

Sedating Antihistamines and Non-Sedating Antihistamines

Antihistamines bind to histamine H1 receptors and block the effects of histamine. Typically, they are used for the following indications:

- Allergic rhinitis,
- Vasomotor rhinitis,
- Allergic conjunctivitis,
- Urticaria,
- Angioedema,
- Insect bites and stings,
- Nausea and vomiting,
- Motion sickness,
- Insomnia associated with urticaria and pruritus, and
- Adjuvant therapy in the treatment of anaphylaxis.

Generally, antihistamines are administered orally (e.g. in the form of tablets or liquid). Some antihistamines can be administered via the intravenous route, intramuscular route or topically (e.g. in the form of nasal sprays or eye drops).

Antihistamines are classified into two groups – the first-generation ("sedating") and second-generation ("non-sedating"). Sedating antihistamines cause sedation as they are highly lipid soluble and readily cross the blood-brain barrier. This sedating activity is sometimes used in managing conditions such as eczema where sleep may be disturbed due to pruritus. Sedating antihistamines also have significant anticholinergic activity (sedating antihistamines also act on the muscarinic receptors as antagonists) and should be used with caution in patients with prostatic hypertrophy, urinary retention and angle-closure glaucoma. This anticholinergic activity may result in anticholinergic side effects such as dry mouth, blurred vision, dizziness, constipation, tachycardia/palpitations, sedation and urinary retention. When prescribing antihistamines for older people, it is advisable to steer clear of sedating antihistamines due to their anticholinergic side effects. This is because older people tend to be more sensitive to the effects of medications with anticholinergic activity. Such medications have been linked to a higher risk of falls/fractures and cognitive impairment in older people.

Examples of sedating antihistamines:

- Alimemazine
- Chlorphenamine

- Cyproheptadine
- Hydroxyzine
- Ketotifen
- Promethazine hydrochloride
- Promethazine teoclate
- Cinnarizine

Examples of non-sedating antihistamines:

- Acrivastine
- Bilastine
- Cetirizine
- Desloratadine
- Fexofenadine
- Levocetirizine
- Loratadine
- Mizolastine
- Rupatadine

Sedation is rare with non-sedating antihistamines, however, patients should be made aware that a sedative effect may occur and the performance of skilled tasks such as operating machinery or driving may be affected.

Choice of antihistamine

Due to the higher risk of adverse effects associated with sedating antihistamines, it is preferred to choose a non-sedating antihistamine over a sedating antihistamine.

Comparison of first-generation antihistamines and second-generation antihistamines

This table compares first-generation antihistamines and second-generation antihistamines including the advantages and disadvantages.

	First-generation antihistamines	Second-generation antihistamines
Duration of action	Shorter duration	Longer duration
Selectivity for	Acts on both the peripheral	High affinity and selectivity
histamine H1	histamine H1 receptors and	for peripheral histamine H1
receptor	central histamine H1 receptors	receptors. Having selectivity
	(located in the central nervous	for the peripheral histamine
	system). Acting on the central	H1 receptors results in the
	histamine H1 receptors gives	least possible central
	rise to central nervous side	nervous system side effects.
	effects such as sedation,	

	cognitive impairment and fatigue.	
Binding affinity for muscarinic and alpha-adrenergic receptors	Higher binding affinity	Lower binding affinity
Side effects	Cause more side effects Common side effects:	Cause less side effects Does not or less likely to
	drowsiness, fatigue, cognitive impairment, dizziness, dry mouth	cross the blood brain barrier and in effect causes minimal drowsiness/no sedation
Clinically relevant drug interactions	Possible	Unlikely
Abuse potential	Yes	Likely to be abused less frequently
Older people	Elimination half-life and duration of action are prolonged and sensitivity to sedative (drowsiness, confusion, agitation) and anticholinergic effects is amplified in older people. Not recommended	Recommended over first- generation antihistamines

Source: References 1-5

Patient Counselling/Monitoring

- Monitor patient for anticholinergic side effects and other adverse effects.
- Give advice on how to manage the following anticholinergic side effects:
- Antihistamines are most effective when taken before exposure to the allergen -Dry mouth: suck on a sugar-free lozenge or sweet or take sips of water and monitor

- Constipation: increase fibre and water intake and monitor

- Assess whether the antihistamine is providing relief from symptoms associated from the allergy or do they need to be switched to another antihistamine
- Provide advice on how to reduce exposure to allergens

References

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