Therapeutic class:
Non-sedating antihistamines

Overview:
Allergic rhinitis is a common condition found in all age groups. In patients with other respiratory conditions such as asthma, allergic rhinitis can lead to serious complications. Pharmacological options for allergic rhinitis include traditional oral antihistamines, non-sedating antihistamines, nasal corticosteroids, nasal antihistamines, and leukotriene inhibitors.

The non-sedating antihistamines selectively block the peripheral $H_1$ receptors; selective blockade results in decreased drowsiness and dizziness as compared to the traditional antihistamines. The FDA approved indications for this class of drugs are relief of the symptoms associated with allergic rhinitis (both seasonal and perennial) and chronic idiopathic urticaria.

There are currently four non-sedating antihistamines in the U.S. market. The older agents, such as terfenadine and astemizole were discontinued due to severe drug interactions with erythromycin, ketoconazole and other agents that are metabolized via the P450 enzyme system. The newer agents have less significant drug interaction profiles. Three of these agents (cetirizine, fexofenadine, and loratadine) are also available in combination with the decongestant, pseudoephedrine. Cetirizine is a prodrug of hydroxyzine. Because the incidence of somnolence is twice that observed in placebo, but less than traditional antihistamines, cetirizine is considered a second generation antihistamine. Cetirizine has an indication for allergic rhinitis in children under the age of two and for urticaria in children younger than six months. Desloratadine is an isomer of loratadine, which binds with stronger affinity to the $H_1$ receptors. However, in clinical trails, its efficacy is not substantially superior to other non-sedating antihistamines. Fexofenadine is the active metabolite of terfenadine. However, fexofenadine does not cause QT prolongation when given in doses up to 800 mg/day or when administered concomitantly with ketoconazole or erythromycin. Loratadine is the first OTC non-sedating antihistamine. Both tablet and liquid dosage forms became available over the counter in December 2002. The price of loratadine has dropped dramatically since the regulatory status change.

<table>
<thead>
<tr>
<th>Generic Name</th>
<th>Brand Name</th>
<th>Manufacturer</th>
<th>OTC Available</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cetirizine</td>
<td>Zyrtec®, Zyrtec-D®</td>
<td>Pfizer</td>
<td>N</td>
</tr>
<tr>
<td>Desloratadine</td>
<td>Clarinex®</td>
<td>Schering</td>
<td>N</td>
</tr>
<tr>
<td>Fexofenadine</td>
<td>Allegra®, Allegra-D®</td>
<td>Aventis</td>
<td>N</td>
</tr>
<tr>
<td>Loratadine</td>
<td>Claritin®, Claritin-D®, Alavert</td>
<td>Schering, Wyeth, Geneva</td>
<td>Y</td>
</tr>
</tbody>
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References from Therapeutic Class Review: