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GENERAL INFORMATION ABOUT CEPHALOSPORINS

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Abstract
Cephalosporins are β-lactam antimicrobials used to manage various infections caused by both gram-positive and gram-negative bacteria. The 5 generations of cephalosporins demonstrate efficacy in treating skin and soft tissue infections, pneumonia, meningitis, and other infections. Cefiderocol is a novel siderophore cephalosporin that exhibits remarkable antibacterial activity.

Introduction

The chemical basis of cephalosporins is 7-aminocephalosporin acid, which contains a beta-lactam ring. Cephalosporins also contain a 6-membered dihydrothiazine ring. Modifications to the beta-lactam ring can affect the pharmacokinetics and dynamics of cephalosporins. Changes to the dihydrothiazine ring can affect the pharmacodynamics of cephalosporins, their binding to bacterial wall proteins, and the spectrum of cephalosporins. Cephalosporins bind to penicillin-binding proteins (PBPs) in the bacterial wall and inhibit the transpeptidase enzyme. As a result, the synthesis of peptidoglycans in the cell wall is disrupted, and the bacterial cell wall weakens, eventually causing lysis due to osmotic pressure. Bacterial resistance to cephalosporins can be reduced by the production of beta-lactamase (Staphylococcus aureus, Enterococcus faecalis, Escherichia coli) and carbapenemase (Klebsiella pneumonia, Enterobacteriaceae) or by the modification of penicillin-binding proteins (Pseudomonas aeruginosa, Staphylococcus aureus). Cephalosporins are mainly administered parenterally and are poorly absorbed in the gastrointestinal tract. For example, cephalothin, cefuroxime, cefotaxime, cefepime. However, there are also well-absorbed species. Their bioavailability is much better, reaching 40-50% and even 95%. Enterally administered species include cefexim, cephalexin, cefaclor, etc. Cephalosporins are well distributed in various organs and excretory fluids. Almost all of them cross the placental barrier. 3rd and 4th generation agents such as cefataxime, ceftriaxone, ceftazidime, cefepime, cross the blood-brain barrier well. 1st and 2nd generation drugs do not cross the blood-brain barrier. However, some types such as cephaloridine and cefuroxime may cross the barrier due to increased permeability in meningitis.

Cephalosporins can be administered directly to the site of infection because they pass through abscess capsules well. Most cephalosporins are not metabolized in the liver and are excreted through the kidneys. However, there are also representatives that are excreted through the bile ducts. Cephalosporins have a short half-life of 2-4, sometimes up to 7 hours, so they can be administered 2-4 times a day. Currently, there are five generations of cephalosporins. Generations can differ from each other in their spectrum of action, side chain structure, pharmacokinetics and pharmacodynamics. All five generations have not lost their relevance to this day and are used in chemotherapy. Representatives of the first generation have a nucleus of 7-aminocephalosporanic acid, have a

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relatively simple structure. The side chains are unchanged or minimally modified. They have a shorter half-life of 1.5-2 hours compared to later generations and are excreted unchanged through the kidneys. First-generation representatives are active against gram-positive bacteria such as penicillinase-producing and methicillin-sensitive staphylococci, streptococci, and pneumococcus, but do not affect methicillin-resistant enterococci.

It is also active against gram-negative Escherichia coli, Klebsiella pneumonia, Proteus mirabilis, etc., but ineffective against Enterobacter, Serratia, Indole-positive Proteus. Resistance of bacteria to representatives of this generation occurs mainly through the synthesis of beta-lactase. Representatives of the first generation include cefazolin, cephalothin, cephapirin, cephaloridine, cephradine and enterally administered cephalexin, cephradine. Of the representatives of this generation, cefazolin is mainly used to prevent infections after surgery. The daily dose for adults is 1-6 grams, and for children it is 50-100 mg / kg. Cephalexin is effective mainly in skin and soft tissue diseases caused by gram-positive bacteria, such as cellulitis and folliculitis. The daily dose is 1-2 g for adults, and 8-32 mg / kg for children. Representatives of the second generation were discovered in the 60-70s of the last century and have a more complex structure than the first generation. There are changes in the side chains. The half-life is longer than the first generation.

The second generation has a strong effect on gram-negatives and a wider spectrum of action. It is effective against Haemophilus influenzae, Enterobacteriaceae, Neisseria, etc. However, the strength and spectrum of action against gram-positives are reduced. Bacteria show resistance to the second generation by producing beta-lactamase and changing the PBP molecule. Representatives of this generation include cefuroxime, cefamandole, cefoxitin, cefonicid, cefprozil, cefmetazole, cefotetan, ceforanid and enterally administered cefaclor, cefprozil, loracarbef. Of the representatives of this generation, cefuroxime is used in otitis, sinusitis (sinusitis, frontalitis, sphenoiditis), chronic bronchitis. The daily dose is 1.5-8 g for adults, 30-100 mg/kg for children. Cefoxitin is used in abdominal infections (peritonitis) and pelvic inflammatory disease. The daily dose for adults is 4-12 g, for children 40-120 mg / kg. The third generation of drugs began to be produced in the 80s of the last century. This generation has additives in its chemical structure, which are aimed at increasing beta-lactamase resistance and activity against gram-negative bacteria. Representatives of this generation have a relatively long half-life of 3-7 hours, and can cross the blood-brain barrier. This allows them to be used in meningitis. Some types (cefotaxime) can be transformed in the liver and excreted in the bile. Representatives of this generation are active against H. influenzae, E. coli, Pseudomonas aeruginosa and some nosocomial infections. They are also used against pneumococci and meningococci. However, they are weaker and have a narrower spectrum than the 1st and 2nd generations against gram-positive bacteria. Compared to third-generation drugs, bacteria have begun to produce extended-spectrum beta-lactamases. Examples of third-generation drugs include cefotaxime, ceftriaxone, ceftrizoxime, cefoperazone, ceftazidime, ceftizoxime, and enteral cefixime, celibutin, and cefpodoxime-proxetil.

Ceftriaxone is prescribed for meningitis, pneumonia, urinary tract infections. The daily dose is 1-4 g for adults, 20-80 mg/kg for children. Pseudomonas aeruginosa is sensitive to ceftazidime. It is used for nosocomial pneumonia, bronchitis, sinusitis, and abdominal infections.

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The daily dose is 1-3 g for adults, 30-50 mg/kg for children. Fourth-generation cephalosporins were first produced in the early 1990s by the pharmaceutical companies GlaxoSmithKline and Bristol-Meyers Squibb.

They have additions and changes in their side chains in their chemical structure. These changes are aimed at further increasing resistance to beta-lactamase, adapting to the variability of the PBP molecule, and expanding the spectrum of action against gram-negatives. Representatives of this generation are characterized by a longer half-life compared to previous generations, 4-8 hours, wide distribution and penetration into the tissues of the body. They have a wide gram-positive and gram-negative spectrum. They affect many hospital infections (Escherichia coli) and antibiotic-resistant bacteria (Pseudomonas aeruginosa). Bacterial resistance includes the production of extended-spectrum beta-lactamase, carbapenemase. Representatives of the fourth generation include parenterally administered drugs such as cefepime, cefiderocol, sedpirome, cefazopramine. Cefepime is used in hospital-acquired pneumonia, urinary tract infections, and sepsis. The daily dose is 1-3 g for adults and 50-80 mg/kg for children. Cefazopramine is used for respiratory tract, skin and soft tissue infections, and against antibiotic-resistant bacteria. The daily dose is 1-4 g for adults and 30-80 mg/kg for children. Fifth-generation cephalosporins began to be produced in the early 2000s.

Its chemical structure is based on gene modifications and is active against methicillin-resistant bacteria. The fifth generation has a broad gram-positive and gram-negative spectrum and can affect bacteria such as methicillin-resistant Staphylococcus aureus, Enterobacteriaceae. It is administered only parenterally and has a much longer half-life of 4-9 hours. The resistance of bacteria to representatives of this generation has not been well studied.

Cephalosporin antibiotics

1st Generation	2nd Generation	3rd Generation	4th Generation
• Cefadroxil • Cefazedone • Cefazolin • Cephalexin • Cephalothin • Cephradine • Cephaloridine • Cephapirin etc.	• Cefaclor • Cefamandole • Cefoxitin • Cefuroxime • Ceforanid • Cefonicid etc.	• cefixime • Cefoperazone • cefotaxime • cefpiramide • cefpodoxime • Ceftibuten • ceftizoxime • ceftriaxone etc.	• Cefepime • cefluprenam • Cefozopran • cefpirome • cefquinome etc.
Good against Gram +, Moderate against Gram -	Good against Gram -, Moderate against Gram +	Good against Gram -, Weak against Gram +	Good against Gram -, Extended activity against

Conclusion

Cephalosporins are important antibiotics used in chemotherapy. Cephalosporins are the main and first-choice drugs for many inflammatory and infectious diseases. The mechanism of action of cephalosporins, as noted above, is bactericidal by disrupting cell wall synthesis. Also, the rapid increase in their concentration in the blood and the short half-life compared to other groups of

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antibiotics make them an important chemotherapeutic drug for the treatment of various acute and complex infections. However, cephalosporins should be used and the doses we have mentioned above should be prescribed only as directed by a doctor. Overdose or improper use can cause side effects. Such side effects can include allergic, hematological and neurogenic reactions. In general, all five generations of cephalosporins are widely used in medicine and are considered to be of high importance.

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