SUMMARY OF PRODUCT CHARACTERISTICS

1. Name of the medicinal Product

Brand Name: DESLORASTAL

Generic Name: DESLORATIDINE TABLETS 5 MG

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each Film Coated Tablet Contains:

Desloratadine BP	5 mg
Excipients	Q.S

Colour: Brilliant Blue FCF & Titanium Dioxide BP

3. Pharmaceutical form

Oral Film coated tablet

Description: Blue coloured, Round shaped, biconvex both side plain film coated tablets

4. Clinical particulars

4.1 Therapeutic indications

Desloratadine 5 mg Tablets are indicated in adults and adolescents aged 12 years and older for the relief of symptoms associated with:

- Allergic rhinitis
- Urticaria

4.2 Posology and method of administration

Adults and adolescents (12 years of age and over)

The recommended dose of Desloratadine 5 mg Tablets is one tablet once a day.

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.

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

Paediatric population

There is limited clinical trial efficacy experience with the use of desloratadine in adolescents 12 through 17 years of age.

The safety and efficacy of Desloratadine 5 mg Tablets in children below the age of 12 years have not been established. No data are available.

Method of administration

Oral use.

The tablet should be swallowed with a sufficient amount of fluid (e.g. one glass of water).

The dose can be taken with or without food.

4.3 Contraindications

Desloratadine tablet are contraindicated in patients who are hypersensitive to this medication or to any of its ingredients or to loratadine.

4.4 Special warnings and precautions for use

Desloratadine tablet lacks significant sedative effects; however, some individuals may still experience the sedative effects. Safety and efficacy of Desloratadine tablet in children under 12 years of age have not been established.

4.5 Interaction with other medicinal products and other forms of interaction

Concomitant use of Desloratadine with inhibitors of the cytochrome P-450 enzyme system, such as Azithromycin, Fluoxetine, Cimetidine, Ketoconazole, Clarithromycin and Erythromycin, may increase the plasma concentration of Desloratadine.

4.6 Pregnancy and Lactation

Pregnancy

The safe use of desloratadine during pregnancy has not been established. Therefore, Desloratadine tablet is not to be used during pregnancy unless clearly indicated.

Lactation

Desloratadine passes into breast milk; therefore a decision should be made whether to discontinue nursing or to discontinue desloratadine, taking into account the importance of the drug to the mother.

4.7 Effects on ability to drive and use machines

In clinical trials that assessed the driving ability, no impairment occurred in patients receiving desloratadine. However, patients should be informed that very rarely some people experience drowsiness, which may affect their ability to drive or use machines.

4.8 Undesirable effects

Common side effects are found: Pharyngitis, dry mouth or throat, somnolence, headache, fatigue, myalgia, nausea, dizziness.

In Children: Fever, diarrhoea, upper respiratory infections, irritability, coughing.

4.9 Overdose and Treatments:

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.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamics properties

Pharmacotherapeutic group: Antihistamine
ATC Code: R06AX27

Mechanism of action

Desloratadine competes with free histamine for binding at H1-receptors in the GI tract, uterus, large blood vessels, and bronchial smooth muscle. This block the action of endogenous histamine, which subsequently leads to temporary relief of the negative symptoms (eg. nasal congestion, watery eyes) brought on by histamine.

5.2 Pharmacokinetic properties

Absorption

Following oral administration of desloratadine 5 mg once daily for 10 days to normal healthy volunteers, the mean time to maximum plasma concentrations (Tmax) occurred at approximately 3 hours post dose and mean steady state peak plasma concentrations (Cmax) and area under the concentration-time curve (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 (Cmax and AUC) of desloratadine.

Distribution

Desloratadine and 3-hydroxydesloratadine are approximately 82% to 87% and 85% to89%, bound to plasma proteins, respectively. Protein binding of desloratadine and 3-hydroxydesloratadine was unaltered in subjects with impaired renal function.

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Metabolism:

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

The enzyme(s) responsible for the formation of 3-hydroxydesloratadine have not been identified. Data from clinical trials indicate that a subset of the general population has a decreased ability to form 3-hydroxydesloratadine, and are poor metabolizers of desloratadine. In pharmacokinetic studies (n=3748), approximately 6% of subjects were poor metabolizers of desloratadine (defined as a subject with an AUC ratio of 3-hydroxydesloratadine to desloratadine less than 0.1, or a subject with a desloratadine half-life exceeding 50 hours). These pharmacokinetic studies included subjects between the ages of 2 and 70 years, including 977 subjects aged 2–5 years, 1575 subjects aged 6–11 years, and 1196 subjects aged 12–70 years. There was no difference in the prevalence of poor metabolizers across age groups. The frequency of poor metabolizers was higher in Blacks (17%, n=988) as compared to Caucasians (2%, n=1462) and Hispanics (2%, n=1063).

Elimination:

The mean elimination half-life of desloratadine was 27 hours. Cmax 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 14C-desloratadine dose, which was equally distributed in urine and feces as metabolic products. Analysis of plasma 3-hydroxydesloratadine showed similar Tmax and half-life values compared to desloratadine.

5.3 Preclinical safety data

The clinical efficacy and safety of Desloratadine Tablets were evaluated in over 2,300 patients 12 to 75 years of age with seasonal allergic rhinitis. A total of 1,838 patients received 2.5–20 mg/day of Desloratadine in four double-blind, randomized, placebo

controlled clinical trials of 2 to 4 weeks' duration conducted in the United States. The results of these studies demonstrated the efficacy and safety of Desloratadine 5 mg in the treatment of adult and adolescent patients with seasonal allergic rhinitis. In a dose ranging trial, Desloratadine 2.5–20 mg/day was studied. Doses of 5, 7.5, 10, and 20 mg/day were superior to placebo; and no additional benefit was seen at doses above 5.0 mg. In the same study, an increase in the incidence of somnolence was observed at doses of 10 mg/day and 20 mg/day (5.2% and 7.6%, respectively), compared to placebo (2.3%). In two 4-week studies of 924 patients (aged 15 to 75 years) with seasonal allergic rhinitis and concomitant asthma, Desloratadine Tablets 5 mg once daily improved rhinitis symptoms, with no decrease in pulmonary function. This supports the safety of administering Desloratadine Tablets to adult patients with seasonal allergic rhinitis with mild to moderate asthma.

6 PHARMACEUTICAL PARTICULARS

6.1 List of excipients

1) Lactose BP
2) Starch BP
3) Crosscarmellose Sodium BP
4) Starch BP
5) Purified Water BP
6) Magnesium Stearate BP
7) Purified Talc BP
8) Sodium Starch Glycolate BP
9) Colorezy White 17F580001.IHS
10) Colour Lake of Brilliant Blue IHS
11) Isopropyl Alcohol BP
12) Methylene Chloride BP

6.2 Incompatibilities

Not applicable

6.3 Shelf life

36 Months from the date of manufacturing

6.4 Special precautions for storage

Store at a temperature not exceeding 30ºC in a dry place. Protect from light. Keep out of reach of children.

6.5 Nature and contents of container<and special equipment for use, administration or implantation>

3 x 10 Tablets in Alu-PVC Blister Pack

6.6 Special precautions for disposal <and other handling>

No special requirements.

7 <APPLICANT/MANUFACTURER>

STALLION LABORATORIES PVT. LTD.
C-1B, 305/2, 3, 4 & 5, G.I.D.C.,
KERALA (BAVLA),
DIST.: AHMEDABAD,
GUJARAT, INDIA.