SOLUTION

SHAMBHUNATH INSTITUTE OF PHARMACY

Subject Code: BP601T Subject: MEDICINAL CHEMISTRY-III

B. PHARM SEMESTER VI

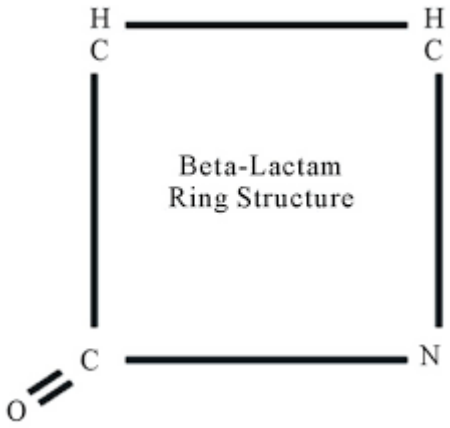
FIRSTSESSIONAL EXAMINATION, EVEN SEMESTER,(2019-2020)

Branch: PHARMACY

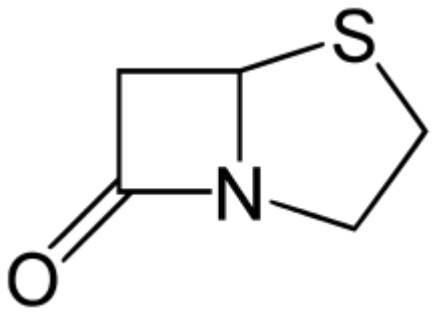
Time –1hr 30 minMaximum Marks – 30

ANTIBIOTICS-any of a large group of chemical substances, as penicillin or streptomycin, produced by various microorganisms and fungi, having the capacity in dilute solutions to inhibit the growth of or to destroy bacteria and other microorganisms, used chiefly in the treatment of infectious diseases.

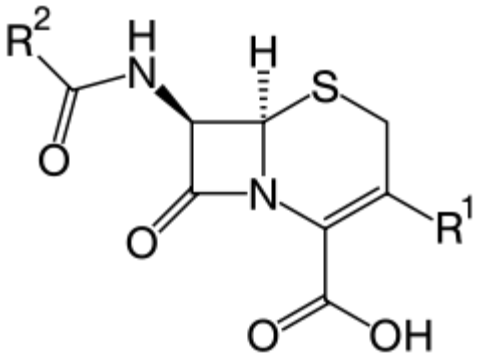
LACTUM RING-



Q.D. PENAM RING



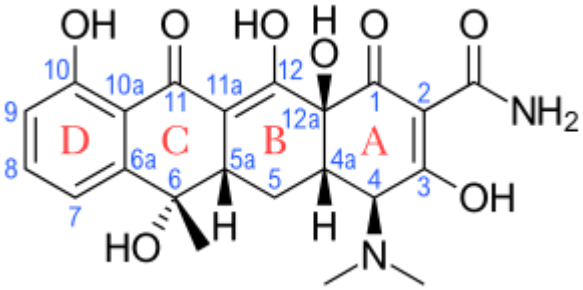
Q.C. CEPHAM



Q.E. PRODRUG: A prodrug is a medication or compound that, after administration, is metabolized (i.e., converted within the body) into a pharmacologically active drug.

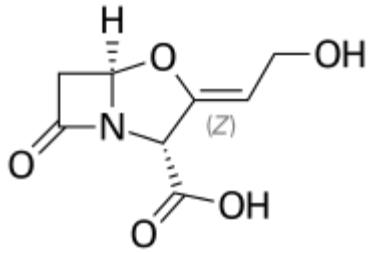
SECTION-B:

Q1. Write the physicochemical properties of Tetracycline.



Q.2. Write a note on β-Lactamase inhibitors.

They act by breaking the beta-lactam ring that allows penicillin-like antibiotics to work. Strategies for combating this form of resistance have included the development of new beta-lactam antibiotics that are more resistant to cleavage and the development of the class of enzyme inhibitors called **beta-lactamase inhibitors**.[1] Although β-lactamase inhibitors have little antibiotic activity of their own,[2] they prevent bacterial degradation of beta-lactam antibiotics and thus extend the range of bacteria the drugs are effective against.



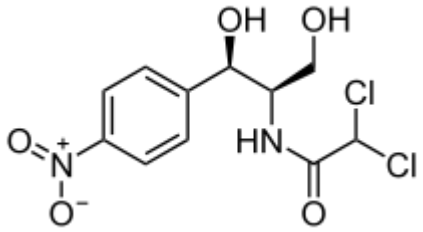
CLAVULANIC ACID

Q.3. Write a note on Chloramphenicol.

Chloramphenicol is an antibiotic useful for the treatment of a number of bacterial infections. This includes use as an eye ointment to treat conjunctivitis. By mouth or by injection into a vein, it is used to treat meningitis, plague, cholera, and typhoid fever.Its use by mouth or by injection is only recommended when safer antibiotics cannot be used. Monitoring both blood levels of the medication and blood cell levels every two days is recommended during treatment.

Common side effects include bone marrow suppression, nausea, and diarrhea. The bone marrow suppression may result in death.To reduce the risk of side effects treatment duration should be as short as possible. People with liver or kidney problems may need lower doses. In young children a condition known as gray baby syndrome may occur which results in a swollen stomach and low blood pressure. Its use near the end of pregnancy and during breastfeeding is typically not recommended.Chloramphenicol is a broad-spectrum antibiotic that typically stops bacterial growth by stopping the production of proteins.

Chloramphenicol was discovered after being isolated from *Streptomyces venezuelae* in 1947.Its chemical structure was identified and it was first artificially made in 1949, making it the first antibiotic to be made instead of extracted from a micro-organism. It is on the World Health Organization's List of Essential Medicines, the safest and most effective medicines needed in a health system. It is available as a generic medication.



M.O.A.-

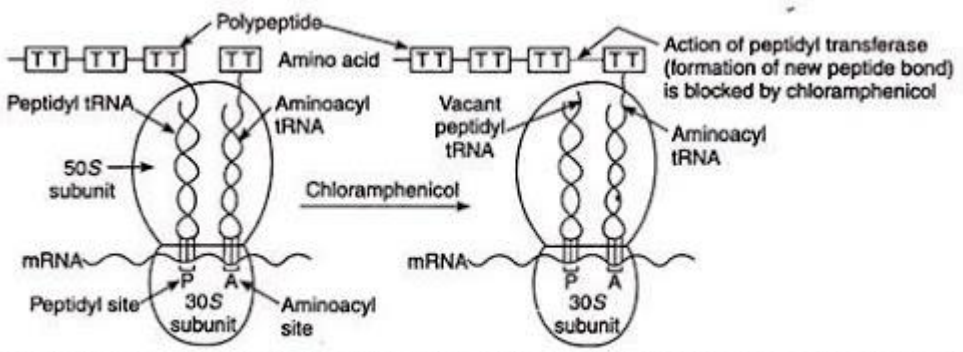


FIG. 45.13. Schematic representation of the inhibitory action of chloramphenicol. Note that the new peptide bond formation between polypeptide and newly transfered amino acid is blocked and as a result growth of polypeptide stops.

Q.4. Write a note on Streptomycin.

Streptomycin is a protein synthesis inhibitor. It binds to the small 16S rRNA of the 30S subunit of the bacterial ribosome, interfering with the binding of formyl-methionyl-tRNA to the 30S subunit.

Streptomycin, antibiotic synthesized by the soil organism Streptomyces griseus. ... Because it was **effective** against a wide variety of diseases, **streptomycin** was used often, with the result that many initially sensitive microorganisms, including the bacterium that causes tuberculosis, became resistant to the antibiotic.

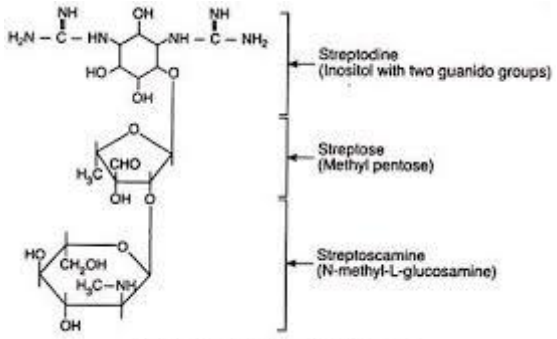


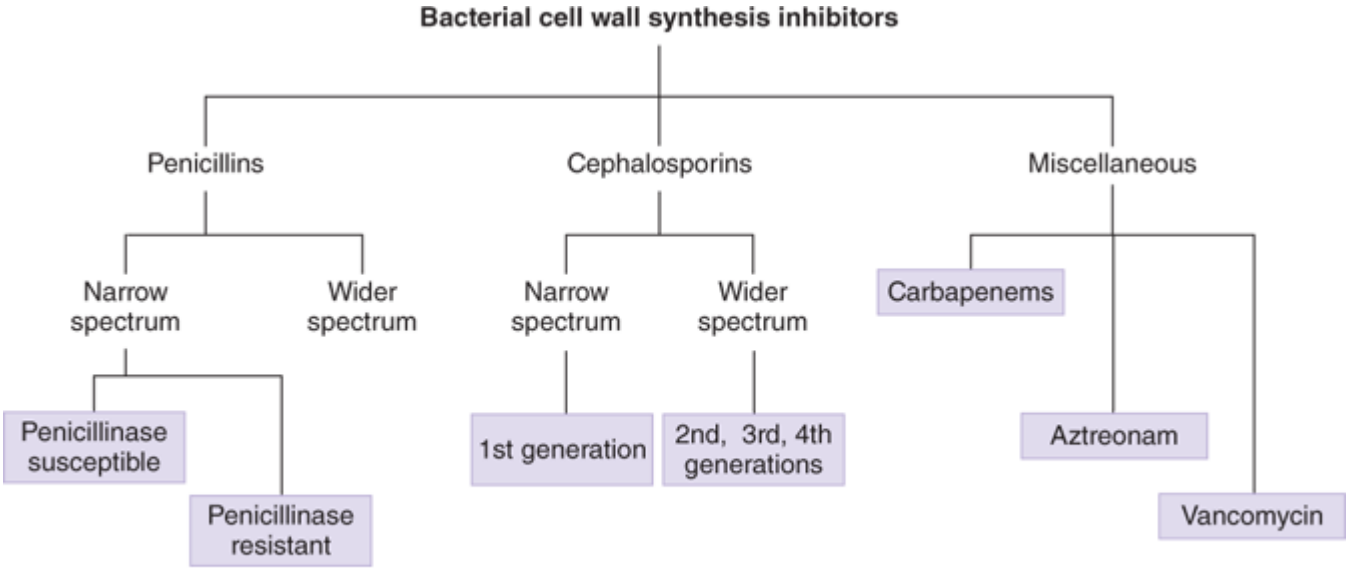
FIG. 45.9. Chemical structure of streptomycin.

USES: Streptomycin is an antibiotic used to treat a number of bacterial **infections**. This includes tuberculosis, Mycobacterium avium complex, **endocarditis**, brucellosis, Burkholderia **infection**, plague, tularemia, and rat bite fever.

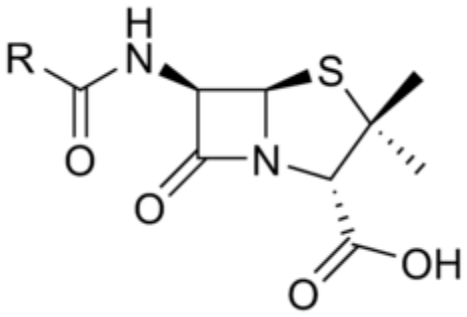
SECTION- C

Write a note on Cell wall synthetic inhibitors.

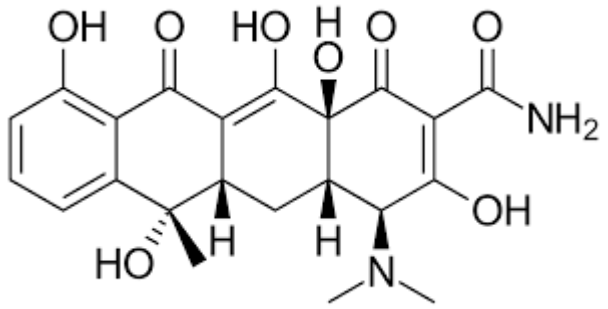
Penicillins and cephalosporins are the major antibiotics that inhibit bacterial cell wall synthesis. They are called beta-lactams because of the unusual 4-member ring that is common to all their members. The beta-lactams include some of the most effective, widely used, and well-tolerated agents available for the treatment of microbial infections. Vancomycin, fosfomycin, and bacitracin also inhibit cell wall synthesis but are not nearly as important as the beta-lactam drugs. The selective toxicity of the drugs discussed in this chapter is mainly due to specific actions on the synthesis of a cellular structure that is unique to the microorganism. More than 50 antibiotics that act as cell wall synthesis inhibitors are currently available, with individual spectra of activity that afford a wide range of clinical applications.



beta-lactam antibiotic: A broad class of antibiotics that inhibit cell wall synthesis, consisting of all antibiotic agents that contains a β-lactam nucleus in their molecular structures. This includes penicillin derivatives (penams), cephalosporins (cephems), monobactams, and carbapenem.



Write about Tetracycline and oxytetracycline

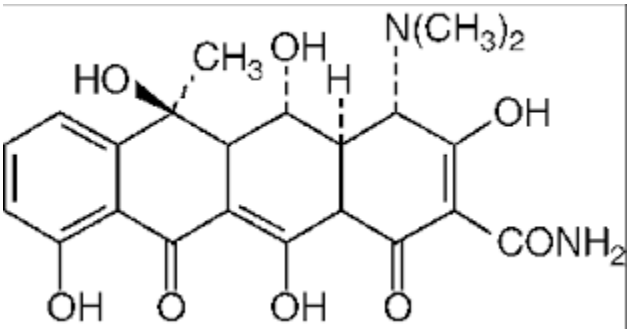


Tetracyclines are a group of broad-spectrum antibiotic compounds that have a common basic structure and are either isolated directly from several species of *Streptomyces* bacteria or produced semi-synthetically from those isolated compounds.

Tetracycline inhibits protein synthesis by blocking the attachment of charged aminoacyl-tRNA to the A site on the ribosome. **Tetracycline** binds to the 30S and 50S subunit of microbial ribosomes. Thus, it prevents introduction of new amino acids to the nascent peptide chain.

Tetracycline is used to treat a wide variety of infections, including acne. It is an antibiotic that works by stopping the growth of bacteria. This antibiotic treats only bacterial infections. It will not work for viral infections (such as common cold, flu).

Oxytetracycline is lipophilic so it can easily pass through a cell membrane and passively diffuses through channels in the bacterial membrane. Antibiotic produced by Streptomyces rimosus. **Mode of Action**: Inhibits protein synthesis (elongation) by preventing binding of aminoacyl-tRNA to the 30S subunit.



Define Aminoglycosides with detail about Neomycin.

Aminoglycosides are potent bactericidal antibiotics that act by creating fissures in the outer membrane of the bacterial cell. They **are** particularly active against aerobic, gram-negative bacteria and act synergistically against certain gram-positive organismshe aminoglycosides are broad-spectrum, bactericidal antibiotics that are commonly prescribed for children, primarily for infections caused by Gram-negative pathogens. The aminoglycosides include **gentamicin**, **amikacin**, **tobramycin**, **neomycin**, and **streptomycin.**

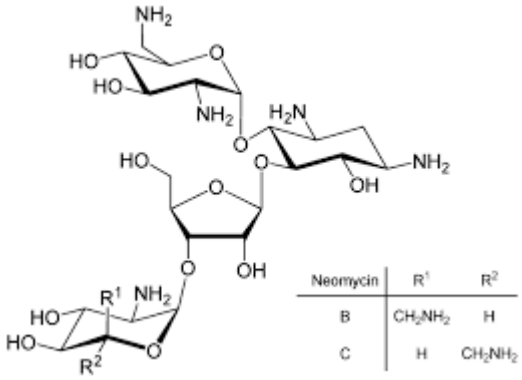
- Gentamicin (generic version is IV only)
- Amikacin (IV only)
- Tobramycin.
- Gentak and Genoptic (eye drops)
- Kanamycin.

- Streptomycin.
- Neo-Fradin (oral)
- Neomycin (generic version is IV only)

Mode of action:
Inhibition of protein synthesis. Once inside the bacterial cell, **aminoglycosides** bind to the 30s ribosomal sub-unit and cause a misreading of the genetic code. This subsequently leads to the interruption of normal bacterial protein synthesis.

Aminoglycosides are a class of antibiotics **used** mainly in the treatment of aerobic gram-negative bacilli infections, although they are also effective against other bacteria including Staphylococci and Mycobacterium tuberculosis. They are often **used in** combination with other antibiotics

Neomycin is bactericidal in **action**. Similar to other aminoglycosides, it inhibits bacterial protein synthesis through irreversible binding to the 30 S ribosomal subunit of susceptible bacteria. **Neomycin** is actively transported into the bacterial cell where it binds to receptors present on the 30 S ribosomal subunit.



Neomycin	R^1	R^2
B	CH_2NH_2	H
C	H	CH_2NH_2

Write classification and mode of action of cephalosporins.

Cephalosporins are grouped together based on the type of bacteria that they're most effective against. These groups are referred to as generations. There are five generations of cephalosporins.

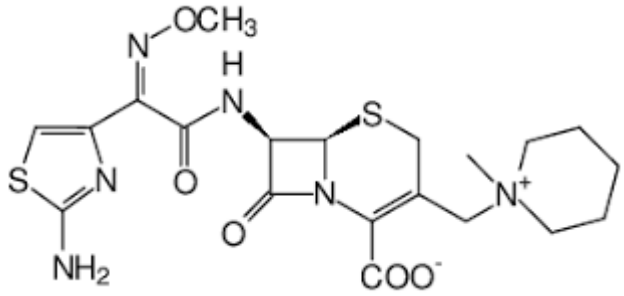
To understand the differences between the generations, it's important to understand the difference between Gram-positive and Gram-negative bacteria.

First-generation cephalosporins- cephalexin , cephadroxil

Second-generation cephalosporins- cefaclor, cefuroxime

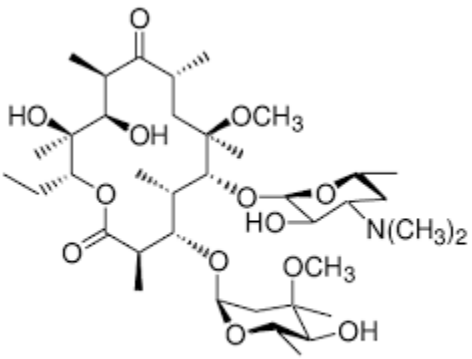
Third-generation cephalosporins-cefixim

Fourth-generation cephalosporins CEFEPIME

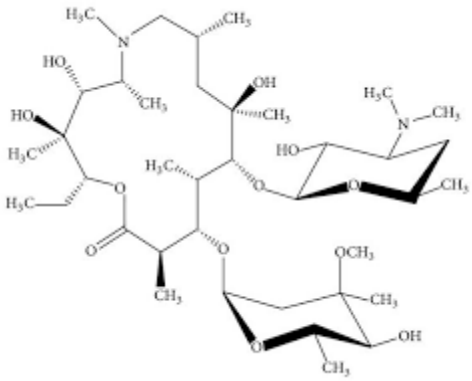


cefepime str.

Q.5.A.Write a note on Clarithromycin and Azithromycin.



14, Clarithromycin



AZITHROMYCIN

Azithromycin prevents bacteria from growing by interfering with their protein synthesis. It binds to the 50S subunit of the bacterial ribosome, thus inhibiting translation of mRNA. Nucleic acid synthesis is not affected.

Azithromycin is an antibiotic that fights bacteria. **Azithromycin** is **used to** treat many different types of infections caused by bacteria, such as respiratory infections, skin infections, ear infections, eye infections, and sexually transmitted diseases.

Clarithromycin prevents bacteria from multiplying by acting as a protein synthesis inhibitor. It binds to 23S rRNA, a component of the 50S subunit of the bacterial ribosome, thus inhibiting the translation of peptides.

Clarithromycin is a macrolide **antibiotic** that fights bacteria in your body. Clarithromycin is used to treat many different types of bacterial **infections** affecting the skin and respiratory system. Clarithromycin is also used together with other **medicines** to treat **stomach ulcers** caused by Helicobacter pylori.

Q.5.B. Write in detail about Prodrug and its applications.

A **prodrug** is a medication or compound that, after administration, is metabolized (i.e., converted within the body) into a pharmacologically active **drug**. Inactive **prodrugs** are pharmacologically inactive medications that are metabolized into an active form within the body.
A **prodrug** is a compound that has negligible, or lower, activity against a specified pharmacological target than one of its major metabolites. **Prodrugs** can be **used to** improve drug delivery or pharmacokinetics, to decrease toxicity, or to target the drug to specific cells or tissues.

APPLICATIONS:

1. Taste Masking.
2. Odor Masking.
3. Change of physical form.
4. Reduction of Pain
5. Solubility enhancement.
6. Reduction of GIT irritation.
7. Chemical stability.
8. Increase in Bioavailability.
9. Prolongation of action.
10. Reduction of Toxicity