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Rupatadine is a new second generation antihistamine compound. Experimental animal studies have shown it has a potent dual activity as a histamine and as a platelet activating factor (PAF) antagonist, without sedative effects [1].

At the recommended dose of 10 mg, rupatadine has been shown to be clinically effective in relieving symptoms in patients with allergic rhinitis and chronic urticaria. Furthermore, it appears to be free of sedative effects and does not cause significant changes in the corrected QT interval [2].

According to current guidelines, new second-generation oral Hi-antihistamines, as well as intranasal corticosteroids (ICSs), are recommended for the treatment of allergic rhinitis (AR) in adults and children [3].

In addition to an improvement in nasal symptoms and HRQoL, rupatadine reduced AR severity after 4 weeks of treatment [3].

Rupatadine is rapidly absorbed from the gastrointestinal tract, with a maximum plasma concentration (Crnax) of 2.6 ng/ml reached within 0.75 hours (tmax) following oral administration of a single 10 mg doseni. A Crnax of 3.8 ng/ml is reached at steady state after seven days of once-daily administration of rupatadine 10 mg [4].

Rupatadine shows linear pharmacokinetics for doses between 10 and 40 mg, and the binding-rate of rupatadine to plasma proteins is 98.5-99% [5].

Plasma concentrations of rupatadine show a bi-exponential drop-off, with a mean elimination half-life of 5.9 hours [4].

The pharmacokinetic characteristics of rupatadine allow for convenient and effective allergy control by ensuring a rapid onset of action and rapid symptom relief, and long-lasting antihistamine activity [5].

Rupatadine should not be used in combination with the cytochrome P450 inhibitors, such as erythromycin or ketoconazole, due to an increase in AUC and Cmax for rupatadine, although no clinically relevant adverse events have been reported [5].

In addition to an improvement in nasal symptoms and health-related quality of life [HRQoL], rupatadine reduced AR severity after 4 weeks of treatment [3].

A very good safety profile of rupatadine has been evidenced in various studies, including a long-term (1-year) safety study. Rupatadine does not present drug-drug interactions with azithromycin, fluoxetine and lorazepam, but should not be administered concomitantly with known CYP3A4 inhibitors [2].

References

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