

Antihistamines have a wide range of adverse effects that depend on the specific class of medication used. H-1 receptor antihistamines usually cause clinically noticeable adverse effects that are dose-dependent. These side effects are far more common with first-generation antihistamines. Second-generation antihistamines cannot cross the blood-brain barrier as easily, so their side effect profile is much lower. In contrast to H-1 receptor antihistamines, H-2 receptor antihistamines, with the exception of cimetidine, generally do not cause any adverse effects.

H-1 receptor antihistamines have anticholinergic properties that cause adverse effects; this is essentially only the case with the first generation of antihistamines. Overall, they have a calming effect, but can cause insomnia in some users. Due to their anticholinergic properties, dry mouth is a relatively common adverse effect. Some users suffer from dizziness and tinnitus. Euphoria and decreased coordination may also occur with increasing doses, and delirium is a possible adverse effect in even higher dose ranges. Antihistamines can also be cardiotoxic in some users as they have a QTc-prolonging effect.

H-2 receptor antihistamines are generally well tolerated by users, but carry the risk of unusual side effects. Gastrointestinal changes may occur, including both diarrhoea and constipation. There have been reports of drowsiness, dizziness and confusion. One particular drug in this category that can cause a number of adverse effects is cimetidine. Its antiandrogenic effect is associated with the possible occurrence of gynecomastia in men. In women it can cause galactorrhoea. Other H-2 receptor antihistamines do not have the same properties as cimetidine.

H-2 receptor antihistamines can cause inhibition of the cytochrome system, especially cimetidine, which may lead to drug toxicity and drug-drug interactions.

Patients with hemodynamic changes, increased intraocular pressure or increased urinary retention should use antihistamines with caution as these conditions can be exacerbated.

Contraindications

Given the potentially cardiotoxic effects of certain antihistamines, they are relatively contraindicated in patients with QTc prolongation. In patients taking other QTc-prolonging drugs, careful monitoring for further prolongation of the QTc interval is required due to the risk of potentially fatal cardiac arrhythmias.

Use in pregnant women is a relative contraindication. In addition, women who are breastfeeding should also refrain from taking antihistamines.

Patients with impaired kidney or liver function should only use antihistamines with caution.

High blood pressure, cardiovascular diseases, urinary retention and increased eye pressure are relative contraindications for taking antihistamines.

The dosage of antihistamines may need to be monitored, especially with prolonged use. Patients should be monitored for anticholinergic effects. This applies in particular to the elderly, who are at increased risk of falls.

The cardiotoxic effects of antihistamines can be monitored by electrocardiogram (ECG) to assess prolongation of the QTc interval.

Toxicity

There is no specific antidote for the treatment of antihistamine overdose. However, physostigmine may be an option if a patient experiences delirium or other toxic side effects due to the anticholinergic effects of the antihistamine.