PROFESSIONAL INFORMATION

SCHEDULING STATUS

S2

PROPRIETARY NAME AND DOSAGE FORM

DESELEX® SYRUP

COMPOSITION

Each 5 ml of DESELEX® Syrup contains 2,5 mg desloratadine.

Inactive ingredients: Bubble gum flavour, citric acid, Disodium edetate, FD & C Yellow dye no. 6, propylene glycol, purified water, sodium citrate, sorbitol and sucrose.

Preservative: Sodium benzoate 0,1 % (m/v).

Contains sugar(s): Sorbitol 0.75 g and sucrose 2.45 g

CATEGORY AND CLASS

A.5.7.1 Antihistaminics

PHARMACOLOGICAL ACTION

Desloratadine is a non-sedating long-acting histamine antagonist with selective peripheral H_1-receptor antagonist activity. Desloratadine has demonstrated anti-allergic, antihistaminic, and anti-inflammatory activity.

Clinical Pharmacology

Pharmacodynamic Properties:

After oral administration, desloratadine selectively blocks peripheral histamine H_1-receptors. It does not readily penetrate into the central nervous system.

In addition to antihistaminic activity, desloratadine has demonstrated anti-allergic and anti-inflammatory activity from numerous *in vitro* (mainly conducted on cells of human origin) and *in vivo* studies. These studies have shown that desloratadine inhibits the broad cascade of events that initiate and propagate allergic inflammation.

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Pharmacokinetic Properties:

Desloratadine plasma concentrations can be detected within 30 minutes of desloratadine administration. Desloratadine is well absorbed with maximum concentration achieved after approximately 3 hours; the terminal phase half-life is approximately 27 hours. The degree of accumulation of desloratadine was consistent with its half-life (approximately 27 hours) and a once daily dosing frequency. In adults and adolescents, the bioavailability of desloratadine was dose proportional over the range of 5 mg to 20 mg.

Desloratadine is moderately bound (83 % - 87 %) to plasma proteins. There is no evidence of clinically relevant drug accumulation following once daily dosing of desloratadine (5 mg to 20 mg) for 14 days.

The enzyme responsible for the metabolism of desloratadine has not been identified yet, and therefore some interactions with other drugs cannot be excluded. *In-vivo* studies with specific inhibitors of CYP3A4 and CYP2D6 have shown that these enzymes are not important in the metabolism of desloratadine. Desloratadine does not inhibit CYP3A4 or CYP2D6 and is neither a substrate nor an inhibitor of P-glycoprotein.

In a single dose crossover trial using a 7,5 mg dose of desloratadine, the tablet and syrup formulations were bioequivalent and not effected by the presence of food (high-fat, high caloric breakfast). In another study, grapefruit juice had no effect on the disposition of desloratadine.

In separate single dose studies, at the recommended doses, paediatric patients had comparable AUC and C_{max} values of desloratadine to those in adults who received a 5 mg dose of desloratadine syrup.

INDICATIONS

DESELEX® Syrup is indicated for the relief of symptoms associated with allergic rhinitis (AR).

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DESELEX® Syrup is also indicated for the short-term relief of symptoms associated with chronic idiopathic urticaria (CIU).

CONTRAINDICATIONS

Hypersensitivity to the active substance or to any of the excipients.

WARNINGS AND SPECIAL PRECAUTIONS

Patients with rare hereditary problems of fructose intolerance, glucose-galactose malabsorption or sucrose-isomaltase insufficiency should not take DESELEX® Syrup.

Desloratadine should be administered with caution in patients with a medical or family history of seizures. In particular, young children may be more susceptible to developing new seizures under desloratadine treatment. Healthcare providers may consider discontinuing desloratadine in patients who experience a seizure while on treatment.

Special Precautions

Efficacy and safety of DESELEX® Syrup in children under 2 years of age have not been established.

Safety and efficacy of DESELEX® Syrup have not been established for treatment periods in excess of 4 weeks for allergic rhinitis and 6 weeks for chronic idiopathic urticaria.

DESELEX® Syrup contains sucrose. Patients with rare hereditary problems of fructose intolerance, glucose-galactose malabsorption or sucrose-isomaltase insufficiency should not take DESELEX® Syrup (see Warnings).

Effects on Ability to Drive and Use Machines:

DESELEX® Syrup lacks significant sedative effects.

Patients should however be warned that a small number of individuals may experience sedation. It is therefore advisable to determine individual response before driving or performing complicated tasks.

INTERACTIONS

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Medicine/laboratory test interactions

DESELEX® Syrup taken concomitantly with alcohol did not potentiate the performance impairing effects of alcohol. (See Special Precautions).

There was no effect of food or grapefruit juice on the disposition of desloratadine.

Co-administration of desloratadine with ketoconazole increases the maximum desloratadine concentration (C_{max}) by 45 % and the area under the time concentration curve (AUC) by 37 %. Co-administration of desloratadine with erythromycin increased the C_{max} of desloratadine by 24 % and the AUC by 14 %.

Co-administration of desloratadine with azithromycin resulted in an increase of both C_{max} (31 %) and AUC (12 %) of azithromycin.

The increase in C_{max} and AUC of desloratadine when co-administered with either ketoconazole or erythromycin did not cause any clinical relevant adverse events in the populations studied.

Co-administration of cimetidine with desloratadine did not significantly affect the pharmacokinetics of desloratadine.

Co-administration of fluoxetine with desloratadine caused an increase in the C_{max} of desloratadine by 15 % and an increase of 13 % in AUC and 17 % in C_{max} of 3-OH desloratadine respectively.

The C_{max} and AUC of fluoxetine were reduced by 9 % and 11 % respectively. The corresponding mean parameters of norfluoxetine increased by 23 % and 18 % respectively with co-administration of desloratadine and fluoxetine.

No clinically relevant changes in desloratadine plasma concentrations were observed in multiple-dose ketoconazole, erythromycin, azithromycin, fluoxetine and cimetidine interaction trials.

HUMAN REPRODUCTION

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The safe use of DESELEX® Syrup during pregnancy has not been established. The use of DESELEX® Syrup during pregnancy is therefore not recommended.

Desloratadine is excreted into breast milk, therefore the use of DESELEX® Syrup is not recommended in mothers who are breastfeeding their infants.

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DOSAGE AND DIRECTIONS FOR USE

***Children 2 to 5 years of age**:*

2.5 ml (1.25 mg) DESELEX® Syrup once a day, with or without a meal.

Children 6 to 11 years of age:

5 ml (2.5 mg) DESELEX® Syrup once a day, with or without a meal.

Adults and adolescents (12 years of age and over):

10 ml (5 mg) DESELEX® Syrup once a day, with or without a meal.

SIDE-EFFECTS

The most frequent of adverse events reported in excess of placebo were fatigue (1.2 %), dry mouth (0.8 %) and headache (0.6 %).

Post-Marketing Reported Side-Effects

Immune system disorder	Hypersensitivity reactions (such as anaphylaxis, angioedema, dyspnoea, pruritus, rash, and urticaria).
Metabolism & nutrition disorder	Increased appetite.
Nervous system disorders	Dizziness, somnolence, insomnia, seizures, headache.
Cardiac disorders	Tachycardia, palpitations
Gastrointestinal disorders	Abdominal pain, nausea, vomiting, dyspepsia, diarrhoea, dry mouth.
Hepato-biliary disorders	Elevations of liver enzymes, increased bilirubin, hepatitis.
Musculoskeletal and connective tissue disorders	Myalgia.
General disorders and administrative site conditions	Fatigue

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KNOWN SYMPTOMS OF OVERDOSAGE AND PARTICULARS OF ITS TREATMENT

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

Desloratadine is not eliminated by hemodialysis; it is not known if it is eliminated by peritoneal dialysis.

IDENTIFICATION

Clear orange solution.

PRESENTATION

Amber glass bottles of 60 ml, 100 ml and150 ml.

STORAGE INSTRUCTIONS

Store at or below 30 °C.

Store in the original container.

Keep out of reach of children.

REGISTRATION NUMBER

37/5.7.1/0227

NAME, BUSINESS ADDRESS AND TELEPHONE NUMBER OF THE HOLDER OF THE CERTIFICATE OF REGISTRATION

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Manufactured by Schering-Plough Labo N.V., Belgium for Bayer (Pty) Ltd.