

## prepared by:

1-Mahmoud Ahmed

2-Adham Emad

3-Mahmoud Omar

4-Hossam Abdelwahab

5-Mohamed Wael

6-Mohamed Alaa

7-Issa salah

8-Ahmed kamel

9-Ismail Mohamed



## Under supervision of:

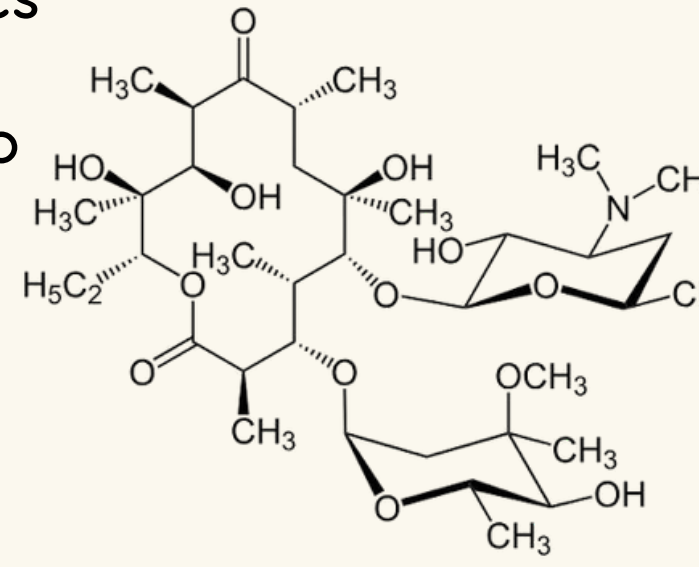
prof. Eman warda

prof. Hussein El-Subbagh

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## INTRODUCTION

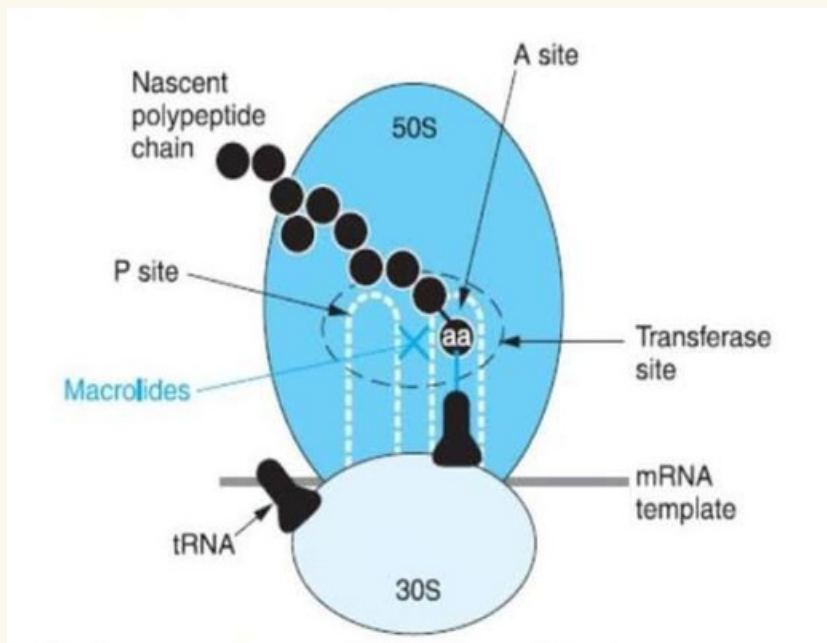
Macrolides are a major class of antibiotics characterized by a large macrocyclic lactone ring. They are widely used due to their broad spectrum activity, especially against gram-positive bacteria and certain atypical organisms. Macrolides were first discovered with erythromycin, derived from *Streptomyces erythreus*.



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## MOA

1. Binding to the 50S Ribosomal Subunit.



2. Inhibit translocation that growing peptide chain moves from A-site to P-site that inhibits protein synthesis.

3. Bacteriostatic action.

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## SPECTRUM

### 1. Gram-Positive Bacteria

✓ *Streptococcus* species

• *S. pyogenes*

• *S. pneumoniae*

✓ *Staphylococcus aureus* (MSSA only; NOT MRSA)

### 2. Gram-Negative Bacteria

Macrolides have modest G-coverage.

✓ *Haemophilus influenzae*

(better with azithromycin & clarithromycin)

\* Weak against most

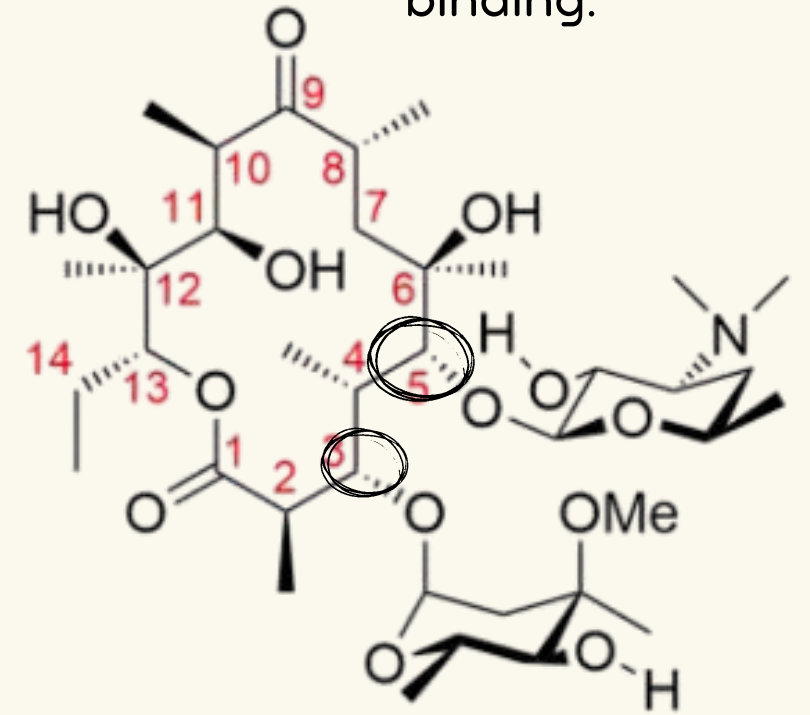
Enterobacteriaceae (*E. coli*, *Klebsiella*, etc.)

### 3. Atypical Organisms

✓ *Mycoplasma pneumoniae*

Desosamine (C5): Provides basicity →

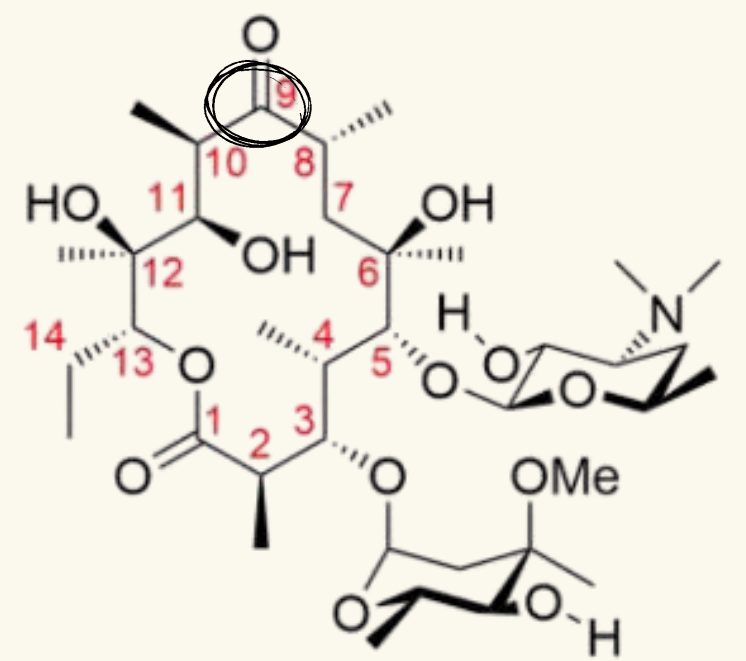
improves tissue penetration and ribosomal binding.



Cladinose (C3): Important for full activity; its removal usually decreases potency.

## key modification sites:

C9: The ketone can be modified (e.g., oxime formation) to improve stability and prevent internal degradation.



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## USES &

## Pharmacokinetic

### USES:

1. Respiratory Tract Infections

2. Atypical & Intracellular Infections

3. Skin & Soft Tissue Infections

4. Gastrointestinal Infections

5. STDs

6. Special Uses

• Diphtheria (Erythromycin)

• Pertussis (Whooping cough)

### Pharmacokinetics (PK):

#### 1. Absorption

• Erythromycin: Acid-labile → needs enteric coating

• Food decreases absorption of erythromycin; azithro is less affected.

#### 2. Distribution

• Excellent tissue penetration, especially lungs, tonsils, macrophages

#### 3. Metabolism

• Mainly hepatic metabolism

• Erythromycin and clarithromycin undergo CYP3A4 metabolism

#### 4. Excretion

• Mostly via bile

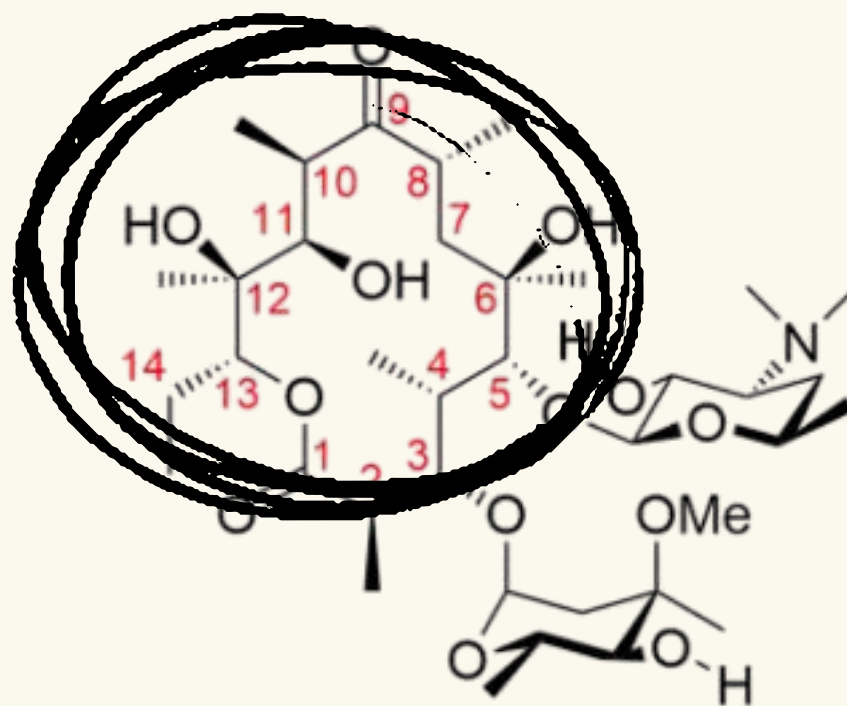
• A smaller portion via urine

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## SAR

Macrocyclic Lactone Ring:

A large ring (e.g., 14-membered in erythromycin) essential for binding to the 50S ribosomal subunit and inhibiting protein synthesis.



## REFERENCES

1-Jawetz, Melnick & Adelberg's Medical Microbiology

2-Katzung, B. G. - Basic & Clinical Pharmacology (15th edition)

3-Rang & Dale's Pharmacology (9th edition)

4-Goodman & Gilman's: The Pharmacological Basis of Therapeutics (13th edition)