# PROFESSIONAL INFORMATION

## SCHEDULING STATUS:

**S2**

## PROPRIETARY NAME AND DOSAGE FORM:

DESELEX® TABLETS

## COMPOSITION:

Each DESELEX® Tablet contains 5.0 mg desloratadine.

**Inactive ingredients**: calcium phosphate, maize starch, microcrystalline cellulose, purified water and talc

**Contains sugar**: Lactose monohydrate

## 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. 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 fully 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 trial using a 7.5 mg dose of desloratadine, there was no effect of food (high-fat, high caloric breakfast) on the disposition of desloratadine. In another study, grapefruit juice had no effect on the disposition of desloratadine.

## INDICATIONS:

DESELEX® Tablet are indicated for the relief of symptoms associated with allergic rhinitis.

DESELEX® Tablet are also indicated for the short-term relief of symptoms associated with chronic idiopathic urticaria.

## CONTRAINDICATIONS:

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

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### WARNINGS AND SPECIAL PRECAUTIONS:

Patients with rare hereditary problems of galactose intolerance, the Lapp lactase deficiency or glucose-galactose malabsorption should not take DESELEX® Tablet.

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**

Safety and efficacy of DESELEX® Tablet in children under 12 years of age have not been established.

Safety and efficacy of desloratadine have not been established for treatment periods in excess of 4 weeks.

**Effects on Ability to Drive and Use Machines:**

DESELEX® Tablet 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:

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

Co-administration of desloratadine, as contained in DESELEX® Tablet, 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, as contained in DESELEX® Tablet, 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, as contained in DESELEX® Tablet, 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, as contained in DESELEX® Tablet, did not significantly affect the pharmacokinetics of desloratadine.

Co-administration of fluoxetine with desloratadine, as contained in DESELEX® Tablet, 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, as contained in DESELEX® Tablet, and fluoxetine.

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

## HUMAN REPRODUCTION

DESELEX® Tablet were not found to be teratogenic in animal studies. The safe use of DESELEX® Tablet during pregnancy has not been established.

The use of DESELEX® Tablet during pregnancy is therefore not recommended.

Desloratadine is excreted into breast milk, therefore the use of DESELEX® Tablet is not recommended in beast-feeding women.

## DOSAGE AND DIRECTIONS FOR USE:

***Adults and adolescents (≥ 12 years of age):*** One DESELEX® 5 mg film-coated tablet once a day regardless of mealtime for the relief of symptoms associated with allergic rhinitis (including intermittent and persistent allergic rhinitis) and chronic idiopathic urticaria. **For oral use.**

**Intermittent allergic rhinitis** (presence of symptoms for less than 4 days per week or for less than 4 weeks) should be managed in accordance with the evaluation of patient's disease history and the treatment could be discontinued after symptoms are resolved and reinitiated upon their reappearance.

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**In persistent allergic rhinitis** (presence of symptoms for more than 4 days or more per week and for more than 4 weeks), continued treatment may be proposed to the patients during allergen exposure periods).

Improvement of symptoms associated with seasonal allergic rhinitis usually becomes noticeable within 1 - 2 hours after administration of desloratadine.

## SIDE EFFECTS

Other undesirable effects reported during the post-marketing period of desloratadine are listed in the following table:

| **Treatment-Related Undesirable Effects Reported by Body System** | |
|---|---|
| **Immune system disorders** | Hypersensitivity reactions (such as anaphylaxis, angioedema, dyspnoea, pruritus, rash, and urticaria). |
| **Metabolism and nutrition disorders** | Increased appetite |
| **Nervous system disorders** | Dizziness, somnolence, insomnia, seizures, psychomotor hyperactivity, headache. |
| **Cardiac disorders** | Tachycardia, palpitations |
| **Gastro-intestinal 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:

Light blue round embossed tablets (embossed with the Schering-Plough

Logo 

### PRESENTATION:

DESELEX® Tablet are packed in blister packs. Blisters are packed in cartons 10 or 30 tablets.

### STORAGE INSTRUCTIONS:

Store at or below 25 °C.

Protect from moisture.

Keep out of reach of children.

### REGISTRATION NUMBER:

35/5.7.1/0207

### NAME AND BUSINESS ADDRESS OF THE HOLDER OF THE CERTIFICATE OF REGISTRATION:

Bayer (PTY) LTD

27 Wrench Road,

Isando,

1600

South Africa

## PROFESSIONAL INFORMATION

### DATE OF PUBLICATION OF THIS PROFESSIONAL INFORMATION:

Date on the registration certificate: 20 May 2002

Date of the most recently revised professional information: 2 March 2012

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