

# Rufecta

## Rupatadine

### COMPOSITION

Rufecta Tablet: Each tablet contains Rupatadine Fumarate INN equivalent to Rupatadine 10 mg.

Rufecta Oral Solution: Each 5 mL oral Solution contains Rupatadine Fumarate INN equivalent to Rupatadine 5 mg.

### PHARMACOLOGY

Rupatadine is a second generation, non-sedating, long-acting histamine antagonist with selective peripheral H<sub>1</sub> receptor antagonist activity. It further blocks the receptors of the platelet-activating factor (PAF) according to in vitro and in vivo studies. Rupatadine possesses anti-allergic properties such as the inhibition of degranulation of mast cells induced by immunological and non immunological stimuli and inhibition of the release of cytokines, particularly of the TNF (Tumor Necrosis Factor) in human mast cells and monocytes.

#### Pharmacodynamic Properties

In vitro studies with Rupatadine at high concentration have shown an inhibition of the degranulation of mast cells induced by immunological and non-immunological stimuli as well as the release of cytokines, particularly of the TNF in human mast cells and monocytes. The clinical relevance of the observed experimental data remains to be confirmed. Clinical trials in volunteers (n= 375) and patients (n=2650) with allergic rhinitis and chronic idiopathic urticaria did not show significant effect on the electrocardiogram when Rupatadine was administered at doses ranging from 2 mg to 100 mg. In a placebo-controlled trials in patients with Chronic Idiopathic Urticaria, Rupatadine was effective reducing the mean pruritus score from baseline over the 4 week treatment period (change vs baseline: Rupatadine 57.5%, placebo 44.9%) and decreasing the mean number of wheals (54.3% vs 39.7%). Cardiac safety of Rupatadine was assessed in a thorough QT/QTc study.

#### Pharmacokinetic Properties

Rupatadine is rapidly absorbed after oral administration, with a T<sub>max</sub> of approximately 0.75 hours after intake. The mean C<sub>max</sub> was 2.6mg/ml after a single oral dose of 10 mg and 4.6mg/ml after a single oral dose of 20 mg. Pharmacokinetics of Rupatadine was linear for a dose between 10 and 40 mg. After a dose of 10 mg once a day for 7 days, the mean C<sub>max</sub> was 3.8mg/ml. The plasma concentration followed a bi-exponential drop-off with a mean elimination half-life of 5.9 hours. The binding-rate of rupertadine to plasma proteins was 98.5-99%. In a study of excretion in humans (40 mg of <sup>14</sup>C-rupertadine), 34.6% of the radioactivity administered was recovered in urine and 60.9% in faeces collected over 7 days. Rupatadine undergoes considerable pre-systemic metabolism when administered by oral route.

### INDICATION

Rupatadine is indicated for the symptomatic treatment of allergic rhinitis and urticaria in adults and adolescents (over 12 years of age).

### CONTRAINDICATION

The use of Rupatadine is contraindicated in patients with hypersensitivity to rupertadine or to any of the excipients.

### PRECAUTIONS

Rupatadine should not be taken with grapefruit juice. Rupatadine up to 10 times therapeutic dose did not produce any effect on the ECG and hence raises no cardiac safety concerns. Rupatadine should be used with caution in patients with known prolongation of the QT interval, patients with uncorrected hypokalemia, patients with ongoing proarrhythmic conditions, such as clinically

significant bradycardia or acute myocardial ischemia. Rupatadine should be used with caution in elderly patients (65 years and older) due to little clinical data.

### **SIDE EFFECTS**

The most common undesirable effects associated with Rupatadine use in controlled clinical studies were somnolence (9.5%), headache (6.9%) and fatigue (3.2%). Other common undesirable effects include dizziness, dry mouth and asthenia.

### **DRUG INTERACTION**

Use with caution when administered concomitantly with inhibitors of the isozyme CYP3A4 e.g. Ketoconazole or Erythromycin. Psychomotor performance can be impaired when taken with alcohol. As with other antihistamines, interactions with CNS depressants cannot be excluded. Asymptomatic CPK (Creatinine Phospho Kinase) increases have been uncommonly reported. The risk of interactions with statins, is unknown; therefore, Rupatadine should be used with caution when it is co-administered with statins.

### **PREGNANCY AND LACTATION**

Data on a limited number exposed pregnancies indicate no adverse effects of Rupatadine on pregnancy or on the health of the foetus/newborn child. To date, no other relevant epidemiological data are available. Animal studies do not indicate direct or indirect harmful effects with respect to pregnancy, embryonal/foetal development, parturition or postnatal development. Caution should be exercised when prescribing Rupatadine to pregnant women. Rupatadine is excreted in animal milk. It is unknown whether Rupatadine is excreted into breast milk. Due to the lack of human data, caution should be exercised when prescribing Rupatadine to lactating mother.

### **DOSAGE AND ADMINISTRATION**

Adults and adolescents (over 12 years of age), the recommended dose is 10 mg (one tablet) once a day, with or without food. Use with caution in elderly people (65 years and older) due to little clinical data. Not recommended in patients under the age of 12 or those with impaired kidney or liver function due to lack of clinical experience.

Dosage in children weighing equal or more than 25 kg: 5ml (5 mg of rupatadine) of oral solution once a day, with or without food.

Dosage in children weighing equal or more than 10kg up to less than 25 kg: 2.5ml (2.5mg of rupatadine) of oral solution once a day, with or without food.

### **STORAGE CONDITION**

Store in a cool and dry place, away from light. Keep out of the reach of children.

### **COMMERCIAL PACKS**

**Rufecta Tablet:** Each box contains 30 tablets in 3 X 10's Alu-Alu blister pack.

**Rufecta Oral Solution:** Each bottle contains 60 ml oral solution.

Manufactured by



For further query on the use of this medicine, consult to a registered Doctor or Pharmacist.