Antihistamines

Histamine is a biogenic amine derived from histidine that acts as a mediator of inflammation and anaphylactic reactions, a mediator in the CNS and is also involved in the regulation of gastric secretion. **Antihistamines** (H1-, H2- and H3-lytics) are drugs that specifically block the effects of histamine on histaminergic receptors.

Dithiaden

H_1-lytics

H_1-lytics are competitive antagonists at H1 receptors. They inhibit the vascular response to histamine, including increased permeability (edema formation), but do not affect the symptoms of shock. Although they are potent inhibitors of **bronchoconstriction** in vitro, they are not able to sufficiently influence the mechanisms of asthma.

Indication

- **symptomatic treatment** of allergic diseases: allergic rhinitis (eg hay fever); urticaria, Quincke's edema, drug and food allergy;
- **pruritus** of various origins with the exception of pruritus for cholestasis (eg allergic and non-allergic pruritic dermatoses, pruritus for infectious diseases accompanied by rash, such as chickenpox, measles, rubella);
- **insect bites** (locally, eg Template: HVLP - Fenistil®);
- **kinetosis** (balance disorders due to processes on the inner ear) - vertigo, morbid Meniér;
- **nausea** and **vomiting** of various etiologies (except organic disorders of the GIT);
- **insomnia (CNS inhibition).**

Pharmacokinetics

Conventional H1-lytics - 1st generation - for a short half-life must be administered several times a day. They penetrate the CNS, which manifests itself as sedentary. Generation 2 substances have a longer duration of action (can be administered once daily - Template: HVLP) and do not penetrate the CNS (they are not sedative).

Side effects

- **The sedative effect**, attenuation of psychomotor activity, is noticeable, especially in older drugs. Attention should be drawn to the reduced ability to drive and participate in traffic as well as to operate demanding machinery.
- **Antimuscarinic** effects (dry mouth, blurred vision, urinary retention in prostate hyperplasia, etc.).
- **QT prolongation** by a direct effect on the myocardium. By this mechanism, the electrical instability of the myocardium can be amplified with the consequent induction of torsade de pointes tachyarrhythmias.

Active substance	Effect time (hours)	Sedative effect	Single dose for adults (mg)
promethazine	20	+++	10–20
cyproheptadine	5	+	4
bisulepine	7	+	2
dimetinden	7	+	1–2
moxastine	2	+++	25–100

Overview of 1st generation H1-lytik

2nd generation H1-lytics are substances with minimal sedative effects and prolonged H1 lytic effects.

Active substance	Effect time (hours)	Sedative effect	Single dose for adults (mg)
cetirizine	24	0	10
loratadine	24	0	10

Overview of 2nd generation H1-lytik

Links

Related articles

- Histamine
- Allergy
- Allergy treatment

Literature

- MARTÍNKOVÁ, Jiřina, Stanislav MIČUDA a Jolana ČERMÁKOVÁ. *Vybrané kapitoly z klinické farmakologie pro bakalářské studium : Histamin, antihistaminika* [online]. ©2001. [cit. 2010-07-13]. <https://www.lfhk.cuni.cz/farmakol/predn/bak/kapitoly/histamin-bak.doc/>.