

Allerget™

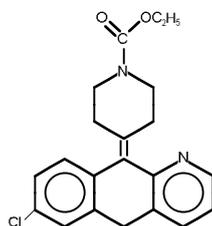
(LORATADINE TABLETS USP)

10mg Tablets

DESCRIPTION

Allerget (Loratadine), a piperidine derivative, is a potent long-acting, non-sedating tricyclic antihistamine activity with selective peripheral H₁-receptor antagonistic activity with no central sedative or anticholinergic effects.

The empirical formula for loratadine is C₂₂H₂₃ClNO₂O₂. The chemical name of loratadine is Ethyl 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridine-11-ylidene)piperidine-1-carboxylate. The chemical structure of loratadine is as shown below:



Loratadine

QUALITATIVE AND QUANTITATIVE COMPOSITION

Allerget (Loratadine) is available for oral administration in following dosage form:

Allerget Tablets 10mg
Each tablet contains:
Loratadine USP...10mg

CLINICAL PHARMACOLOGY

Mechanism of Action

Loratadine is a cyproheptadine derivative, structurally related to azatadine. It exhibits potent, long-acting, non-sedating tricyclic antihistamine effects with selective peripheral H₁-receptor antagonistic activity. Loratadine has no significant antimuscarinic activity and does not readily penetrate into the CNS. Loratadine exhibits greater affinity for peripheral H₁-receptors than for central H₁-receptors.

Pharmacokinetics

Absorption

Loratadine is rapidly absorbed from the gastro-intestinal tract after oral administration with peak plasma levels occurring about one hour after dosing. Bioavailability is increased and time to peak plasma concentrations delayed when administered with food.

Distribution

It has a distribution half-life of one hour. Loratadine is approximately 98% bound to plasma proteins. Loratadine and its metabolites have been detected in breast milk but do not appear to cross the blood brain barrier to a significant extent.

Metabolism and Elimination

Loratadine is extensively metabolized. The major metabolite, descarboethoxyloratadine has potent antihistamine activity and this metabolite corresponds 1-2% of the dose.

Approximately 82% of the dose is excreted in the urine (40%) and feces (42%) mainly in the form of metabolites over a 10 day period. Approximately 27% of the dose is eliminated in the urine during the first 24 hours largely in the conjugated form. The half-life of loratadine is 15 hours while that of

descarboethoxyloratadine is 12 hours. The terminal elimination phase half-life, based on plasma radioactivity, is approximately 46 hours.

Special Populations

Geriatric:

The mean elimination half-lives in the elderly were 18.2 hours for loratadine and 17.5 hours for descarboethoxyloratadine. The AUC and peak plasma levels of both loratadine and descarboethoxyloratadine were approximately 50% greater than the younger subjects.

Renal Impairment:

The disposition of loratadine does not appear to be significantly altered in patients with severe renal insufficiency.

THERAPEUTIC INDICATIONS

Allerget (Loratadine) is indicated for the symptomatic relief of symptoms associated with seasonal and perennial allergic rhinitis such as sneezing, nasal discharge and itching and ocular itching and burning.

It is also indicated for relief of symptoms and signs of chronic urticaria and other allergic dermatological disorders.

DOSAGE AND ADMINISTRATION

Allerget (Loratadine) is administered orally.

Allerget Tablets 10mg

Adults and children aged 12 years and above:
One tablet once daily.

Children aged 2-12 years:

Bodyweight >30kg: One tablet once daily.
Bodyweight <30kg: Half tablet once daily.

ADVERSE REACTIONS

The majority of the side effects reported are fatigue, dry mouth, allergic symptoms like rash, headache, gastro-intestinal disturbances (e.g. Gastric upsets and nausea) and somnolence. The uncommon side-effects include alopecia, anaphylaxis and an abnormal hepatic functioning.

CONTRAINDICATIONS

It is contraindicated in patients who have shown hypersensitivity or idiosyncrasy to the drug or any of its components.

PRECAUTIONS

Although loratadine lacks significant sedative effects, patients should be advised to take precaution since a small number of individuals may experience sedation. Patients experiencing slight sedation or impaired concentration should be advised not to drive or perform machinery operations.

Also, patients with liver impairment or renal insufficiency (CLCR<30mL/min) should be given a lower initial dose.

Drug Interactions

Loratadine is metabolized by hepatic microsomal drug-metabolising Cytochrome P450 isoenzymes CYP3A4 and CYP2D6. Therefore, concomitant administration of other drugs that inhibit or are metabolized by these hepatic enzymes may result in changes in plasma concentrations of either drug and, or possibly adverse effects. Drugs known to inhibit one or other of these enzymes include cimetidine, erythromycin, ketoconazole, quinidine, fluconazole and fluoxetine.

Pregnancy and Nursing Mothers

The safe use of loratadine during pregnancy or lactation has not been established and therefore, this drug should only be used if the potential benefit outweighs the potential risk to the fetus or the infant.

Since loratadine is excreted in breast milk and because of the increased risk of antihistamines for infants, particularly newborns and premature infants, a decision should be made whether to discontinue nursing or discontinue loratadine use.

Long term use in Children

Long term safety and efficacy in children between the ages of 2-12 have not been established. Therefore, it is advisable not to administer loratadine for longer than 14 days, unless recommended by a physician.

STORAGE

Store below 30°C.

Protect from sunlight & moisture.

The expiration date refers to the product correctly stored at the required conditions.

HOW SUPPLIED

Allerget (Loratadine) Tablets 10mg are available in blisters pack of 10 tablets.

Keep out of reach of children.

To be sold on prescription of a registered medical practitioner only.

Please read the contents carefully before use.
This package insert is continually updated from time to time.



Manufactured by: Getz Pharma (Pvt.) Limited, 29-30/27, K.I.A., Karachi-74900, Pakistan.

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