First Generation Antihistamines

First generation antihistamines act through antagonism of histamine H1 receptors. They are indicated for allergies, nausea and vomiting, motion sickness, and anaphylaxis. Drugs in this class include diphenhydramine, or benadryl, promethazine, or phenergan, and chlorpheniramine. Side effects include sedation and anticholinergic effects.



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Mechanism of Action

Histamine H1 Receptor Antagonist
History-man with (1) Wand in Ant-toga
First generation antihistamines such as diphenhydramine, promethazine, and chlorpheniramine antagonize H1 receptors in the CNS and peripheral nervous system. By antagonizing these receptors, these drugs prevent histamine from increasing vascular permeability.

Indications

Allergies
Allergy-alligator
Allergies are mediated by a multitude of messenger molecules and factors, the most significant being histamine. Histamine acts on H1 receptors to increase vascular permeability. Allergic swelling, urticaria, and rhinitis are histamine-mediated, and thus H1 blockade will nullify these symptoms.

Nausea and Vomiting
Vomiting
H1 receptors in the CNS play a role in the stimulation of nausea and vomiting. Blockade of these receptors by H1 receptor antagonists can reduce nausea and vomiting.

Motion Sickness
Sea sick
H1 receptors also play an important role in vestibular compensation and balance. Erroneous stimulation of these receptors during movement (or perceived movement, such as in virtual reality) can lead to motion sickness, which is characterized by nausea, vomiting, and/or autonomic symptoms. H1 receptor blockers can alleviate these symptoms.

Anaphylaxis
Anvil-axes
Anaphylaxis is a potentially deadly disorder characterized by massive mast cell degranulation. It typically presents with urticaria, rash, respiratory distress and hypotension. As these effects are partially mediated by increased vascular permeability due to histamine release, antihistamines are indicated in the management of patients with anaphylaxis.

Drug Names

Diphenhydramine (Benadryl)
Dolphin-hydra
Diphenhydramine, or Benadryl, is an over-the-counter antihistamine used as a sedative, to treat hay fever/allergic reactions, and as an anti-tussive.

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Promethazine (Phenergan)

Pro-moth-sailing

Promethazine is a first generation H1 antagonist antihistamine and is used to treat allergies, nausea and vomiting, motion sickness, and can be used as a sedative.

Chlorpheniramine

Color-fin-mime

Chlorpheniramine is a first generation H1 antagonist antihistamine and is used to treat allergies.

Side Effects

Sedation

Sedation-dart

First generation antihistamines are occasionally used as sedatives for patients experiencing insomnia, as H1 blockade in the CNS causes sedation. It is important to also remember that while some patients may take antihistamines specifically for this effect, for other patients taking antihistamines for other reasons this may represent an undesired side-effect.

Anticholinergic Effects

Ant-tie-cola

First generation H1 antagonist antihistamines have some anti-cholinergic activity on muscarinic receptors which can cause dry mouth, tachycardia, among other side effects. These drugs should be used with caution in the elderly, as they increase fall risk.

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