

Cephalosporins

Cephalosporins belong to the group of beta-lactam antibiotics, the structural basis of which is the beta-lactam ring. It is included here together with penicillins, monobactams and carbapenems.

Antimicrobial spectrum

In general, the spectrum of action is quite broad, with G + efficacy decreasing from the first to the fourth generation and anti-G– efficacy increasing. The following are resistant to cephalosporins: *Enterococcus faecalis*, *Listeria monocytogenes*, *Clostridium difficile*, *Campylobacter jejuni*, *Legionella pneumophilla*, mycoplasmas, chlamydia and mycobacteria.

Pharmacokinetics and pharmacodynamics

Good absorption from the digestive tract. Bioavailability higher than 80%. Small volume of distribution (20% body fluid). Good penetration into tissues and fluids (except vitreous), poor penetration into cells. Penetration across the blood-brain barrier is very low, increasing significantly with inflammatory changes. They penetrate the placental barrier, but are among the safe substances to use during pregnancy.^{[1][2]}

Short biological half-life. They are excreted by the kidneys, suggesting that the dose needs to be adjusted in patients with severe renal insufficiency.

The effect is independent of concentration.

Side effects

Cephalosporins are very well tolerated. Rarely, side effects may occur.

Allergic reactions tend to be similar to those of penicillin antibiotics, with which they may have cross-reactivity (about 20%). It most often manifests itself as a maculopapular rash only a few days after treatment. It can also manifest as fever and eosinophilia. Cases of anaphylaxis, bronchospasm and urticaria have also been reported. Patients with hypersensitivity to penicillin have a higher risk of skin manifestations and other allergic reactions.

There is a risk of acute tubular necrosis (nephrotoxicity) at high doses of cephalosporins.

Another side effect can be GIT problems, most often diarrhea. These are manifested mainly in broad-spectrum cephalosporins, which are at risk of dysmicrobia, superinfected, and pseudomembranous colitis. Then there is nausea and vomiting.

1st generation cephalosporins

Narrow spectrum, similar to basic penicillins. High efficiency on G + (streptococci, staphylococci except oxacillin resistant). They act on some G– rods (*E. coli*, *Klebsiella pneumoniae*, *Proteus mirabilis*).

We most often use them as a short-term antibiotic screen during surgical operations. Another use may be for G + infections - staphylococci (as a variant of oxacillin). Potential resistance is crossed with all generations of cephalosporins. In G– infections, especially urinary tract infections.

Parenteral administration: therapy of sepsis of unknown origin in combination with aminoglycosides. The drug of choice in surgical prophylaxis. Well tolerated after i.m. submission.

Oral use: less effective against penicillinase-producing staphylococci.

2nd generation cephalosporins

On G + as effective as 1st generation cephalosporins. Higher efficiency on *E. coli*, *Klebsiella*, *Proteus*, extended spectrum by additional G– (*Haemophilus influenzae*, *Neisseria gonorrhoeae*, *Neisseria meningitidis*, *Salmonella*, *Shigella*, *Enterobacter*).

They are indicated for common G– infections that do not respond to 1st generation cephalosporins. Treatment of moderate respiratory infections, bronchopneumonia, urinary tract infections, skin and soft tissue infections.

Parenteral administration:.

Oral use: - absorption is increased after a meal.

3rd generation cephalosporins

Lower efficacy against staphylococci but good efficacy against other G + cocci. Of all the cephalosporins, they have the highest efficacy on G–, including *Proteus mirabilis*, *Serratia*, *Enterobacter*, *Pseudomonas aeruginosa*. Resistant to most β -lactamases (unlike 1st and 2nd generation). Resistance is deepening (so-called ESBL - broad-spectrum β -lactamases), so they should not be used in monotherapy.

They are used in the treatment of moderate and severe infections (sepsis, pneumonia, pyelonephritis, intra-abdominal and pelvic infections, mixed infections, meningitis). Furthermore, in nosocomial infections and infectious complications of serious diseases (cystic fibrosis, immunosuppression, etc.). They are not suitable for surgical prophylaxis (due to their lower effectiveness on staphylococci).

Parenteral administration:,, - highly effective against *Pseudomonas aeruginosa*,, - cefoperazone + sulbactam.

Oral use: - indication identical to 2nd generation cephalosporins, low efficacy against staphylococci. Administered once every 24 hours.

4th generation cephalosporins

Highly effective against both G + and G– (including *Pseudomonas aeruginosa*). Resistance to β -lactamases is higher than in the 3rd generation.

Use mainly for severe infections (sepsis, meningitis, severe lower respiratory tract infections, urinary tract infections, soft tissue and skin infections, severe intra-abdominal infections).

Parenteral administration: - effective against *Staphylococcus aureus*.

5th generation cephalosporins

Complicated skin and soft tissue infections caused by MRSA and community-acquired pneumonia caused by *Streptococcus pneumoniae* unresponsive to penicillin treatment are indicated.

Parenteral administration:.

Links

related articles

- Antibiotics
- Beta-lactam antibiotics
- Penicillins
- Monobactams
- Carbapenem
- Beta-lactamase inhibitors

References

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- MARTÍNKOVÁ, Jiřina, et al. Pharmacology for medical students. 2nd edition. Prague: Grada, 2018. ISBN 978-80-271-0929-6.
- LINCOVÁ, Dagmar and Hassan FARGHALI, et al. Basic and applied pharmacology. 1st edition. Prague: Galén, 2002. ISBN 80-7262-168-8.
- ŠVIHOVEC, Jan, et al. Pharmacology. 1st edition. Prague: Grada, 2018. ISBN 978-80-271-2150-2.

External links

- Cephalosporins (Czech wikipedia)

Source

LINCOVÁ, Dagmar and Hassan FARGHALI, et al. Basic and applied pharmacology. 2nd edition. Prague: Galén, 2007. ISBN 978-80-7262-373-0.

MARTÍNKOVÁ, Jiřina, Stanislav MIČUDA and Jolana CERMANOVÁ. Selected chapters from clinical pharmacology for bachelor study [online]. [feeling. 2010-05-23]. <<https://www.lfhk.cuni.cz/farmakol/predn/prednbak.htm/>>.

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- 2.

