

## Rupatadine: Mechanism of action

Written by Sfikas Dimitrios, Otorhinolaryngologist, Athens, Greece - Last Updated Sunday, 13 September 2015 16:32

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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  $\geq 12$  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.

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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 $\alpha$ ), 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].

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