reach the target area.

Example:

Omeprazole → A prodrug that activates in acidic conditions to reduce stomach acid.

5. Masking Unpleasant Taste or Irritation

Some drugs taste bitter or irritate the GI tract. Prodrugs can mask these properties.

Example:

Chloramphenicol palmitate → Tasteless prodrug of chloramphenicol (an antibiotic)

6. Targeting Tumors or Infections

Prodrugs can be designed to activate only in the presence of certain enzymes found in **tumors or bacteria**.

Example:

Tumor-targeting prodrugs activated by enzymes unique to cancer cells

Thank You

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