Proton pump inhibitors

Proton pump inhibitors (H^+/K^+ ATPase blockers) are chemically benzimidazole derivatives. By blocking the proton pump, almost complete suppression of hydrochloric acid secretion in the stomach can be achieved. These are mostly biologically inactive substances (precursors), which are transformed into an active form in the organism.[1]

Mechanism of action

After absorption from the small intestine, omeprazole (a precursor) enters the gastric mucosal cover cells through the bloodstream. There, it is converted into a spiro derivative in an acidic environment, and the cleavage of water produces an active metabolite (sulfenamide), which irreversibly blocks H^+/K^+ ATPase. Although benzimidazole derivatives have a short half-life, their effect persists for 1 to 3 days. [1] náhled|Aktivace omeprazolu

Pharmacokinetics

These substances are unstable in an acidic environment, so acid-resistant modification of the dosage form is important for them. The bioavailability of omeprazole is initially about 40%, but increases to 65% after repeated administration. For derivatives (pantoprazole, lansoprazole, rabeprazole) the bioavailability is constant. The remainder of the active substance, which is not absorbed in the parietal cells, is converted in the liver into hydroxy derivatives and sulfones. These are preferentially excreted by the kidneys.

Proton pump inhibitors are metabolised primarily by CYP2C19, (possibly CYP3A), so interaction with warfarin, diazepam, phenytoin and other drugs is possible. Proton pump inhibitors also impair the resorption of vitamin B12 and ketoconazole, further increase the resorption of digoxin.[1]

Side effects

The side effects are similar for all active substances in this group. These are mainly digestive disorders, fatigue, dizziness. It is important not to mention the possibility of severe hearing and vision impairment with parenteral administration of these drugs, so they should be given in this way rather in the form of a short-term infusion.[1]

Indication

- Esophageal reflux disease
- peptide ulcer of the stomach and duodenum
- acute gastritis
- gastropathy[2]

Contraindication

Not suitable for severe hepatic impairment. [2]

Dosage

náhled|Omeprazol

Active substance	**Daily dose**[2]
Template:HVLP	20–40 mg
Template:HVLP	20–40 mg
Template:HVLP	15–30 mg
Template:HVLP	20–40 mg
Template:HVLP	20–40 mg

Links

Related articles

- Farmakokinetika
- Iontové pumpy

External links

- Protonová pumpa (článek na české Wikipedii)

References

1.
2.

References

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Kategorie:Farmakologie Kategorie:Gastroenterologie