Rufast®

Rupatadine

Description: Rupatadine is a new selective histamine H1 receptor and platelet-activating factor (PAF) antagonist.

Mode of action: Rupatadine acts as a long-acting, non-sedative antagonist of histamine H1-receptors. And also, antagonizes the platelet-activating factor (PAF). Both histamine and PAF causes bronchoconstriction and lead to an increase in the vascular permeability, acting as a mediator in the inflammatory process. This double mechanism of action gives Rupatadine a major clinical efficacy regarding agents that show an isolated antihistamine action.
Rupatadine possesses other anti-allergic properties such as inhibition of the degranulation of mast cell induced by immunological and non-immunological stimuli and inhibition of the release of cytokines, perticulerly of the tumor necrosis factor alpha in human mastocytes and monocytes.

Pharmacokinetics: Rupatadine is rapidly absorbed after oral administration, with a tmax of approximately 0.75 hours after intake. The mean Cmax was 2.6 ng/mL after a single oral dose of 10 mg and 4.6 ng/mL after a single oral dose of 20 mg. Intake of food increased the systemic exposure (AUC) to rupatadine by about 23%. Although rupatadine is 98% to 99% bound to human plasma proteins, it is well distributed in other tissues. The amounts of unaltered active substance found in urine and faeces were insignificant. This means that rupatadine is almost completely metabolised.

Composition: Rufast® 10 mg Tablet: Each tablet contains Rupatadine Fumarate INN 12.79 equivalent to Rupatadine 10 mg.

Indications: Symptomatic treatment of seasonal & perennial allergic rhinitis and chronic urticaria.

Dosage & administration: Rufast® 10 mg Tablet: Adults and adolescents (above 12 years) -The recommended dosage is 10 mg (one tablet) once daily, with or without food.
Rufast® 50 ml Oral Solution: Dosage in children (overs 6 years) or adults weighing 25 kg or more 5 ml (5 mg of rupatadine) of oral solution once a day, with or without food.

Contraindications: Hypersensitivity to rupatadine or to any of the excipients. This medicine is not for use in children under 6 years of age or weighing less than 25 kg.

Side effects: The most common undesirable effects associated with rupatadine use in controlled clinical studies were somnolence, headache and fatigue. Other common undesirable effects include dizziness and asthenia.

Use in pregnancy & lactation: There is no clinical data available on the exposure of Rupatadine during pregnancy. Pregnant women should therefore not use Rupatadine, unless the potential benefit outweighs the potential risk for the infant. No information is available, whether Rupatadine is excreted in the mother's milk. Therefore, it should be used during lactation with caution.

Precautions: Administration of a dose of 10 mg daily of Rupatadine has not shown significant effects on the function of the central nervous system as seen in specific studies done for psychomotor function. Nevertheless, the patient should take precaution in driving or managing machines.

Drug interactions: CYP3A4 inhibitors like Ketoconazole or Erythromycin Ketoconazole inhibits both the presystemic and systemic metabolism of Rupatadine. Due to this potential interaction, it is not recommended to use Rupatadine in combination with Ketoconazole, macrolides or any other inhibitors of CYP3A4. Co-administration of Rupatadine and CNS depressants or alcohol may increase CNS depressants.

Over dosage: No cases of overdose have been reported with Rupatadine. An accidental consumption of very high dose should be treated symptomatically together with the necessary support measures.

Storage: Store in a cool (Below 25° C temperature) and dry place protected from light.

Packaging: Rufast® 10 mg Tablet: Each carton contains 14X3 tablets in Alu-Alu blister pack.