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Rupatadine is an oral active antihistamine and platelet-activating factor antagonist indicated for the management of allergic rhinitis and chronic urticaria in Europe [1].

Clinical trials show that rupatadine is an effective and generally well tolerated treatment for allergic rhinitis and CIU. It has a rapid onset of action and a prolonged duration of activity. Importantly, it has no significant effect on cognition, psychomotor function or the cardiovascular system. Once-daily rupatadine significantly improves allergic rhinitis symptoms in patients with SAR, PAR or persistent allergic rhinitis (PER) compared with placebo, and provides similar symptom control to that of loratadine, desloratadine, cetirizine or ebastine. In patients with CIU, longer-term use of rupatadine improves CIU symptoms to a greater extent than placebo. It is as well tolerated as other commonly used second-generation H(1)-receptor antagonists [2].

Similar to other second-generation antihistamines, rupatadine undergoes extensive pre-systemic metabolism when administered orally. Insignificant amounts of unaltered active substance are excreted in the urine and faeces, indicating that rupatadine is almost completely metabolised [3], thus reducing the potential for drug interactions [4].

In vitro metabolism studies in human lÎ¯ver microsomes indicate that rupatadine is mainÎ™Î¥ metabolised by the cytochrome Î¡450 (CYP 3A4) [3].

Rupatadine is not a pro-drug, and by itself exhibits very potent anti-H1 activity; however, some of the metaboites, including desloratadine and its hydroxylated metabolites, retain some antihistamine activity. The active metabolites may partially contribute to the overall efficacy of rupatadine, and prolong the duration of action of rupatadine [5, 6].

Rupatadine is mainly eliminated in the faeces, with 60.9% of radioactive dise eliminated in the faeces over 7 days. Urinary elimination accounts for 34.6% of the radioactivity administered[3]

References

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