Alerda-D

Tablets

Desloratadine

DESCRIPTION:

The chemical name of Alerda-D (desloratadine) tablets is 8-chloro-6,11-dihydro-11-(4-piperdinylidene)-5H-benzo[5,6]cyclohepta [1,2-b] pyridine.
Desloratadine has an empirical formula: $C_{19}H_{19}ClN_2$ and a Molecular weight of 310.8

ALERDA-D TABLETS ARE AVAILABLE FOR ORAL ADMINISTRATION AS:

Each film coated tablet of Alerda-D contains:
Desloratadine U.S.P……..... 5mg

CLINICAL PHARMACOLOGY:

Mechanism of Action:
Desloratadine is a long-acting tricyclic histamine antagonist with selective H_1-receptor histamine antagonist activity. Receptor binding data indicates that at a concentration of 2-3 ng/mL (7 nanomolar), desloratadine shows significant interaction with the human histamine H_1-receptor. Desloratadine inhibited histamine release from human mast cells *in vitro*.
Desloratadine does not cross the blood brain barrier.

PHARMACOKINETICS:

Absorption:
Following oral administration of a desloratadine 5-mg tablet once daily for 10 days to normal healthy volunteers, the mean time to maximum plasma concentrations (T_{max}) occurred at approximately 3 hours post dose and mean steady state peak plasma concentrations (C_{max}) and AUC of 4 ng/mL and 56.9 ng.hr/mL were observed, respectively. Neither food nor grapefruit juice had an effect on the bioavailability (C_{max} and AUC) of desloratadine.

DISTRIBUTION:

Desloratadine and 3-hydroxydesloratadine are approximately 82% to 87% and 85% to 89% bound to plasma proteins, respectively. Protein binding of desloratadine and 3-hydroxydesloratadine was unaltered in subjects with impaired renal function.

METABOLISM :

Desloratadine (a major metabolite of loratadine) is extensively metabolized to 3-hydroxydesloratadine, an active metabolite, which is subsequently glucuronidated.

ELIMINATION:

The mean plasma elimination half-life of desloratadine was approximately 27 hours. C_{max} and AUC values increased in a dose proportional manner following single oral doses between 5 and 20 mg. The degree of accumulation after 14 days of dosing was consistent with the half-life and dosing frequency. A human mass balance study documented a recovery of approximately 87% of the desloratadine dose, which was equally distributed in urine and feces as metabolic products.

PHARMACOKINETICS IN SPECIAL POPULATION GROUPS:

In old patients: (>65 years)
In older subjects (⩾65 years old; n=17) following multiple-dose administration of Alerda-D Tablets, the mean Cmax and AUC values for desloratadine were 20% greater than in younger subjects (<65 years old) The oral total body clearance (CL/F) when normalized for body weight was similar between the two age groups. The mean plasma elimination half-life of desloratadine was 33.7 hr in subjects ⩾65 years old.

In renally impaired patients:
In patients with mild and moderate renal impairment, median C_{max} and AUC values increased by approximately 1.2-and 1.9-fold, respectively, relative to subjects with normal renal function. In patients with severe renal impairment or who were hemodialysis dependent, C_{max} and AUC values increased by approximately 1.7 and 2.5 fold, respectively.

In hepatically impaired patients:
Patients with hepatic impairment, regardless of severity, had approximately a 2.4-fold increase in AUC as compared with normal subjects. The apparent oral clearance of desloratadine in patients with mild, moderate, and severe hepatic impairment was 37%, 36%, and 28% of that in normal subjects, respectively. An increase in the mean elimination half-life of desloratadine in patients with hepatic impairment was observed.

INDICATIONS:

Alerda D (desloratadine) tablets are indicated for symptomatic relief of:

- Seasonal Allergic Rhinitis
- Perennial Allergic Rhinitis
- Chronic Idiopathic Urticaria

DOSAGE & ADMINISTRATION:

Adults and children 12 years and above:

- The recommended dose of Alerda-D Tablets is one 5-mg tablet once daily.
- In adult patients with liver or renal impairment, a starting dose of one 5-mg tablet every other day is recommended based on pharmacokinetic data.

CONTRAINDICATIONS:

Alerda-D Tablets are contraindicated in patients with known hypersensitivity to desloratadine ,loratadine or any of the ingredients.

PRECAUTIONS:

Pediatric use:
The safety and effectiveness of Desloratadine has not been evaluated in patients less than 6 months of age.

Geriatric use:
In general, dose selection for an elderly patient should be cautious, reflecting the greater frequency of decreased hepatic, renal, or cardiac function, and of concomitant disease or other drug therapy.

Use in pregnancy:
Desloratadine was not teratogenic in rats or rabbits at approximately 210 and 230 times, respectively, the area under the concentration-time curve (AUC) in humans at the recommended daily oral dose.

Nursing mothers:
Desloratadine passes into breast milk; therefore, a decision should be made whether to discontinue nursing or to discontinue desloratadine, taking into account the benefit of the drug to the nursing mother and the possible risk to the child..

DRUG INTERACTIONS:

- **Inhibitors of Cytochrome P450 3A4:**
  When desloratadine is coadministered with ketoconazole, erythromycin or azithromycin, there is an increase in plasma concentration of desloratadine and 3-hydroxydesloratadine.

- **Fluoxetine:**
  When desloratadine is coadministered with fluoxetine,a selective serotonin reuptake inhibitor there is an increase in plasma concentration of desloratadine and 3-hydroxydesloratadine

- **Cimetidine**
  When desloratadine is coadministered with cimetidine, there is an increase in plasma concentration of desloratadine and 3-hydroxydesloratadine.

Side Effects:
Alerda-D may cause serious side effects, including:

- Allergic reactions. Stop taking Alerda-D and call your doctor right away or get emergency help if you have any of these symptoms:
- Rash
- Itching
- Hives
- Swelling of your lips, tongue, face, and throat
- Shortness of breath or trouble breathing

The most common side effects of Alerda-D in adults and children 12 years of age and older with allergic rhinitis include:

- Sore throat
- Dry mouth
- Muscle pain
- Tiredness
- Sleepiness
- Menstrual pain

OVERDOSE:

Desloratadine and 3-hydroxydesloratadine are not eliminated by hemodialysis.
In a dose-ranging trial, at doses of 10 mg and 20 mg/day somnolence was reported.
Lethality occurred in rats at oral doses of 250 mg/kg or greater (estimated desloratadine and desloratadine metabolite exposures were approximately 120 times the AUC in humans at the recommended daily oral dose).
The oral median lethal dose in mice was 353 mg/kg (estimated desloratadine exposures were approximately 290 times the human daily oral dose on a mg/m^{2}basis)

TREATMENT OF OVERDOSE:

In the event of overdose, consider standard measures to remove any unabsorbed drug. Symptomatic and supportive treatment is recommended

STORAGE:

Do not store above 30°C.
Protect from light.

DIRECTIONS:

Keep all medicines out of the reach of children.
To be sold on prescription of a registered medical practioner only.

PACKING:

Alerda-D 5mg tablets are available in 1X10 blister pack.

الرڈا-ڈی®

ڈیسلوریٹاڈین

۵ ملی گرام گولیاں

خوراک:۔ یہ دوا ڈاکٹر کی ہدایات کے مطابق استعمال کریں۔

ہدایات:۔ ۳۰ ڈگری سینٹی گریڈ سے کم درجہ حرارت پر رکھیں
تمام دوائیں بچوں کی پہنچ سے دور رکھیں۔
دوا کو دھوپ اور گرمی سے محفوظ خشک جگہ پر رکھیں۔

پیشکش:۔ الرڈا-ڈی® ۵ ملی گرام گولیاں ۱×۱۰ بلسٹر پیک میں دستیاب ہیں۔

Manufactured by:

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