HIT IT HARD

Medical Chronicle recently exclusively attended the launch of Rupanase (rupatadine) by iNova Pharmaceuticals. It is currently the only antihistamine with a dual mode of action.

Medical professionals are thrilled to now have a new treatment on offer to combat allergic rhinitis and urticaria in adults and adolescents (over 12 years). It has all the advantages of a non-sedating antihistamine with added anti-inflammatory effects.

Rupatadine is a novel chemical entity that shows both antihistamine and anti-platelet-activating factor (PAF) effects through its interaction with specific receptors and not through physiological antagonism. It also has a broader profile of anti-inflammatory properties as well as a significant effect on nasal obstruction relief.

Rupatadine is a second-generation antihistamine and its main indications will be for urticaria and allergic rhinitis but it also has a role to play in other histamine diseases such as mosquito bites. UCT Allergologist, Prof Paul Potter was involved in the studies of this new molecule and presented at the launch, which was chaired by Dr Ray Friedman.

DUAL INHIBITOR OF HISTAMINE AND PAF INHIBITOR

Such dual activity is not available in the current antihistamine arsenal. It performs better in terms of balance between efficacy and side-effect profile as compared to other non-dual acting antihistamines in seasonal allergic rhinitis.

WHY DO WE NEED A NEW ANTIHISTAMINE?

It was explained that although there are so many antihistamines on the market, there is an individual response. They also don’t always relieve all the symptoms, particularly of rhinitis and urticaria. This is why we are looking for better antihistamines that also have anti-inflammatory properties. A lot of the new-generation antihistamines have anti-inflammatory effects but they haven’t been effective in reducing long-term inflammation in chronic disease. This is where doctors turn to immunosuppressants and steroids. “In the allergic reaction, during the release of mediators, one of the key molecules is PAF. This comes from the mast cell. There are receptors for PAF on the mast cell itself but it is also all over the inflammatory pathway. PAF generates a lot of inflammation. Rupatadine has an antiplateletactivating factor activity. It can also inhibit mast cell degranulation very effectively.”

Rupatadine (fumarate) has an immediate anti-allergic effect in:
- Pruritus
- Sneezing, rhinorrhea
- Skin wheal and flare
- Tearing
- Anaphylaxis.

It has late anti-allergic effect reaction in:
- Nasal congestion
- Inflammatory reaction
- Urticaria
- Late phase allergic reaction.

Rupatadine has a rapid uptake with maximal concentration within one hour after absorption with a 4-5-hour half-life. But provides a long receptor occupancy, providing a duration of action of 24 hours.

SEASONAL ALLERGIC RHINITIS FINDINGS

Clinical trials with rupatadine have proved that it is an effective and well-tolerated treatment for allergic rhinitis.

Rupatadine has a better efficacy and safety profile than other new generation antihistamines in SAR.

Rupatadine has a fast onset of action, producing fast relief of symptoms, including nasal obstruction.

Rupatadine 10mg shows a good longterm safety profile with up to one year of treatment.

Rupatadine improves patients’ quality of life (QoL) and formatting reduces AR severity.

Compared to other new generation antihistamines, only rupatadine significantly reduced the overall nasal symptoms induced by PAF in SAR patients.

The meta-analysis of rupatadine remarks the potent anti-allergic and anti-inflammatory properties that distinguish rupatadine from other AH.

CHRONIC URTICARIA

Rupatadine 10 and 20mg significantly reduced the MPS (Mean Pruritus Score) from baseline.

Rupatadine 10 were also significantly better than placebo in reducing the MNW (Mean number of wheals) and critical stimulation time threshold and significantly reduced the critical temperature threshold in comparison with placebo.

Rupatadine significantly prevented whealing and reduced the size and score of wheals compared to placebo.

Rupatadine significantly reduced the cold urticaria symptoms: pruritus and burning, as well as overall subjective complaints, compared to placebo.

OTHER URTICARIA SKIN LESIONS: MOSQUITO-BITE ALLERGY STUDY

- Rupatadine significantly reduced the size of wheals compared to placebo (p = 0.0003), 15 minutes after the mosquito bite.
- Rupatadine significantly reduced the pruritus caused by mosquito bite allergy compared to placebo (p = 0.019).
- Prophylactic rupatadine 10mg is an effective and well-tolerated treatment for mosquito-bite-induced whealing and accompanying pruritus.

CUTANEOUS

- First comprehensive clinical trial of a 2nd generation AH assessing QoL and symptom reduction in patients with mastocytosis.
- Rupatadine 20mg daily for four weeks significantly controlled symptoms and improved patient’s quality of life.
- The mean 1itch QoL total score was significantly improved in the rupatadine treatment compared with placebo.
- Rupatadine provided statistically significant reductions in the severity of skin reaction, flushing, tachycardia.

* References available on request.