

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

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.

File:Clavulanic acid.png
Clavulanic acid

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

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

File:Sulbactam.png
Sulbactam

Co-ampicillin (Unasyn®)

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

Tazobactam

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

File:Tazobactam.png
Tazobactam

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

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