

Loratadine is an anti allergy medication biology essay

[Science](#), [Biology](#)



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[loratadine]

Submitted to:

Submitted by:

Amin Ibrahim Amin Nagy 073187

Group: (A3)

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Introduction

Loratadine is an anti-allergy medication popular for its non-sedating properties. Marketed under brand names such as Claritin and Alavert,

Loratadine is prescribed for the relief of symptoms related to allergic reactions like that of allergic rhinitis and hives. It can also be effective for other cases of skin allergies. Loratadine can be taken in many different ways. People can take it as a tablet, a suspension or syrup. The tablet is of the rapidly dissolving kind so that it is easily absorbed by the body. If you opt to take Loratadine in this tablet form, you must be careful so as to make sure that you handle the tablet carefully. Make sure it doesn't break when you take it out of its packaging. Once taken safely out of its wrapper, quickly place it on your tongue. The tablet will then dissolve immediately. Usually, Loratadine is taken once a day. You can take it with or without food. However, before you start taking Loratadine, there are a few things you need to consider. First of all, examine the kind of allergic reaction you are having. If you have hives and you notice that they have a blistered, bruised or discolored appearance, do not take Loratadine. At the same time if the hives do not seem to itch, do not take Loratadine. When these conditions are present, it would be best to consult with your doctor first. If your hives do not have any of the characteristics said above, then you may take Loratadine. Of course, this must be done with your doctor's consent. Observe your condition carefully. If no significant improvement occurs even after three days of taking Loratadine, stop taking the medication. At the same time, if the hives persist for more than six weeks, stop taking Loratadine. When these situations occur, you have to call your doctor immediately and determine what changes in dosage or medication you need to make. Also, if you have any previous or existing medical condition, inform your doctor so as to avoid any negative drug interactions. In patients with Hepatitis and/or

renal problems, dosage of Loratadine may have to be reduced. Moreover, patients with Epilepsy need to take Loratadine with more caution. As for children and the elderly, they may be more prone to some of Loratadine's effects. On the other hand, Loratadine is generally safe for pregnant or breastfeeding women. However, it would still be best to contact your doctor and discuss Loratadine in connection with your baby's health. Some common side effects of Loratadine include drowsiness, headache, dry mouth and sore throat. Other less common side effects are red eyes, nosebleed, sleep disturbance and confusion. Other patients may also feel weak and nervous. Although some side effects are minor and temporary, some may be serious. Once these symptoms are observed, the doctor must be informed immediately. These symptoms include wheezing, difficulty in breathing and swallowing. The person may also begin wheezing. At the same time, appearance of swelling in the face and extremities are a warning sign. Also, if the person continues breaking out in hives, the medication must be stopped. A doctor must then re-examine the person's condition. This drug usually has no side effects. If you have any unusual effects, contact your doctor or pharmacist promptly. A very serious allergic reaction to this drug is rare. However, seek immediate medical attention if you notice any symptoms of a serious allergic reaction, including: rash, itching/swelling (especially of the face/tongue/throat), severe dizziness, trouble breathing. This is not a complete list of possible side effects. This medication is an antihistamine that treats symptoms such as itching, runny nose, watery eyes, and sneezing from "hay fever" and other allergies. It is also used to relieve itching from hives. Loratadine does not prevent hives or prevent/treat

a serious allergic reaction (e. g., anaphylaxis). Therefore, if your doctor has prescribed epinephrine to treat allergic reactions, always carry your epinephrine injector with you. Do not use loratadine in place of your epinephrine. If you are self-treating with this medication, it is important to read the manufacturer's package instructions carefully so you know when to consult your doctor or pharmacist. (See also Precautions section.) Do not use this medication in children younger than 6 years unless directed by the doctor. If you are using the chewable tablets, do not use in children younger than 2 years unless directed by your doctor. Structure of

Loratadine Loratadine is a white to off-white powder not soluble in water, but very soluble in acetone, alcohol, and chloroform. It has a molecular weight of 382. 89, and empirical formula of $C_{22}H_{23}ClN_2O_2$; its chemical name is ethyl 4-(8-chloro-5, 6-dihydro-11H-benzo [5, 6]cyclohepta[1, 2-b]pyridin-11-ylidene) -1-piperidinecarboxylate and has the following structural formula:

CLARITIN (loratadine) Structural Formula Illustration Claritin Tablets contain 10 mg micronized loratadine, an antihistamine, to be administered orally. It also contains the following inactive ingredients: corn starch, lactose, and magnesium stearate. Claritin Syrup contains 1 mg/mL micronized loratadine, an antihistamine, to be administered orally. It also contains the following inactive ingredients: citric acid, edetate disodium, artificial flavor, glycerin, propylene glycol, sodium ben-zoate, sugar, and water. The pH is between 2. 5 and 3. 1. Claritin reditabs (loratadine rapidly-disintegrating tablets) contain 10 mg micronized loratadine, an antihistamine, to be administered orally. It disintegrates in the mouth within seconds after placement on the tongue, allowing its contents to be subsequently swallowed with or without water.

Claritin reditabs (loratadine rapidly-disintegrating tablets) also contain the following inactive ingredients: citric acid, gelatin, mannitol, and mint flavor.

Pharmacokinetics

Absorption

Rapidly absorbed oral administration. T max is 1.3 h for loratadine and 2.5 h for its metabolite. And Food increases bioavailability (AUC) approximately 40%; however, T max is delayed 1 h. Steady state is reached by approximately the fifth dosing day.

Distribution

97% protein bound.

Metabolism

Metabolite is descarboethoxyloratadine. Metabolized by CYP-450 3A4 and P450 2D6. Undergoes extensive first-pass metabolism.

Elimination

Approximately 80% equally distributed between urine and feces in the form of metabolic products within 10 days. The $t_{1/2}$ for loratadine is 8.4 h (3 to 20 h). The $t_{1/2}$ for descarboethoxyloratadine is 28 h (8.8 to 92 h).
STRUCTURE-ACTIVITY RELATIONSHIP: UsersaminDesktopLoratadine.gif Loratadine is an antihistamine of the piperidine group. It is less basic than its parent compound azatadine, decreasing its ability to penetrate the CNS. The chlorine substituent increases its potency as well as increasing the duration of action. Synthesis: UsersaminDesktopLoratadine and desloratadine synthesis.gif Adverse effects As a "non-sedating" antihistamine, loratadine

causes less (but still significant, in some cases) sedation and psychomotor retardation than the older antihistamines because it penetrates the blood brain barrier to a smaller extent. Although drowsiness is rare at the common 10 mg dose, patients should, nevertheless, be advised that it can occur and may affect performance of skilled tasks (e. g., driving). Patients who do experience drowsiness while taking loratadine should avoid the use of alcohol as it can cause excessive drowsiness. Otherwise, it is unlikely that loratadine and alcohol will cause problems. Nevertheless, it would be in the patient's best interest to take caution when combining alcohol and any medication. Other possible side-effects include headache and antimuscarinic effects such as urinary retention, dry mouth, blurred vision, and gastrointestinal disturbances.

Mechanism of action

Loratadine is a long-acting tricyclic antihistamine with selective peripheral histamine H₁-receptor antagonistic activity. Human histamine skin wheal studies following single and repeated 10 mg oral doses of CLARITIN (loratadine) have shown that the drug exhibits an antihistaminic effect beginning within 1 to 3 hours, reaching a maximum at 8 to 12 hours, and lasting in excess of 24 hours. There was no evidence of tolerance to this effect after 28 days of dosing with CLARITIN (loratadine). Whole body autoradiographic studies in rats and monkeys, radiolabeled tissue distribution studies in mice and rats, and in vivo radioligand studies in mice have shown that neither loratadine nor its metabolites readily cross the blood-brain barrier. Radioligand binding studies with guinea pig pulmonary and brain H₁-receptors indicate that there was preferential binding to peripheral versus central nervous system H₁-receptors.

C: UsersaminDesktopH1 receptor antagonist mechanism. gifDrug

interaction. It has been administered with therapeutic doses of erythromycin, cimetidine, and ketoconazole in controlled clinical pharmacology studies in adult volunteers. Although increased plasma concentrations (AUC 0-24 hrs) of loratadine and/or descarboethoxyloratadine were observed following coadministration of loratadine with each of these drugs in normal volunteers (n = 24 in each study), there were no clinically relevant changes in the safety profile of loratadine, as assessed by electrocardiographic parameters, clinical laboratory tests, vital signs, and adverse events. There were no significant effects on QTc intervals, and no reports of sedation or syncope. No effects on plasma concentrations of cimetidine or ketoconazole were observed. Plasma concentrations (AUC 0-24 hrs) of erythromycin decreased 15% with coadministration of loratadine relative to that observed with erythromycin alone. The clinical relevance of this difference is unknown. These above findings are summarized in the following table: Effects on Plasma Concentrations (AUC 0-24 hrs) of Loratadine and Descarboethoxyloratadine After 10 Days of Coadministration (Loratadine 10 mg) in Normal Volunteers.

	Loratadine	Descarboethoxyloratadine	Erythromycin (500 mg Q8h)	Cimetidine (300 mg QID)	Ketoconazole (200 mg Q12h)
Plasma Concentrations (AUC 0-24 hrs)	+40%	+46%	+10%	+3%	+6%

There does not appear to be an increase in adverse events in subjects who received oral contraceptives and loratadine.