

Antibiotics (Aminoglycosides & Tetracycline)

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Aminoglycosides

- ❑ Aminoglycosides are a class of antibiotics used in medicinal chemistry primarily to treat serious bacterial infections.
- ❑ It polybasic amino group linked glycosidically to two or more amino group.

Example:-

Streptomycin

Neomycin

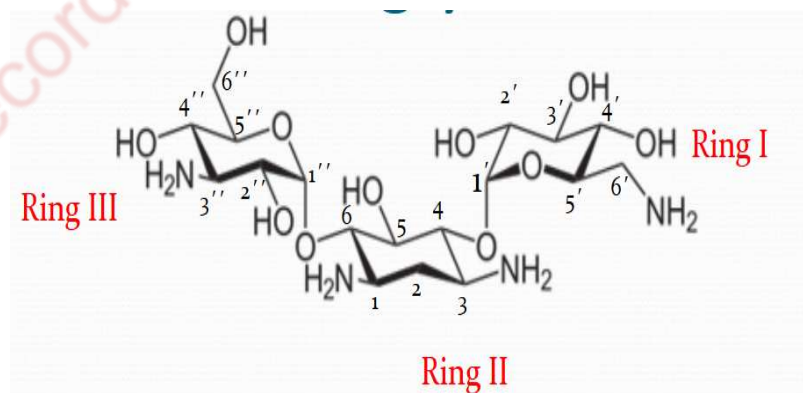
Kanamycin

SAR of Aminoglycosides

Ring-I is imp for broad spectrum in activity.

Ring-II can accept few structural modification and retaining the same activity example;- is acetylation of the amino group at position 2 (amikacin).

Ring-III less sensitive to structural changes but the amino gp at position 3 can be 1 and 2 for maximum activity.



MOA of Aminoglycosides

The aminoglycosides exhibit bactericidal effects as a result of several phenomena. Ribosomal binding on 30s and 50s subunits as well as the interface produces misreading;- this disturbs the normal protein synthesis. Cell membrane damage also plays an integral part in ensuring bacterial cell death.

1.Streptomycin

Common Brand Names

Brand Name	Formulation	Uses
Neo-Fradin®	Oral tablets/suspension	Used for hepatic encephalopathy, bowel prep
Myciguent®	Topical ointment	Skin infections
Cortisporin®	Drops (with hydrocortisone + polymyxin B)	Ear/eye infections
Neomycin Sulfate	Generic tablets, creams, ointments	Widely available
Neosporin®	Topical (with polymyxin B + bacitracin)	First-aid antibiotic ointment
Neo-Tab®	Oral tablets	Intestinal sterilization

2. Neomycin

Common Brand Names

Brand Name	Formulation	Use
Neomycin Sulfate	Tablets, Cream, Ointment	General/generic use
Neo-Fradin®	Oral tablet or liquid	Bowel prep, hepatic encephalopathy
Myciguent®	Topical ointment	Skin infections
Neo-Rx	Various	Topical/local use
Neo-Tab®	Oral tablet	Intestinal sterilization
Cortisporin®	With hydrocortisone & polymyxin B	Eye/ear drops, topical combo

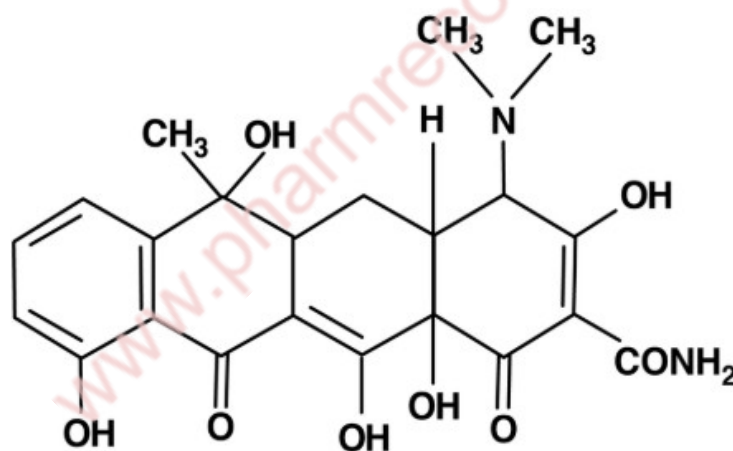
3. Kanamycin

Common Brand Names

Brand Name	Formulation	Use
Kantrex®	Injection (IM/IV)	Systemic infections
Kanamycin Sulfate	Generic injectable form	General use for TB and Gram-negatives
Kanamytrex®	Injectable	Often used in TB treatment programs
Kantrexil®	(In some regions)	Similar uses, alternate branding

Tetracycline

Chemically and structurally they are a class of antibiotics having a nucleus of **four cyclic ring** and are characterized by common **octahydronaphthacene**.

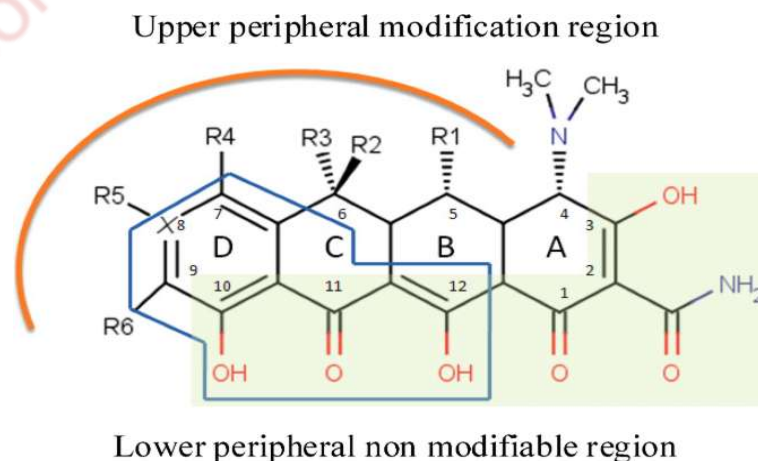


General structure of Tetracycline

SAR of Tetracycline

- 1. A-Ring (positions C1–C3)** The C1–C3 diketo group is essential for activity. Any modification here usually destroys activity.
- 2. C4 Dimethylamino Group** This group ($-\text{N}(\text{CH}_3)_2$) in the 4S configuration is crucial for antibacterial activity, especially for binding to the 30S ribosomal subunit. If any changes loss of activity.
- 3. C5–C9 Modifications (Upper Peripheral Region)** Can be modified to enhance spectrum, potency, and pharmacokinetics. Example: **Doxycycline** and **minocycline** have substitutions here, improving lipophilicity and oral absorption.
- 4. C10–C12a Region (Lower Peripheral Region)** Contains key functional groups for metal chelation and binding to bacterial rRNA. The C10 phenol, C11 hydroxyl, and C12a hydroxyl are essential for activity. Changes here often abolish activity.
- 5. C6 Hydroxyl** Present in tetracycline, but absent in **doxycycline**, which improves acid stability and oral bioavailability. Removal enhances lipophilicity and absorption.

C9 Substitutions Used in new-generation tetracyclines (like tigecycline). Large, bulky groups at C9 enhance activity against tetracycline-resistant bacteria (e.g., efflux pump or ribosomal protection proteins).



MOA of Tetracycline

1. Tetracyclines primarily work by inhibiting bacterial protein synthesis.
2. They do this by reversibly binding to the 30S ribosomal subunit, preventing the binding of aminoacyl-tRNA to the ribosome, which is necessary for protein synthesis.
3. This inhibition makes them bacteriostatic, meaning they stop bacterial growth but don't necessarily kill the bacteria.

Tetracycline

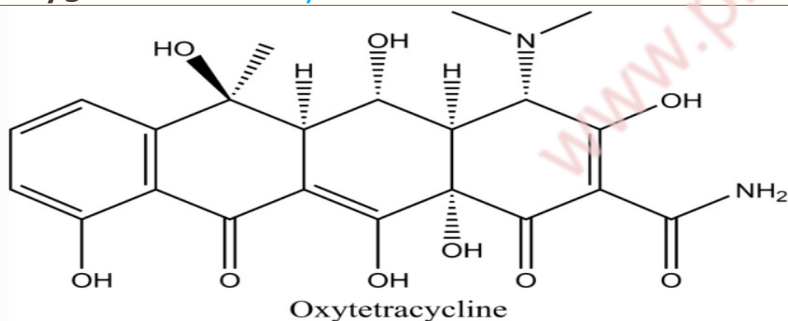
Oxytetracycline

Common brand

Terramycin – Broad-spectrum infections, eye infections

Oxymycin – Treatment of bacterial skin infections

Oxy500 - Veterinary medicine



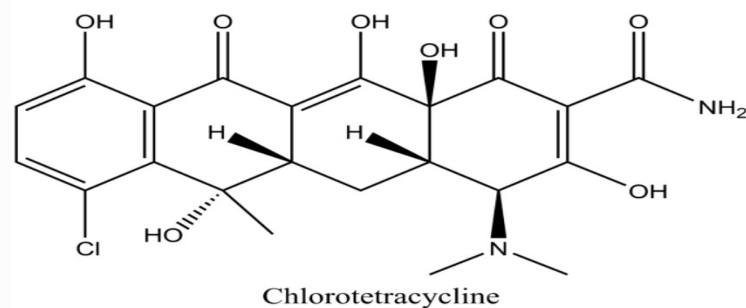
Chlortetracycline

Common brand

Aureomycin - General bacterial infections

Aurofac - Respiratory and enteric infections in livestock

ChlorMax - Broad veterinary use in cattle, swine



Tetracycline

Minocycline

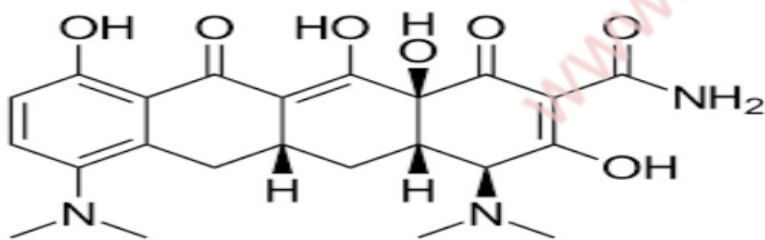
Common brand

Minocin - Broad-spectrum infections

Solodyn - Acne vulgaris

Dynacin – Respiratory & skin infections

Ximino - Acne vulgaris, moderate to severe



Doxycycline

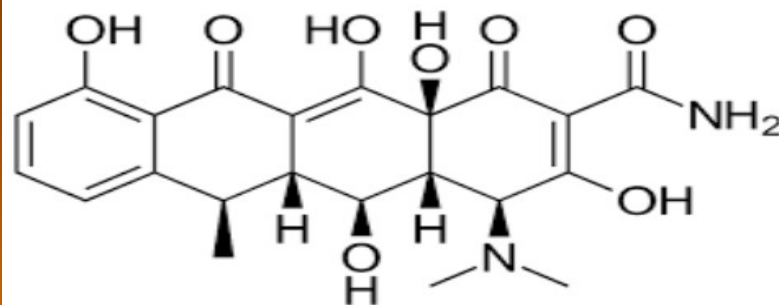
Common brand

Vibramycin - Broad-spectrum antibiotic uses

Doryx - Acne, infections, traveler's diarrhea

Monodox - Acne, respiratory infections

Periostat- Periodontitis



Thank You