CHAPTER 1

Therapeutic Effects of some Drugs against Bacterial Infections

INTRODUCTION

Bacteria are single-celled microorganisms that belong to the domain Bacteria. Bacteria are classified as prokaryotes, lacking a membrane-bound nucleus and other membrane-bound organelles in eukaryotic cells. They have a simple cellular structure that includes a cell membrane, cytoplasm, ribosomes, and a single circular chromosome containing their genetic material. Bacteria reproduce through binary fission, in which a single bacterium divides into two identical daughter cells. This rapid reproductive ability allows bacteria to multiply and adapt to changing environments quickly. Bacteria also possess mechanisms for genetic exchange, such as conjugation, transformation, and transduction, which contribute to their genetic diversity and the spread of antibiotic-resistant genes. A bacterial infection occurs when harmful bacteria invade the body and multiply, leading to illness. Gram-positive and Gram-negative bacteria are two broad categories of bacteria that are differentiated based on their response to a Gram stain technique. Gram-positive bacteria have a thick peptidoglycan layer in their cell wall, which retains the crystal violet stain used in the Gram staining process. Gram-positive bacteria include Staphylococcus aureus, Streptococcus pneumoniae, and Clostridium. Many Gram-positive bacteria are associated with skin infections, pneumonia, and certain types of food poisoning. Gram-negative bacteria have a thinner peptidoglycan layer in their cell wall and an additional outer membrane containing lipopolysaccharides (LPS). Gram-negative bacteria include Escherichia coli, Salmonella, and Pseudomonas aeruginosa. Gram-negative bacteria are associated with a wide range of infections, including urinary tract infections, gastrointestinal infections, and respiratory tract infections. Bacterial infections can be transmitted through direct contact, contaminated surfaces, contaminated food or water, or insect bites. Symptoms of bacterial infections vary depending on the type and location of the infection but may include fever, pain, inflammation, fatigue, and discharge. Treatment typically involves antibiotics targeted to the specific bacteria causing the infection. The rise of antibiotic-resistant bacteria poses a growing challenge in managing bacterial infections. Timely diagnosis and appropriate treatment are crucial to prevent complica-

tions and promote recovery. While many bacteria are harmless or beneficial to humans, some bacteria can cause infections and diseases. These disease-causing bacteria are known as pathogenic bacteria. They can enter the body through various means, such as inhalation, ingestion, or through breaks in the skin. Pathogenic bacteria can overcome the body's immune system defenses and colonize different tissues or organs. They can produce toxins or trigger an inflammatory response, leading to the characteristic symptoms of infection, such as fever, pain, redness, swelling, and discharge—skin infections caused by bacteria like Staphylococcus aureus or Streptococcus pyogenes. Symptoms may include redness, swelling, pain, and pus-filled lesions—food poisoning caused by bacteria like Salmonella or Escherichia coli (E. coli). Symptoms may include diarrhoea, vomiting, abdominal pain, and fever. Treating bacterial infections often involves antibiotics, medications specifically designed to target and kill bacteria. It is important to use antibiotics appropriately and complete the full course of treatment to prevent antibiotic resistance. Vaccinations are also available for some bacterial infections, such as tetanus, pertussis, and pneumococcal diseases, to provide protection against specific bacteria. Antibiotics are medications used to treat bacterial infections. Antibiotics target particular components of bacteria, such as their cell walls, protein synthesis, or DNA replication processes. Antibiotics have various mechanisms of action depending on their specific class.

Penicillin and related drugs (such as amoxicillin) interfere with bacterial cell wall synthesis. They inhibit the enzyme transpeptidase, which is responsible for cross-linking the peptidoglycan molecules in the bacterial cell wall. Without proper cross-linking, the bacterial cell wall weakens and eventually ruptures, leading to cell death. Tetracycline antibiotics (e.g., doxycycline) interfere with bacterial protein synthesis. They bind to the bacterial ribosomes, which are responsible for assembling proteins. By binding to the ribosomes, tetracyclines prevent the attachment of transfer RNA (tRNA) molecules to the messenger RNA (mRNA) strand, thereby inhibiting the formation of new proteins necessary for bacterial growth. Fluoroquinolones (such as ciprofloxacin) inhibit bacterial DNA replication and synthesis. They target enzymes called topoisomerases, specifically DNA gyrase and topoisomerase IV, which are involved in unwinding and winding the DNA during replication. By interfering with these enzymes, fluoroquinolones disrupt the bacterial DNA replication process, leading to the inability of the bacteria to replicate and survive.

Macrolide antibiotics (e.g., erythromycin) interfere with bacterial protein synthesis. They bind to the bacterial ribosomes and block the exit tunnel where growing peptide chains emerge. This prevents the elongation of protein chains, ultimately leading to the inhibition of bacterial protein synthesis.

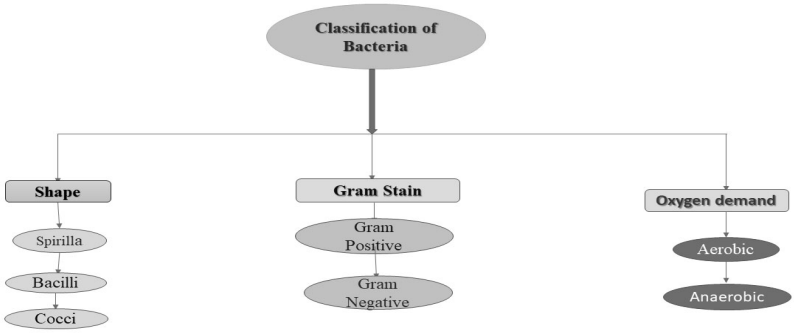
Sulfonamides (e.g., trimethoprim-sulfamethoxazole) inhibit bacterial folic acid synthesis. They act as structural analogues of para-aminobenzoic acid (PABA), a precursor molecule needed to synthesize folic acid in bacteria. By blocking folic acid synthesis, sulfonamides disrupt the production of nucleic acids and proteins, leading to bacterial growth inhibition. Ongoing research and development efforts continue to explore alternative treatment options and strategies.

Phage therapy involves using bacteriophages, viruses that infect and kill specific bacteria, as a targeted treatment for bacterial infections. Phage therapy has shown promise in treating certain illnesses, particularly those caused by antibiotic-resistant bacteria. Research is ongoing to refine and optimize phage therapy approaches.

Combination therapy involves using multiple antibiotics together to enhance their effectiveness against bacteria. Synergistic combinations of antibiotics can potentially improve treatment outcomes and reduce the development of resistance. Researchers are exploring novel combinations and studying their efficacy against various bacterial infections.

Immunotherapeutic approaches aim to boost the body's immune response against bacterial infections. This can involve the development of vaccines targeting specific bacterial pathogens or immunomodulatory therapies that enhance the immune system's ability to fight off infections. Immunotherapy strategies are being explored to prevent and treat various bacterial infections. Bacteria also have industrial applications, such as in food production, bioremediation, and the synthesis of different commercial products. Bacteria have remarkable adaptability and can rapidly evolve and develop resistance to antibiotics and other antimicrobial agents. Bacteria are a diverse group of microorganisms that significantly impact the environment, human health, and various industries. Hence, bacteria are an essential part of the microbial world and continue to be an area of study and research in microbiology and related fields.

Classification

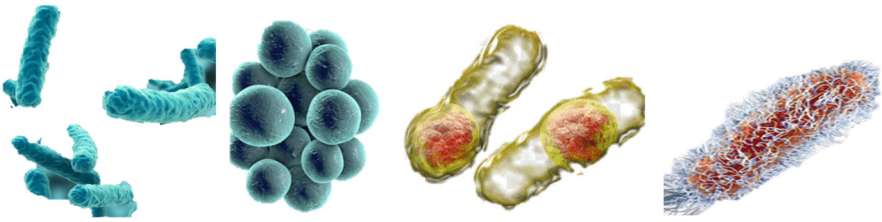


The three primary shapes of bacteria are cocci (spherical), bacilli (rod-shaped), and spirilla (spiral-shaped).

Cocci (singular: coccus): Cocci are round or spherical bacteria. They can occur in different arrangements, such as pairs (diplococci), chains (streptococci), or clusters (staphylococci). Examples include Streptococcus pneumoniae and Staphylococcus aureus. Cocci can vary in size, ranging from about 0.5 to 1.0 micrometre (μm) in diameter.

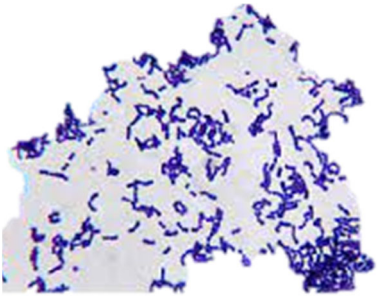
Bacilli (singular: bacillus): Bacilli are rod-shaped bacteria. They can occur singly, in pairs (diplobacilli), or chains (streptobacilli). Examples include Escherichia coli and Bacillus anthracis (causative agent of anthrax). Bacilli typically range from 1 to 10 μm in length and around 0.5 to 1.0 μm in width.

Spirilla (singular: spirillum): Spirilla are spiral-shaped bacteria with a rigid helical structure. They may have a single spiral (unipolar) or multiple spirals (multipolar). Examples include Campylobacter jejuni and Treponema pallidum (causative agent of syphilis). Spirilla can be larger than cocci and bacilli, ranging from about 0.5 to 1.0 μm in width and 5 to 250 μm or more in length.

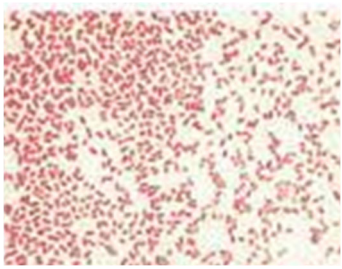


The Gram stain is a widely used staining technique in microbiology that helps differentiate bacteria into two major groups: Gram-positive and Gram-negative, based on their cell wall structure and composition. Gram-positive bacteria have a thick layer of peptidoglycan in their cell wall, which retains the crystal violet stain used in the Gram stain procedure. This thick peptidoglycan layer provides structural support to the cell. Examples of Gram-positive bacteria include Staphylococcus aureus and Streptococcus pyogenes. Gram-negative bacteria have a thinner peptidoglycan layer in their cell wall, surrounded by an outer membrane composed of lipopolysaccharides (LPS) and proteins. The thinner peptidoglycan layer does not retain the crystal violet stain, but they take up a counterstain called safranin, which gives them a pink/red color. Examples of Gram-negative bacteria include Escherichia coli and Pseudomonas aeruginosa. A crystal violet purple dye is applied to the bacterial smear or culture. Both Gram-positive and Gram-negative bacteria initially take up this dye. Gram-positive bacteria retain the crystal violet stain, while the outer membrane of Gram-negative bacteria is disrupted, causing them to lose the stain. The Gram stain is an essential tool

in microbiology for preliminary bacterial identification and classification. It helps in determining the Gram reaction of bacteria, which can provide important information about their cell wall structure and guide further diagnostic tests and treatment strategies.



Gram-Positive Bacteria



Gram-Negative Bacteria

Antibacterial drugs according to their mechanism of action

- **Inhibits cell wall synthesis**: Penicillin, Cephalosporin
- **Affects cell membrane function**: Amphotericin B, Nystatin, Polymyxin
- **Inhibits Protein Synthesis**: Chloramphenicol, Erythromycin, Tetracyclines

BACTERIAL DISEASES

Tuberculosis: Tuberculosis remains a significant global health concern, particularly in low- and middle-income countries. It is one of the top 10 causes of death worldwide, with an estimated 10 million new cases and 1.4 million deaths reported in 2019. Efforts to control tuberculosis involve improved diagnostics, better access to treatment, and addressing social determinants of the disease. Tuberculosis (TB) is a contagious infectious disease primarily caused by the bacterium Mycobacterium tuberculosis. TB is primarily transmitted through the air when an infected person with active

pulmonary TB coughs, sneezes, or speaks, releasing infectious droplets containing the bacteria. The most common form of TB is pulmonary tuberculosis, which affects the lungs. Symptoms may include persistent cough (sometimes with blood), chest pain, fatigue, weight loss, night sweats, and fever. Extrapulmonary TB can affect other body parts, such as the lymph nodes, bones, joints, kidneys, and brain. Symptoms vary depending on the affected organ. Once in the lungs, M. tuberculosis is engulfed by immune cells called macrophages. Some bacteria can survive and replicate within the macrophages. This intracellular survival is a key characteristic of M. tuberculosis. The presence of M. tuberculosis triggers an immune response in the lungs. Immune cells, including macrophages, T cells, and other immune components, gather to form structures called granulomas around the infected macrophages. Granulomas help contain the infection and prevent the spread of bacteria. In some cases, the immune response can control the condition, and the bacteria become dormant, leading to a latent TB infection. In this state, the bacteria remain alive but inactive within the granulomas. People with latent TB do not have symptoms and are not contagious, but they have the potential to develop active TB in the future. Weak immune system or other factors that disrupt the balance between the bacteria and the immune response, the bacteria can become active again. This leads to the development of active TB disease, characterized by symptoms and the ability to transmit the infection to others. Active TB disease can cause progressive lung damage if left untreated. M. tuberculosis bacteria replicate and spread, leading to the destruction of lung tissue and the formation of cavities in the lungs. This can result in persistent cough, chest pain, and other respiratory symptoms. The most common drugs used are isoniazid, rifampicin, pyrazinamide, and ethambutol. It's essential to complete the entire course of treatment to ensure complete eradication of the bacteria and prevent the development of drug-resistant strains.

Drug-Resistant Tuberculosis: One of the significant challenges in tuberculosis control is the emergence of drug-resistant strains, particularly multidrug-resistant tuberculosis (MDR-TB) and extensively drug-resistant tuberculosis (XDR-TB). These strains do not respond to the standard antibiotics used in TB treatment, making it more difficult to cure. Specialized treatment regimens are required for drug-resistant tuberculosis.

Prevention: Prevention of tuberculosis involves a combination of strategies. Vaccination with the Bacillus Calmette-Guérin (BCG) vaccine can provide some protection, especially against severe forms of TB in children. Other preventive measures include promptly identifying and treating active cases, ensuring good ventilation in living spaces, promoting cough etiquette, and maintaining a healthy immune system.

Leprosy

Leprosy, also known as Hansen's disease, is a chronic infectious disease caused by the bacterium Mycobacterium leprae. It primarily affects the skin, peripheral nerves, mucosa of the upper respiratory tract, and eyes. Leprosy is a slow-developing disease with a long incubation period, which means symptoms can take several years to appear. Leprosy is believed to be transmitted from person to person through respiratory droplets when an infected individual coughs or sneezes. Prolonged and close contact with untreated individuals is necessary for transmission. Diagnosis of lep leprosy involves a combination of clinical assessment and laboratory tests. Skin lesions and nerve involvement are examined by healthcare professionals. A skin smear or biopsy may be taken to detect the presence of acid-fast bacilli, which are the bacteria responsible for leprosy. Other tests, such as polymerase chain reaction (PCR) and antibody tests, can also aid in the diagnosis. Leprosy is treatable with multidrug therapy (MDT), which consists of a combination of antibiotics. The World Health Organization (WHO) recommends a standard MDT regimen that includes dapsone, rifampicin, and clofazimine. The treatment duration depends on the type of leprosy and the severity of the disease.

Prevention: Preventing leprosy involves a combination of strategies. Early detection and treatment of cases help reduce transmission. Contacts of leprosy patients may receive preventive medicine to reduce the risk of developing the disease. Improved living conditions, good hygiene practices, and access to healthcare services are essential for preventing leprosy transmission.

Sepsis

Sepsis is a severe and potentially life-threatening condition that occurs when the body's immune response to an infection becomes dysregulated. It is characterized by a systemic inflammatory response and can lead to organ dysfunction or failure if not promptly treated. Sepsis usually arises from an infection, commonly bacterial, but can also be caused by fungal or viral pathogens. The infection can start in various parts of the body, such as the lungs, urinary tract, abdomen, or skin. Common sources of infection leading to sepsis include pneumonia, urinary tract infections, intra-abdominal infections, and bloodstream infections. The symptoms of sepsis can vary, but common signs include fever, increased heart rate, rapid breathing, confusion, disorientation, extreme weakness, and decreased urine output. In severe cases, sepsis can progress to septic shock, characterized by extremely low blood pressure, inadequate blood flow to organs, and organ failure. Medical professionals evaluate the patient's vital signs, perform blood tests to check for signs of infection and organ dysfunction, and order imaging studies to

identify the source of infection. The primary goals are eliminating the underlying infection, stabilizing vital signs, and supporting organ function. Treatment typically involves administering intravenous antibiotics to target the infection, providing fluids to maintain blood pressure and hydration, and sometimes using vasopressor medications to raise blood pressure. Patients with severe sepsis or septic shock may require intensive care and supportive measures, such as mechanical ventilation or kidney dialysis.

Prevention: The majority of sepsis cases are triggered by infections. Take precautions to prevent infections by practicing good hygiene, such as washing your hands regularly with soap and water or using alcohol-based hand sanitizers. Recognizing the signs and symptoms of illness is crucial. If you develop an infection, seek medical attention promptly. Early diagnosis and treatment of infections can help prevent them from progressing to sepsis. Individuals with chronic conditions, such as diabetes, lung disease, or kidney disease, are more susceptible to infections and sepsis. Vaccines can help protect you from various pathogens and reduce the risk of infection and subsequent sepsis.

Enteritis

Enteritis is a term that refers to inflammation of the small intestine. It is a common condition that can occur due to various causes, including infections, dietary factors, autoimmune diseases, and other underlying medical conditions. Enteritis can lead to discomfort, digestive disturbances, and potentially more severe complications if left untreated. Common infectious causes include Salmonella, Campylobacter, Escherichia coli (E. coli), Norovirus, Rotavirus, and Giardia. Conditions like Crohn's disease and celiac disease can cause chronic small intestine inflammation, leading to enteritis. Radiation therapy, certain medications (NSAIDs), ischemic enteritis (reduced blood flow to the intestine), and inflammatory bowel disease (e.g., ulcerative colitis) can also contribute to enteritis and to diagnose enteritis, a healthcare professional may perform a physical examination, review the patient's medical history, and order diagnostic tests. These tests may include stool cultures to detect infectious agents, blood tests to evaluate inflammation and assess for underlying conditions, imaging studies (such as an abdominal CT scan) to examine the intestines, or endoscopic procedures (such as an upper endoscopy or colonoscopy) to visualize and obtain biopsies of the intestine.

Tetanus

Tetanus is a serious bacterial infection caused by the bacterium Clostridium tetani. The bacteria produce a toxin called tetanospasmin, which affects the nerves and leads to muscle stiffness and spasms. Tetanus bacteria can be found in soil, dust, and animal feces. The infection occurs when the bacteria enter the body through a wound or opening in the skin, such as cuts, burns,

animal bites, or puncture wounds. It's important to note that tetanus is not contagious and cannot be transmitted from person to person. The symptoms of tetanus usually develop within a few days to several weeks after infection like stiffness and spasms in the jaw muscles (lockjaw), Stiffness and spasms in the neck and abdominal muscles, Difficulty swallowing, Stiffness and spasms in other muscles of the body and Painful muscle stiffness especially in the neck and jaw area. Tetanus is a medical emergency, and immediate treatment is essential. Tetanus immunoglobulin (TIG) medication containing antibodies against the tetanus toxin is given to neutralize the toxin that may be circulating in the body. A tetanus toxoid vaccine is administered to boost the body's immune response against the bacteria. The vaccine does not treat an existing infection but provides immunity against future infections. Tetanus can be prevented through vaccination. The primary vaccine for tetanus is the tetanus toxoid, usually given as part of the combination vaccine called the DTaP or Tdap vaccine. Booster doses are recommended every 10 years. In case of a wound, a tetanus shot may be required if the individual has not received a vaccine within the last five years.

Leptospirosis

Leptospirosis is a bacterial infection caused by the spirochete bacteria of the genus Leptospira. It affects both humans and animals and is commonly transmitted through contact with water or soil contaminated with the urine of infected animals. This can occur during recreational activities such as swimming, or walking through contaminated water. Handling or contacting animals infected with leptospirosis, or their tissues and body fluids can transmit the bacteria. The symptoms of leptospirosis can vary widely, ranging from mild to severe. In severe cases, leptospirosis can lead to organ failure, such as kidney damage (leptospirosis-associated nephropathy) or liver failure (Weil's disease), which may be life-threatening. Leptospirosis can usually be treated effectively with antibiotics such as doxycycline or penicillin. Severe cases may require hospitalization for supportive care, including intravenous fluids, monitoring of organ function, and treatment of complications.

Vaccines for leptospirosis are available for animals, such as dogs and livestock, but no human vaccine is available. Preventing leptospirosis involves minimizing exposure to contaminated environments and practicing good hygiene. Early diagnosis and treatment can help prevent complications and promote a faster recovery.

Pneumonia

Pneumonia is an infection that inflames the air sacs in one or both lungs, leading to their filling with fluid or pus. It can be caused by various microorganisms, including bacteria, viruses, fungi, or parasites. The most common cause of bacterial pneumonia is the bacterium Streptococcus

pneumoniae. Other bacteria, such as Haemophilus influenzae, Staphylococcus aureus, and Legionella pneumophila, can also cause pneumonia. Hospital-acquired pneumonia (HAP) occurs in individuals who are hospitalized for other conditions and can be caused by different bacteria that are often more resistant to antibiotics. The symptoms of pneumonia can vary depending on the cause, the person's age, and overall health. Common symptoms include Cough, often producing phlegm or mucus; shortness of breath or difficulty breathing, Chest pain, especially when breathing deeply or coughing, Fever, chills and sweating; fatigue and weakness, Rapid breathing and increased heart rate. The treatment approach depends on the type and severity of pneumonia. Bacterial pneumonia is typically treated with antibiotics. Viral pneumonia may not respond to antibiotics and may require supportive care, such as rest, fluids, and antiviral medications when available. Fungal pneumonia is treated with antifungal drugs. In severe cases, hospitalization may be necessary. Vaccines are available to prevent certain types of pneumonia, such as the pneumococcal vaccine and influenza vaccine. It is essential to stay up-to-date with recommended vaccinations. Smoking damages the lungs and increases the risk of respiratory infections, including pneumonia. Quitting smoking can help reduce the risk. Diagnosis and appropriate treatment are crucial to prevent complications and promote recovery.

Cholera

Cholera is an infectious disease caused by the bacterium Vibrio cholerae. It primarily affects the small intestine, causing severe watery diarrhoea and dehydration. Cholera is mainly transmitted through contaminated water or food. It can spread rapidly in areas with poor sanitation and inadequate access to clean drinking water. In some cases, direct person-to-person transmission can also occur. The incubation period for cholera can range from a few hours to five days, but it is usually around 2-3 days. Some infected individuals may not exhibit symptoms but can transmit the bacteria to others. Cholera remains a significant public health concern, particularly in developing countries with poor sanitation infrastructure. According to the World Health Organization (WHO), an estimated 1.3 to 4 million cholera cases occur worldwide yearly, leading to 21,000 to 143,000 deaths. Prevention of cholera mainly involves ensuring access to safe drinking water and maintaining good sanitation practices. Boiling or treating water with chlorine or iodine tablets can help kill the bacteria. Proper food hygiene, including washing fruits and vegetables, is also important. Additionally, vaccines are available in some regions and can provide short-term protection against cholera.

Botulism

Botulism is a rare but serious illness caused by the bacterium Clostridium botulinum. It produces a potent neurotoxin called botulinum toxin, which affects the nervous system and can lead to paralysis. Botulism is relatively rare, but it is considered a severe public health concern due to the potency of the botulinum toxin. The World Health Organization (WHO) estimates that there are about 200,000 cases of botulism worldwide each year, resulting in around 2,000 deaths. There are three main types of botulism:

a. **Foodborne Botulism:** Caused by consuming foods contaminated with the botulinum toxin, typically through improper canning, preserving, or storage methods.

b. **Infant Botulism:** It affects infants who ingest spores of Clostridium botulinum, which then colonize and produce toxins in the infant's gastrointestinal tract. This is the most common form of botulism in the United States.

c. **Wound Botulism:** Occurs when the bacteria enter a wound and produce toxin, usually in cases of deep tissue infections or drug use involving contaminated equipment.

The symptoms of botulism usually appear within 12 to 72 hours after exposure to the toxin. They may include blurred or double vision, drooping eyelids, dry mouth, slurred speech, difficulty swallowing, muscle weakness, paralysis, and respiratory failure. In severe cases, it can lead to death. The primary treatment is the administration of an antitoxin to neutralize the botulinum toxin in the body. Supportive care, such as assisted breathing through mechanical ventilation, may be required in severe cases. Early treatment can significantly improve the outcome. Preventing botulism involves practicing proper food safety and hygiene. This includes ensuring proper canning and preserving techniques, avoiding consuming bulging or damaged cans, thoroughly cooking foods, and refrigerating perishable items promptly. For infants, it's important to avoid giving honey to children under the age of one, as it may contain spores that can cause botulism.\

Pseudomonas Infection

Pseudomonas infection refers to an infection caused by bacteria belonging to the genus Pseudomonas. Pseudomonas species are common in the environment and can cause infections in various parts of the body. Pseudomonas aeruginosa Infection is the most common type and can cause respiratory, urinary tract infections, bloodstream infections, and wounds. It is particularly problematic in hospital settings and can cause serious infections in individuals with weakened immune systems. Pseudomonas species can cause eye infections, including contact lens-related infections such as

microbial keratitis. Pseudomonas infections can cause skin and soft tissue infections, particularly in burn patients or those with compromised skin integrity. Improper care or extended use of contact lenses can increase the risk of eye infections caused by Pseudomonas. Preventing Pseudomonas infections involves practicing good hygiene, particularly in healthcare settings. This includes proper handwashing, adherence to infection control protocols, and appropriate sterilization and disinfection of medical equipment.

MRSA Infection

MRSA stands for methicillin-resistant Staphylococcus aureus. It is a type of bacteria that has developed resistance to many commonly used antibiotics, including methicillin and other beta-lactam antibiotics. MRSA infections can occur both in healthcare settings (healthcare-associated MRSA or HA-MRSA) and in the community (community-associated MRSA or CA-MRSA). Staphylococcus aureus is a bacterium that commonly lives on the skin or in the nose of healthy individuals without causing any harm. However, the bacteria entering the body through a cut, wound, or other entry point can cause infections. MRSA infections are particularly concerning because they are resistant to several antibiotics, making them difficult to treat. Healthcare-associated MRSA (HA-MRSA) infections typically occur in people who have been recently hospitalized, undergone surgery, or received medical treatments such as dialysis. These infections can range from skin and soft tissue infections, such as abscesses and cellulitis, to more severe and potentially life-threatening infections, such as bloodstream infections and pneumonia. Regular handwashing with soap and water for at least 20 seconds is crucial in preventing the spread of MRSA. If soap and water are not available, alcohol-based hand sanitizers can be used. Cleaning hands before and after changing dressings or touching wounds is especially important. Diagnosis of MRSA infection is typically done through a culture of the infected site or by testing a sample of body fluid or tissue. Treatment options for MRSA infections may include antibiotics that are still effective against the bacteria, such as vancomycin or linezolid. The choice of antibiotic may depend on the severity and location of the infection, as well as the individual's overall health.

E.Coli Infection

Escherichia coli, commonly known as E. coli, is a type of bacteria that naturally occurs in the intestines of humans and animals. While most strains of E. coli are harmless and even beneficial, certain strains can cause infections and lead to various health issues. E. coli infections are usually caused by consuming contaminated food or water or through contact with fecal matter from infected individuals or animals. The bacteria can survive outside the

body for extended periods, which contributes to its ability to contaminate food and water sources. Enterotoxigenic E. coli (ETEC) is a common cause of traveller's diarrhoea, particularly in developing countries. It produces toxins that affect the lining of the intestines, leading to symptoms such as watery diarrhoea, abdominal cramps, and dehydration. Enterohemorrhagic E. coli (EHEC) notorious strain of E. coli in this group is E. coli O157:H7. It produces a toxin called Shiga toxin, which can cause severe gastrointestinal illness. Contaminated undercooked beef, unpasteurized milk, contaminated vegetables, and contaminated water are common sources of infection. EHEC infections can lead to bloody diarrhea, abdominal pain, and in some cases, severe complications like hemolytic uremic syndrome (HUS), which can result in kidney failure. Enteroinvasive E. coli (EIEC) invades and damages the cells lining the intestines, leading to symptoms similar to shigellosis, including fever, abdominal pain, and bloody diarrhoea. Enteroaggregative E. coli (EAEC) adhere to the intestinal lining and form aggregates. They are associated with persistent diarrhoea, especially in children and immunocompromised individuals. Treatment for E. coli infections primarily involves supportive care, such as staying hydrated to prevent dehydration. In severe cases or when complications arise, medical intervention may be necessary. Antibiotics are generally not recommended for most E. coli infections, as they can increase the risk of complications.

Meningitis

Meningitis is an inflammation of the meninges, the protective membranes surrounding the brain and spinal cord. An infection usually causes it, although it can also result from non-infectious causes, such as certain medications or autoimmune disorders. Bacterial and viral infections are the most common causes of meningitis.

Bacterial meningitis is a severe and potentially life-threatening form of meningitis. The most common bacterial pathogens responsible for meningitis include Streptococcus pneumoniae (pneumococcus), Neisseria meningitis (meningococcus), and Haemophilus influenzae type b (Hib). Bacterial meningitis can spread through respiratory droplets or direct contact with infected individuals. Symptoms usually develop rapidly and may include high fever, severe headache, stiff neck, sensitivity to light (photophobia), nausea, vomiting, confusion, and, in some cases, a rash. Prompt medical attention is crucial for bacterial meningitis, requiring urgent antibiotic treatment. Viral meningitis is the most common and less severe form of meningitis. It is typically caused by viruses such as enteroviruses, herpes simplex virus, and mumps virus. Viral meningitis is usually transmitted through respiratory droplets or direct contact with infected individuals. Symptoms of viral meningitis are similar to bacterial meningitis but tend to be milder. They may

include fever, headache, stiff neck, sensitivity to light, fatigue, and in some cases, a rash. Most cases of viral meningitis resolve on their own within a week or two, and treatment focuses on supportive care to relieve symptoms. Fungal meningitis is rare but can occur in individuals with weakened immune systems, such as those with HIV/AIDS or individuals on immunosuppressive medications. Various fungi, including Cryptococcus and Candida can cause fungal meningitis. Symptoms are similar to bacterial meningitis but may develop more gradually. Treatment for fungal meningitis typically involves long-term antifungal medication.

Diagnosing meningitis involves a combination of physical examination, analysis of cerebrospinal fluid (CSF) obtained through a lumbar puncture (spinal tap), and sometimes imaging tests like a CT scan or MRI. Prompt diagnosis and treatment are crucial to prevent complications and reduce the risk of long-term neurological damage or death. Prevention strategies for meningitis include vaccination against common bacterial pathogens, such as pneumococcus and meningococcus, practicing good hygiene (especially handwashing), avoiding close contact with infected individuals, and taking precautions in crowded or high-risk settings (e.g., college dormitories).

Gonorrhea

Gonorrhea is a sexually transmitted infection (STI) caused by the bacterium Neisseria gonorrhoeae. Gonorrhea can lead to serious health complications. It can cause pelvic inflammatory disease (PID) in women, resulting in chronic pelvic pain, infertility, and ectopic pregnancy. In men, untreated gonorrhoea can cause epididymitis, a painful condition affecting the tubes that carry sperm, leading to infertility. Both men and women with gonorrhoea are at a higher risk of acquiring or transmitting other STIs, including HIV. Diagnosis of gonorrhoea involves testing a sample of discharge or urine for Neisseria gonorrhoeae bacteria.

In some cases, a swab may be taken from the affected area, such as the urethra, cervix, rectum, or throat. Ceftriaxone is an injectable antibiotic and is often the first-line treatment for gonorrhoea. It is usually administered as a single dose. Ceftriaxone is highly effective against Neisseria gonorrhoeae, the bacterium that causes gonorrhoea. Azithromycin is an oral antibiotic that is often used in combination with ceftriaxone for dual therapy. It helps to enhance the effectiveness of treatment and provides coverage against possible co-infections, such as Chlamydia. Azithromycin is typically taken as a single dose. It is essential to consult with a healthcare professional for the most up-to-date and appropriate treatment options for gonorrhoea.

Bubonic Plague

The bubonic plague, also known as the Black Death, is a severe infectious disease caused by the bacterium Yersinia pestis. It is historically infamous for causing widespread epidemics and pandemics, including the devastating pandemic in the 14th century that resulted in the deaths of millions of people in Europe. The bubonic plague is primarily transmitted through fleas that infest rodents, mainly rats. Humans can contract the disease when infected fleas bite them. Direct contact with bodily fluids or tissues of infected animals or inhalation of respiratory droplets from infected individuals can also transmit the disease. The incubation period of the bubonic plague is typically 2 to 6 days. Treatment with appropriate antibiotics is crucial for the successful management of bubonic plague. Antibiotics such as streptomycin, gentamicin, doxycycline, or ciprofloxacin are commonly used. Supportive care may also be necessary, including fluid replacement, pain management, and respiratory support. Vaccines for bubonic plague prevention are available but not widely used.

Syphilis

Syphilis is a sexually transmitted infection (STI) caused by the bacterium Treponema pallidum. The primary stage begins with the appearance of a painless sore called a chancre at the site of infection, usually on the genitals, mouth. The sore is highly contagious and can last 3 to 6 weeks before healing. Because it is painless, it may go unnoticed. The secondary stage occurs weeks to months after the primary step. Symptoms during this stage can include a rash (typically on the palms of the hands and soles of the feet), flu-like symptoms (such as fever, sore throat, and fatigue), swollen lymph nodes, and patchy hair loss. These symptoms can come and go over several months.

In some cases, if left untreated, syphilis can progress to the tertiary stage, which can occur years or decades after the initial infection. Tertiary syphilis can cause severe complications, affecting various organs and systems of the body, including the heart, brain, blood vessels, and bones. It can lead to serious health problems, including neurosyphilis, cardiovascular syphilis, and gummatous syphilis. Syphilis is typically treated with antibiotics, primarily penicillin, which effectively eliminates the infection. The specific antibiotic and duration of treatment depend on the stage of syphilis and individual factors such as Syphilis is typically treated with antibiotics, primarily penicillin, which is highly effective in eliminating the infection. The specific antibiotic and duration of treatment depend on the stage of syphilis and individual factors such as allergies. It is crucial to complete the entire course of antibiotics as a healthcare professional prescribes.

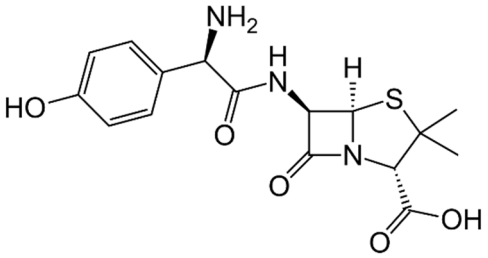
Some important antibacterial are discussed in this section

Class (Penicillin group)

1. Amoxicillin

Amoxicillin is a widely used antibiotic medication in the class of drugs known as penicillins. It is commonly prescribed to treat various bacterial infections caused by susceptible organisms.

Structure and Physical Properties



M.W. 365.4 g/mol. Soluble in water. The compound is off – white and solid.

Pharmacology and Mode of Action

Amoxicillin is a broad-spectrum antibiotic that belongs to the class of drugs called penicillins. It acts by inhibiting the synthesis of bacterial cell walls, leading to the weakening and eventual destruction of the bacteria. Amoxicillin is a β-lactam antibiotic and a member of the penicillin class. Penicillins are characterized by their β-lactam ring structure, which is crucial for their antibacterial activity. Bacterial cells are surrounded by a rigid cell wall, which provides structural integrity and protection. The cell wall is composed of a complex network of peptidoglycan, which is essential for bacterial growth and survival. Amoxicillin targets this peptidoglycan synthesis process. Amoxicillin exerts its bactericidal effect by binding to specific proteins called penicillin-binding proteins (PBPs) located on the bacterial cell wall. PBPs are enzymes involved in the final steps of peptidoglycan synthesis. By binding to PBPs, amoxicillin inhibits their activity and prevents the cross-linking of peptidoglycan strands, impairing the formation of a functional cell wall. The inhibition of peptidoglycan synthesis by amoxicillin leads to the formation of weak points and defects in the bacterial cell wall. As the bacteria continue to grow and divide, these weak areas cause the cell wall to become structurally compromised. This results in

osmotic instability, leading to the influx of water and ions into the bacterial cell. Eventually, the increased internal pressure causes the bacterial cell to rupture, resulting in bacterial lysis and cell death. Amoxicillin exhibits activity against a wide range of bacteria, including both Gram-positive and Gram-negative organisms. Its effectiveness may vary depending on the susceptibility of the specific bacteria to amoxicillin and any resistance mechanisms they may possess.

Pharmacokinetic

Amoxicillin is well-absorbed when taken orally. It is stable in the acidic environment of the stomach and can be absorbed from the gastrointestinal tract. The presence of food does not significantly affect the absorption of amoxicillin, although it may delay the time to reach peak blood levels. It distributes widely throughout the body tissues and fluids. It can cross the placenta and is excreted into breast milk. The drug reaches therapeutic concentrations in various tissues, including the respiratory tract, urine, skin, soft tissues, and middle ear. The majority of the drug remains unchanged and minimally metabolized in the body. The majority of the drug remains unchanged and retaiis minimally metabolized in the body. The majority of the drug remains unchanged and retains its antimicrobial activity. Only a small fraction (less than 10%) undergoes metabolism to inactive compounds. The primary route of elimination for amoxicillin is through the kidneys. It is excreted mainly in the urine by both glomerular filtration and active tubular secretion. The elimination half-life of amoxicillin is typically around 1 to 1.5 hours in adults with normal kidney function. In individuals with impaired renal function, the elimination half-life may be prolonged.

Dosage Adjustments: For patients with impaired renal function, dosage adjustments may be necessary to prevent the accumulation of amoxicillin and maintain therapeutic levels. The dosage adjustments depend on the severity of renal impairment and are typically guided by the estimated glomerular filtration rate (e GFR) or creatinine clearance.

Drug Interactions: Amoxicillin is generally well-tolerated and does not interact significantly with most other medications. However, it is important to inform your healthcare provider about all the medications you are taking to ensure there are no potential interactions that could affect the efficacy or safety of amoxicillin.

Medical Uses

Amoxicillin can be prescribed for uncomplicated urinary tract infections caused by susceptible bacteria. It is often used in combination with other antibiotics for more severe or recurrent infections. It can be used to treat various skin and soft tissue infections, including cellulitis, impetigo, and infected wounds. It helps to eliminate the bacteria causing the infection.

Side Effects and Toxicity

Amoxicillin can cause hypersensitivity reactions, which may manifest as fever, rash, joint pain, swollen lymph nodes, and eosinophilia (an increase in a type of white blood cells called eosinophils). If these symptoms occur, medical attention should be sought. Amoxicillin can disrupt the natural balance of bacteria in the body, leading to an overgrowth of certain bacteria or fungi. This can result in secondary infections such as oral thrush (candidiasis) or vaginal yeast infections.

Contraindications and Precautions

Amoxicillin may rarely affect platelet function and increase the risk of bleeding in individuals with bleeding disorders or those taking medications that affect blood clotting. Patients with a history of asthma, hay fever, or other allergies may have an increased risk of developing an allergic reaction to amoxicillin. Prescribed dosage and duration of treatment is also essential to ensure the medication's effectiveness and minimize the risk of adverse effects.

Dose Recommendation

Adults and Adolescents (12 years and older): Mild to moderate infections: The typical dose is 250 to 500 mg three times a day or 875 mg twice a day.

Severe infections or respiratory tract infections: The usual dose is 500 to 875 mg three times a day.

Children (3 months to 11 years): The dose is based on body weight. The typical range is 20 to 50 mg/kg/day divided into two to three doses.

In more severe infections, the dose may be increased up to 90 mg/kg/day.

Infants (under 3 months): The dose is based on body weight and should be determined by a healthcare professional.

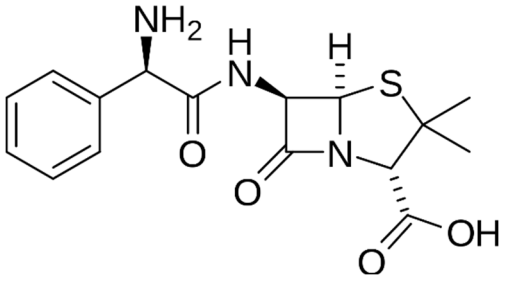
Preparation available in India

AFYMOX-500 cap	Amoxycillin 500mg	(SYNTONIC LIFE SCIENCES)
AMILUS-DT tab	Amoxycillin 250mg	(AMRO PHARMA)
AMILUS-500 cap	Amoxycillin 500mg	(AMRO PHARMA)
AMOTID cap	Amoxycillin 250mg	(KAPTAB PHARMA)

2. Ampicillin

Ampicillin is a broad-spectrum antibiotic that belongs to the penicillin group of drugs. It is used to treat various bacterial infections by inhibiting the growth of bacteria or killing them outright. Ampicillin is effective against both Gram-positive and Gram-negative bacteria.

Structure and Physical Property



M.W. 349.4 g/mol. White crystalline powder, sparingly soluble in water.

Pharmacology and Mode of Action

Ampicillin has a broad spectrum of activity, which means it is effective against a wide range of bacteria. It is active against both Gram-positive and Gram-negative bacteria, including Escherichia coli, Proteus mirabilis, Haemophilus influenzae, Streptococcus pneumoniae, and many others. Ampicillin acts by inhibiting the synthesis of the bacterial cell wall, which is an essential component for the structural integrity of bacteria. It targets the enzymes known as penicillin-binding proteins (PBPs) that are involved in the cross-linking of the peptidoglycan layer of the cell wall. By binding to PBPs, ampicillin interferes with the transpeptidation reaction, preventing the cross-linking of the peptidoglycan chains and weakening the cell wall. As a result, the bacterial cell wall becomes susceptible to osmotic pressure, leading to cell lysis and death. Bacteria can develop resistance to ampicillin through various mechanisms. One common mechanism is the production of beta-lactamases, enzymes that can hydrolyze the beta-lactam ring of ampicillin and inactivate the drug. Additionally, bacteria may alter the structure of their cell wall or decrease the permeability of their outer membrane to prevent ampicillin from reaching its target.

Pharmacokinetic

Ampicillin is well absorbed after oral administration, but its absorption can be reduced by food, particularly high-fat meals. It is widely distributed throughout the body, including the respiratory tract, urinary tract, skin, and soft tissues. Ampicillin can cross the placental barrier and is excreted in breast

milk. The drug is primarily eliminated through the kidneys, and dosage adjustments may be necessary in patients with impaired renal function.

Medical Uses

Ampicillin is often combined with a beta-lactamase inhibitor, such as sulbactam or clavulanic acid, to enhance its effectiveness against beta-lactamase-producing bacteria. The beta-lactamase inhibitor acts by inhibiting the activity of beta-lactamases, thus preventing the degradation of ampicillin and allowing it to exert its antibacterial effect.

Side Effects and Toxicity

Common side effects of ampicillin include diarrhea, nausea, vomiting, and stomach pain. These gastrointestinal symptoms may occur due to disruption of the normal gut flora or as a result of an allergic reaction to the medication. Ampicillin can cause allergic reactions in some individuals. These reactions may range from mild skin rashes and itching to severe hypersensitivity reactions such as anaphylaxis, a life-threatening allergic reaction characterized by difficulty breathing, swelling, and a sudden drop in blood pressure. Allergic reactions to ampicillin are more common in individuals with a history of allergies or hypersensitivity to penicillin antibiotics.

Contraindication and Precautions

Ampicillin has certain contraindications and precautions that need to be considered before its use Ampicillin is contraindicated in individuals with a known hypersensitivity or allergy to penicillin antibiotics or any other beta-lactam antibiotics. An allergic reaction to ampicillin or other penicillins can range from mild skin rashes to severe hypersensitivity reactions, including anaphylaxis. Ampicillin should be used judiciously and only for appropriate bacterial infections. Overuse or misuse of antibiotics can contribute to the development of bacterial resistance. It is essential to follow the prescribed dosage and duration of treatment to minimize the risk of resistance.

Dose Recommendation

Adult: The recommended adult oral dose of ampicillin for mild to moderate infections is 250 to 500 mg every 6 hours. The dose may be increased for more severe conditions to 500 mg to 1 gram every 4 to 6 hours.

Children: The dosage of ampicillin is usually based on their weight. The typical pediatric dose is 25 to 50 mg per kilogram of body weight per day, divided into 4 to 6 equal doses.

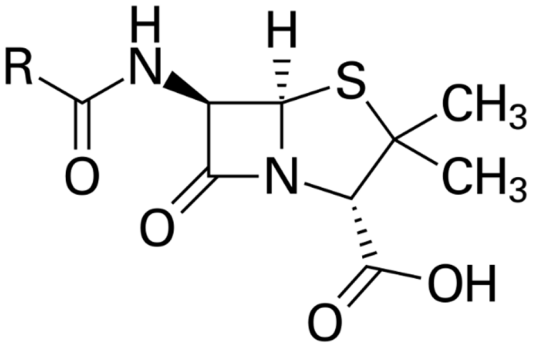
Preparation available in India

Ampicillin 500 mg capsules. (Maxheal Pharmaceuticals India Ltd).

3. Penicillin

Penicillin is a group of antibiotics that are used to treat various bacterial infections. It was the first widely used antibiotic and played a significant role in revolutionizing medicine and saving countless lives. The discovery of penicillin is credited to Sir Alexander Fleming, a Scottish scientist, who made the breakthrough in 1928. Fleming was working at St. Mary's Hospital in London when he observed that a mold called Penicillium notatum produced a substance that inhibited the growth of bacteria. He named this substance penicillin.

Structure and Physical Property



M.W. 334.4 g/mol. Amorphous white powder is sparingly soluble in water.

Pharmacology and Mode of Action

Penicillin belongs to a class of antibiotics known as beta-lactam antibiotics, including other drugs like amoxicillin and cephalosporins. The mode of action of penicillin involves targeting the bacterial cell wall. Bacterial cells have a rigid cell wall that provides structural support and protection. The cell wall comprises a mesh-like network called peptidoglycan, which consists of repeating units of sugar molecules linked together by short peptide chains. The peptidoglycan layer gives bacteria their shape and prevents them from bursting under osmotic pressure.

Penicillin works by inhibiting the enzymes called penicillin-binding proteins (PBPs) that are responsible for synthesizing and cross-linking the peptidoglycan in the bacterial cell wall. PBPs help assemble and maintain the integrity of the peptidoglycan structure. When penicillin is present in the body, it irreversibly binds to the active site of PBPs, thereby inhibiting their activity. This prevents the proper cross-linking of the peptidoglycan chains

and weakens the bacterial cell wall. As a result, the bacteria become more susceptible to osmotic pressure, leading to cell lysis and death. It's important to note that the mode of action of penicillin is specific to bacterial cells and does not affect human cells. This is because human cells lack peptidoglycan in their cell walls, making them unaffected by the drug's action. It's worth mentioning that there are different types of penicillin, such as **penicillin G, penicillin V, amoxicillin**, and others. These variations have slightly different spectra of activity and pharmacokinetic properties, but they all target the bacterial cell wall by inhibiting PBPs. Some bacteria have developed mechanisms to produce enzymes called beta-lactamases that can inactivate penicillin and other beta-lactam antibiotics. Combination therapies or alternative antibiotics may be used to treat resistant bacterial infections.

Pharmacokinetic

The pharmacokinetics of penicillin can vary depending on the specific type of penicillin used, the route of administration, and individual patient factors. Penicillin can be administered in various ways, including oral (penicillin V), intravenous (IV), intramuscular (IM), and sometimes intrathecal or intrapleural routes. Oral penicillin V is well absorbed from the gastrointestinal tract, while other penicillins are typically administered parenterally (IV or IM) for faster and more reliable absorption. The absorption of penicillin can be affected by factors such as the presence of food in the stomach (oral administration) or the blood flow at the injection site (parenteral administration).

Penicillin has good distribution throughout the body, including the respiratory tract, urine, bile, and tissues. It can penetrate well into most body fluids, including cerebrospinal fluid (CSF), but the penetration into the central nervous system (CNS) is limited unless inflammation or meningeal inflammation is present. Protein binding of penicillin is relatively low, with only a small portion (around 20-60%) bound to plasma proteins. This means that a significant fraction of the drug remains unbound and active.

Medical Uses

Penicillin and its derivatives are widely used in the medical field to treat various bacterial infections. They are effective against various bacteria, including Gram-positive and some Gram-negative species. Penicillin is commonly used to treat respiratory tract infections, such as pneumonia, bronchitis, and strep throat caused by susceptible bacteria. Penicillin is effective against skin and soft tissue infections, including cellulitis, impetigo, and erysipelas caused by sensitive bacteria. Penicillin and its specific formulation depend on the type of infection, the susceptibility of the bacteria, and individual patient factors. In some cases, alternative antibiotics may be used due to factors such as antibiotic resistance or allergies to penicillin.

Side Effects and Toxicity

Allergic reactions to penicillin are the most significant concern. Some individuals may develop mild allergic reactions, such as skin rashes or itching. Some people may experience more severe allergic reactions, including hives, swelling, difficulty breathing, or anaphylaxis, which is an intense, life-threatening allergic reaction. Allergic reactions to penicillin can range from mild to severe, and it is crucial to seek immediate medical attention if any signs of a severe reaction occur. Like other antibiotics, penicillin can disrupt the average balance of bacteria in the body, leading to the overgrowth of opportunistic bacteria or fungi. This can result in secondary infections, such as oral or vaginal yeast infections or antibiotic-associated diarrhea (such as Clostridium difficile infection).

Contraindication and Precaution

Penicillin is generally safe and well-tolerated, but there are certain contraindications and precautions to consider before using penicillin or its derivatives. Penicillin should not be used in individuals with a known allergy to penicillin or other beta-lactam antibiotics, as it can lead to potentially severe allergic reactions. It's important to inform your healthcare provider about any known allergies before starting penicillin treatment.

Individuals who have experienced severe allergic reactions to penicillin, such as anaphylaxis, should avoid penicillin and other beta-lactam antibiotics altogether. Alternative antibiotics should be used in these cases. Dosage adjustments may be necessary for individuals with impaired kidney function, as penicillin is eliminated primarily through the kidneys. Failure to adjust the dosage appropriately in such cases can increase the risk of penicillin toxicity.

Penicillin may interact with other medications, including oral contraceptives, anticoagulants (blood thinners), and medications used to treat gout. It's important to inform your healthcare provider about your medicines to avoid potential interactions.

Dose Recommendation

Dosage recommendations for commonly used forms of penicillin:

Penicillin V: For adults and children over 12 years old: The usual oral dosage is 250-500 mg every 6-8 hours.

For children under 12 years old: The dosage is weight-based and determined by the healthcare provider.

Penicillin G: For adults and children: The dosage and route of administration can vary depending on the specific form of Penicillin G (e.g., benzathine, procaine, sodium) and the type and severity of the infection. It is typically

administered intravenously or intramuscularly. The dosage is determined by the healthcare provider.

Amoxicillin: Dosage can vary depending on the specific formulation (e.g., immediate-release, extended-release) and the treated condition.

For adults and children: The usual oral dosage ranges from 250 mg to 500 mg every 8 hours or 500 mg to 875 mg every 12 hours, depending on the severity of the infection.

Preparation Available in India

BENZYL PENICILLIN INJ (Penicillin G sod 500000 unit) company: ALEMBIC

BISTREPEN INJ vial five doses, company : ALEMBIC

F.P.P. inj vial 1 dose, company : NICHOLAS

HULEIN inj, vial, company : Jolly Healthcare

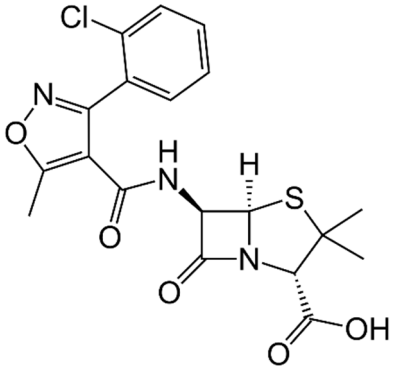
PENCOM inj, five vial, company : ALEMBIC

PENDURE inj, vial company : WYETH

4. Cloxacillin

Cloxacillin is a narrow-spectrum antibiotic belonging to the penicillin class of drugs. It is primarily used to treat bacterial infections caused by susceptible strains of bacteria, specifically gram-positive organisms.

Structure and Physical Property



M.W. 434.9 g/mol. **White crystalline powder is soluble in alcohol and slightly soluble in chloroform.**

Pharmacology and Mode of Action

Cloxacillin is a narrow-spectrum antibiotic that belongs to the class of drugs known as penicillins. It acts by inhibiting the synthesis of bacterial cell walls, which are essential for the survival and integrity of bacteria. The mode of action of cloxacillin is similar to other penicillin antibiotics. Cloxacillin exerts its antibacterial effects by binding to specific proteins called penicillin-binding proteins (PBPs) on the bacterial cell wall. PBPs are responsible for the cross-linking of peptidoglycan chains, a crucial step in the formation of the bacterial cell wall. By binding to PBPs, cloxacillin interferes with this process and disrupts cell wall construction. The bacteria become susceptible to osmotic pressure and unable to maintain their structural integrity. This leads to the bacterial cell's weakening and eventual lysis (rupture). The bactericidal action of cloxacillin is particularly effective against certain Gram-positive bacteria, including Staphylococcus aureus and Streptococcus pyogenes. Cloxacillin is resistant to the movement of the enzyme penicillinase, which is produced by certain bacteria to inactivate penicillins. This resistance allows cloxacillin to remain effective against penicillinase-producing bacteria.

Pharmacokinetic

Cloxacillin is administered orally or through parenteral routes, such as intravenous (IV) or intramuscular (IM) injection. When taken orally, cloxacillin is rapidly and well absorbed from the gastrointestinal tract. Food can slightly delay the absorption but does not significantly affect the overall extent of absorption. Cloxacillin is also available in parenteral formulations, which provide immediate and complete bioavailability. Cloxacillin has good tissue penetration and can be distributed widely throughout the body. It can cross the placenta and enter breast milk. The drug achieves therapeutic concentrations in various tissues, including the skin, soft tissues, respiratory tract, and bone. Its penetration into the cerebrospinal fluid (CSF) is limited, unless the meninges are inflamed. Cloxacillin has high protein binding, primarily to plasma proteins such as albumin. Approximately 95% of the drug is bound to proteins, which can influence its distribution and elimination. Cloxacillin is minimally metabolized in the liver. The majority of the drug is eliminated unchanged in the urine. The elimination half-life of cloxacillin is relatively short, ranging from 0.5 to 1 hour in individuals with normal kidney function. This short half-life necessitates frequent dosing intervals to maintain therapeutic concentrations. The kidneys primarily eliminate Cloxacillin through glomerular filtration and active tubular secretion.

Medical Uses

Cloxacillin is commonly prescribed for treating skin and soft tissue infections caused by susceptible bacteria, including cellulitis, abscesses, wound

infections, and impetigo. It can treat respiratory tract infections caused by susceptible organisms, including pneumonia, bronchitis, and tonsillitis. Cloxacillin, often in combination with other antibiotics, can be used to treat endocarditis (infection of the heart valves) caused by susceptible bacteria.

Side Effects and Toxicity

Cloxacillin can rarely cause kidney damage, particularly in individuals with pre-existing renal impairment or when used in high doses. Cloxacillin can occasionally lead to hematologic side effects, such as leukopenia (reduced white blood cell count), neutropenia (reduced neutrophil count), and thrombocytopenia (decreased platelet count).

Contraindication and Precaution

Cloxacillin is contraindicated in individuals with a known hypersensitivity or allergy to penicillin or beta-lactam antibiotics. This includes individuals with a history of severe allergic reactions such as anaphylaxis, hives, or angioedema. Cloxacillin should not be used in individuals who have experienced an immediate or severe hypersensitivity reaction to cephalosporins, as there may be a risk of cross-reactivity between penicillins and cephalosporins. Cloxacillin is primarily eliminated by the kidneys. Therefore, caution is advised when using cloxacillin in individuals with impaired renal function. Dosage adjustments may be necessary to prevent drug accumulation and potential toxicity.

Dose recommendation

The appropriate dose of cloxacillin can vary depending on the specific infection being treated, the severity of the condition, the patient's age and weight, renal function, and other individual factors.

Adults:

Oral: The usual adult dose ranges from 250 mg to 500 mg every 6 hours, or as the healthcare provider prescribes.

Intravenous (IV)/Intramuscular (IM): The typical adult dose ranges from 500 mg to 2 grams every 6 hours, depending on the severity of the infection.

Pediatrics: The pediatric dose is based on the child's body weight or body surface area and is usually calculated using a weight-based formula. The exact dosing should be determined by a healthcare professional based on the child's age and weight and the specific infection being treated.

Cloxacillin dosage adjustments may be required in individuals with impaired **renal function**. The dosing interval may need to be extended, and the total daily dose reduced, based on the degree of renal impairment.

Preparation available in

India Amolac Plus – Alicon

Orbenin 500 Cap 500mg Capsule

Cloxacillin Sodium Sterile Inj 250mg/vial

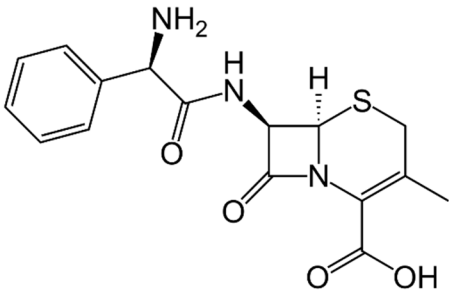
Class – Cephalosporin

1st generation

5. Cephalexin

Cephalexin is a broad-spectrum antibiotic that belongs to the class of medications known as cephalosporins. It is commonly prescribed to treat various bacterial infections. Cephalexin is available in oral capsule and liquid forms, and it works by inhibiting the growth of bacteria. Cephalexin is effective against a wide range of Gram-positive and some Gram-negative bacteria. It is particularly effective against common pathogens such as Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, and Escherichia coli.

Structure and Physical Property



M.W. 347.4 g/mol. White to off white crystalline powder slightly soluble in water.

Pharmacology and Mode of Action

The mechanism of action of cephalexin is similar to other cephalosporin antibiotics. It works by interfering with the synthesis of the bacterial cell wall. Bacterial cell walls are essential for their survival and integrity. Cephalexin binds to specific proteins called penicillin-binding proteins (PBPs) located on the bacterial cell wall. This binding inhibits the cross-linking of peptidoglycan chains, which are necessary for the structural integrity of the

cell wall. The bacterial cell wall becomes weak and susceptible to rupture, leading to bacterial cell death.

Pharmacokinetic

Cephalexin is well absorbed from the gastrointestinal tract after oral administration. Food does not significantly affect its absorption, so it can be taken with or without meals. Peak concentrations in the blood (Cmax) are generally reached within 1 to 1.5 hours after oral dosing. Cephalexin has good tissue penetration, and therapeutic concentrations are achieved in various body tissues and fluids. It can penetrate the respiratory tract, skin, soft tissues, and urine well. Cephalexin crosses the placenta and is excreted into breast milk, so caution should be exercised when administering the drug to pregnant or nursing individuals. Approximately 10% to 15% of cephalexin is bound to plasma proteins, primarily albumin. Cephalexin undergoes minimal metabolism in the liver. The majority of the drug is excreted unchanged in the urine. Cephalexin has an elimination half-life of approximately 0.5 to 1.2 hours in individuals with normal kidney function. The kidneys primarily eliminate it through glomerular filtration and tubular secretion. In individuals with impaired renal function, the elimination half-life may be prolonged, requiring dose adjustments to prevent drug accumulation.

Medical Use

Cephalexin is commonly prescribed for various infections, including respiratory tract infections (such as pneumonia, bronchitis, and tonsillitis), skin and soft tissue infections (such as cellulitis and impetigo), urinary tract infections (such as cystitis and pyelonephritis), bone and joint infections, and certain types of otitis media (middle ear infections).

Side Effects and Toxicity

Cephalexin is a commonly prescribed antibiotic in the class of medications known as cephalosporins allergic reactions to cephalexin, can range from mild to severe. Symptoms may include hives, itching, swelling (particularly of the face, lips, tongue, or throat), difficulty breathing, or wheezing. Severe allergic reactions can be life-threatening and require immediate medical attention. Cephalexin can disrupt the average balance of bacteria in the digestive system, leading to an overgrowth of certain bacteria, such as Clostridium difficile (C. difficile)—antibiotic-associated diarrhea, which may range from mild to severe.

Contraindication and Precaution

Cephalexin may interact with certain medications, such as oral contraceptives or blood-thinning drugs like warfarin. To avoid potential interactions, you must inform your healthcare provider about all your medications,

supplements, and herbal products. Cephalexin may rarely affect platelet function, which can increase the risk of bleeding in individuals with certain blood clotting disorders.

Dose recommendation

Adults:

For most infections: The usual adult dose of cephalexin ranges from 250 mg to 1,000 mg, taken orally every 6 to 12 hours. The specific dose and frequency will depend on the severity of the infection.

For uncomplicated urinary tract infections: A common dose is 250 mg taken orally every 6 hours.

For skin and soft tissue infections: The dose may range from 250 mg to 500 mg taken orally every 6 hours.

Children: The recommended pediatric dose of cephalexin varies based on the child's weight and the severity of the infection. Use the appropriate pediatric formulation (e.g., suspension) for accurate dosing.

Preparation available in India

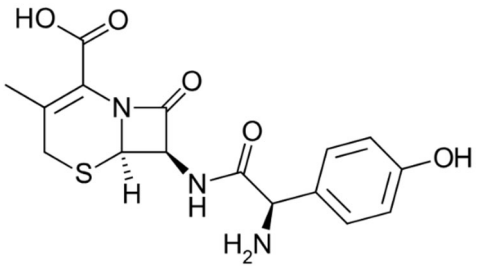
Cephalexin 250 mg Tablet. (Zydus Cadila).

Cephalexin oral suspension Ip 125 mg by (Medipol Pharmaceutical India Pvt . Ltd).

6. Cefadroxil

Cefadroxil is commonly used to treat infections caused by susceptible bacteria. It is effective against a wide range of organisms, including those causing skin and soft tissue infections, urinary tract infections, respiratory tract infections, and certain types of sexually transmitted infections.

Structure and Physical Property



M.W. 363.4 g/mol. Solid

Pharmacology and Mode of Action

Cefadroxil is a broad-spectrum antibiotic in the class of drugs known as cephalosporins. It treats various bacterial infections in different body parts, including respiratory tract infections, skin and soft tissue infections, urinary tract infections, and other susceptible infections. The mode of action of cefadroxil is similar to other cephalosporins. It exerts its antibacterial effect by inhibiting the synthesis of the bacterial cell wall, which is essential for the integrity and survival of the bacteria. Cefadroxil binds to specific proteins called penicillin-binding proteins (PBPs) located on the bacterial cell wall. These PBPs are involved in the final stages of bacterial cell wall synthesis. By binding to PBPs, cefadroxil interferes with the transpeptidation and transglycosylation reactions, which are necessary for cross-linking peptidoglycan chains. This disruption weakens the bacterial cell wall, leading to cell lysis and death. Cefadroxil primarily targets Gram-positive bacteria but exhibits activity against some Gram-negative bacteria. Cefadroxil is administered orally and is rapidly absorbed from the gastrointestinal tract. It reaches therapeutic concentrations in various tissues and body fluids, including the respiratory tract, skin, urine, and middle ear. The drug is excreted primarily via the kidneys through glomerular filtration and tubular secretion.

Pharmacokinetic

Cefadroxil is well absorbed after oral administration. It is stable in the stomach's acidic environment and is rapidly and extensively absorbed from the gastrointestinal tract. The absorption is not significantly affected by food, although it may slightly delay the absorption rate. After absorption, cefadroxil is widely distributed throughout the body tissues and fluids. Therapeutic concentrations are achieved in the respiratory tract, skin, urine, middle ear, and other tissues. Cefadroxil crosses the placental barrier; low concentrations have been found in breast milk. Cefadroxil is minimally metabolized in the body. The majority of the drug remains unchanged. There are no major active metabolites of cefadroxil. The primary route of elimination for cefadroxil is through the kidneys. It is excreted mainly unchanged in the urine by glomerular filtration and tubular secretion. Approximately 90% of the administered dose is excreted in the urine within 24 hours. The elimination half-life of cefadroxil is around 1.5 to 2 hours in individuals with normal kidney function.

Medical Use

Cefadroxil is effective against skin and soft tissue infections, including cellulitis, impetigo, wound infections, and folliculitis. Cefadroxil is

commonly used to treat uncomplicated urinary tract infections (UTIs), including cystitis (bladder infection) and pyelonephritis (kidney infection).

Side Effects and Toxicity

Like other antibiotics, Cefadroxil can potentially cause side effects and exhibit specific toxicities. The most common side effects are gastrointestinal and may include nausea, vomiting, diarrhea, abdominal pain, and indigestion.

Contraindication and Precaution

Cefadroxil should not be used in individuals with a known hypersensitivity or allergy to cefadroxil or other cephalosporin antibiotics. Allergic reactions can range from mild rashes to severe anaphylaxis, a life-threatening allergic response. Cefadroxil is primarily eliminated from the body through the kidneys. Therefore, caution should be exercised when prescribing cefadroxil to patients with impaired renal (kidney) function. Dose adjustments may be necessary to avoid the accumulation of the drug, which can potentially lead to toxicity.

Dose Recommendation

For adults and children over 12 years of age:

Skin and Soft Tissue Infections: The usual adult dose is 1 to 2 grams daily, divided into two doses. Severe infections may require higher doses.

Upper Respiratory Tract Infections (such as tonsillitis, pharyngitis): The usual adult dose is 1 gram daily, divided into two doses.

Urinary Tract Infections: The usual adult dose is 1 to 2 grams per day, divided into two doses.

For children (ages one month to 12 years): The dosages for children are typically based on body weight. The recommended dose range is 25 to 50 mg/kg daily, divided into two doses.

Preparation Available in India

Acer 250 mg Tablet. (Mefro Pharmaceuticals Pvt Ltd)

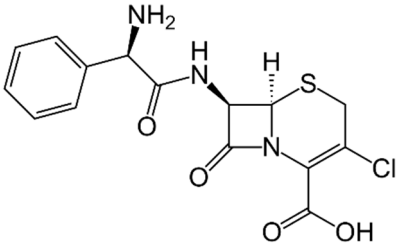
Acidox 250 mg Tablet (Acichem Laboratories)

2nd Generation

7. Cefaclor

Cefaclor is an antibiotic medication that belongs to the cephalosporin class. Cefaclor works by inhibiting the growth of bacteria, helping the body's immune system eliminate the infection.

Structure and Physical Property



M.W. 367.8 g/mol. Solid

Pharmacology and Mode of Action

Cefaclor is a second-generation cephalosporin antibiotic commonly used to treat various bacterial infections. It exhibits bactericidal activity, killing bacteria rather than just inhibiting their growth. The mode of action of cefaclor is similar to other cephalosporins. It works by interfering with the synthesis of bacterial cell walls, destroying the bacterial cells. Bacterial cell walls are crucial for maintaining the structural integrity of the bacteria. They consist of a complex network of peptidoglycan, which provides strength and rigidity to the cell wall. Cefaclor inhibits the final step in the synthesis of peptidoglycan by binding to specific proteins called penicillin-binding proteins (PBPs) present in the bacterial cell wall. PBPs cross-link the peptidoglycan strands, forming a stable cell wall structure. By binding to PBPs, cefaclor prevents cross-linking and weakens the cell wall, making it more susceptible to damage.

Pharmacokinetic

Cefaclor is administered orally and is well-absorbed from the gastrointestinal tract. Cefaclor is rapidly and efficiently absorbed from the gastrointestinal tract after oral administration. The presence of food may slightly delay the absorption, but it does not significantly affect the overall extent of absorption. Cefaclor is distributed widely throughout the body tissues and fluids. It can penetrate well into various tissues, including the respiratory tract, skin, middle ear, and genitourinary tract. Therapeutic concentrations are achieved in many body fluids, such as sputum, urine, and bile. Cefaclor also crosses the placenta and can be found in breast milk. Cefaclor is minimally metabolized in the body. The majority of the drug remains unchanged. It is primarily eliminated from the body via renal excretion. Approximately 60-85% of an oral dose is excreted unchanged in the urine within 8 hours of administration. A small portion of cefaclor is also excreted in the feces. The elimination half-life of cefaclor is typically around 0.6-0.9 hours in individuals with normal kidney function.

Medical Use

Cefaclor is effective against middle ear infections, known as otitis media, particularly in children. It helps to eradicate the bacteria causing the infection. Cefaclor may be prescribed for other diseases caused by susceptible bacteria, such as certain types of pneumonia, bone and joint infections, and genital infections.

Side Effects and Toxicity

Cefactor can cause side effects and has the potential for toxicity. These can include nausea, vomiting, diarrhea, abdominal pain, and indigestion. These symptoms are usually mild and transient. Skin rash, itching, hives, and rarely, severe allergic reactions such as Stevens-Johnson syndrome or toxic epidermal necrolysis may occur. If any skin reactions occur, it is essential to seek medical attention immediately. Cefaclor can disrupt the natural balance of microorganisms in the body, potentially leading to the overgrowth of Candida, a type of yeast. This can result in oral thrush (white patches in the mouth) or vaginal yeast infections.

Contraindication and Precaution

Cefaclor should not be used in individuals with known hypersensitivity or allergy to cephalosporin antibiotics or any of the components of the formulation. Severe allergic reactions can occur, including anaphylaxis, which requires immediate medical attention. Cefaclor, like other antibiotics, may lead to antibiotic-associated colitis (colon inflammation). If severe diarrhea or abdominal pain occurs during or after treatment with cefaclor, it may indicate the development of this condition, and immediate medical attention is necessary.

Dose Recommendation

Adults: Respiratory Tract Infections: The usual dose is 250-500 mg every 8 hours.

Urinary Tract Infections: The usual dose is 250 mg every 8 hours.

Skin and Soft Tissue Infections: The usual dose is 250 mg every 8 hours.

Gonorrhea: A single dose of 3 grams (3000 mg) may be given.

Children (1 month to 12 years of age): The dose is typically based on body weight and divided into three to four equal doses throughout the day.

The usual recommended dose ranges from 20-40 mg/kg/day, depending on the severity of the infection. The maximum daily dose should not exceed 1 gram.

Preparation available in India

Keflor cap (Cefaclor 250mg) Ranbaxy

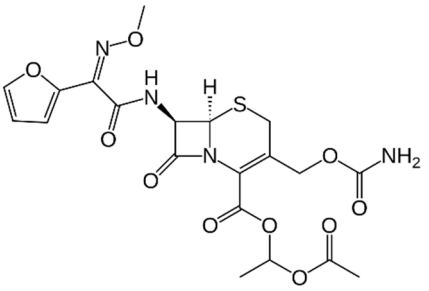
Halocef p drop (Cefaclor 50mg/ml) Otsira (genetica)

Keflor distab (Cefaclor 125mg) Ranbaxy

8. Cefeuroxime Axetil

Cefuroxime axetil is effective against a wide range of gram-positive and gram-negative bacteria, including common pathogens such as Streptococcus pneumoniae, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Klebsiella pneumoniae, and others. It works by inhibiting bacterial cell wall synthesis, similar to other cephalosporin antibiotics.

Structure and Physical Property



M.W. 510 g/mol. White crystalline powder soluble in water.

Pharmacology and Mode of Action

Cefuroxime axetil inhibits the synthesis of bacterial cell walls, which are essential for the structural integrity and protection of bacteria. It achieves this by binding to specific proteins called penicillin-binding proteins (PBPs) present in the bacterial cell wall. By binding to PBPs, cefuroxime interferes with the cross-linking of peptidoglycan, a cell wall component. This results in the weakening of the bacterial cell wall, impairing its ability to withstand osmotic pressure. Ultimately, the bacterial cells rupture and die, leading to the eradication of the infection. Cefuroxime axetil exhibits broad-spectrum activity against both gram-positive and gram-negative bacteria, including Streptococcus pneumoniae, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Klebsiella pneumoniae, and others. It may not be effective against bacteria that produce extended-spectrum beta-lactamases (ESBLs) or other types of beta-lactamase enzymes that can break down cephalosporins.

Pharmacokinetic

Cefuroxime axetil is rapidly absorbed from the gastrointestinal tract after oral administration. It undergoes extensive conversion to the active form, cefuroxime, in the body Cefuroxime distributes widely into various tissues and body fluids, including the respiratory tract, skin, urine, and middle ear. Therapeutic concentrations are achieved in these sites. It is rapidly hydrolyzed to cefuroxime by esterases in the intestinal mucosa and blood. Cefuroxime is primarily eliminated unchanged by the kidneys through both glomerular filtration and tubular secretion. The elimination half-life of cefuroxime axetil is around 1-1.5 hours in individuals with normal kidney function.

Medical Use

Cefuroxime axetil is one of the recommended antibiotics for the treatment of early-stage Lyme disease, particularly when the disease involves the skin (erythema migrans) or affects the nervous system.

Side Effects and Toxicity

The most common side effects include diarrhea, nausea, vomiting, abdominal pain, and indigestion. These symptoms are usually mild and resolve on their own. Taking the medication with food can help reduce gastrointestinal discomfort. Antibiotics can disrupt the normal balance of bacteria in the body, potentially leading to overgrowth of yeast. This can result in vaginal yeast infections or oral thrush (a fungal infection of the mouth).

Contraindication and Precaution

Cefuroxime axetil should not be taken by individuals who have a known hypersensitivity or allergy to cefuroxime, other cephalosporin antibiotics, or any of the components of the medication. Allergic reactions can range from mild rashes to severe and life-threatening reactions.

Dose Recommendation

Adults: The usual recommended dosage for adults is 250 to 500 milligrams (mg) of cefuroxime axetil taken orally twice daily. In some cases, higher doses may be prescribed for more severe infections.

Children: The dosage for children is typically based on their body weight. The recommended dose is 10 mg to 15 mg per kilogram of body weight, divided into two doses taken orally every 12 hours. The total daily dose should not exceed 1,000 mg.

Preparation available in India

Ceroxitum- CV (Cefuroxime 500mg + Clavulanic Acid 125mg) Intas Pharmaceutical Ltd.

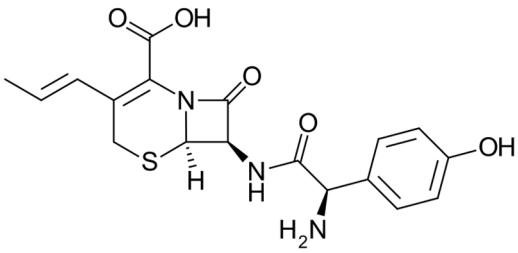
Covatil –CV (Cefuroxime Axetil 500mg + Clavulanic Acid 125mg) Macleods Pharmaceuticals Ltd.

Oratil- CV (Cefuroxime Axetil 125mg + Clavulanic Acid 62.5mg) Macleods Pharmaceuticals Ltd.

9. Cefprozil

Cefprozil is a cephalosporin antibiotic used to treat various bacterial infections. It belongs to the second generation of cephalosporins and is available in oral form. Cefprozil is effective against a wide range of bacteria, including those responsible for respiratory tract infections, skin and soft tissue infections, and urinary tract infections.

Structure and Physical Property



M.W. 389.4 g/mol.

Pharmacology and Mode of Action

Cefprozil belongs to the cephalosporin class of antibiotics, which are beta-lactam agents. It acts by inhibiting the synthesis of bacterial cell walls, which are essential for bacteria's structural integrity and survival. Cefprozil achieves this by binding to penicillin-binding proteins (PBPs), which are enzymes involved in cell wall synthesis. By binding to PBPs, cefprozil disrupts the formation of peptidoglycan, a crucial component of bacterial cell walls. This interference weakens and damages the cell wall, eventually leading to bacterial cell death.

Cefprozil has a broad spectrum of activity, meaning it is effective against a wide range of bacteria. It exhibits activity against both Gram-positive and Gram-negative bacteria. Some examples of bacteria susceptible to cefprozil include Streptococcus pneumoniae, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, and Klebsiella species.

Pharmacokinetic

After oral administration, cefprozil is rapidly absorbed from the gastrointestinal tract. Food may slightly delay the rate and extent of absorption, but it does not significantly affect the overall bioavailability. The drug reaches peak plasma concentrations within 2 to 3 hours after ingestion. Cefprozil is widely distributed throughout the body tissues and fluids. It has good penetration into respiratory tissues, such as bronchial secretions, sinus fluids, and lung tissue. The drug also crosses the placenta and is excreted into breast milk. Cefprozil undergoes minimal metabolism in the liver. Approximately 80-90% of the drug is eliminated unchanged in the urine via renal excretion. A small portion of the drug is also excreted in the faeces. The elimination half-life of cefprozil is approximately 1.5 to 2 hours in adults, but it may be slightly longer in children.

Medical Use

Cefprozil can be used to treat uncomplicated urinary tract infections caused by susceptible bacteria. It helps to eradicate the bacteria responsible for the infection in the urinary system. Cefprozil effectively treats bacterial infections of the skin and soft tissues, including cellulitis (skin infection), impetigo (bacterial skin infection), and other localized infections.

Side Effects and Toxicity

The most common side effects are gastrointestinal and may include diarrhea, nausea, vomiting, abdominal pain, and indigestion. Although rare, allergic reactions to cefprozil can occur. Signs of an allergic reaction may include rash, itching, swelling (particularly of the face, lips, or tongue), severe dizziness, or difficulty breathing. Allergic reactions can be severe and require immediate medical attention. Cefprozil can rarely cause skin reactions such as hives, itching, or a skin rash. In rare cases, it may lead to severe skin reactions like Stevens-Johnson syndrome or toxic epidermal necrolysis.

Contraindication and Precaution

Cefprozil should not be used in individuals with a known hypersensitivity or allergy to cephalosporin antibiotics or any component of the medication. Cefprozil can potentially cause allergic reactions, ranging from mild skin rashes to severe hypersensitivity reactions. Individuals with a history of allergies, especially to cephalosporins or penicillins, should be closely monitored during treatment with cefprozil . Probenecid, a medication used to treat gout, can interfere with the renal excretion of cefprozil, leading to increased blood levels. Dosage adjustments may be necessary when cefprozil is used concurrently with probenecid.

Dose Recommendation

Adults: For most infections, the typical recommended dosage for adults is 250 to 500 milligrams (mg) of cefprozil taken orally every 12 hours. The duration of treatment can vary depending on the infection being treated. In some cases, higher doses may be prescribed for more severe infections.

Children: For pediatric patients, the dosage of cefprozil is usually based on body weight. The typical recommended dose for children is 7.5 to 15 mg per kilogram of body weight, divided into two doses taken orally every 12 hours. The total daily dose should not exceed 1 gram. The duration of treatment will depend on the type and severity of the infection.

Preparation available in India

Procef (Cipla Ltd.)

Cefzil (FDC Ltd.)

Cefroz (Macleods Pharmaceuticals Ltd.)

Cepodem (Sun Pharmaceutical Industries Ltd.)

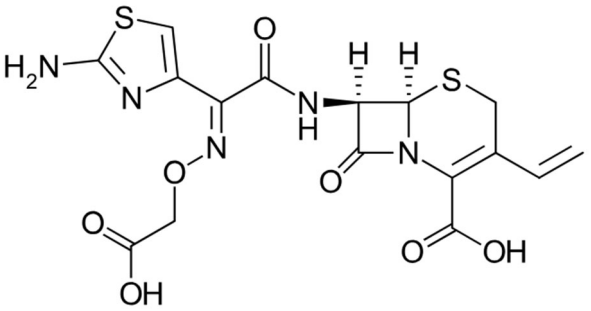
Cefizox (Wockhardt Ltd.)

3rd Generation Cephalosporin

10. Cefixime

Cefixime is an antibiotic medication used to treat various bacterial infections. It belongs to the class of antibiotics known as cephalosporins, specifically the third generation. Cephalosporins are effective against a wide range of bacteria.

Structure and Physical Property



M.W. 453.5 g/mol. Solid.

Pharmacology and Mode of Action

Cefixime works by interfering with the formation of the bacterial cell wall, leading to the destruction of the bacteria. It specifically targets and inhibits an enzyme called transpeptidase, essential for cross-linking bacterial cell wall components.

Cefixime exhibits broad-spectrum activity against both Gram-positive and Gram-negative bacteria. It is effective against many pathogens responsible for respiratory tract infections, such as Streptococcus pneumoniae, Haemophilus influenzae, and Moraxella catarrhalis. Additionally, it can target bacteria causing urinary tract infections, including Escherichia coli and Neisseria gonorrhoeae.

Pharmacokinetic

Cefixime is well-absorbed after oral administration, and its bioavailability is approximately 40-50%. It reaches peak plasma concentrations within 2-6 hours following ingestion. The drug is primarily eliminated through the kidneys via both glomerular filtration and tubular secretion. The elimination half-life of cefixime is approximately 3-4 hours in adults.

Medical Use

Cefixime is commonly prescribed for respiratory tract infections, such as acute bronchitis, community-acquired pneumonia, and exacerbations of chronic bronchitis. It is effective against common respiratory pathogens like Streptococcus pneumoniae, Haemophilus influenzae, and Moraxella catarrhalis. Cefixime is used to treat uncomplicated urinary tract infections caused by susceptible bacteria, including Escherichia coli. It can be an option for the treatment of cystitis (bladder infection) or asymptomatic bacteriuria.

Side Effects and Toxicity

Cefixime use may disrupt the normal gut flora, potentially leading to an overgrowth of the bacterium Clostridium difficile. This can cause diarrhea that can be severe and even life-threatening in some cases. Cefixime, like other antibiotics, can lead to the development of superinfections caused by bacteria or fungi that are resistant to the medication. This can result in new infections, such as oral thrush or vaginal yeast infections.

Contraindication and Precaution

Cefixime can cause diarrhea, and it should be used with caution in individuals with a history of gastrointestinal disease, particularly colitis. If severe diarrhea occurs during or after cefixime treatment, it is important to seek medical attention, as it could be a sign of a serious condition, including Clostridium difficile-associated diarrhea.

Dose Recommendation

Adult Dose: The typical adult dose is 200 mg once daily or 400 mg divided into two doses of 200 mg every 12 hours. The duration of treatment is usually seven days.

Preparation available in India

Taxim-O (Tablets and Oral Suspension)

Ceftas (Tablets and Oral Suspension)

Cefolac (Tablets and Oral Suspension)

Fixime (Tablets and Oral Suspension)

Cefixime (Tablets and Oral Suspension)

Mahacef (Tablets and Oral Suspension)

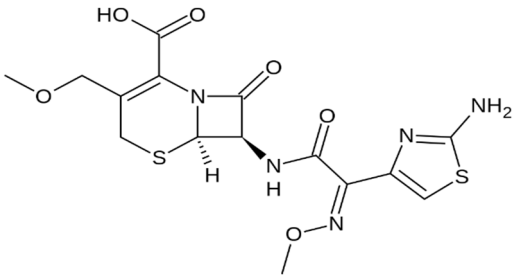
Ziprax (Tablets and Oral Suspension)

Suprax (Tablets)

11. Cefpodoxime Proxetil

Cefpodoxime proxetil is an antibiotic medication used to treat various bacterial infections. It belongs to a class of antibiotics known as cephalosporins, which are effective against many bacteria.

Structure and Physical Property



M.W. 557.6 g/mol.

Pharmacology and Mode of Action

Cefpodoxime proxetil is a prodrug that is rapidly and extensively hydrolyzed in the intestinal mucosa to its active form, cefpodoxime. Cefpodoxime belongs to the third-generation cephalosporin class of antibiotics and exhibits bactericidal activity against a wide range of Gram-positive and Gram-negative bacteria.

The mode of action of cefpodoxime involves interfering with the synthesis of bacterial cell walls. It binds to penicillin-binding proteins (PBPs), which are enzymes involved in the final steps of bacterial cell wall synthesis. By binding to PBPs, cefpodoxime inhibits the transpeptidation and transglycosylation reactions, ultimately disrupting the bacterial cell wall structure. The inhibition of cell wall synthesis weakens the bacteria, making them more susceptible to osmotic pressure and ultimately resulting in cell lysis and death. Cefpodoxime demonstrates a broad spectrum of activity against bacteria, including many Gram-positive pathogens like Streptococcus pneumoniae and Staphylococcus aureus, as well as Gram-negative bacteria such as Escherichia coli, Haemophilus influenzae, and Klebsiella species.

Pharmacokinetic

Cefpodoxime proxetil undergoes rapid and extensive hydrolysis in the intestinal mucosa to form its active metabolite, cefpodoxime. Cefpodoxime proxetil is administered orally and is well absorbed from the gastrointestinal tract after hydrolysis. The presence of food in the stomach enhances the absorption of the drug. Peak plasma concentrations of cefpodoxime are generally reached within 2 to 3 hours after oral administration. Cefpodoxime has good tissue penetration and distributes well into various body fluids and tissues, including the respiratory tract, urinary tract, skin, and soft tissues. It also crosses the blood-brain barrier to some extent, allowing for potential treatment of certain central nervous system infections. It exhibits moderate protein binding, with approximately 21% to 29% bound to plasma proteins. The extent of protein binding is relatively low compared to other cephalosporins. It is minimally metabolized in the liver. The prodrug, cefpodoxime proxetil, is rapidly converted to cefpodoxime, which is the active form responsible for its antibacterial activity. Cefpodoxime is primarily eliminated through the kidneys by renal excretion. Approximately 50% to 60% of the drug is excreted unchanged in the urine within 12 hours after oral administration. In healthy individuals, the elimination half-life of cefpodoxime is around 2 to 3 hours.

Medical Use

Cefpodoxime proxetil is prescribed for skin and soft tissue infections, such as cellulitis and impetigo. It can combat common pathogens like Streptococcus pyogenes and Staphylococcus aureus, including methicillin-susceptible strains.

Side Effects and Toxicity

Common side effects of cefpodoxime proxetil may include gastrointestinal symptoms like nausea, vomiting, diarrhea, and abdominal pain. Some individuals may experience allergic reactions, such as rash, itching, or swelling.

Contraindication and Precaution

Cefpodoxime proxetil is primarily excreted by the kidneys. In individuals with impaired renal function, the dosage of cefpodoxime proxetil may need to be adjusted to ensure appropriate drug levels and to prevent potential accumulation of the drug.

Dose Recommendation

Adult: Acute exacerbations of chronic bronchitis: 200 mg orally twice daily for 10 days.

Skin and Soft Tissue Infections:

Cellulitis: 200 mg orally twice daily for 10-14 days.

Impetigo: 100 mg orally twice daily for 5-7 days.

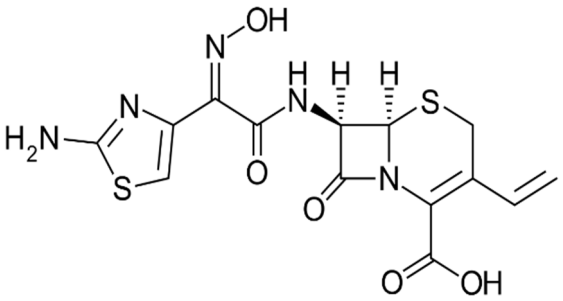
Preparation available in India

Cefolac (Tablets and Oral Suspension), Cefpo (Tablets and Oral Suspension), **Podoxi** (Tablets and Oral Suspension), Cepodem (Tablets), Zifi (Tablets) **Doxim** (Tablets)\, CPod (Tablets), Podox (Tablets), Poxibact (Tablets), **Vantin** (Tablets).

12. Cefdinir

Cefdinir is an antibiotic medication that belongs to the class of drugs known as cephalosporins. It is commonly prescribed to treat a variety of bacterial infections in different parts of the body. Cefdinir is effective against a broad spectrum of bacteria, including those that cause respiratory tract infections, skin and soft tissue infections, and certain types of sexually transmitted infections.

Structure and Physical Property



M.W. 395.4 g/mol.

Pharmacology and Mode of Action

The mode of action of cefdinir involves binding to specific proteins called penicillin-binding proteins (PBPs) located on the bacterial cell wall. These PBPs are involved in the cross-linking of peptidoglycan strands, which provides strength and rigidity to the bacterial cell wall. By binding to PBPs, cefdinir interferes with the transpeptidation and transglycosylation steps of peptidoglycan synthesis, inhibiting cell wall formation.

Pharmacokinetic

Cefdinir is rapidly and well absorbed after oral administration, with an absolute bioavailability of approximately 16%. Food does not significantly affect the extent of absorption, although it may slightly delay the time to reach maximum concentration (Tmax). It has a relatively high volume of distribution, indicating that it distributes well into body tissues and fluids. It has been reported to penetrate into respiratory tissues, skin, and middle ear effusions. The protein binding of cefdinir is approximately 60%, mainly to albumin. Cefdinir is minimally metabolized in the liver. The primary metabolic pathway involves the addition of a hydroxyl group to the methylthiotetrazole (MTT) side chain, forming the inactive metabolite M1. The metabolism of cefdinir is relatively minor, and the drug is primarily eliminated unchanged. It is primarily eliminated by renal excretion. Approximately 60-70% of a dose is excreted unchanged in the urine, with the remainder being excreted as the inactive metabolite M1. The elimination half-life of cefdinir is approximately 1.7-1.8 hours in adults with normal renal function.

Medical Use

Cefdinir should only be used to treat bacterial infections and is ineffective against viral infections such as the common cold or flu.

Side Effects and Toxicity

Cefdinir can rarely affect blood cells, leading to conditions such as eosinophilia (increased eosinophils), leukopenia (decreased white blood cells), neutropenia (decreased neutrophils), or thrombocytopenia (decreased platelets). These effects are generally reversible upon discontinuation of the medication.

Contraindication and Precaution

Cefdinir should not be used in patients with a known hypersensitivity or allergic reaction to cefdinir or other cephalosporin antibiotics. Allergic reactions to cefdinir can range from mild rashes to severe, life-threatening reactions like anaphylaxis.

Antibiotic use, including cefdinir, can disrupt the normal gut flora and increase the risk of Clostridium difficile infection. If severe diarrhea occurs during or after treatment with cefdinir, it could be a sign of this infection and requires medical attention. Cephalosporin antibiotics, including cefdinir, have been associated with an increased risk of seizures, particularly in individuals with a history of seizures or with compromised renal function.

Dose Recommendation

Adults: For respiratory tract infections (e.g., acute bacterial exacerbations of chronic bronchitis, community-acquired pneumonia): The typical adult dose is 300 mg taken orally every 12 hours or 600 mg taken orally once daily for 5 to 10 days.

For skin and soft tissue infections: The typical adult dose is 300 mg taken orally every 12 hours or 600 mg taken orally once daily for 10 days.

For acute sinusitis: The typical adult dose is 300 mg taken orally twice daily for 10 days.

Pediatric Patients: For otitis media (middle ear infection): The recommended dose for children aged 6 months to 12 years is 7 mg/kg taken orally once daily or divided into two doses (maximum dose of 600 mg per day) for 5 to 10 days.

For strep throat (group A streptococcal pharyngitis): The recommended dose for children aged 2 years and older is 7 mg/kg taken orally once daily or divided into two doses (maximum dose of 300 mg per day) for 10 days.

Preparation available in India

Kefnir cap Cefdinir 300mg Majesta (glenmark)

Kefnir susp Cefdinir 125mg/5ml Majesta (glenmark)

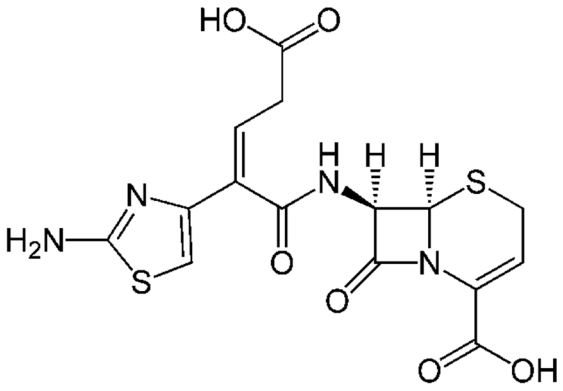
Maxicef-o cap Cefdinir 300mg Aristo

Maxicef-o dry syrup Cefdinir 125mg/5ml Aristo

13. Ceftibuten

Ceftibuten is an oral third-generation cephalosporin antibiotic that is used to treat various bacterial infections. It is a bactericidal antibiotic, which works by inhibiting the growth and killing bacteria.

Structure and Physical Property



M.W. 410.4 g/mol. Solid

Pharmacology and Mode of Action

Ceftibuten works by interfering with the synthesis of bacterial cell walls. It binds to and inhibits enzymes called penicillin-binding proteins involved in cell wall synthesis, leading to bacterial cell death.

Pharmacokinetic

Ceftibuten is well absorbed after oral administration, with an absolute bioavailability of approximately 60-65%. Food does not significantly affect the extent of absorption, although it may slightly delay the time to reach maximum concentration (Tmax). It has a relatively high volume of distribution, indicating that it distributes well into body tissues and fluids. It penetrates into various tissues, including respiratory tissues, tonsils, and middle ear effusions. The protein binding of ceftibuten is relatively low, around 60-70%. Ceftibuten undergoes minimal metabolism in the liver. The drug is primarily eliminated unchanged in the urine, with only a tiny portion (less than 10%) metabolized to inactive metabolites. It is mainly eliminated by renal excretion. Approximately 80-90% of a dose is excreted unchanged in the urine. The elimination half-life of ceftibuten is about 2-3 hours in adults with normal renal function.

Medical Use

Ceftibuten is primarily used to treat respiratory tract infections, including acute bronchitis, community-acquired pneumonia, and otitis media (middle ear infection) in both adults and children.

Contraindication and Precaution

Ceftibuten can cause diarrhea, and in rare cases, it may lead to a severe condition called pseudomembranous colitis, which is associated with the

overgrowth of Clostridium difficile bacteria. Individuals with a history of gastrointestinal diseases, particularly colitis, should be closely monitored while taking ceftibuten. The prolonged use of ceftibuten or any antibiotic can result in the growth of resistant bacteria or fungal infections. If a new infection develops during treatment, it is important to consult a healthcare professional.

Dose Recommendation

Adults: The usual recommended dose is 400 mg once daily for ten days.

Children: The dosage is determined based on the child's weight. The recommended dose is 9 mg/kg once daily, up to a maximum of 400 mg, for ten days.

Preparation available in India

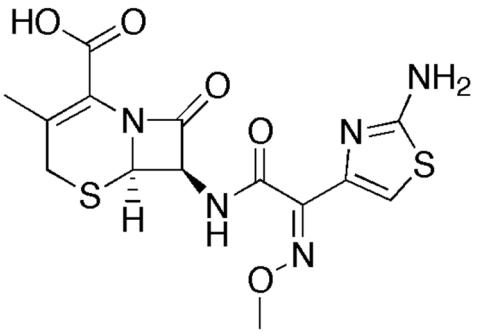
Tibucef (ceftibuten suspension)

Logibac (ceftibuten)

14. Ceftamet Pivoxil

Ceftamet pivoxil, also known as cefpodoxime proxetil, is an antibiotic medication used to treat various bacterial infections. It belongs to the class of drugs called cephalosporins, which are effective against many bacteria.

Structure and Physical Property



M.W. 548.0 g/mol.

Pharmacology and Mode of Action

Ceftamet pivoxil works by inhibiting the growth of bacteria in the body. It does this by interfering with the synthesis of the bacterial cell wall, weakening and eventually destroying the bacteria.

Pharmacokinetic

Ceftamet pivoxil is typically taken orally as a tablet or suspension. It is absorbed well in the gastrointestinal tract and is rapidly converted to its active form, cefpodoxime. It can be taken with or without food, but absorption may be slightly enhanced when taken with a meal. Cefpodoxime has a high bioavailability of approximately 50-65%. The presence of food in the stomach can increase its absorption. It distributes well into various tissues and body fluids, including the respiratory tract, urine, skin, and soft tissues. The elimination of cefpodoxime occurs primarily through renal excretion. Approximately 50-60% of an administered dose is excreted unchanged in the urine, making it suitable for treating urinary tract infections. The elimination half-life of cefpodoxime is around 2 hours in healthy individuals, but it may be prolonged in patients with impaired renal function.

Medical Use

Ceftamet pivoxil is a prodrug that rapidly converts to cefpodoxime, responsible for its antibacterial effects.

Side Effects and Toxicity

Ceftamet pivoxil is a prodrug that rapidly converts to cefpodoxime, which is responsible for its antibacterial effects.

Contraindication and Precaution

Ceftamet pivoxil should be used cautiously in individuals with a history of allergic reactions to cephalosporins or penicillins, as cross-reactivity can occur.

Dose recommendation

Adult Dose: The typical adult dosage is 200 mg twice daily for ten days.

Preparation available in India

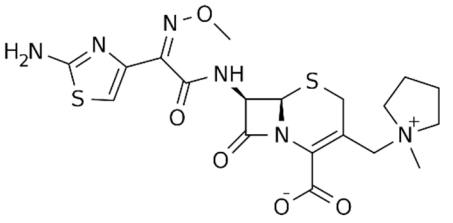
Ceftamet pivoxil 250mg tablet (The Taj Pharmaceuticals Limited)

4th Generation

15. Cefepime

Cefepime is a broad-spectrum antibiotic that belongs to the class of drugs known as fourth-generation cephalosporins.

Structure and Physical Property



M.W. 480.6 g/mol. Solid.

Pharmacology and Mode of Action

Cefepime works by inhibiting bacterial cell wall synthesis. It binds to specific penicillin-binding proteins (PBPs) in the bacterial cell wall, disrupting cell wall formation and eventual cell lysis.

Pharmacokinetic

Cefepime is available in intravenous (IV) and intramuscular (IM) formulations. When administered intravenously, cefepime achieves rapid and complete bioavailability, bypassing the gastrointestinal tract. After IM administration, cefepime is well-absorbed into the systemic circulation. Cefepime has a wide distribution throughout the body tissues and fluids. It can penetrate well into most tissues, including the lungs, skin, bone, and abdominal organs. The drug can also cross the blood-brain barrier, leading to therapeutic concentrations in the cerebrospinal fluid, making it helpful in treating central nervous system infections. It exhibits a moderate protein binding of approximately 20% to 25%. This protein binding is relatively low compared to other antibiotics, allowing for a more significant fraction of the drug to be active and available for antimicrobial effects. Cefepime is minimally metabolized in the liver. The majority of the administered dose is excreted unchanged in the urine. A small portion of cefepime is converted to its N-methylpyrrolidine (NMP) metabolite, which possesses minimal antimicrobial activity. The elimination of cefepime occurs primarily through renal excretion. Around 85% to 95% of the administered dose is excreted unchanged in the urine via glomerular filtration and active tubular secretion. The elimination half-life of cefepime in adults with normal renal function is approximately 2 hours.

Medical Use

Cefepime is frequently employed in hospital settings to treat infections that develop during a hospital stay or are acquired within healthcare facilities. It is effective against many pathogens commonly associated with these types of infections, including Gram-negative bacteria such as Escherichia coli,

Klebsiella pneumoniae, Pseudomonas aeruginosa, and Acinetobacter species. Cefepime is utilized as an empirical treatment in patients with febrile neutropenia, a condition characterized by fever and low white blood cell count, often seen in individuals undergoing chemotherapy or with compromised immune systems. It provides coverage against both Gram-negative and some Gram-positive pathogens.

Side Effect and Toxicity

Cefepime can cause side effects, which may include diarrhea, nausea, vomiting, headache, and rash. Serious side effects are rare, including allergic reactions, superinfections, and kidney problems.

Contraindication and Precaution

Cefepime should be used with caution in individuals with a history of allergic reactions to cephalosporins or penicillins, as cross-reactivity can occur. It is essential to inform your doctor about any existing medical conditions or medications you are taking to ensure the safe and effective use of this antibiotic.

Dose Recommendation

Adult dose: The recommended dosage is 0.5 to 1 g administered intravenously every 12 hours.

Preparation available in India

Biopime inj (Cefepime 1g) Biochem

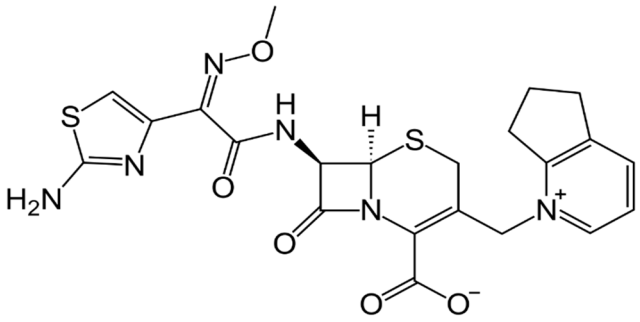
Blupime inj (Cefepime 1g) shilar pharma

Cefeson inj (Cefepime 1g) parkinson pharma

16. Cefpirome

Cefepirome is a fourth-generation cephalosporin antibiotic that is used for the treatment of various bacterial infections. It is structurally similar to other cephalosporin antibiotics but has a broader activity spectrum against Gram-negative and Gram-positive bacteria.

Structure and Physical Property



M.W. 514.6 g/mol.

Pharmacology and Mode of Action

Cefepirome exerts its bactericidal effects by inhibiting bacterial cell wall synthesis. It binds to specific penicillin-binding proteins (PBPs) located on the bacterial cell wall, interfering with the cross-linking of peptidoglycan chains. This disruption weakens the bacterial cell wall structure, leading to cell lysis and death. Cefepirome has a broad spectrum of activity against both Gram-negative and Gram-positive bacteria. It is particularly effective against resistant Gram-negative pathogens, including Pseudomonas aeruginosa, Enterobacter species, Klebsiella pneumoniae, Escherichia coli, and other extended-spectrum beta-lactamase (ESBL)-producing strains. It also demonstrates activity against certain Gram-positive bacteria such as Streptococcus pneumoniae and methicillin-sensitive Staphylococcus aureus.

Pharmacokinetic

Cefepirome is administered intravenously due to its poor oral bioavailability. After intravenous administration, it distributes well into various body tissues and fluids, including the respiratory tract, urine, skin, and soft tissues. It achieves therapeutic concentrations in the cerebrospinal fluid, allowing for potential use in treating central nervous system infections. Cefepirome is primarily eliminated unchanged in the urine through glomerular filtration and active tubular secretion. It has a relatively short elimination half-life of approximately 2 hours in individuals with normal renal function.

Medical Use

Cefepirome is used in the treatment of complicated intra-abdominal infections, such as peritonitis and abscesses, caused by susceptible bacteria. It provides coverage against a wide range of Gram-negative pathogens, including Pseudomonas aeruginosa, Escherichia coli, Klebsiella pneumoniae, and Enterobacter species. Cefepirome is considered for the treatment of nosocomial infections, which are infections acquired within a healthcare

facility. It is particularly effective against multidrug-resistant Gram-negative bacteria commonly associated with these infections.

Side Effect and Toxicity

Cefepirome can rarely cause allergic or hypersensitivity reactions. These reactions may range from mild skin rashes and itching to more severe reactions, including angioedema (swelling), bronchospasm (wheezing), and anaphylaxis (a painful and potentially life-threatening allergic reaction) . Cefepirome, like other cephalosporin antibiotics, may rarely cause hematologic abnormalities, including decreased white blood cell count, decreased platelet count, and hemolytic anaemia (a condition where red blood cells are destroyed).

Contraindication and Precaution

Cefepirome should not be administered to patients with a known hypersensitivity or allergy to cefepirome, other cephalosporins, or other beta-lactam antibiotics.

Dose Recommendation

Adults: The typical recommended dose for most indications is 1 to 2 grams of cefepirome administered intravenously every 12 hours. In severe infections, such as nosocomial pneumonia, doses of up to 2 grams every 8 hours may be considered.

Pediatric Patients: The dosing for pediatric patients is based on body weight. The typical recommended dose is 50 to 100 mg per kilogram of body weight administered intravenously every 8 or 12 hours.

Preparation available in India

Allard (injection) Ikon Remedies Pvt. Ltd.

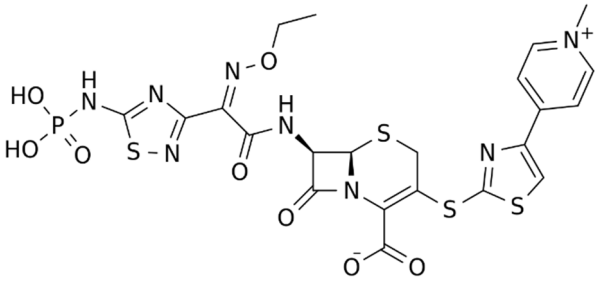
Bacirom (Injection) Aristo Pharmaceuticals Pvt. Ltd.

5th Generation

17. Ceftaroline Fosamil

Ceftaroline fosamil is an antibiotic medication belonging to the cephalosporin class. It is used for the treatment of certain bacterial infections.

Structure and Physical Property



M.W. 684.7 g/mol.

Pharmacology and Mode of Action

Ceftaroline fosamil exerts its antibacterial effects by inhibiting bacterial cell wall synthesis. It binds to penicillin-binding proteins (PBPs) on the bacterial cell wall, disrupting cell wall synthesis and ultimately causing cell death.

Pharmacokinetic

Ceftaroline fosamil is given by intravenous infusion and is not available in oral form. As an intravenous formulation, it bypasses the need for absorption and is rapidly available systemically. Ceftaroline has a moderate volume of distribution, indicating that it distributes well into various tissues and body fluids. It reaches therapeutic concentrations in skin, soft tissues, respiratory tract secretions, and some other body compartments.

Ceftaroline exhibits moderate protein binding to plasma proteins, with approximately 20% to 33% bound to plasma proteins, primarily to albumin. Ceftaroline is minimally metabolized in the body. The primary metabolic pathway involves enzymatic hydrolysis of the fosamil moiety to convert it into the active form of ceftaroline. The elimination of ceftaroline primarily occurs through renal excretion. Approximately 88% of the administered dose is excreted unchanged in the urine, indicating that renal function plays a significant role in determining the pharmacokinetics of ceftaroline. The elimination half-life of ceftaroline is around 2 to 3 hours in individuals with normal renal function.

Medical Use

Ceftaroline fosamil is primarily indicated for treating acute bacterial skin and skin structure infections (ABSSSI) caused by susceptible bacteria, including MRSA. It can also be used for the treatment of community-acquired pneumonia (CAP) caused by susceptible organisms. However, it is important to note that ceftaroline fosamil is not effective against infections caused by Pseudomonas aeruginosa or Enterococcus faecium.

Side Effects and Toxicity

Common side effects of ceftaroline fosamil may include diarrhea, nausea, headache, and rash. It may also cause hypersensitivity reactions, including severe allergic reactions. As with any antibiotic, there is a risk of antibiotic-associated diarrhea or Clostridium difficile infection.

Contraindication and Precaution

Ceftaroline fosamil can potentially cause severe allergic reactions, including anaphylaxis. It should be used cautiously in individuals with a history of hypersensitivity reactions to beta-lactam antibiotics. If a severe allergic reaction occurs, discontinuing ceftaroline fosamil and appropriate medical treatment should be initiated. Antibiotic use, including ceftaroline fosamil, may lead to an overgrowth of Clostridium difficile, causing antibiotic-associated diarrhea and potentially life-threatening pseudomembranous colitis. If diarrhea occurs during or after treatment, it is important to consider the possibility of a C. difficile infection and take appropriate measures.

Dose Recommendation

Adult dose: The recommended dosage for adults is 600 mg administered intravenously every 12 hours. The duration of treatment is typically 5 to 14 days, depending on the severity and response to therapy.

The recommended dosage is based on body weight for pediatric patients (age 2 months and older). The typical recommended dosage is 8 mg/kg (up to a maximum of 600 mg) administered intravenously every 8 hours for ABSSSI or every 12 hours for CAP.

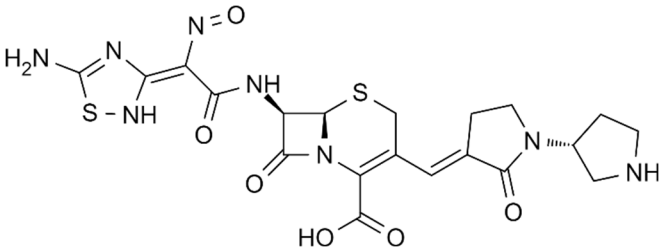
Preparation Available in India

Not available in India

18. Ceftobiprole Medocaril

Ceftobiprole medocaril is an antibiotic medication that belongs to the cephalosporin class. It is used for the treatment of various bacterial infections.

Structure and Physical Property



M.W. 712.6 g/mol.

Pharmacology and Mode of Action

Ceftobiprole medocaril works by inhibiting bacterial cell wall synthesis. It binds to penicillin-binding proteins (PBPs) on the bacterial cell wall, disrupting cell wall formation and ultimately causing bacterial cell death.

Pharmacokinetic

Ceftobiprole medocaril is administered intravenously and is rapidly converted to its active form, ceftobiprole, in the body. It achieves complete bioavailability upon intravenous administration. Ceftobiprole exhibits good penetration into various tissues and body fluids. It distributes well into the skin, soft tissues, respiratory tract secretions, and other body compartments. The volume of distribution is approximately 17 litres. It exhibits moderate protein binding to plasma proteins, primarily to albumin. The protein binding rate is around 20%. It is minimally metabolized in the body. The primary metabolic pathway involves enzymatic hydrolysis of the medocaril moiety to convert it into the active form of ceftobiprole. The elimination half-life of ceftobiprole is approximately 2 to 3 hours in individuals with normal renal function. Renal clearance plays a significant role in the elimination of ceftobiprole, as about 90% of the administered dose is excreted unchanged in the urine.

Medical Use

Ceftobiprole medocaril is primarily indicated for treating complicated skin and skin structure infections (cSSSI) caused by susceptible bacteria, including MRSA. It is also approved for treating community-acquired pneumonia (CAP), including cases associated with MRSA.

Side Effect and Toxicity

Common side effects of ceftobiprole medocaril may include diarrhea, headache, nausea, and injection site reactions. As with any antibiotic, there is a risk of antibiotic-associated diarrhea or Clostridium difficile infection. Hypersensitivity reactions, including severe allergic reactions, may occur but are rare.

Contraindication and Precaution

Ceftobiprole medocaril is contraindicated in individuals with known hypersensitivity to cephalosporins or any component of the medication. It should be used cautiously in patients with a history of hypersensitivity reactions to beta-lactam antibiotics.

Dose Recommendation

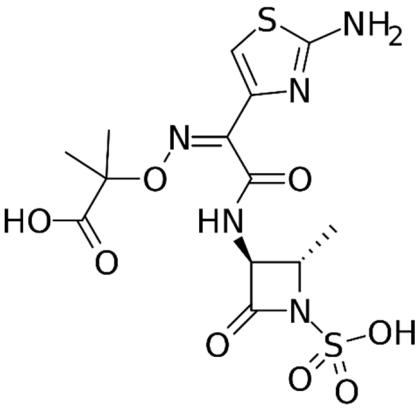
Adult: The recommended adult dosage is 500 mg administered intravenously every 12 hours. The duration of treatment is typically 5 to 14 days, depending on the severity and response to therapy.

Preparation available in India

Not available in India

Monobactams

19. Aztreonam



Aztreonam is a broad-spectrum antibiotic used to treat bacterial infections. It belongs to a class of antibiotics known as monobactams. Aztreonam is primarily effective against Gram-negative bacteria, including strains resistant to other antibiotics like penicillins, cephalosporins, and aminoglycosides. It is not effective against Gram-positive bacteria or anaerobic bacteria.

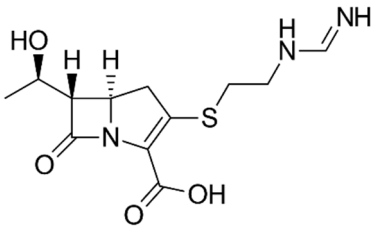
Aztreonam works by inhibiting the synthesis of bacterial cell walls, which are essential for the survival and growth of bacteria. It does this by binding to and inhibiting a specific enzyme called penicillin-binding protein 3 (PBP3), which is involved in the final steps of bacterial cell wall synthesis. By disrupting cell wall formation, Aztreonam weakens and ultimately destroys the bacteria.

This antibiotic is commonly used to treat various infections, including urinary tract infections, lower respiratory tract infections (such as pneumonia), skin and soft tissue infections, intra-abdominal infections, and gynaecological infections. It may also be used as a prophylactic treatment for specific surgical procedures to prevent infections.

Aztreonam is usually administered intravenously (IV) or by inhalation, as it is not well absorbed when taken orally. The dosage and duration of treatment depend on the specific infection being treated, the severity of the infection, and other individual factors. It is essential to follow the prescribed dosage and complete the entire course of treatment to eradicate the infection effectively. Like any medication, Aztreonam may cause side effects. Common side effects include diarrhoea, nausea, vomiting, headache, and local reactions at the injection site. Serious side effects are rare, including allergic reactions, liver problems, and blood disorders. It is important to inform your healthcare provider of any existing medical conditions or medications you are taking before starting Aztreonam.

Carbapenems

20. Imipenem



M.W. 299.35g/mol.

Imipenem is a broad-spectrum antibiotic used to treat severe bacterial infections. It belongs to the carbapenem class of antibiotics and is known for its effectiveness against a wide range of bacteria, including both Gram-positive and Gram-negative organisms.

Imipenem works by inhibiting the synthesis of bacterial cell walls, similar to other beta-lactam antibiotics. It achieves this by binding to and inactivating the enzymes called penicillin-binding proteins (PBPs), which are involved in forming the bacterial cell wall. By disrupting cell wall synthesis, imipenem weakens the bacteria, leading to cell death.

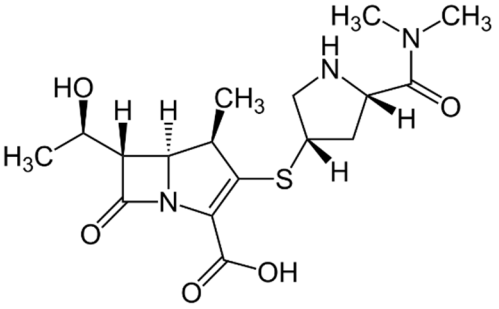
Imipenem is primarily used to treat severe infections such as complicated urinary tract infections, lower respiratory tract infections (including pneumonia), intra-abdominal infections, skin and soft tissue infections, bone and joint infections, and bloodstream infections (septicemia). It is often used in hospital settings for serious infections or in cases where other antibiotics may be ineffective.

Imipenem is typically administered intravenously (IV), but it can also be given in combination with a cilastatin, which is an inhibitor of the enzyme that breaks down imipenem in the kidneys. This combination helps to maintain higher levels of imipenem in the body by preventing its rapid elimination.

Imipenem may cause side effects. Common side effects include nausea, vomiting, diarrhea, headache, and rash. Rare but serious side effects, such as allergic reactions (including anaphylaxis), seizures, liver toxicity, and changes in blood cell counts, can occur. It would help if you informed your healthcare provider of any existing medical conditions or medications you are taking before starting imipenem.

Due to the potential for developing antibiotic resistance, imipenem should be used and reserved for severe infections when other antibiotics are not effective. It is essential to complete the entire course of treatment as prescribed by your healthcare provider to ensure effective eradication of the infection.

21. Meropenem



M.W. 383.5 g/mol

Meropenem is a broad-spectrum antibiotic that belongs to the carbapenem class. It is used to treat severe bacterial infections in various parts of the body. Meropenem is highly effective against a wide range of bacteria, including both Gram-positive and Gram-negative organisms.

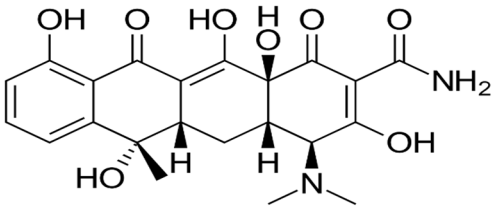
Like other carbapenem antibiotics, meropenem works by inhibiting the synthesis of bacterial cell walls. It achieves this by binding to and inactivating

Penicillin-Binding Proteins (PBPs), which are crucial for the construction of the bacterial cell wall. By disrupting cell wall synthesis, meropenem weakens the bacteria, ultimately leading to their death.

Meropenem is commonly used to treat complicated intra-abdominal infections, complicated skin and soft tissue infections, bacterial meningitis, lower respiratory tract infections (such as pneumonia), urinary tract infections, and septicemia (bloodstream infections). It is often administered in hospital settings, particularly for severe infections or cases where other antibiotics may not be effective.

Meropenem is typically given intravenously (IV) and is prescribed at fixed intervals throughout the day. The dosage and duration of treatment depend on the type and severity of the infection and individual factors such as kidney function. It is essential to follow the prescribed dosage and complete the entire course of treatment to ensure effective eradication of the infection.

22. Tetracycline



M.W. 444.4 g/mol. Solid yellow crystalline powder

Tetracycline is a broad-spectrum antibiotic that belongs to the class of tetracyclines. It was first discovered in the 1940s and has since become an essential medication in the treatment of various bacterial infections.

Pharmacology and Mode of Action

Tetracycline is a bacteriostatic antibiotic that exerts its pharmacological effects by interfering with bacterial protein synthesis. Its mode of action involves binding to the bacterial ribosome, specifically the 30S subunit, which plays a crucial role in protein synthesis. Tetracycline enters bacterial cells and binds reversibly to the 30S ribosomal subunit. It specifically binds to the ribosome's A-site (aminoacyl-tRNA site), which prevents the attachment of aminoacyl-tRNA molecules to the mRNA-ribosome complex. By binding to the ribosome, tetracycline inhibits the elongation phase of protein synthesis. It contains adding new amino acids to the growing peptide chain, ultimately interfering with the formation of functional bacterial proteins. Tetracycline also affects other ribosome-mediated processes, such

as blocking the release of incomplete peptides and interfering with ribosomal proofreading, which contributes to its bacteriostatic effects.

Pharmacokinetics

Tetracycline is well-absorbed orally, but its absorption can be significantly reduced by the presence of food, dairy products, or antacids containing aluminum, calcium, magnesium, or iron. Therefore, taking tetracycline on an empty stomach is generally recommended, usually 1-2 hours before or after meals. It distributes widely throughout the body, including into tissues and fluids. Elimination primarily occurs through the kidneys through glomerular filtration and active tubular secretion. The elimination half-life of tetracycline can range from 6 to 11 hours, depending on the individual and specific dosage used.

Medical Uses

Tetracycline is effective against a wide range of bacteria, including both Gram-positive and Gram-negative organisms. It is commonly used to treat respiratory tract infections, urinary tract infections, skin and soft tissue infections, sexually transmitted infections (such as chlamydia), and certain atypical infections like Lyme disease and rickettsial infections.

Side Effect and Toxicity

Tetracycline can cause several side effects, including nausea, vomiting, diarrhea, abdominal pain, and loss of appetite. It may also lead to photosensitivity, making the skin more sensitive to sunlight. Long-term use or high doses of tetracycline can result in tooth discoloration, particularly in children. Additionally, tetracycline is contraindicated in pregnancy and children under the age of eight due to its potential to harm developing teeth and bones.

Contraindication and Precaution

Tetracycline is not recommended for individuals with known hypersensitivity or allergies to tetracyclines. It should be used cautiously in patients with liver or kidney disease, as dosage adjustments may be necessary. Tetracycline should be avoided during pregnancy and breastfeeding due to the potential risk to the developing fetus or infant.

Dose Recommendation

Adults: 250-500 mg every 6 hours (or 500 mg every 12 hours).

Maximum daily dose: 4 g/day.

Pediatric: The dosage is usually calculated based on the child's weight, typically around 25-50 mg/kg/day divided into multiple doses.

Preparation Available in India

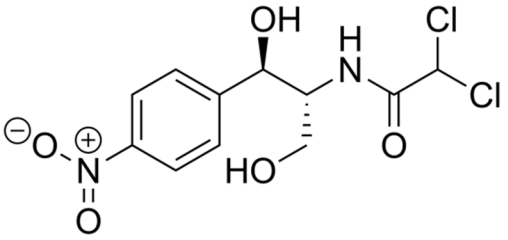
Tetracycline: Terramycin Capsules, Resteclin Capsules, Tetracycline Hydrochloride Capsules

Doxycycline: Doxicip Capsules, Doxy-1 Capsules/Tablets, Vibrox Capsules/Tablets

Minocycline: Minocin Capsules, Minolox Capsules, Minostad Capsules

Lymecycline: Tetralysal Capsules, Erispan CapsulesLymecycline Capsule

23. Chloramphenicol



M.W. 323.13 g/mol. Solid.

Chloramphenicol is an antibiotic that belongs to the class of drugs known as phenicols. It was first discovered in the 1940s and has been used for the treatment of various bacterial infections.

Pharmacology and Mode of Action

The mode of action of chloramphenicol involves its interaction with the bacterial ribosome, which is the cellular mechanism responsible for protein synthesis. Chloramphenicol binds reversibly to the 50S subunit of the bacterial ribosome, specifically to the peptidyl transferase center. This binding interferes with the peptidyl transferase activity, which is necessary for the formation of peptide bonds between amino acids during protein synthesis. By inhibiting peptidyl transferase, chloramphenicol prevents the elongation of the nascent peptide chain. This leads to the incomplete synthesis of bacterial proteins, impairing their function and ultimately inhibiting bacterial growth. Unlike many other antibiotics that target specific bacterial species or strains, chloramphenicol has a broad-spectrum of activity, meaning it can effectively inhibit the growth of a wide range of Gram-positive and Gram-negative bacteria.

Chloramphenicol is active against various pathogens, including Haemophilus influenzae, Neisseria meningitidis, Streptococcus pneumoniae, Salmonella typhi, and Escherichia coli. However, it is important to note that the use of chloramphenicol has declined in recent years due to the availability

of alternative antibiotics and the potential for serious side effects. It is now primarily reserved for specific indications where other treatment options are limited or ineffective, such as certain cases of bacterial meningitis or rickettsial infections.

Pharmacokinetic

Chloramphenicol is available in various formulations, including oral, intravenous, and topical preparations. When taken orally, it is readily absorbed from the gastrointestinal tract. However, absorption may be variable, and concurrent food intake can reduce the rate and extent of absorption. Chloramphenicol has a relatively high volume of distribution, allowing it to penetrate various tissues and body fluids. It can cross the blood-brain barrier and reach therapeutic concentrations in cerebrospinal fluid, making it useful for treating certain central nervous system infections. It can also cross the placenta and enter breast milk. Chloramphenicol undergoes hepatic metabolism primarily through glucuronidation in the liver. The major metabolite formed is chloramphenicol glucuronide. The elimination of chloramphenicol occurs primarily through renal excretion. Both the parent drug and its glucuronide metabolite are eliminated in the urine. The elimination half-life of chloramphenicol is approximately 1 to 3 hours in adults, but it can be prolonged in neonates and individuals with impaired liver or kidney function.

Medical Use

Chloramphenicol has historically been used in the treatment of bacterial meningitis, particularly when caused by susceptible organisms such as Haemophilus influenzae, Neisseria meningitidis, or Streptococcus pneumoniae. However, due to the availability of newer antibiotics with a better safety profile, chloramphenicol is now less commonly used as a first-line treatment for this condition.

Chloramphenicol has been used to treat certain rickettsial infections, including Rocky Mountain spotted fever, typhus, and Q fever. The use of chloramphenicol in these infections has declined due to the availability of alternative antibiotics such as doxycycline.

Side Effects and Toxicity

Neonates, particularly premature infants, are at increased risk of developing grey baby syndrome when exposed to chloramphenicol. This syndrome is characterized by a greyish-blue discolouration of the skin, abdominal distension, cardiovascular collapse, and progressive respiratory distress. It occurs due to the immature liver's inability to metabolize and eliminate chloramphenicol efficiently. **Grey baby syndrome** can be fatal and requires immediate medical attention.

One of chloramphenicol's most significant and potentially serious adverse effects is hematologic toxicity. It can lead to bone marrow suppression, resulting in decreased production of red blood cells (anemia), white blood cells (leukopenia), and platelets (thrombocytopenia). In some cases, this can progress to aplastic anemia, a condition in which the bone marrow fails to produce new blood cells adequately. Hematologic toxicities are more commonly seen with prolonged or high-dose therapy.

Contraindication and Precaution

Chloramphenicol is contraindicated in individuals with a known hypersensitivity or allergy to chloramphenicol or any of its components. The concurrent use of chloramphenicol with other bone marrow-suppressive agents, such as certain chemotherapy drugs, may increase the risk of hematologic toxicity and is generally contraindicated

Chloramphenicol should be used with caution in patients with pre-existing hematologic disorders, such as anemia, leukopenia, or thrombocytopenia, as it can further suppress bone marrow function and exacerbate these conditions.

Dose Recommendation

Adults: The typical recommended dose is 50 to 100 mg/kg/day divided into 4 equal doses, administered intravenously. The maximum daily dose should not exceed 4 g.

Pediatrics: The usual recommended dose is 50 to 100 mg/kg/day divided into 4 equal doses, administered intravenously. The maximum daily dose should not exceed 4 g.

Topical chloramphenicol eye drops or ointments are typically applied to the affected eye(s) 3 to 4 times daily. The specific concentration and duration of treatment will depend on the severity and type of infection.

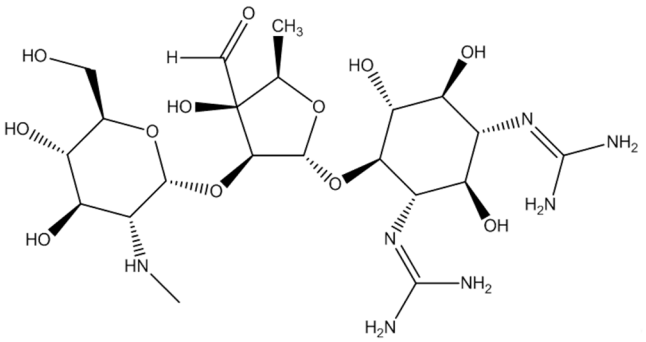
Preparation available in India

Chloramphenicol capsules I.P. (Paraxin 500mg)

Chloramphenicol ear drops.

Aminoglycoside antibiotics

24. Streptomycin



Streptomycin is an antibiotic medication that belongs to the class of drugs known as aminoglycosides. It was one of the first effective antibiotics discovered and was the first drug to be used to treat tuberculosis. Streptomycin is used mainly to treat bacterial infections caused by susceptible organisms.

Pharmacology and Mode of Action:

Streptomycin exerts antimicrobial activity by binding to the bacterial ribosome and inhibiting protein synthesis. It specifically targets the 30S subunit of the bacterial ribosome, interfering with the initiation and elongation steps of protein synthesis. This ultimately leads to the disruption of bacterial cell growth and reproduction.

Pharmacokinetic

Streptomycin is not well absorbed orally and is typically administered intramuscularly or intravenously for systemic effects. When administered intramuscularly, streptomycin is rapidly absorbed into the bloodstream. Streptomycin has good tissue penetration and can be distributed widely throughout the body. It crosses the placenta and can be detected in amniotic fluid and breast milk. It can also penetrate the cerebrospinal fluid, although the concentrations achieved may vary. Streptomycin is not significantly metabolized in the body. It is primarily eliminated unchanged. Streptomycin is excreted mainly by the kidneys through glomerular filtration. The elimination half-life of streptomycin in adults is typically around 2 to 3 hours, but it can be prolonged in individuals with impaired renal function. Approximately 70-90% of a dose of streptomycin is excreted unchanged in the urine within 24 hours.

Spectrum of Activity: Streptomycin has a broad spectrum of activity against both Gram-positive and Gram-negative bacteria. It is particularly effective against Mycobacterium tuberculosis, the bacterium that causes tuberculosis,

making it an essential component of multidrug therapy for this disease. Streptomycin is also active against some other bacteria, including certain species of Escherichia coli, Klebsiella pneumoniae, and Enterobacter species.

Administration

Streptomycin is available for intramuscular (IM) or intravenous (IV) administration.

Medical Uses: Streptomycin is primarily used in the treatment of tuberculosis, often in combination with other antitubercular drugs. It is reserved for cases where the strain of tuberculosis is known or suspected to be susceptible to streptomycin. Streptomycin may also be used for the treatment of other bacterial infections, such as certain types of plague (Yersinia pestis) and tularemia (Francisella tularensis). However, other antibiotics are usually preferred for these indications.

Side Effects

Streptomycin can have several side effects, including:

Nephrotoxicity: Streptomycin can cause damage to the kidneys, leading to impaired renal function.

Ototoxicity: Streptomycin can cause damage to the inner ear, resulting in hearing loss, tinnitus (ringing in the ears), or balance disturbances.

Neurotoxicity: In rare cases, streptomycin can cause neurotoxic effects, leading to dizziness, vertigo, or muscle weakness.

Contraindication and Precaution

Streptomycin should be avoided in individuals with myasthenia gravis, a neuromuscular disorder, as it can exacerbate muscle weakness and respiratory compromise. Streptomycin is primarily eliminated through the kidneys. Therefore, caution should be exercised when using streptomycin in individuals with impaired renal function. Dosage adjustments and close monitoring of renal function may be necessary.

The use of streptomycin should be under the supervision of a healthcare professional. Before prescribing streptomycin, they will consider factors such as the specific infection being treated, the patient's medical history, and any potential drug interactions.

Dose Recommendation

Adults: The recommended dose for the treatment of tuberculosis is typically 15 mg/kg/day administered intramuscularly or intravenously. The total daily dose is divided and given in two equal doses.

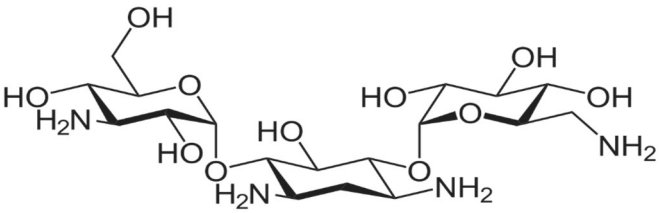
Pediatrics: The recommended dose for children with tuberculosis is typically 20 to 40 mg/kg/day administered intramuscularly or intravenously. The total daily dose is divided and given in two equal doses.

Preparation available in India

Streptomycin sulphate injection 0.75gm (Mecoson Labs)

Ambistryn-s inj (Streptomycin 1gm).

25. Kanamycin



M.W. 484.5 g/mol . Solid and miscible with water.

Kanamycin is an antibiotic medication that belongs to the class of drugs known as aminoglycosides.

Pharmacology and Mode of Action

Kanamycin exerts its antimicrobial activity by binding to the bacterial ribosome and inhibiting protein synthesis. It specifically targets the 30S subunit of the bacterial ribosome, interfering with the initiation and elongation steps of protein synthesis. This ultimately leads to the disruption of bacterial cell growth and reproduction. Kanamycin has a broad-spectrum of activity against both Gram-positive and Gram-negative bacteria. It is particularly effective against certain species of Enterobacter, Escherichia coli, Klebsiella, and Pseudomonas aeruginosa. The susceptibility of bacteria to kanamycin can vary, and resistance is a growing concern.

Pharmacokinetic

Kanamycin is not well absorbed orally and is typically administered parenterally, either intramuscularly (IM) or intravenously (IV), to achieve systemic effects. IM administration results in good and rapid absorption. Kanamycin distributes widely throughout the body, including extracellular fluid, tissues, and body fluids. It can penetrate into various organs, including the kidneys, lungs, liver, and skeletal muscle. Kanamycin crosses the placenta and can be found in amniotic fluid and fetal tissues. It also crosses into breast milk. Kanamycin is not metabolized significantly in the body. It is primarily eliminated unchanged. The kidneys mainly excrete Kanamycin through

glomerular filtration. The elimination half-life of kanamycin in adults is approximately 2-3 hours. In individuals with normal renal function, about 80% of a dose is excreted unchanged in the urine within 24 hours.

Administration

Kanamycin is available in various formulations for different routes of administration. It can be given intramuscularly (IM), intravenously (IV), or topically depending on the specific indication and severity of the infection.

Medical Uses

Kanamycin is primarily used in the treatment of serious infections caused by susceptible bacteria, including urinary tract infections, respiratory tract infections, septicemia, and certain types of gastrointestinal infections. It is often reserved for infections that are resistant to other antibiotics or when other treatment options are not available or appropriate.

Side Effects and Toxicity

Nephrotoxicity: Kanamycin can cause damage to the kidneys, leading to impaired renal function. Close monitoring of renal function is essential during treatment.

Ototoxicity: Kanamycin can cause damage to the inner ear, resulting in hearing loss, tinnitus (ringing in the ears), or balance disturbances. Auditory function should be closely monitored, especially in patients receiving prolonged or high-dose therapy.

Neurotoxicity: In rare cases, kanamycin can cause neurotoxic effects, leading to dizziness, vertigo, or muscle weakness.

Contraindication and Precaution

Kanamycin should be used cautiously in individuals with preexisting kidney disease, hearing impairment, or neurological conditions. It is contraindicated in individuals with known hypersensitivity or allergy to kanamycin or other aminoglycoside antibiotics.

Dose Recommendation

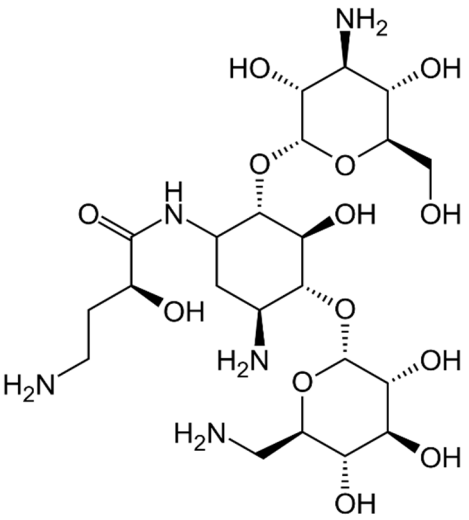
Adult: Intramuscular (IM) or Intravenous (IV) Dosing: The usual dose of kanamycin for adults is 15 to 30 mg/kg of body weight per day, divided into 2 to 3 equal doses. The total daily dose can range from 1 to 1.5 g. The duration of treatment depends on the specific infection being treated.

Pediatrics: Intramuscular (IM) or Intravenous (IV) Dosing: The usual dose of kanamycin for pediatric patients is 15 to 30 mg/kg of body weight per day, divided into 2 to 3 equal doses. The total daily dose should not exceed 1.5 g. The duration of treatment depends on the specific infection being treated.

Preparation available in India

Kanamycin sulphate powder injection 750 mg (Taj Pharmaceuticals)

26. Amikacin



M.W. 585.6 g/mol.

Amikacin is an antibiotic medication that belongs to the aminoglycoside class. It is primarily used to treat serious bacterial infections caused by susceptible strains of bacteria.

Pharmacology and Mode of Action

Amikacin works by inhibiting protein synthesis in bacteria. It specifically targets the 30S ribosomal subunit, thereby preventing the synthesis of essential proteins required for bacterial growth and survival.

Pharmacokinetic

Amikacin is not absorbed effectively when taken orally, so it is primarily administered intravenously or intramuscularly. After administration, amikacin is distributed throughout the body fluids, including extracellular spaces. It has a large volume of distribution, which indicates extensive tissue penetration. It is not highly bound to plasma proteins. Amikacin is not significantly metabolized in the body. It primarily remains in its active form. The elimination of amikacin occurs mainly through renal excretion. The drug is eliminated unchanged in the urine, with very little undergoing metabolism. The elimination half-life of amikacin is approximately 2-3 hours in patients

with normal kidney function. The half-life may be prolonged in individuals with impaired renal function.

Medical Use

Amikacin is particularly effective against Gram-negative bacteria. It is commonly used to treat infections caused by organisms such as Pseudomonas aeruginosa, Escherichia coli, Klebsiella pneumoniae, Enterobacter species, and Acinetobacter species. In severe sepsis or septic shock cases, amikacin may be administered as part of a combination therapy to cover a broad spectrum of bacteria until specific identification and sensitivity results are available.

Side Effect and Toxicity

High doses or prolonged use of amikacin can result in neuromuscular blockade, manifesting as muscle weakness or paralysis. This effect is more likely in patients with myasthenia gravis or those receiving other medications that can enhance neuromuscular blockade, such as certain muscle relaxants. Amikacin can cause damage to the inner ear, leading to hearing loss, tinnitus (ringing in the ears), and balance problems. These effects may be irreversible. Monitoring of auditory function, including hearing tests, is important, especially in patients receiving prolonged or high-dose treatment.

Contraindication and Precaution

Amikacin should be avoided or used with caution in patients with myasthenia gravis, a neuromuscular disorder characterized by muscle weakness. It can exacerbate muscle weakness and potentially lead to respiratory compromise. Amikacin is primarily eliminated by the kidneys. Patients with pre-existing renal impairment or impaired kidney function require dosage adjustments or close monitoring to prevent further kidney damage. Renal function, including serum creatinine levels and urine output, should be regularly assessed during treatment.

Dose Recommendation

Adult dosing (For serious infections): Adults' recommended dose is 15 mg/kg/day divided into two or three equal doses. The total daily dose should not exceed 1.5 g. Alternatively, a loading dose of 15 mg/kg may be administered initially, followed by 7.5 mg/kg maintenance doses every 12 hours or 5 mg/kg every 8 hours.

For severe infections or when caused by less susceptible organisms: Higher doses of up to 25 mg/kg/day divided into two or three equal doses may be considered, with a maximum daily dose of 1.5 g.

Pediatric Dosing (Of severe infections): The usual dose for pediatric patients is 15-30 mg/kg/day, divided into two or three equal doses. The maximum daily dose should not exceed 1.5 g.

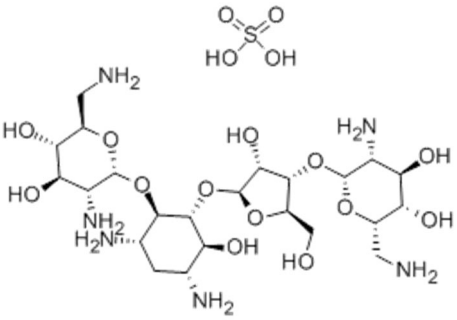
Preparation available in India

AMISULF inj (Amikacin 500mg) SAMARTH PHARMA

AMITAL inj (Amikacin 100mg) STALLION LABS

AMITAX inj (Amikacin 50mg) ULTICARE (ALKEM)

27. Neomycin



M.W. 614.6 g/mol. Liquid soluble in water.

Neomycin is an antibiotic medication that belongs to the aminoglycoside class. It is primarily used to treat or prevent infections caused by susceptible bacteria. Neomycin is commonly used topically, although it can also be administered orally in certain cases.

Pharmacology and Mode of Action

Neomycin works by inhibiting protein synthesis in bacteria. It binds to the bacterial ribosomes (specifically the 30S subunit), interfering with synthesising essential proteins needed for bacterial growth and survival.

Pharmacokinetic

Neomycin has poor oral absorption, with only about 3-5% of the administered dose being absorbed from the gastrointestinal tract. The absorption is further reduced by binding to components of the intestinal lumen. Therefore, systemic exposure to neomycin is minimal after oral administration. Neomycin does not distribute extensively throughout the body. It primarily remains in the gastrointestinal tract, where it exerts its local antibacterial effects. When administered topically, it stays at the site of application without significant systemic distribution. It is not extensively metabolized in the body. It is primarily excreted unchanged in the feces after oral administration.

Due to limited systemic absorption, metabolic processes have minimal relevance. Neomycin is primarily eliminated unchanged in the feces. After oral administration, the unabsorbed drug passes through the gastrointestinal tract and is excreted in the feces. The elimination half-life of neomycin is relatively short, ranging from about 2 to 3 hours.

Medical Use

Neomycin eye drops or ointments may be prescribed to treat bacterial eye infections, such as conjunctivitis (pink eye) or blepharitis (eyelid inflammation) caused by susceptible organisms. Neomycin can be used as part of ear drops to treat bacterial infections of the external ear canal. It is effective against bacteria commonly associated with swimmer's ear (otitis externa).

Side Effects and Toxicity

Neomycin can have potential side effects, especially when used orally or in large amounts. These can include gastrointestinal disturbances such as nausea, vomiting, and diarrhea. Systemic absorption of neomycin can also lead to kidney damage, hearing loss (ototoxicity), and muscle weakness (neuromuscular blockade). These side effects are more likely to occur with prolonged or excessive use, in individuals with pre-existing kidney problems, or when neomycin is used in combination with other medications that have similar toxicities.

Contraindication and Precaution

Neomycin should be used with caution in individuals with a known hypersensitivity or allergy to neomycin or other aminoglycoside antibiotics. It should not be used in cases where there is a breach in the skin, such as open wounds or burns. Oral neomycin is generally contraindicated in patients with pre-existing kidney impairment, hearing loss, or neuromuscular disorders.

Dose Recommendation

Topical Use: Creams, ointments, powders, or solutions Apply a thin layer of neomycin topical preparation to the affected area three to four times a day, or as directed by a healthcare professional. Follow the specific instructions provided with the product.

Oral Use: The oral dose of neomycin for bowel preparation before surgery is typically 1 g administered in divided doses the day before the procedure, followed by another 1 g administered in divided doses on the morning of the surgery.

Preparation available in India

Neocin Hyper Western Remedies (India)

Neocin. Manufacturer Western Remedies (India)

Neomycin Sulphate. Gujarat Pharma Lab Pvt.

Neomycin. Sunways India Pvt Ltd.

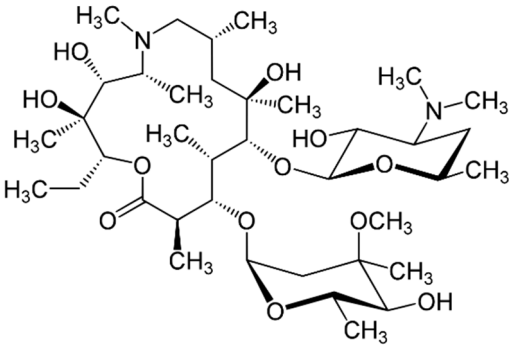
Neomycin Sulphate. Unichem Laboratories Ltd.

Neosmin.

Nepozin.

Other Antibacterial Antibiotics

28. Azithromycin



M.W. 749.0 g/mol.

Azithromycin is a broad-spectrum antibiotic that belongs to the class of macrolide antibiotics. It works by inhibiting bacterial protein synthesis.

Pharmacology and Mode of Action

Azithromycin exerts its antimicrobial effects by binding to the 50S subunit of the bacterial ribosome, inhibiting the translocation step of protein synthesis. This binding prevents the formation of peptide bonds and inhibits the assembly of new proteins, leading to bacterial growth inhibition and eventual bacterial cell death.

Pharmacokinetic

Azithromycin is well absorbed after oral administration, with approximately 37% of an oral dose being bioavailable. It can also be administered via intravenous or intramuscular routes for specific indications. Azithromycin distributes well throughout the body, including tissues and cells. It has good tissue penetration, allowing it to reach sites of infection effectively. It is minimally metabolized in the liver by the cytochrome P450 system. The major metabolite formed is inactive. Azithromycin is primarily eliminated

unchanged in the bile, with a small portion excreted in the urine. The elimination half-life of azithromycin is around 68 hours, allowing for once-daily dosing or shorter treatment durations.

Azithromycin exhibits concentration-dependent killing, which means higher drug concentrations are more effective in killing bacteria. The prolonged elimination half-life of azithromycin allows for extended periods above the minimum inhibitory concentration (MIC), enabling once-daily dosing and shorter treatment durations.

Medical Use

Azithromycin may be prescribed for acute exacerbations of chronic bronchitis caused by susceptible organisms. Azithromycin can be used to treat sinus infections caused by bacteria.

Side Effects and Toxicity

Azithromycin has been associated with an increased risk of certain cardiac events, particularly in people with existing heart conditions. These events include abnormal heart rhythms, such as prolonged QT interval, which can lead to a potentially life-threatening arrhythmia called torsades de pointes. It is essential to inform your doctor about any pre-existing heart conditions or medications you are taking before starting azithromycin. Although rare, azithromycin has been associated with liver damage in some cases. Symptoms may include jaundice (yellowing of the skin and eyes), dark urine, persistent nausea, abdominal pain, or fatigue.

Contraindication and Precaution

Azithromycin is primarily eliminated from the body through the liver. If you have severe liver disease or have experienced liver toxicity with azithromycin or other macrolide antibiotics in the past, it is generally contraindicated.

Azithromycin can interact with certain medications, potentially causing adverse effects or reducing the effectiveness of either medication. It is essential to inform your healthcare provider about all the medications you are currently taking, including prescription drugs, over-the-counter medications, and herbal supplements.

Dose Recommendation

Adult: 500 mg once daily for three days.

Preparation available in India

Azithral. Alembic Pharmaceuticals Ltd.

Aziwok. Dr Reddy's Laboratories Ltd.

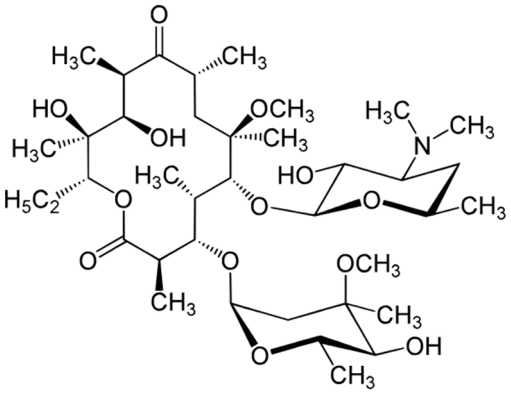
Zady. Mankind Pharma Ltd.

Laz. Hetero Drugs Ltd.

Azibact. Ipca Laboratories Ltd.

Z**ithrocin.** Zydus Healthcare Limited.

29. Clarithromycin



M.W. 748 .0 g/mol. Solid.

Clarithromycin is an antibiotic that belongs to the macrolide class of drugs.

Clarithromycin works by inhibiting the synthesis of bacterial proteins, thereby preventing the growth and multiplication of susceptible bacteria. It binds to the 50S ribosomal subunit of the bacterial cell, interfering with protein synthesis and ultimately leading to bacterial cell death.

Clarithromycin is often included in the treatment regimens for eradicating Helicobacter pylori, a bacterium associated with peptic ulcers and certain types of gastritis. It is sometimes used as part of combination therapy for mycobacterial infections, including Mycobacterium avium complex (MAC) infections in patients with advanced HIV disease.

Clarithromycin should be used with caution in patients with liver or kidney disease, as dosage adjustments may be necessary. Clarithromycin is contraindicated in individuals with known hypersensitivity to macrolide antibiotics.

For most common infections, the usual recommended **adult** dose of clarithromycin is:

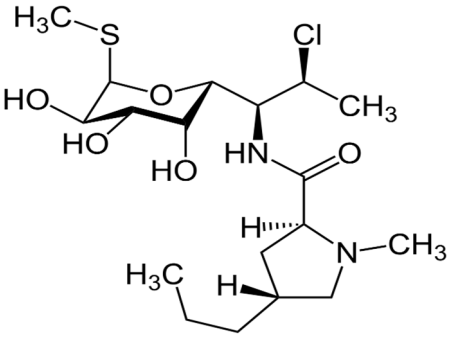
Respiratory tract infections: 250 mg to 500 mg twice daily for 7 to 14 days.

Skin and soft tissue infections: 250 mg to 500 mg twice daily for 7 to 14 days.

Helicobacter Pylori Eradication: Combination therapy regimens may include clarithromycin 500 mg twice daily and other medications such as a proton pump inhibitor (e.g., omeprazole) and amoxicillin or metronidazole.

Preparation available in India: clarimac tab (Clarithromycin 500mg) cadila-h**,** clarithro-250 tab (Clarithromycin 250mg) Alembic , clear tab (Clarithromycin 250mg) ulticare(alkem).

30. Clindamycin



M.W. 425.0 g/mol. Yellow amorphous solid soluble in water.

Clindamycin is an antibiotic that belongs to the **lincosamide** class of drugs.

Clindamycin works by inhibiting bacterial protein synthesis. It binds to the 50S ribosomal subunit of the bacterial cell, thereby inhibiting the formation of peptide bonds and preventing the growth and multiplication of susceptible bacteria.

Clindamycin is frequently prescribed for skin and soft tissue infections, including cellulitis, abscesses, and infected wounds. It may be used in the treatment of respiratory tract infections, such as pneumonia and lung abscesses, caused by susceptible bacteria. Clindamycin can be used as part of the treatment regimen for intra-abdominal infections, such as peritonitis and abscesses. It is sometimes prescribed for dental infections, such as dental abscesses or periodontal infections.

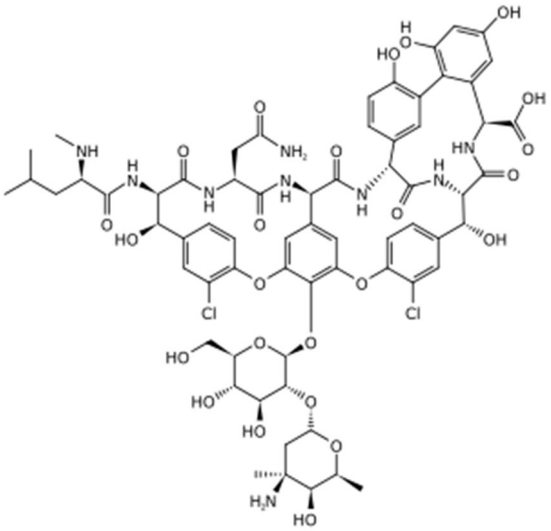
Common side effects of clindamycin may include gastrointestinal symptoms such as nausea, vomiting, diarrhea, and abdominal pain. It can also cause allergic reactions, skin rashes, and in rare cases, severe allergic reactions like Stevens-Johnson syndrome or anaphylaxis.

Clindamycin is generally avoided in individuals with a known hypersensitivity to clindamycin or lincomycin.

Preparation available in India: Zyclin. (Zydus Cadila.), Clindatec. (United Biotech Pvt Ltd.), Clindac A. (Alkem Laboratories Ltd.), Clindatime (Mankind Pharma Ltd.),

Acnesol. (Systopic Laboratories Pvt Ltd.).

31. Vancomycin



M.W. 1449.2 g/mol . Brown solid and soluble in water.

Vancomycin is an antibiotic primarily used to treat serious infections caused by Gram-positive bacteria.

Vancomycin works by interfering with the synthesis of bacterial cell walls. It binds to the D-alanyl-D-alanine portion of the cell wall precursors, preventing their incorporation into the growing cell wall. This inhibits bacterial cell wall synthesis, leading to cell death.

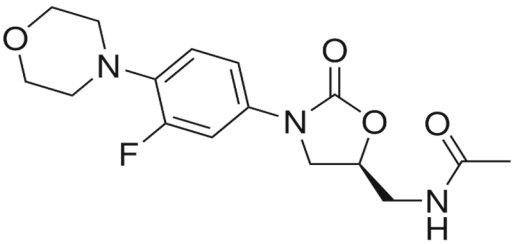
Vancomycin is considered a drug of choice for treating infections caused by MRSA, a type of bacteria that is resistant to many other antibiotics. It can be used to treat serious skin and soft tissue infections caused by susceptible Gram-positive bacteria. Vancomycin is often used in the treatment of infective endocarditis, an infection of the heart valves or lining caused by bacteria. It may be used to treat bone and joint infections caused by susceptible bacteria.

Vancomycin may interact with other medications, so it's important to inform your healthcare provider about all your medications. It should be used with caution in patients with kidney disease, hearing impairment, or a history of

gastrointestinal disease. Vancomycin is contraindicated in individuals with a known hypersensitivity to vancomycin.

FIRVANQ (Oral preparation), Vancomycin hydrochloride injection are available in India.

32. Linezolid



M.W. 337.5 g/mol. Solid.

Linezolid is an antibiotic medication used to treat various bacterial infections. It belongs to the oxazolidinone class of antibiotics and works by inhibiting bacterial protein synthesis.

Linezolid works by inhibiting the formation of bacterial proteins. It binds to a specific part of the bacterial ribosome, known as the 23S rRNA of the 50S subunit, preventing the initiation of protein synthesis. This action stops the growth and reproduction of susceptible bacteria.

Linezolid is effective against various Gram-positive bacteria, including methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant enterococci (VRE), and Streptococcus pneumoniae. Linezolid is indicated for the treatment of community-acquired pneumonia and nosocomial (hospital-acquired) pneumonia caused by susceptible bacteria.

Linezolid is available in tablet and intravenous (IV) formulations. Common side effects of linezolid may include headache, nausea, diarrhea, vomiting, and skin rash. In rare cases, it can cause more severe side effects, such as low platelet counts (thrombocytopenia), bone marrow suppression, and serotonin syndrome (primarily when used with certain medications).

In India, linezolid is available under various brand names and formulations

Linezolid Tablets: Linezolid is commonly available in tablet form. Tablets are available in various strengths, such as 400 mg and 600 mg. The specific brand names may vary, but popular brands include Linospan, Zyvox, and Linox.

Linezolid Oral Suspension: Linezolid may also be available in an oral suspension form, which is convenient for pediatric patients or individuals who have difficulty swallowing tablets.

A Few More New Antibacterial Drugs

Several new antibacterial drugs were approved or under investigation.

Fetroja (cefiderocol): Approved by the U.S. Food and Drug Administration (FDA) in 2019, but in 2021, it received additional approvals for the treatment of hospital-acquired bacterial pneumonia and ventilator-associated bacterial pneumonia caused by specific bacteria that are difficult to treat.

Xenleta (lefamulin): Approved by the FDA in 2019 for the treatment of community-acquired bacterial pneumonia, it is a new type of antibiotic called a pleuromutilin. It provides an alternative treatment option for patients with respiratory infections caused by certain bacteria.

Nuzyra (omadacycline): Approved by the FDA in 2018, it is a tetracycline antibiotic used to treat community-acquired bacterial pneumonia and acute bacterial skin and skin structure infections. In 2021, it received additional approvals for the treatment of urinary tract infections and certain other infections.

Antibacterial (2D → 3D structures)

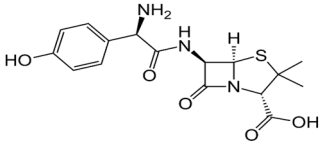
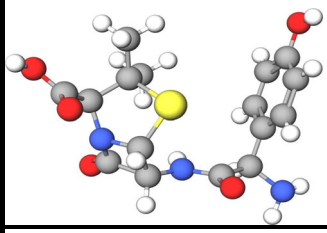
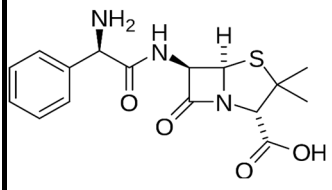
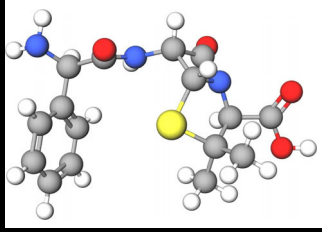
#	Compound name	Compound Structure - 2D	Compound Structure - 3D
1	Amoxicillin		
2	Ampicillin		

Table contd…

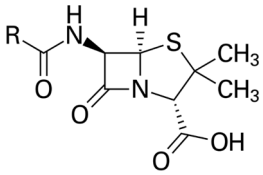
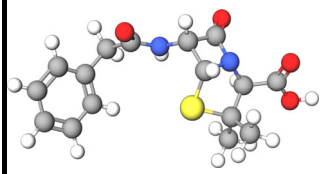
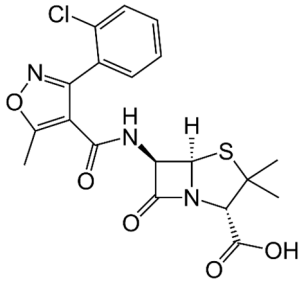
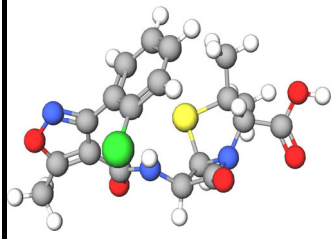
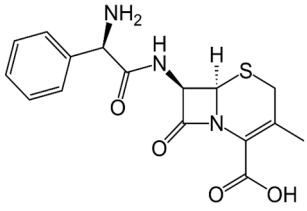
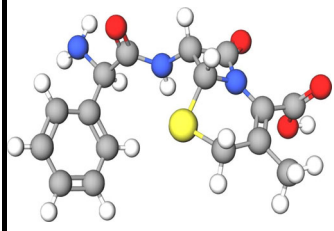
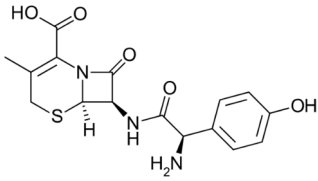
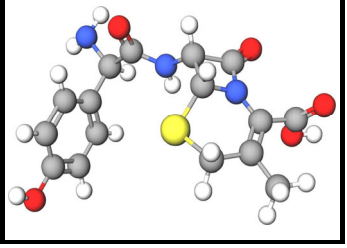
#	Compound name	Compound Structure - 2D	Compound Structure - 3D
3	Penicillin		Penicillin g:  Penicillin v: 
4	Cloxacillin		
5	Cephalexin		
6	Cefadroxil		

Table contd…

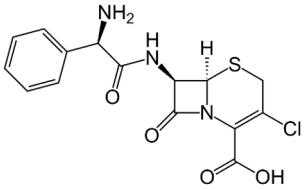
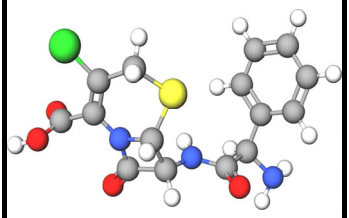
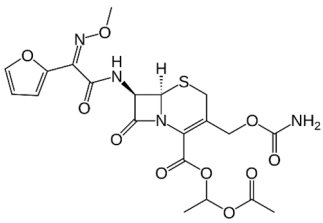
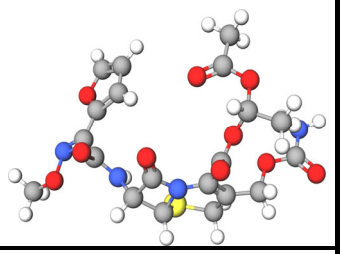
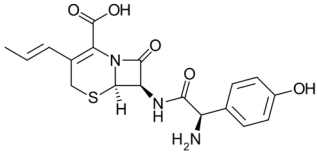
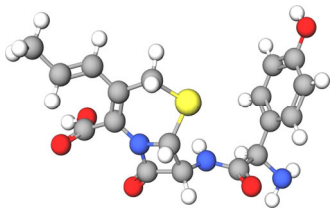
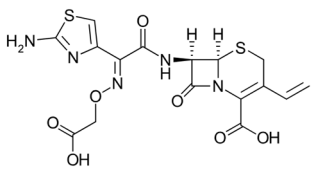
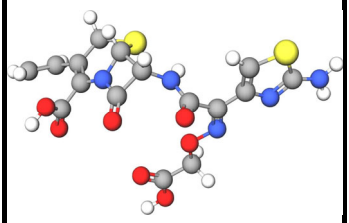
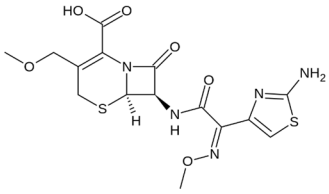
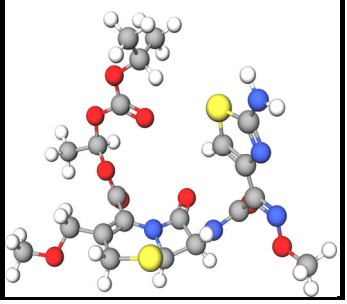
#	Compound name	Compound Structure - 2D	Compound Structure - 3D
7	Cefaclor		
8	Cefuroxime axetil		
9	Cefprozil		
10	Cefixime		
11	Cefpodoxime proxetil		

Table contd…

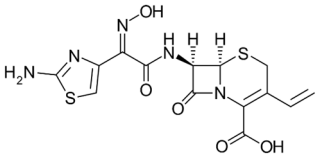
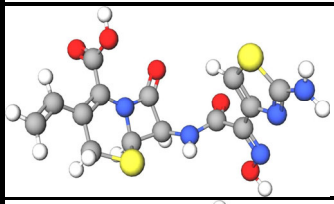
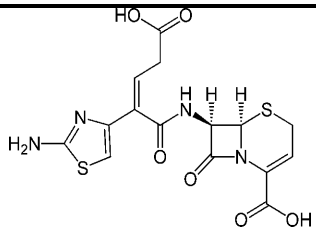
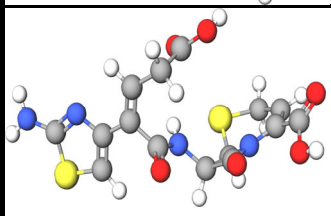
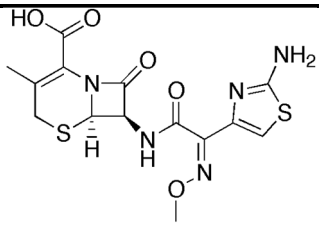
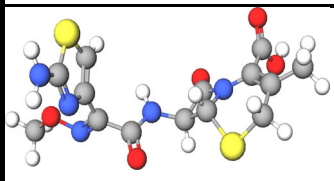
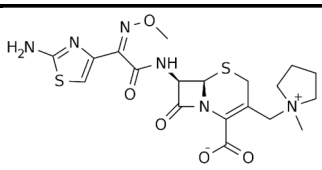
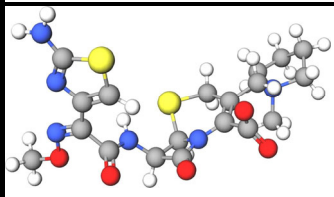
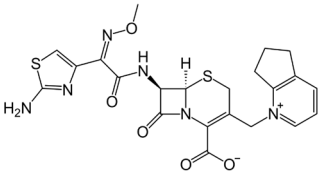
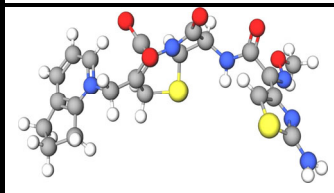
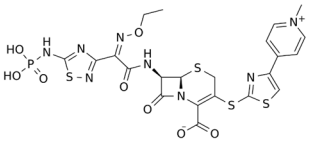
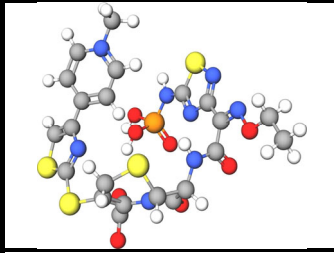
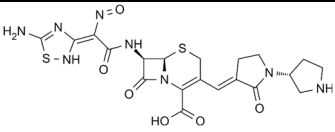
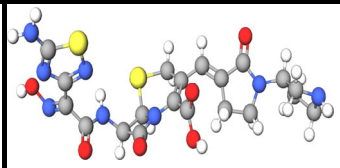
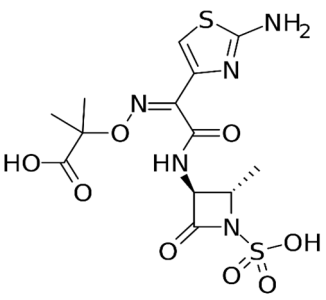
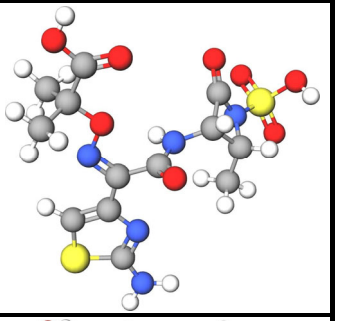
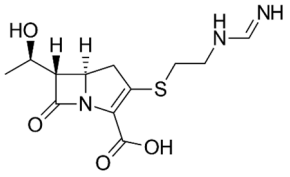
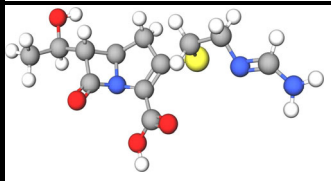
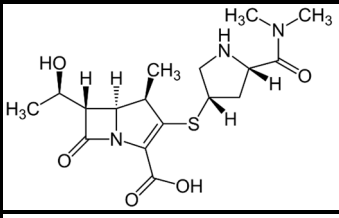
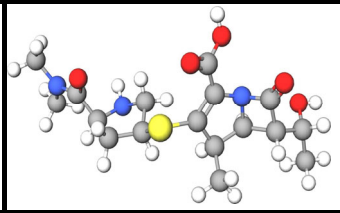
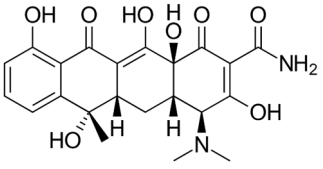
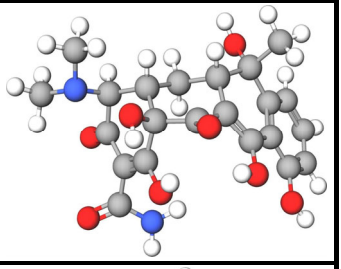
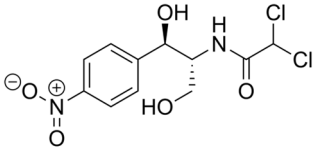
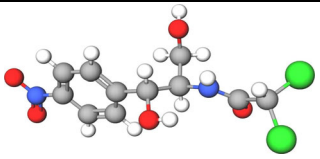
#	Compound name	Compound Structure - 2D	Compound Structure - 3D
12	Cefdinir		
13	Ceftibuten		
14	Ceftamet pivoxil		
15	Cefepime		
16	Cefpirome		
17	Ceftaroline fosamil		

Table contd…

#	Compound name	Compound Structure - 2D	Compound Structure - 3D
18	Ceftobiprole medocaril		
19	Aztreonam		
20	Imipenem		
21	Meropenem		
22	Tetracycline		
23	Chloramphenicol		

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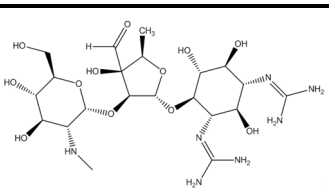
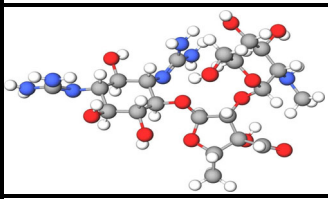
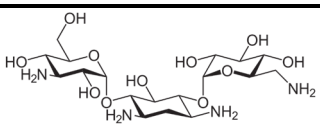
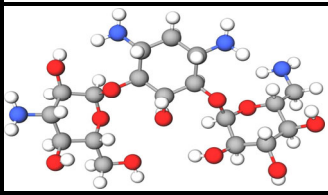
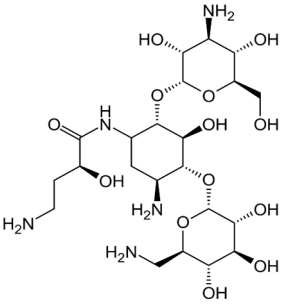
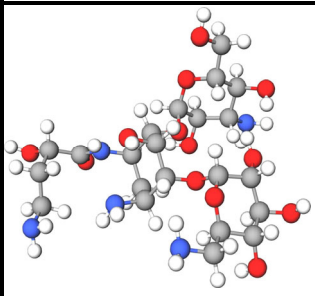
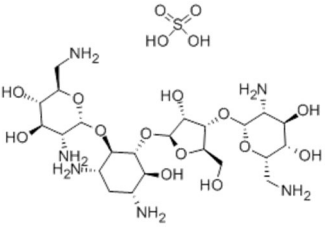
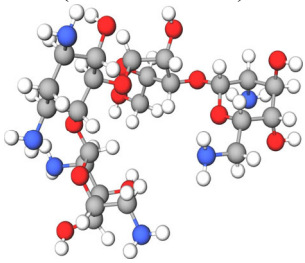
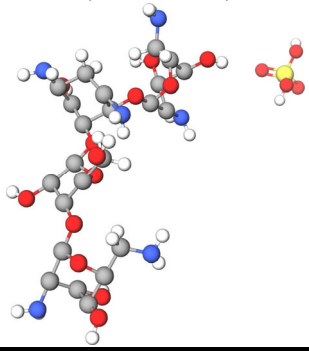
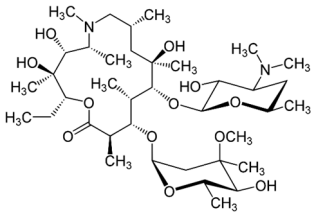
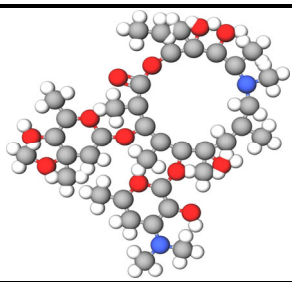
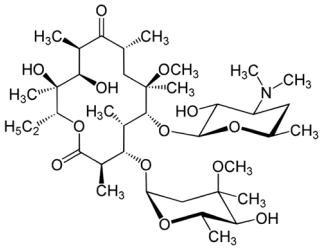
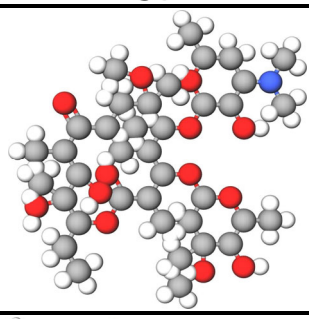
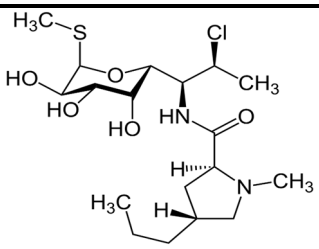
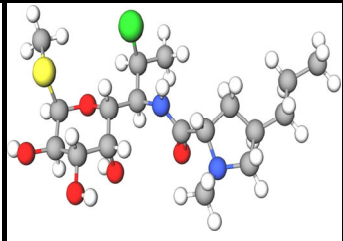
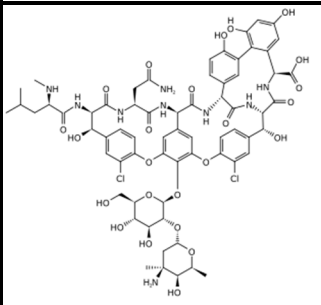
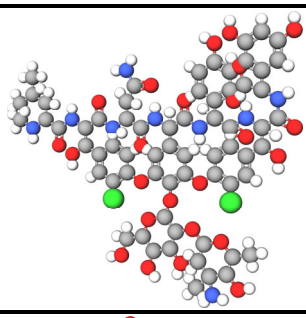
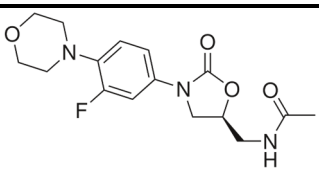
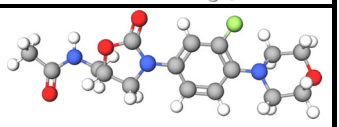
#	Compound name	Compound Structure - 2D	Compound Structure - 3D
24	Streptomycin		
25	Kanamycin		
26	Amikacin		
27	Neomycin		(without H2SO4)  (with H2SO4) 

Table contd…

#	Compound name	Compound Structure - 2D	Compound Structure - 3D
28	Azithromycin		
29	Clarithromycin		
30	Clindamycin		
31	Vancomycin		
32	Linezolid		

FURTHER READING

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