Lincosamides

Lincosamides are antibiotics used mainly to treat G + infections. These include lincomycin and clindamycin. Older lincomycin is no longer used in practice.

Mechanism of action

Lincosamides inhibit protein synthesis by binding to the 50S subunit of the ribosome.

Antimicrobial spectrum

It acts mainly on G + bacteria such as staphylococci and streptococci. They are also effective against anaerobes, some G− rods. Clostridium difficile, Neisseria, hemophilia and others are resistant.

Pharmacokinetics

Clindamycin after administration absorbs well. Penetrates body fluids and tissues, including bones. However, the penetration into the cerebrospinal fluid is small. It is excreted by the kidneys.

Pharmacodynamics

The effect of clindamycin is independent of concentration.

Resistance

The mechanism of resistance is the modification of ribosomes.

Indication

- B-lactam variant in patients with hypersensitivity to penicillin.
- Treatment of G + and anaerobic infections. Osteomyelitis caused by S. aureus, infections of joints and tendons. Infections insensitive to other antistaphylococcal antibiotics.
- Hospital use in patients with bone and soft tissue infections (intra-abdominal mixed aerobic anaerobic infections in combination with aminoglycosides) mainly in infections that have arisen in connection with abdominal surgery.
- Locally in acne vulgaris.

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Clindamycin

Clindamycin is one of lincosamides, which are antibiotics used as an alternative in the treatment of infections caused by gram-positive and anaerobic bacteria in patients hypersensitive to penicillins or other β-lactam antibiotics.

Mechanism of action

It consists in inhibiting proteosynthesis by binding to the 50S subunit of ribosomes of susceptible bacteria.

Spectrum

- Anaerobic bacteria - Bacteroides fragilis, Actinomyces species, Propionibacterium acnes, Fusobacterium species, Clostridium perfringens
- Clostridium difficile is always resistant
- Gram-positive bacteria - Staphylococcus aureus, Streptococcus pyogenes, Streptococcus pneumoniae, Corynebacterium diphtheriae
- Other microorganisms - Pneumocystis carinii, Plasmodium species

Resistance

- The inability of a microorganism to take up an antibiotic (due to the increased ability of the drug to efflux from the cell).
- Decreased affinity of ribosome binding sites based on genetic modification of the 50S subunit.
- Bacterial cell esterase production.
- These enzymes subsequently break down the antibiotic molecule and thus inactivate it.
- There is cross-resistance between clindamycin and macrolides.

Pharmacokinetics

Absorption

- After oral administration, it is well absorbed even in the presence of food.
- For severe infections, it can also be given parenterally.
- It has up to 90% bioavailability.

Distribution

- Clindamycin has a relatively high volume of distribution - about 1.1 l / kg.
- Up to 93% of the absorbed dose is bound to plasma proteins.
- In sufficient concentrations, it penetrates most tissues and body fluids, including bones and abscesses.
- Penetration into the cerebrospinal fluid is not sufficient even in inflammation (which usually increases the permeability of the blood-brain barrier).

Metabolism and excretion

- It undergoes oxidative metabolism in the liver and metabolites are excreted by glomerular filtration.
- The half-life of clindamycin is approximately 3 hours, but is prolonged in patients with liver or kidney disease (dose adjustment required).

Side effects

- Rash: up to 10% of patients.
- Pseudomembranous colitis with overgrowth of *Clostridium difficile* - if present, vancomycin or metronidazole are given.
- Indigestion. Inhibition of neuromuscular transmission - increases the effect of muscle relaxants.

Dosing strategies

Clindamycin is one of the antibiotics with a concentration-independent effect. The goal of dosing is to maintain effective concentrations above the MIC (minimum inhibitory concentration) of susceptible microorganisms for at least 50% of the dosing interval, which is 6-8 hours.

Clinical indications

- Intra-abdominal and pelvic infections with presumed involvement of anaerobic bacteria - peritonitis, abscesses, septic abortion.
- Staphylococcal and streptococcal osteomyelitis.
- Diabetic foot infection (in combination with antibiotics effective against aerobic gram-negative sticks).
- Severe infections caused by Streptococcus pyogenes - necrotizing fasciitis, myositis, toxic shock. Severe streptococcal and staphylococcal cellulitis.
- Severe orofacial inflammation, including retropharyngeal abscess.
- Prevention of bone inflammation in dental surgery.
- Acne vulgaris - topical administration. Aerobic vaginitis caused by streptococci, staphylococci, enterococci, E.coli - topical administration (vaginal tablet or cream)

Odkazy

Links

Související články

- Antibiotika

Reference[3]

1.
2.
3. LINCOVÁ, Dagmar and Hassan FARGHALI, et al. *Basic and applied pharmacology.* 2nd edition. Prague: Galén, 2007. ISBN 978-80-7262-373-0 . ↑ a bSkočit nahoru k: MARTÍNKOVÁ, Jiřina, Stanislav MIČUDA and Jolana CERMANOVÁ, et al. *Selected chapters from clinical pharmacology for bachelor study* [online]. © 2005. [feeling. 2010-08-14]. < https://www.lfhk.cuni.cz/farmakol/predn/prednbak.htm/ >.

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