ANTIBIOTIC

➢ Antibiotic:

Antibiotics are substance which is produce by living micro-organisms that is capable of inhibits growth of other micro-organism and destroy them is called as antibiotics.

➢ History:

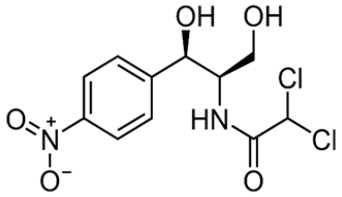
-before 20 century mixture of anti-microbial properly which is use treatment of infection.

-the term antibiotics means against the life

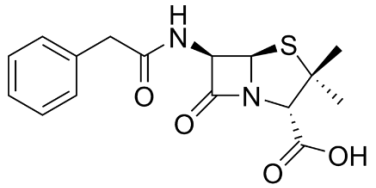
-in 1877, antibiotics by louis Pasteur it shows that arbor bacillus inhibit growth of bacillus anthracis.

➢ Classification of antibiotics:

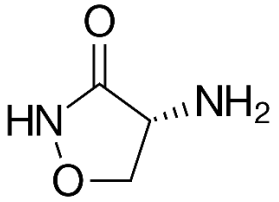
1) According to spectrum of activity:
   a) Broad spectrum antibiotic
      e. g. chloramphenicol



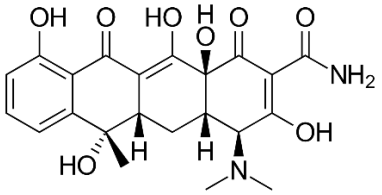
   b) Narrow spectrum antibiotic:
      e. g. penicillin G



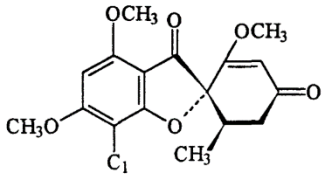
2) According to the MOA:
   a) Cell wall synthesis inhibitor
      e. g. cycloserine



   b) Antibiotic interfere with cell membrane
      Eg. Polymaxin, amphotrecin-B
   c) Proton synthesis inhibitor:
      e.g. tetracycline



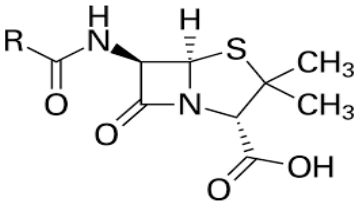
   d) Nucleic acid synthesis inhibitor:
      e.g.Griseofulvin



3)According to the sarbos

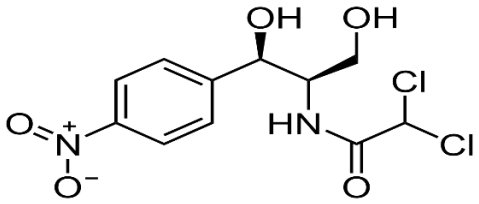
a) fungas

e,g, penicline,



C) Actinomycines

E,g ,Chloroamphenicol

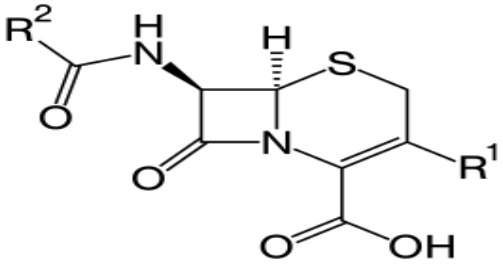


C) Bacteria

E,g bacitracin ,polymyxins

D) semi-synthetic

E,g,cephalaspor



A) B-Lactam Antibiotics :

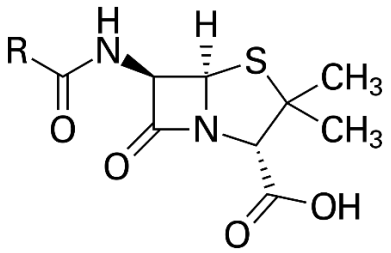
The antibiotc which content B-lactum(4 membred cylic amino ring) in struture is called as B-lactum antibiotics .

These agents are used for treatment of bactircal infections

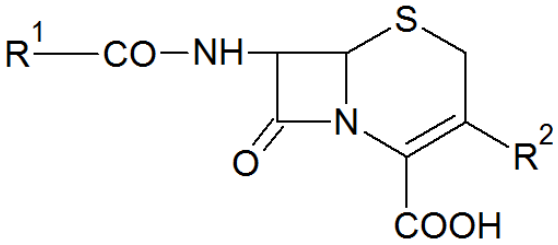
They inhibit the cell wall bio synthesis in microorganisim.

Example:

1)peniciline:



2)cepholosporine:



➢ SAR of B-lactum antibiotic:

Penicilline

-All the B-lactum ring content antibiotics whivh content B-lactum ring is fused with another hetrocyclic ring throught the nitrogen and tetrahydral carbon atom.

-Due to the difference in second hetrocyclic ring leads to subdivision of B-lactum antibiotic. E.g. peniciline

-Cepholosporine consist of B-lactum ring fused ring de-hydrothiazoline which is co-member ring.

➢ Uses:

prevention and treatment of bacterial infection caused by susceptible organisms.

B-lactumase inhinibitors:

-B-lactum enzyme are present in some bacteria wich are responsible for the registance to the B-lactum antibiotic, penicilline, cepholosporine.

-B-lactum enzyme breaks the ring of antibiotics and inactive them.

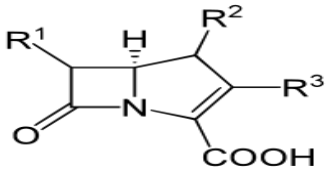
➢ Types:

1) Inhibitors in having hetroatom at 1st position:

e.g. clavolanic acid

2) Inhibitor do not have hetro atom :

e.g. carbapenam



Uses: clavonamic acid used to treatment of resipiratory and urinary tract .

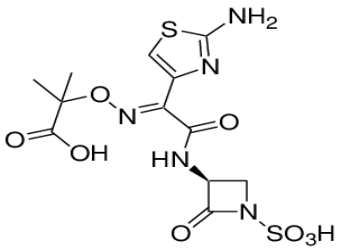
- Monobactums:

Fermentation of a visual micro organisms leads to formation of monocyclic B-lactum antibiotic arecalled as monobactum .

Monobactum is highly B-lactum enzyme is capable to inhibit B-lactum enzyme.

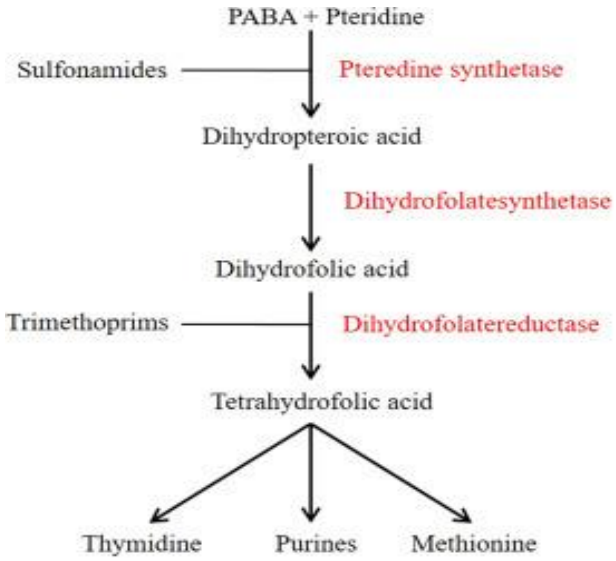
- Example

1)Azetreonam



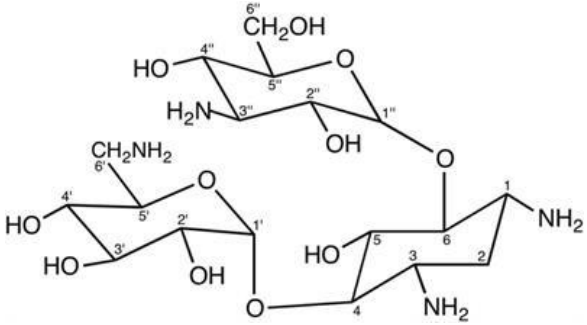
11, Aztreonam

- Mechanism of action



➢ Aminoglycosides:-

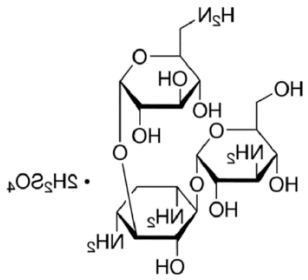
It is a complex moleculer or the portion which contains amino modified sugars which are joined together by glycosidic linkage ,is called as aminoglycosides.



The antibiotics are effective against gram gram negative bacteria

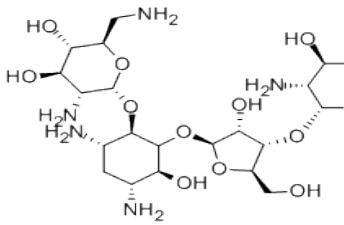
e.g Streptomycin,neomycin,kanamycin

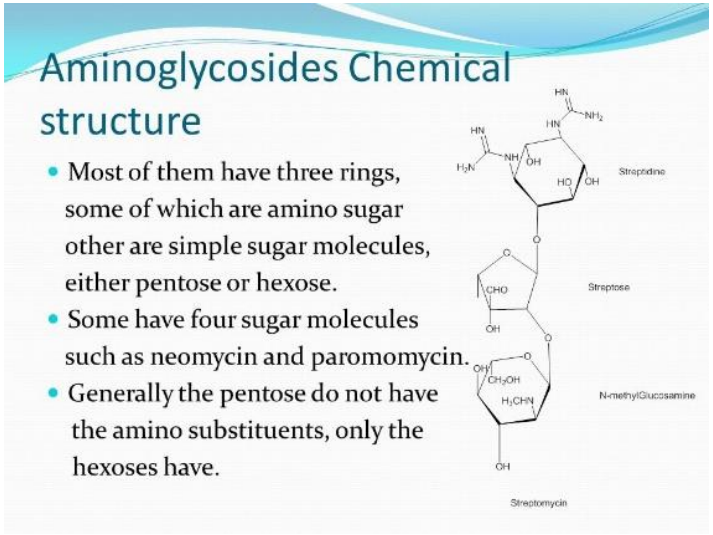
1) kanamycin



Kanamycin is used for the treatment of tuberculosis which is act as a second line agnets for the treatment of tuberculosis.

2)Neomycin

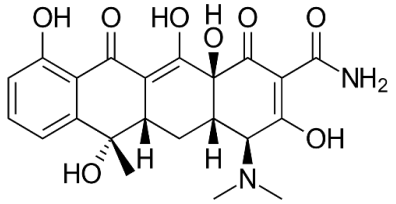




➢ SAR of Amniogly coside

1)treatment of tuberculosis

2)treatment of lepracy.

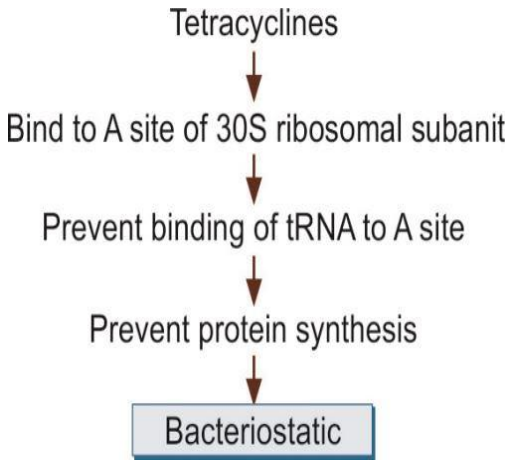


➢ Tetracyline

Tetracyline is a broad spectrum antibiotic,they have basic structure is naphthacene ring which is 4-member ring

Tetracycline itself indicate that presence of 4-membered ring with cylinc manner . it is isolated from species of streptomycin aureofaciens

➢ M.O.A



➢ Therapeutic uses:

Tetracyline is denvotive of polycylic napthacene carboxamine .

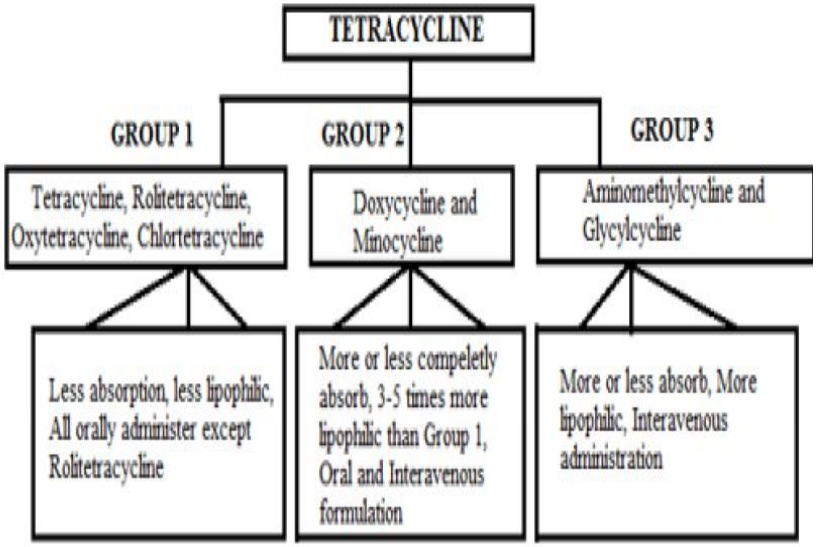
➢ Chemistry of tetracyline

The name of tetracyline itself indicates it is a skeletal which is formed by fusion of a cyclic 6 membred ring to which variety of functional groups are to be attached.

The basic skeletal is comman for all tetracylin is called polycycline naphthacene carboxamide.

The antibiotics activity & chemical spectra of all the members of tetracyline family are smililar but not identical

➢ Classification



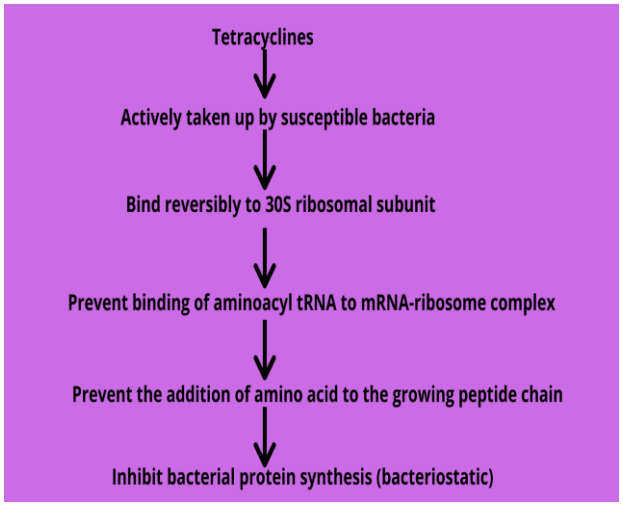
➢ SAR of teracyline

The basic backbone skeleton i.e polycyline napthacene carboxamine is essential for antibacterial activity

The Alkylation at c-11 position results in loss of activity ,the replacement of dimethyl or amino group at c-4 position of activity .

The c-6 methyl group in a structure achieve the high blood level.

➢ M.O.A of tetracyline



Tetacyline binds with 30-s ribosome units. Which blocks the binding site of aminoacyl -t- RNA to the accepter site of m-RNA which inhibits the protein synthesis & shows the bacteriostatic effect .

➢ Therapeutic uses

1) Urinary tract infection
2)Treat of pneumonia

➢ Adverse effect

1) Nausea
2) Loss of apetites

Reference

1. Foye's principle of medicinal chemisty
2. Principle of medicinal

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