Fexofenadine | apollo +9191 46 950

Fexofenadine

CAS Number : 83799-24-0
Molecular Weight : 501.65 g/mol
Molecular Formula : C32H39NO4
Systematic (IUPAC) : 2-{(4-{1-hydroxy-4-[4-(hydroxydiphenylmethyl)piperidin-1-yl]butyl}phenyl)-2-methylpropanoic acid

Type : small molecule
Description
Fexofenadine hydrochloride (Allegra) is an antihistamine drug used in the treatment of hayfever and similar allergy symptoms. It was developed as a successor of and alternative to terfenadine. Fexofenadine, like other second and third-generation antihistamines, does not readily pass through the blood-brain barrier, and so causes less drowsiness than first-generation histamine-receptor antagonists.

Categories
Anti-Allergic Agents
Antihistamines
Histamine H1 Antagonists, Non-Sedating

Taxonomy

Kingdom : Organic

Classes
Diphenylmethanes

Substructures
Carbonyl Compounds
Hydroxy Compounds
Benzyl Alcohols and Derivatives
Acetates
Carboxylic Acids and Derivatives
Phenylacetates
Benzene and Derivatives
Cumenes and Derivatives
Aliphatic and Aryl Amines
**Pharmacology**

**Indication**: For management of Seasonal allergic rhinitis

**Pharmacodynamics**: Fexofenadine is a second-generation, long lasting H1-receptor antagonist (antihistamine) which has a selective and peripheral H1-antagonist action. Histamine is a chemical that causes many of the signs that are part of allergic reactions, such as the swelling of tissues. Histamine is released from histamine-storing cells (mast cells) and attaches to other cells that have receptors for histamine. The attachment of the histamine to the receptors causes the cell to be "activated," releasing other chemicals which produce the effects that we associate with allergy. Fexofenadine blocks one type of receptor for histamine (the H1 receptor) and thus prevents activation of cells by histamine. Unlike most other antihistamines, Fexofenadine does not enter the brain from the blood and, therefore, does not cause drowsiness. Fexofenadine lacks the cardiotoxic potential of terfenadine, since it does not block the potassium channel involved in repolarization of cardiac cells.
**Mechanism of action**: Like other H1-blockers, Fexofenadine competes with free histamine for binding at H1-receptors in the GI tract, large blood vessels, and bronchial smooth muscle. This blocks the action of endogenous histamine, which subsequently leads to temporary relief of the negative symptoms (eg. nasal congestion, watery eyes) brought on by histamine. Fexofenadine exhibits no anticholinergic, antidopaminergic, alpha1-adrenergic or beta-adrenergic-receptor blocking effects.

**Absorption**: 33%

**Protein binding**: 60%-70%

**Metabolism**: Approximately 5% of the total dose is metabolized, by cytochrome P450 3A4 and by intestinal microflora.

**Half life**: 14.4 hours

**Toxicity**: Side effects include dizziness, drowsiness, and dry mouth.

**Affected organisms**: Humans and other mammals

**Drug Class And Mechanisms**
Fexofenadine is an oral, "second generation" antihistamine that is used to treat the signs and symptoms of allergy and hives. It is similar to the other second generation antihistamines loratadine (Claritin), cetirizine (Zyrtec) and azelastine (Astelin).
Histamine is a chemical that is responsible for many of the signs and symptoms of allergic reactions, for example, swelling of the lining of the nose, sneezing, and itchy eyes. Histamine is released from histamine-storing cells (mast cells) and then attaches to other cells that have receptors for histamine. The attachment of the histamine to the receptors causes the cells to be "activated," releasing other chemicals that produce the effects that we associate with allergy (for example, sneezing). Fexofenadine blocks one type of receptor for histamine (the H1 receptor) and thus prevents activation of H1 receptor-containing cells by histamine. Unlike the first generation antihistamines, fexofenadine and other second-generation antihistamines do not readily enter the brain from the blood. Therefore, they cause less drowsiness and are called non-sedating antihistamines. Fexofenadine was approved by the FDA in July 1995.

**Dosing**

For seasonal allergies and urticaria the recommended dose for adults and children 12 years of age or older is 60 mg twice daily or 180 mg once daily. Children 2-11 years of age should be given 30 mg twice daily for seasonal allergies or urticaria. Children 6 months to 2 years old should receive 15 mg twice daily for urticaria. The suspension is used for children less than 6 years old.

**Drug Interactions**

Aluminum containing antacids (for example, Maalox) reduced the absorption of fexofenadine when administered 15 minutes apart. Therefore, aluminum containing antacids and fexofenadine should not be
administered together. Fruit juices (apple, orange, grapefruit) may reduce the absorption of fexofenadine. Fexofenadine should only be administered with water.

Uses
Fexofenadine is an antihistamine that provides relief of seasonal allergy symptoms such as runny or itchy nose, sneezing, itchy throat, and watery, itchy, or red eyes. It is also used for hives.

How To Use?
Take fexofenadine by mouth usually twice a day or as directed by your doctor. If you are taking the suspension, shake the bottle well before use. Take the regular tablet or suspension form of this medication with or without food. If you are using the rapidly dissolving tablet, take it on an empty stomach. Remove the rapidly dissolving tablet from its foil pack immediately before taking and place the tablet on the tongue. It will dissolve quickly. You may swallow the dissolved medication with or without water. Taking fexofenadine with apple, grapefruit, or orange juice may decrease the absorption of this drug. Try to avoid taking fexofenadine with these types of fruit juices. If possible, take this drug with water instead. Antacids containing aluminum and magnesium can decrease the absorption of this drug. Do not take antacids within 2 hours of taking this medication. Do not increase your dose or take this more often than directed. Dosage is based on your medical condition (e.g., kidney disease) and response to treatment. In children, dosage is also based on age. Do not take this medication for several days before allergy testing since test results can be affected. Consult your
doctor or pharmacist for more information. Inform your doctor if your condition persists or worsens.

**Why is this medication prescribed?**
Fexofenadine is used to relieve the allergy symptoms of seasonal allergic rhinitis ("hay fever"), including runny nose; sneezing; red, itchy, or watery eyes; or itching of the nose, throat, or roof of the mouth in adults and children 2 years of age and older. It is also used to relieve symptoms of urticaria (hives; red, itchy raised areas of the skin), including itching and rash in adults and children 6 months of age and older. Fexofenadine is in a class of medications called antihistamines. It works by blocking the effects of histamine, a substance in the body that causes allergy symptoms.

**How should this medicine be used?**
Fexofenadine comes as a tablet and a suspension (liquid) to take by mouth. It is usually taken with water once or twice a day. Fexofenadine will work better if it is not taken with fruit juices such as orange, grapefruit, or apple juice. Take fexofenadine at around the same time(s) every day. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take fexofenadine exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.

Fexofenadine controls the symptoms of seasonal allergic rhinitis and urticaria but does not cure these conditions. Continue to take fexofenadine even if you feel well and are not experiencing these symptoms. If you wait too long between doses, your symptoms may become worse.
Shake the suspension well before each use to mix the medication evenly.

**Other uses for this medicine**
This medication may be prescribed for other uses; ask your doctor or pharmacist for more information.

**What special precautions should I follow?**
Before taking fexofenadine,
tell your doctor and pharmacist if you are allergic to fexofenadine, any other medications, or any of the ingredients in fexofenadine tablets or suspension. Ask your pharmacist for a list of the ingredients.
tell your doctor and pharmacist what prescription and nonprescription medications, vitamins, nutritional supplements, and herbal products you are taking or plan to take. Be sure to mention either of the following: erythromycin (E.E.S., E-Mycin, Erythrocin) and ketoconazole (Nizoral). Your doctor may need to change the doses of your medications or monitor you carefully for side effects.
if you are taking an antacid containing aluminum or magnesium (Maalox, Mylanta, others), take the antacid a few hours before or after fexofenadine.
tell your doctor if you have or have ever had kidney disease.
tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking fexofenadine, call your doctor.

**What special dietary instructions should I follow?**
Unless your doctor tells you otherwise, continue your normal diet.

**What should I do if I forget a dose?**
Take the missed dose as soon as you remember it. However, if it is almost time for the next dose, skip the missed dose and continue your regular dosing schedule. Do not take a double dose to make up for a missed one.

**What side effects can this medication cause?**
Fexofenadine may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
- headache
- dizziness
- diarrhea
- vomiting
- pain in the arms, legs, or back
- pain
- pain during menstrual period
- cough

Some side effects can be serious. If you experience any of these symptoms, call your doctor immediately:
- hives
- rash
- itching
- difficulty breathing or swallowing
- swelling of the face, throat, tongue, lips, eyes, hands, feet, ankles, or lower legs
- hoarseness
- difficulty swallowing or breathing

Fexofenadine may cause other side effects. Call your doctor if you have any unusual problems while taking this medication.
What storage conditions are needed for this medicine?
Keep this medication in the container it came in, tightly closed, and out of reach of children. Store it at room temperature and away from excess heat and moisture (not in the bathroom). Throw away any medication that is outdated or no longer needed. Talk to your pharmacist about the proper disposal of your medication.

Symptoms of overdose may include:
- dizziness
- drowsiness
- dry mouth

What other information should I know?
Keep all appointments with your doctor.
Do not let anyone else take your medication. Ask your pharmacist any questions you have about refilling your prescription.
It is important for you to keep a written list of all of the prescription and nonprescription (over-the-counter) medicines you are taking, as well as any products such as vitamins, minerals, or other dietary supplements. You should bring this list with you each time you visit a doctor or if you are admitted to a hospital. It is also important information to carry with you in case of emergencies.
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