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Chapter 12

ANTIBIOTICS

→ The word antibiotic was derived from the word antibiosis which means against life.

→ Historically, antibiotics were believed to be organic compounds produced by a microorganism toxic other microorganisms.

→ Due to this belief, an antibiotic was initially defined as a substance produced by a microorganism, which can prevent the growth of, or are fatal to other microorganisms even at low concentrations But this definition has been modified at the present time for including antimicrobials produced by synthetic means either partially or wholly.

→ Antibiotics can either kill other bacteria or inhibit their growth.

→ Those antibiotics which kill bacteria are termed as bactericidal and those which inhibit bacterial growth are termed bacteriostatic.

→ Even though antibiotics are referred to as antibacterial agents, still they are differentiated as antibacterials; antifungals, and antivirals to indicate the type of microorganisms against which they act.

Classification

Antibiotics can be classified as follows:

1) Based on their Chemical Structure

1. **β - Lactam Antibiotics:** Penicillins, Monobactams, Cephalosporins.Carbapenems, etc.
2. **Aminoglycosides:** Streptomycin, Gentamycin, Framyeetin, Neomycin, etc.
3. **Macrolides:** Erythromycin, Roxithromycin, Clarithromycin, Azithromycin, etc.
4. **Tetracyclinest:** Oxytetracycline, Doxycycline, Minocycline, etc.
5. **Nitrobenzene Derivatives:** Chloramphenicol, etc.

B-LACTAM ANTIBIOTICS

→ The B-lactam antibiotics belong to a broad category, in which all the antibiotics have a B-lactam nucleus in their molecular structure, i.e., members of this antibiotic class possess a highly reactive 3-carbon and 1-nitrogen ring.

→ The B-lactam antibiotics include penicillin derivatives (penams), monobactams, carbapenems and cephalosporins (cephems). They are the most widely used among all the antibiotics and act by inhibiting the cell wall synthesis of the bacterial organism.

→ The B-lactam antibiotics are generally given with lactamase inhibitors (e.g., clavulanic acid) because the bacteria obtain resistance to B-lactam antibiotics by producing B-lactamase enzyme which attacks the -lactam ring.

Examples

The following drugs are studied detail

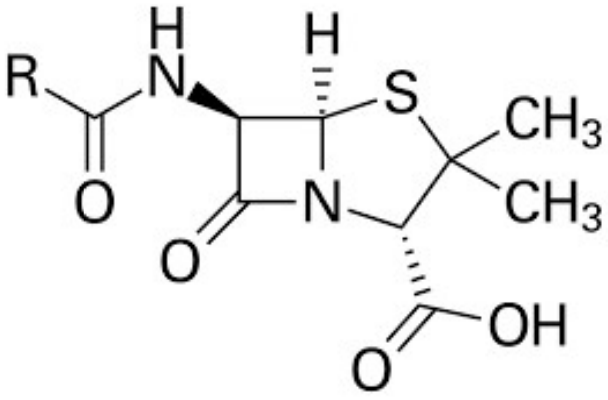
- Amoxicillin,
- Penicillin,
- Cloxacillin,

Penicillin G (Benzyl Penicillin)

→ Benzylpenicillin a narrow spectrum natural penicillin antibiotic. It is used treating infections caused by susceptible bacteria

→ It shows poor oral absorption, thus administered intravenously or intramuscularly.

Chemical Structure



Mechanism of Action

➢ Penicillin G exerts a bactericidal action against penicillin-susceptible microorganisms during the stage of active multiplication. It acts through the inhibition of biosynthesis of cell-wall peptidoglycan, rendering the cell wall osmotically unstable.

Uses

- Penicillin G benzathine injection is used to treat bacterial infections (eg, mild to moderate infections of the upper respiratory tract, syphilis, yaws, bejel, pinta). It is also used to prevent rheumatic fever, chorea, rheumatic heart disease, or acute glomerulonephritis. This medicine is an antibiotic.

Stability and Storage

▪ All solutions should be stored in a refrigerator at 2º to 8ºC

Types of Formulation

1. Injection Powder
2. Solutions

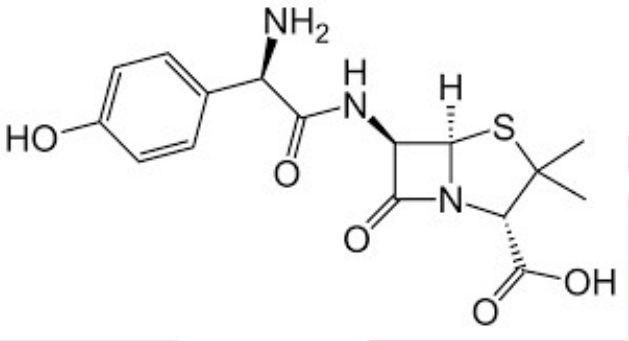
Popular Brand

♦ Pfizerpen

Amoxicillin

→ Amoxicillin is a penicillin derivative used to treat infections produced gram-positive bacteria, particularly in the upper respiratory tract infections caused by streptococcal bacteria

Chemical Structure



Mechanism of Action

➢ Amoxicillin is similar to penicillin in its bactericidal action against susceptible bacteria during the stage of active multiplication. It acts through the inhibition of cell wall biosynthesis that leads to the death of the bacteria.

Uses

- It is a bacteria fighting penicillin antibiotic.
- It is used for a variety of bacterial infections, including tonsillitis, bronchitis, pneumonia, infections of the ear, nose, throat, skin, and urinary tract.

Stability and Storage Conditions

▪ Capsules and pills should be kept at room temperature, away from heat and moisture (not in the bathroom).

Types of Formulations

1. Suspensions
2. Tablets

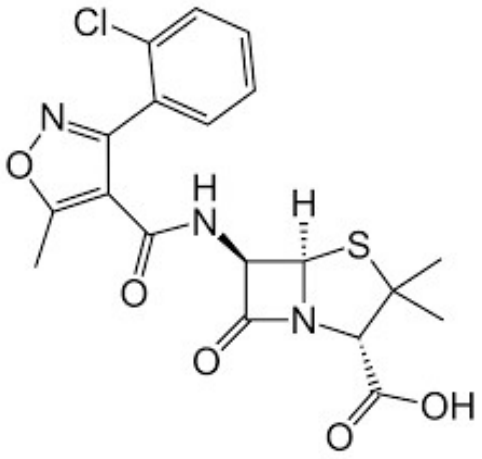
Popular Brand Names

♦ Amoxil
♦ Trimox
♦ Maxatag

Cloxacillin

→ Cloxacillin is an antibiotic that is used to treat infections Caused by beta-hemolytic streptococcal, pneumococcal, and staphylococcal infections.

Chemical Structure



Mechanism of Action

➢ Cloxacillin is for use against staphylococci that produce beta-lactamase. By binding to specific penicillin-binding proteins (PBPs) located inside the bacterial cell wall, cloxacillin inhibits the third and last stage of bacterial cell wall synthesis.

Uses

- It is used to treat bacterial infections

Stability and Storage Conditions

- The capsules should be kept away from light and moisture at room temperature, between 59 and 86" F (15° and 30°C).
- The liquid solution should be refrigerated until it reaches a temperature of 36-46 F. (2-8 C)

Types of Formulations

1. Powder
2. Liquid

Popular Brand Name

♦ Amclo AHPL

AMINOGLYCOSIDES

→ Aminoglycoside is a molecule or a portion of a molecule composed of amino-modified sugars.

→ It has a hexose ring, either streptidine (in streptomycin) or 2- deoxystreptamine (other aminoglycosides), and various amino sugars are attached to this ring by glycosidic linkages. It is water-soluble, stable in solution, and more active at alkaline pH.

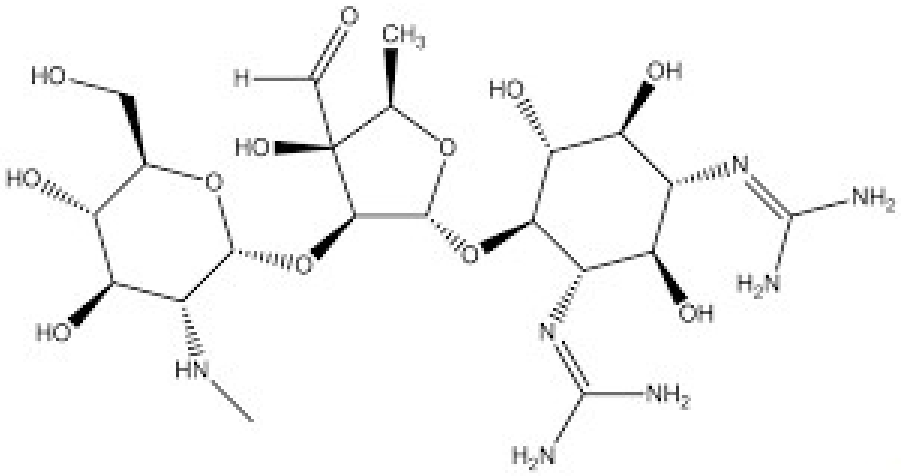
→ Some of the aminoglycosides (e.g., amikacin, arbekacin, gentamicin kanamycin. [neomycin, netilmicin paromomycin, rhodostreptomycin, streptomycin,

Example

Streptomycin

→ Streptomycin is an antibiotic produced by Streptomyces griseus (a soil actinomycete). It is an aminoglycoside antibacterial and anti-mycobacterial.

Chemical Structure



Mechanism of Action

➢ It binds to the small 16S rRNA of the 30S ribosomal subunit irreversibly, interfering with the binding of formyl-methionyl-tRNA to the 30S subunit.

Uses

- Streptomycin is used for treating tuberculosis..
- In combination with other drugs, it is used for treating.

Stability and Storage Conditions

▪ It can be stored at room temperature before being reconstituted.

Type of Formulation

1. Powder for injection

Popular Brand Name

♦ Brucella

TETRACYCLINES

→ Tetracycline is a potent, broad-spectrum antibacterial agent with activity against a host of gram-positive and gram-negative acrobic and anaerobic bacteria.

→ Therefore, they are the drugs of choice or well-accepted alternatives for various infectious diseases.

→ They are also used in the treatment of sexually transmitted and Gonococcal diseases, urinary tract infections, bronchitis, and sinusitis, Most of the marketed tetracyclines (tetracycline, chlortetracycline oxytetracyeline, and demeclocycline) occur naturally and are obtained by the fermentation of Streptomyces spp, broths.

→ The duration of antibacterial [action of semi-synthetic tetracyclines (methacycline, daxycycline, and minocycline) is longer.

→ They also have a similar profile in terms of antibacterial potency.

Examples

1) Doxycycline
2) Minocycline.

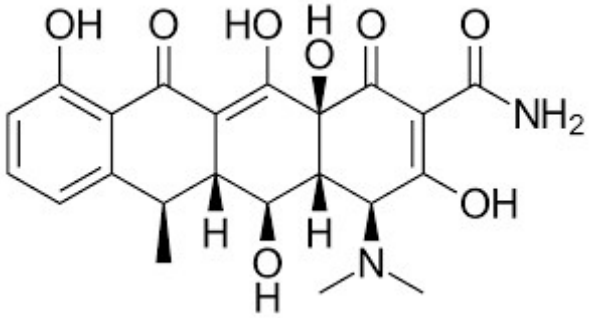
Doxycycline

→ Doxycycline is a broad-spectrum antibiotic and a synthetic derivative of oxytetracycline.

→ It is a second-generation tetracycline with lesser toxicity than first-generation tetracyclines.

→ It may be used for treating various bacterial infections, depending on the results of antibiotic susceptibility testing

Chemical Structure



Mechanism of Action

➢ The bacteriostatic action of tetracyclines, like doxycycline hyclate, is intended to stop the growth of bacteria by allosterically binding to the 30S prokaryotic ribosomal unit during protein synthesis.

Uses

- Rocky mountain spotted fever, typhus fever. Q fever. rickettsial pox, and tick fevers caused by Rickettside.
- Respiratory tract infections caused by Mycoplasma preumonic.

Stability and Storage Conditions

▪ Tablets, capsules, and syrup should be stored at room temperature between 15° and 30°C (59h and 86°F) In airtight, and light-resistant containers.

Types of Formulations

1. Powder for Suspension
2. Capsule
3. Tablet

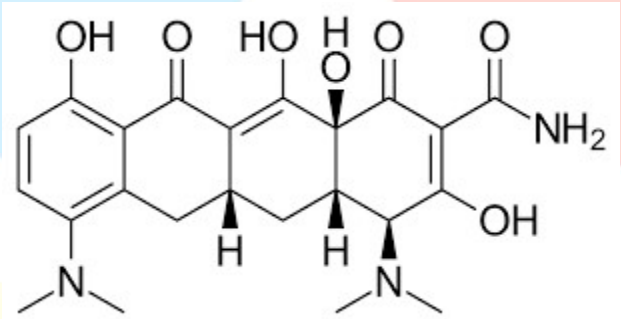
Popular Brand Names

- Adoxa TT
- Monodox
- Periostat
- Vibra-Tabs

Minocycline

→ Minocycline is a tetracycline analogue, 7-dimethylamino but lacking 5-methyl and hydroxyl groups. It is effective against tetracycline-resistant Staphylococcus infections)

Chemical Structure



Mechanism of Action

➢ As with other tetracyclines, the mechanism of action of minocycline involves attaching to the bacterial 30S ribosomal subunit and preventing protein synthesis.

Uses

- Minocycline is used in infections caused by susceptible strains of microorganisms, such as Rocky Mountain spotted fever, typhus fever, Q fever, rickettsial pox and tick fevers caused by Rickettsiae, upper respiratory tract infections caused by Streptococcus pneumonia and asymptomatic carriers of Neisseria meningitidis.

Stability and Storage Conditions

- should be stored at room temperature between 15° and 30°C (59h and 86°F) In airtight, and light-resistant containers.

Types of Formulations

1. Tablets
2. Capsules
3. Injection

Popular Brand Names

- Dynacin
- Minocin
- Solodyn
- Ximina

MACROLIDE

→ Macrolides are compounds with a macrocyclic lactonering (containing 14 or 16 atoms) with attached deoxy sugars.
→ Erythromycin is the prototype drug which was obtained in 1952 from Streptomyces erythreus.
→ Macrolides are orally administered, but can be taken via parenteral route They LATE used to treat pharyngitis and pneumonia caused by Streptococcus in individuals allergic to penicillin.
→ They are used in pneumonia caused by Mycoplasma species or Legionella pneumophila (organism causing Legionnaire disease).
→ They are also used in pharyngeal carriers of Corynebacterium diphtherine (bacillus causing diphtheria).

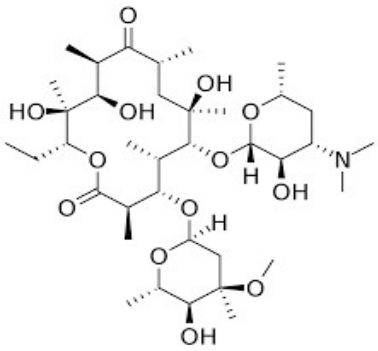
Examples:

- Erythromycin
- Azithromycin

Erythromycin

→ Erythromycin is a bacteriostatic macrolide antibiotic produced by a strain of Saccharopolyspora erythraea in 1952.
→ It is widely used in various Infections caused by gram-positive and gram-negative bacteria.
→ It can be administered in various forms, like Intravenous, topical preparations, and eye drops

Chemical Structure



Mechanism of Action

➢ Erythromycin acts by inhibition of protein synthesis by binding to the 23S ribosomal RNA molecule in the 50S subunit of ribosomes in susceptible bacterial organisms.

Uses

- It is also used in STDs, like syphilis,
- It is used to treat ear, Intestine, gynaecologic, urinary tract, and skin infections,
- It prevents recurrent rheumatic fever.

Stability and Storage Conditions

▪ It should be kept at temperatures below 86°F (30°C). It is critical to keep tablets safe from moisture and extreme heat

Types of Formulations

1) Tablet
2) Capsules
3) Powder

Popular Brand Names

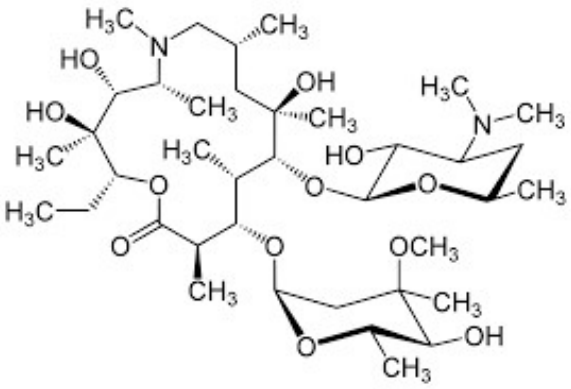
- Erythrocia
- B-Mycin
- EES Granites
- losshe

Azithromycin

→ Arithromycin is a broad-spectrum macrolide antibiotic with a long, half-life and a high degree of tissue penetration.

→ It is a part of the azalide sub-class of macrolides, and has a 15-membered ring with a methyl substituted nitrogen (and not a carbonyl group) at C-9a on the aglycone ring to prevent its metabolism.

Chemical Structure



Mechanism of Action

➢ Azithromycin is a macrolide antibiotic which inhibits bacterial protein synthesis, quorum-sensing and reduces the formation of biofilm.

Uses

- Community acquired pneumotia caused by Chlamydia pneumonia, Haemophilus influenzae, or S. pneumonia,
- Chronic obstructive pulmonary disease complications related to M. catarrhalis or S. pneumonia,
- Skin infections related to Staphylococcus or Streptococaureits, Streptococcus pyogenes, agalactiae,
- Tonsillitis caused by S. pyogenes,

Stability and Storage Conditions

▪ Azithromycin pills, suspension, and extended-release suspension are kept at room temperature, away from heat, and moisture (not in the bathroom).

Types of Formulations

1. Tablet
2. Solution
3. Powder

Popular Brand Names

- Azasite
- Zithromax
- Zmax

MISCELLANEOUS

Some other antibiotics commonly in use are:

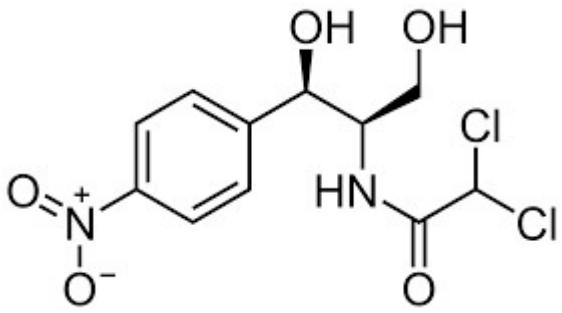
- Chloramphenicol,
- Clindamycin.

Chloramphenicol

→ Chloramphenicol is bacteriostatic in nature, and is a prototypical broad-spectrum antibiotic along with the tetracyclines. Chloramphenicol is active against many gram-positive and gram-negative organisms. It occurs as fine, white to greyish, white or yellowish white needle-like crystals or elongated plates. It is slightly soluble in water; and freely soluble in acetone, alcohol, ethyl acetate, and propylene glycol.

Chemical Name and Structure

2,2-dichloro-N-[(1R,2R)-1,3-dihydroxy-1-(4- nitrophenyl)propan-2-yl]acetamide



Mechanism of Action

➢ Chloramphenicol is an antibacterial with a broad spectrum of activity against gram-positive bacteria, gram-negative bacteria, and Rickettsia. Its mechanism of action is by inhibition of bacterial protein synthesis by binding with ribosomes. The major toxicity of chloramphenicol is hematologic.

Uses

- Chloramphenicol is used to treat serious infections in different parts of the body. It is sometimes given with other antibiotics. However, chloramphenicol should not be used for colds, flu, other virus infections, sore throats or other minor infections, or to prevent infections.

Stability and Storage Conditions

▪ This showed that chloramphenicol in eyedrops will be most stable if stored in refrigerator temperature. The temperature of refrigerator used in this study is 3–7 °C, and included into cold temperature. The lower the temperature, the slower the reaction rate will be.

Type of Formulation

1. Powder for Solution

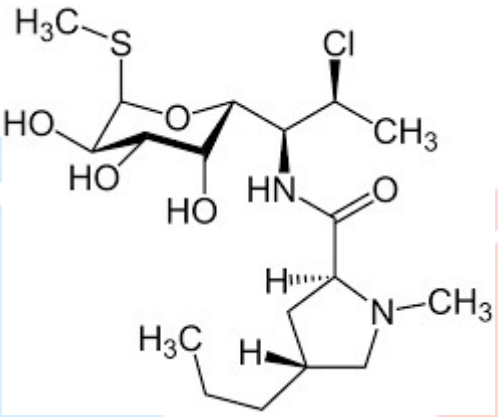
Popular Brand Name

♦ Chloromycetin cetin

Clindamycin

→ Clindamycin is a semi-synthetic lincosamide antibiotic that has replaced lincomycin due to its improved side effect profile. It binds to bacterial 50S ribosomal subunit and inhibits bacterial protein synthesis. It may be bacteriostatic or bactericidal depending on the organism and drug concentration.

Chemical Structure



Mechanism of Action

➢ Clindamycin given via systemic or vaginal route binds to 50S bacterial ribosomal subunits and inhibits bacterial protein synthesis.

Uses

- It is useful in polymicrobial infections, like intra- abdominal or pelvic infections, osteomyelitis, diabetic foot ulcers, aspiration pneumonia, and dental infections.
- It is also used in the treatment of MSSA and respiratory infections caused by S. pneumoniae and S. pyogenes in patients intolerant to other indicated antibiotics or infected with resistant organisms.

Stability and Storage Conditions

▪ Keep this medication tightly wrapped in its container and out of the reach of children. It should be kept at room temperature, free from heat and moisture (not in the bathroom). Its liquid preparation should not be refrigerated because it might get thicken and viscous.

Types of Formulations

1. Powder for Solution
2. Foam Solution
3. Lotion Gel/Jelly

Popular Brand Names

♦ Cleocin
♦ Cleocin Phosphate
♦ Cleocin Pediatric
♦ Capsule
♦ Cleocin HCI

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