

Levocetirizine – One of the Most Effective Antihistamines in Urticaria

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Abstract

Levocetirizine is a R-enantiomer of racemic cetirizine, an antihistamine which has proven to be effective in mild as well as chronic form of urticarial. It has a more rapid onset along with longer duration of action than many other antihistamines available in market, with maximal inhibition of histamine-induced wheal occurring within 2 to 4 hours once the drug is administered. It also exhibits anti-inflammatory effects in patients with allergic disorders. This drug has no clinically relevant effect on cognitive function, psychomotor function and cardiovascular parameters. Levocetirizine as a drug of choice is generally well tolerated in adults, adolescents as well as children with allergic conditions.

Key words: Antihistamine, Levocetirizine, Urticaria

INTRODUCTION

Urticaria, a common skin condition, is a frequently disabling disease because of the persistence of clinical symptoms, the unpredictable course, and its negative influence on the quality of life.^[1]

Elimination of detectable etiologic causes and avoidance of triggers constitutes the first step of treatment.^[2] Many mechanistic studies have demonstrated histamine to be a major mediator involved in the development of symptoms of urticaria. This has led to the recommendation for the use of oral H1 receptor antagonists (H1 antihistamines).^[3] Antihistamines bind to histamine receptors and prevent the formation of pruritus and urticarial plaques. The first-generation H1 antihistamines are less preferred due to their significant short duration of action, sedation, and associated side effects. The second-generation H1 antihistamines are first-line treatment for urticaria.^[2]

Levocetirizine, a second-generation H1 antihistamine, is a potent histamine H-1 receptor antagonist. It offers high power and specificity as inverse agonist for the H1 receptor.^[4]

PHARMACOLOGICAL ACTION OF LEVOCETIRIZINE

Pharmacological actions underlie the therapeutic effect of Levocetirizine in urticaria & rhinitis

LEVOCETIRIZINE: Highly potent inverse agonist for the H1 receptor

Antagonism of histamine

In the endothelial cells and of smooth muscle fibrocells of blood microcirculation

Results in

- Inhibition of the increase in vascular permeability and vasodilation
- Inhibition of edema formation and mucus secretion

Represents

Therapeutic efficacy of LEVOCETIRIZINE on skin and respiratory mucosa

THERAPEUTIC PROPERTIES OF LEVOCETIRIZINE

- Levocetirizine has the greatest anti-H1 activity, in suppressing skin reactivity to histamine, among other

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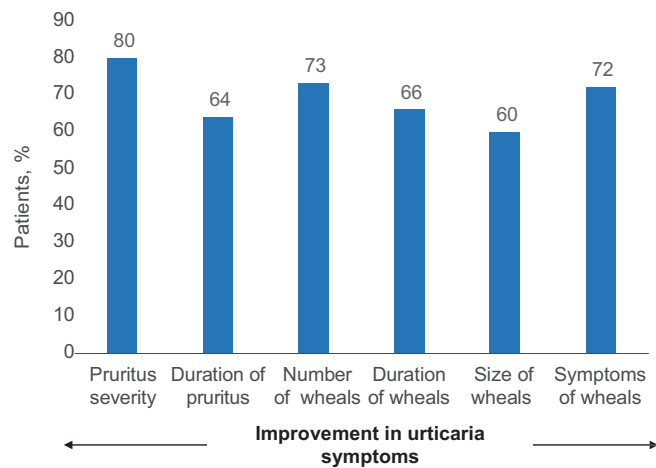
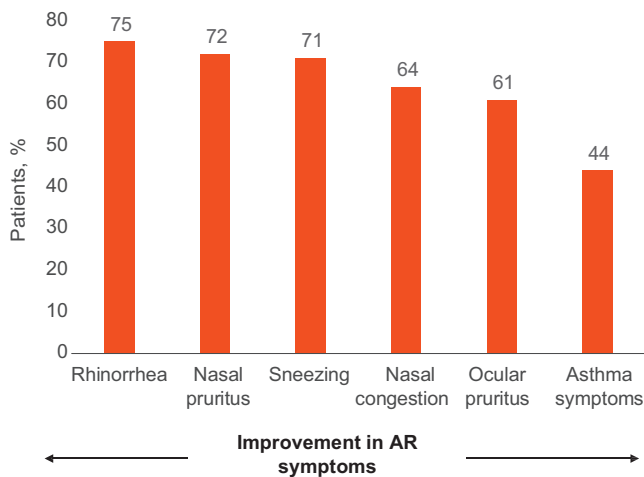
antihistamines, namely, fexofenadine, desloratadine, and mizolastine.^[1]

- Levocetirizine has a rapid onset of action and more importantly, a sustained action for 24 hours.
 - In a study by Schoepke *et al.*, levocetirizine showed a faster onset of action (30–90 min vs. 2 h), shorter time to maximum effect (3–4 h vs. 3–6 h), and longer duration of action (at least 24 h vs. ~12 h) than fexofenadine on wheals, flare, and pruritus.^[5]
 - In a comparative efficacy study, levocetirizine achieved a significant symptom reduction of

seasonal allergic rhinitis as early as the 1st hour, compared with 3 hours required for desloratadine to act; additionally, 24 hours after the first dose and just before receiving the second dose, symptom reduction was significantly higher in the patients treated with levocetirizine compared to desloratadine.^[4]

- Levocetirizine shows favorable effect on overall severity of signs and symptoms of urticaria and allergic rhinitis.
- In a study conducted by Fang *et al.*, levocetirizine markedly improved the symptoms of allergic

Proportion of patients with improvement in symptoms of allergic rhinitis and urticaria

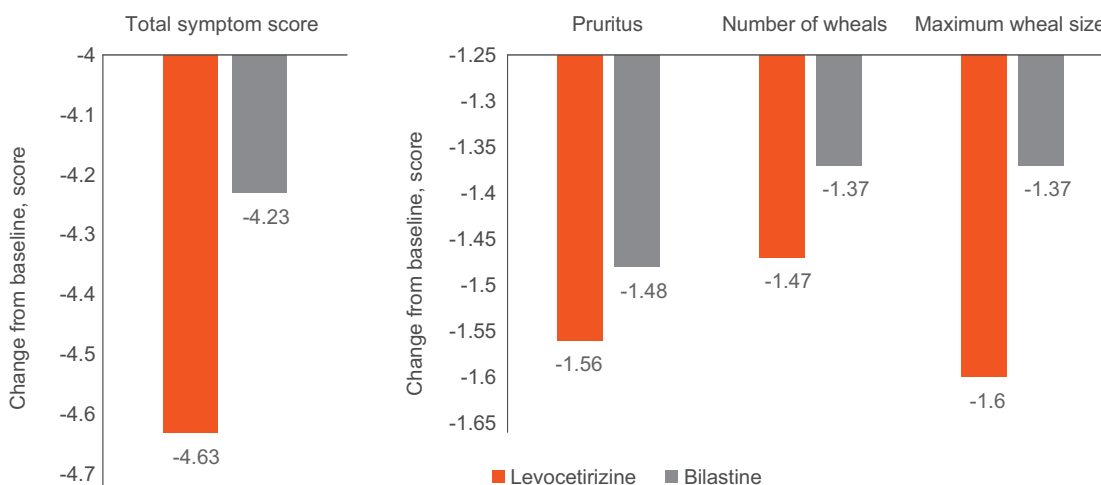


rhinitis (AR) and urticaria; with up to 75% of AR patients and about 80% of urticaria patients reporting complete or marked improvements in individual symptoms.^[3]

- Levocetirizine is significantly effective in reducing urticaria in children.^[6]

- Levocetirizine reduced number of urticarial episodes by 60% in atopic children.
- Levocetirizine reduced exacerbations of concomitant urticaria in children with atopic dermatitis.
- Levocetirizine demonstrated higher efficacy and more prolonged action in inhibiting histamine induced wheal

Change in total and individual symptom scores with Levocetirizine and Bilastine



- formation than fexofenadine and desloratadine.^[4]
- Levocetirizine showed slightly greater improvement in total symptom scores than bilastine in patients with chronic spontaneous urticarial.^[7]
- Updosing of levocetirizine up to 4 times is effective and safe with respect to cardiovascular effects in difficult-to-treat urticaria patients.^[8]
- Levocetirizine has a major role in improving the quality of life, showing noticeable improvement in Dermatology Life Quality Index score.^[4]
- Levocetirizine has a good safety and tolerability profile: ^[4]
 - Levocetirizine has small volume of distribution which confers improved safety because of its lesser passage through the blood–brain barrier and low cerebral receptor binding.
 - Pharmacokinetic properties of levocetirizine are poorly modified by concomitant intake of other drugs.
- Clinical trials have shown no modification on memory, attention, and motor skills in patients administered levocetirizine.
- Levocetirizine safety is confirmed by the absence of cardiotoxic effects and the mild nature of the reported adverse reactions (fatigue, headache, dizziness, and dry mouth) during treatment, which do not generally interfere with the patient’s well-being even in the case of chronic treatment.

Key Pointers

- Levocetirizine is an effective agent in patients with urticaria, as its action provides a rapid and satisfactory control of the associated symptoms with improved quality of life.
- Therefore, levocetirizine is an ideal choice for urticaria management.

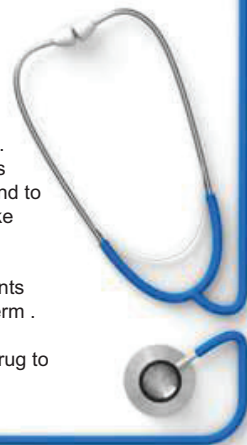


Dr. Ganga Prasad
MD Dermatologist
EX HOD of PMCH, Dhanbad, Jharkhand

I have experienced more than 35 years in dermatology field. I have seen lots of patients of urticaria & my experience was that among all antihistamines, levocetirizine has been found to give 24 hours relief as compare with other antihistamines like fexofenadine, desloratadine and bilastine.

I have used levocetirizine for long terms & none of my patients reported any side effects. it's safe for my patients for long term .

I prescribed levocetirizine with other drugs & observed no drug to drug interactions with levocetirizine.



Dr. Jayakar Thomas

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Emeritus Professor - Dermatology, The Tamil Nadu Dr. MGR Medical University, Chennai

The response of levocetirizine to histamine and allergen-induced wheal and flare reactions is comparatively higher to currently available antihistamines such as fexofenadine, desloratadine and cetirizine.

Levocetirizine has a rapid onset of action and more importantly, a sustained action for more than 24 hours. Efficacy-wise, its anti-inflammatory property adds to its favorable effect, thereby improving the quality of life of patients using levocetirizine.

However, mild sedation is a limiting factor, and its use during the daytime is to be discouraged. This molecule has little effect on psychomotor functions and other subjective symptoms. Levocetirizine is among the first to be introduced for up dosing, and is can be administered up to four times the normal recommendation.

With a good tolerability profile, the treatment adherence and patient compliance is satisfactory.



Dr. Madan Mohan

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Professor & HOD, Department of Dermatology, Venereology and Leprosy,
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It is quite a common skin problem, where the patient has itching skin associated with rashes. There are various skin problems associated with rashes and are classified into different types like physical urticaria, chemical urticaria, vibration urticaria & so on. To cater to these, there are different antihistaminics to treat the cause of urticaria. I am of the opinion that levocetirizine can be used for these conditions. Levocetirizine like xyzal can be used. It is quite safe to use even 4 times the dose safely. It can also be found to be safe to be given to children.



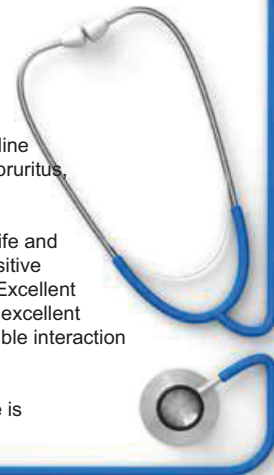
Dr. S. Murugan

MBBS, MD (Dermatology)
Professor & HOD, Department of Dermatology,
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levocetirizine provides 4x better wheal inhibition. 2x better flare inhibition than fexofenadine and desloratidine at 24 hours. It provides 5x better receptor occupancy at 24 hours than fexofenadine and desloratidine, and has excellent efficacy in providing relief in pruritus, urticaria, insect bite reactions, lichen planus and eczemas.

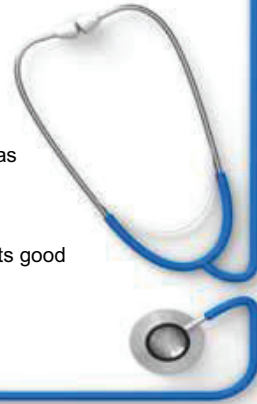
Levocetirizine causes improvement in urticaria specific quality of life and reduction in chronic urticaria associated discomfort, and has a positive impact with reduction in perception of somnolence and sedation. Excellent safety profile on up dosing for urticaria upto 4x. Levocetirizine has excellent acceptance and tolerability and safety in all conditions with negligible interaction with other medications.

Patient adherence and compliance to treatment with levocetirizine is excellent with good patient satisfaction.



Dr. Sampath V
MBBS, MD Dermatology
Consultant Dermatologist, Chennai

I have been using Levocetirizine for more than 10 years now. It is an efficacious drug and non-sedative as well. It has a favourable effect on overall severity of symptoms. Compared to all other antihistamines, Levocetirizine has a faster onset of action. The tolerability is also good. I prefer using Levocetirizine over other antihistamines because of its good efficacy, tolerability & patient compliance.



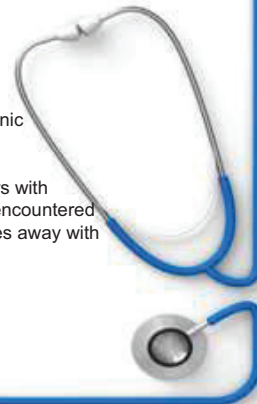
Dr. Sandeep Buddhadeo
M.B.B.S, MD - DVD

Levocetirizine, especially of a very good quality like Xyzal, is still an undoubtedly a gold standard in the management of acute and chronic urticaria

I have been treating patients with urticaria since more than 25 years with levocetirizine and have observed very good results. I have hardly encountered any side effects, and that includes mild sedation. This sedation goes away with continued usage.

Another thing is the cost of the treatment is less.

Levocetirizine is a time tested molecule



Dr. Uday Kulkarni
MBBS, MD (Skin & V.D.)

Levocetirizine is a potent H1 antagonist from 2nd generation antihistamines. It effectively blocks histamine induced wheal & flare reactions. Clinically, it seems to act much faster & for longer duration in comparison to fexofenadine & desloratidine.

Clinically, it shows its onset of action within an hour & its effect lasts almost upto 24 hrs. In few cases it extends even beyond that. Shows favorable effect on overall severity of symptoms and signs of urticaria, and improves quality of life (QOL).

Definitely has a major role in improving QOL. Patients are able to carry out their daily routine undisturbed, except few who experience some drowsiness. Few patients experience sedation/drowsiness in variable degrees.

Due to immediate & long lasting results, patient feels very much comfortable. Minimum & no sedation adds to his /her mood elevation & energetic feeling. Up dosing is safe with respect to cardiovascular effects. Except few chances of drowsiness, Levocetirizine is found to be very safe in clinical practice.

Overall Levocetirizine is well tolerated even when used for long duration.

Single dosing, immediate onset of action & long lasting effect makes it ideal for regular use & adherence to treatment Protocols.



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