Beta-lactamase inhibitors

This article has been translated from WikiSkripta; ready for the **editor's review**.

β-lactamases are enzymes that cleave antibiotics with a beta-lactam structure, which form one of the mechanisms of resistance. Due to the increasing occurrence of bacterial strains resistant to broad-spectrum penicillins (and cephalosporins), inhibitors have been developed[1] of these enzymes. Inhibitors are used in combination with antibiotics, which leads to **broadening the antimicrobial spectrum** by strains that are resistant to the antibiotic itself. Inhibitors are mostly ineffective on their own.

Mechanism of action

These substances are *structurally similar to beta-lactams*, but they either do not have antibiotic activity by themselves (clavulanic acid) or have it, but very limited (sulbactam, tazobactam). They are therefore not used by themselves, only '*in combination with antibiotics*. Beta-lactamases have a higher affinity for them, so the antibiotic is not split and can act against pathogens.

Clavulanic acid

File:Clavulanic acid.png
Clavulanic acid

Significantly **broadens the antimicrobial spectrum'*. it is most often combined with* amoxicillin' ***and*** *ticarcillin*. It binds to serine residues of β-lactamases. It acts mainly on plasmid-bound penicillinases. It does not affect chromosomal cephalosporinases.

Poor penetration into body fluids, does not penetrate cerebrospinal fluid. Absorption is not affected by food. It is excreted by the kidneys (nephrotoxic effects).

Co-amoxicillin (Amoksiklav®, Augmentin®)

Combination of **clavulanic acid and amoxicillin'**. Extends the spectrum of amoxicillin to staphylococcus, *H. influenzae*, *Moraxella catarrhalis*, *Neisseria gonorrhoeae*, *Bacteroides fragilis*, *Enterobacter*, etc. ,

The specific indication is the treatment of animal or human bites.

Co-ticarcillin (Timentin®)

Combination of **clavulanic acid and ticarcillin'**. Effective against strains resistant to ticarcillin – *Escherichia coli*, *H. influenzae*, *Moraxella catarrhalis*, *Klebsiella pneumoniae*, *Yersinia enterocolitica*, *Proteus vulgaris*, *Proteus mirabilis* etc.

Sulbactam

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Sulbactam

As the only inhibitor, it has an antibacterial effect on some acinetobacter and bacteroids. It is combined with ampicillin, cefoperazone (3rd generation cephalosporin).

Co-ampicillin (Unasyn®)

Combination of **sulbactam and ampicillin**. Effective against strains resistant to ampicillin - staphylococci, *H. influenzae*, *N. gonorrhoeae*, *Moraxella catarrhalis* etc.

Tazobactam

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Tazobactam

Minimal antibacterial action. A very effective inhibitor. It is combined with piperacillin. Efficacy comparable to clavulanic acid.

Co-piperacillin (Tazocin®)

Combination of **tazobactam and piperacillin'**. It is administered i.v. Renal excretion. It has a very broad antimicrobial spectrum, effective even against strains resistant to piperacillin - aerobic G+ and G−, most anaerobes. Indications for severe polymicrobial infections.

Links

Related Articles

- Antibiotics

- Betalactam antibiotics
- Penicillins
- Cephalosporins

References

- LINCOVÁ, Dagmar – FARGHALI, Hassan, et al. *Basic and applied pharmacology.* 1. edition. Prague : Galen, 2002. ISBN 80-7262-168-8.
- MARTÍNKOVÁ, Dahlia, et al. *Pharmacology for medical students.* 2. edition. Prague : Grada, 2018. ISBN 978-80-271-0929-6.
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1. LINCOVÁ, Dagmar – FARGHALI, Hassan, et al. *Basic and Applied Pharmacology.* 2. edition. Prague : Galen, 2007. ISBN 978-80-7262-373-0.

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