Second Generation Antihistamines

Second generation antihistamines are indicated for allergic (allergic rhinitis). They are lipophobic molecules that work as histamine H1 receptor antagonists. An example is azelastine + fluticasone (Dymista), which is commonly administered as an intranasal spray instead of orally. Other examples include cetirizine (Zyrtec), loratadine (Claritin), and fexofenadine (Allegra). These drugs have fewer anticholinergic and CNS effects than their first generation counterparts.



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Indications

Allergies
Allergy-alligator
Second generation antihistamines can be used as a monotherapy for mild allergic rhinitis symptoms including rhinorrhea, sneezing, urticaria, itching, and nasal congestion.

Mechanism of Action

Lipophobic
Lip-pho-bee
Second generation antihistamines are faster and longer acting (>24 hours) than first generation antihistamines. They are lipophobic, so they have fewer CNS effects.

Histamine H1 Receptor Antagonist
History-man with (1) Wand in Ant-toga
These drugs compete with histamine for H1 receptor sites. H1 receptors are found all over the body including on smooth muscle cells (in the respiratory tract and blood vessels), cardiomyocytes, and the central nervous system.

Drugs

Azelastine + Fluticasone (Dymista)
Dime-Mister
Dymista is composed of azelastine, a second generation antihistamine, and a steroid called fluticasone. Patient education should include correct intranasal medication administration. Patients should prime the pump for first time use. Then shake the medication and blow their nose before administration. Medication applicator should be inserted about 1/4 or 1/2 an inch into the nostril. Patients should tilt their head when spraying the medication, and close the opposite nostril when administering. Patients should be sure to direct the medication away from their nasal septum.

Intranasal Spray
In-nose Sprayer
Some second generation antihistamines can be administered via intranasal spray. Dymista is commonly administered this way.

Cetirizine (Zyrtec)
Citrus-magazine
Cetirizine (brand name Zyrtec) is the most sedating of the second generation antihistamines.

Loratadine (Claritin)
Low-rationing
Loratadine (brand name Claritin) may be taken once or twice daily depending on severity of symptoms. Its peak action occurs 8 hours after administration.

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Fexofenadine (Allegra)

Fox-fenced

Fexofenadine is the least sedating second generation antihistamine. High fat meals and fruit juice decrease the bioavailability of fexofenadine.

Considerations

Fewer Anticholinergic Effects

Down-arrow Fx Ant-tie-cola

Second generation antihistamines have fewer anticholinergic effects than first generation antihistamines. Anticholinergic effects include dry mouth or dry eyes. These drugs are also less sedating than their first generation counterparts.

Fewer CNS Effects

Down-arrow CNS-brain

Second generation antihistamines cause fewer CNS effects than first generation antihistamines since they are lipophobic. Patients often can take second generation antihistamines during the day since they are less sedating.

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