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Rupatadine (Rupafin), a novel antihistamine approved recently in Europe for the treatment of allergic rhinitis (AR) and chronic idiopathic urticaria in patients aged ≥ 12 years, has been shown to be highly efficacious, and as safe and well tolerated as other commonly employed antihistamines in the treatment of allergic disease [1, 10].

Rupatadine has a potent selective antagonist activity at peripheral H1 receptors, as well as at platelet-activating factor receptors [2].

Both of these receptors have been shown to play an important role in common allergic inflammatory conditions, including atopic rhinitis and chronic urticaria [3].

Histamine and platelet-activating factor bind to membrane receptors in epithelial and vascular cells, nerve endings and several pro-inflammatory cells, thereby increasing vascular permeability, vasodilation, chemotaxis and bronchoconstriction [4].

By the blockade of histamine H1 and platelet-activating factor receptors, rupatadine inhibits these effects in human nasal mucosa and in other target organs, such as the skin, thereby controlling the symptoms of allergic reactions, including sneezing, itching, rhinorrhea, congestion, and skin wheals and flares, as well as other inflammatory manifestations.

Rupatadine: Mechanism of action

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Rupatadine also suppresses the release of several inflammatory mediators in response to allergens [2, 34] by inhibiting the degranulation of mast cells, and reducing the release of cytokines [5, 6], including tumour necrosis factor (TNF α), from mast cells and monocytes.

Rupatadine is an antihistamine with a dual mode of action and a broad spectrum of anti-inflammatory effects, inhibiting a range of mediators involved in early- and late-phase inflammatory responses [7].

Therefore, rupatadine, with its wider range of anti-inflammatory and anti-allergic properties, may provide more effective symptomatic control in disorders such as allergic rhinitis and chronic urticaria [2, 3].

Rupatadine treatment improves nasal and ocular symptoms, increases nasal airflow and exerts antiallergic activity in patients with persistent allergic rhinitis [8].

A single dose of rupatadine at four times the recommended dose is well tolerated, highly effective for up to 72 h against PAF- and histamine-induced dermal flares and has demonstrable PAF-receptor antagonism *ex vivo* [9].

References

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1. Valero A, de la Torre F, Castillo JA, Rivas P, del Cuvillo A, Antón para I, Borja J, Donado E, Molá O, Izquierdo I. Safety of rupatadine administered over a period of 1 year in the treatment of persistent allergic rhinitis: a multicentre, open-label study in Spain. *Drug Saf*. 2009;32(1):33-42.
2. Metz M, Maurer M. Rupatadine for the treatment of allergic rhinitis and urticaria. *Expert Rev Clin Immunol*. 2011 Jan;7(1):15-20.
3. Di Leo E, Nettis E, Cassano N, Foti C, Delle Donne P, Vena GA, Vacca A. Treatment of acquired cold urticaria with rupatadine. *Allergy*. 2009 Sep;64(9):1387-8. Epub 2009 Apr 14.
4. Merlos M, Giral M, Balsa D, Ferrando R, Queralt M, Puigdemont A, García-a-Rafanell J, Forn J. Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF). *J Pharmacol Exp Ther*. 1997 Jan;280(1):114-21.
5. Mullol J, Bousquet J, Bachert C, Canonica WG, Gimenez-Arnau A, Kowalski ML, Martín-Guadalupe E, Maurer M, Picado C, Scadding G, Van Cauwenberge P. Rupatadine in allergic rhinitis and chronic urticaria. *Allergy*. 2008 Apr;63 Suppl 87:5-28.
6. Vasiadi M, Kalogeromitros D, Kempuraj D, Clemons A, Zhang B, Chliva C, Makris M, Wolfberg A, House M, Theoharides TC. Rupatadine inhibits proinflammatory mediator secretion from human mast cells triggered by different stimuli. *Int Arch Allergy Immunol*. 2010;151(1):38-45. Epub 2009 Aug 6.
7. Izquierdo I, Merlos M, García-a-Rafanell J. Rupatadine: a new selective histamine H1 receptor and platelet-activating factor (PAF) antagonist. A review of pharmacological profile and clinical management of allergic rhinitis. *Drugs Today (Barc)*. 2003 Jun;39(6):451-68.
8. Ciprandi G, Cirillo I. Rupatadine improves nasal symptoms, airflow and inflammation in patients with persistent allergic rhinitis: a pilot study. *J Biol Regul Homeost Agents*. 2010 Apr-Jun;24(2):177-83.

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9. Church MK. Efficacy and tolerability of rupatadine at four times the recommended dose against histamine- and platelet-activating factor-induced flare responses and ex vivo platelet aggregation in healthy males. . Br J Dermatol. 2010 Dec;163(6):1330-2.

10. Drugs Q&A. Understanding Rupatadine. Wolters Kluwer Health Espan   SA, 2011