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ORIGINAL ARTICLE

Comparative efficacy of cetirizine and levocetirizine in chronic idiopathic urticaria

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Abstract

H1 receptor antagonists are the mainstay of treatment for chronic idiopathic urticaria. Newer hydroxyzine derivatives such as cetirizine and levocetirizine have been found to be equally efficacious in preclinical studies in patients with chronic idiopathic urticaria. In this study, the clinical efficacy of cetirizine and levocetirizine has been studied sequentially in individual patients. Fifty chronic idiopathic urticaria patients received 10 mg of levocetirizine daily for 6 weeks. Some 45 patients out of these showed reasonably good clinical efficacy on a visual analog scale to qualify for comparison with levocetirizine. A total of 30 patients completed the study period of 6 weeks each of cetirizine and levocetirizine sequentially. Thus, the clinical efficacy of cetirizine and levocetirizine was comparable with a marginal advantage of better antipruritic effect with levocetirizine, probably at the cost of increased sedation.

Key words: *Cetirizine, comparative efficacy, levocetirizine*

Introduction

Chronic idiopathic urticaria (CIU) is usually a self-limiting disease, which responds well symptomatically to various antihistaminic drugs. The first-line option includes use of a newer second-generation non-sedative H1 receptor antagonist either alone or in combination with sedative H1 antihistamines at bedtime. In the absence of a desirable response, an H2 receptor antagonist may also be added (1). The second-generation antihistamines such as cetirizine, fexofenadine, loratidine, desloratadine are generally preferred due to the lack of sedation and cholinergic adverse effects. The clinical efficacy of cetirizine dihydrochloride in CIU has clearly been established through many double-blind, placebo-controlled, randomized trials (2). Levocetirizine, an active enantiomer of cetirizine, is considered to be responsible for the maximum antihistaminic activity of its parent molecule (3). In this open trial, the clinical efficacy of cetirizine and levocetirizine was compared sequentially.

Materials and methods

Fifty patients with CIU attending our allergy clinic were treated with 10 mg cetirizine once-daily for 6

weeks with weekly assessment of their wheal, flare and itch responses on a visual analog scale of 0–3 (0=asymptomatic, 1=mild, 2=moderate, 3=maximum severity). Those showing complete symptomatic control of CIU at 6 weeks with cetirizine were shifted to an equivalent dose of 5 mg of levocetirizine and were assessed weekly on the same parameters for wheal, flare and itch responses for next 6 weeks. Efficacy of cetirizine and levocetirizine was compared in individual patients with reference to the parameters described above. The adverse effects of both drugs, if any, were also recorded.

Results

Out of 50 patients studied, 45 (90%) patients who achieved complete symptomatic control on cetirizine qualified for further study. Out of these 45 patients who then received levocetirizine, 30 patients (18 male, 12 female) completed the study period of 6 weeks with each drug and were available for analysis (Figure 1). The age range was 15–65 years, while the average duration of disease was from 6 months to 2 years. The wheal and flare response was almost equal with cetirizine and levocetirizine, while the itch response was significantly better with cetirizine

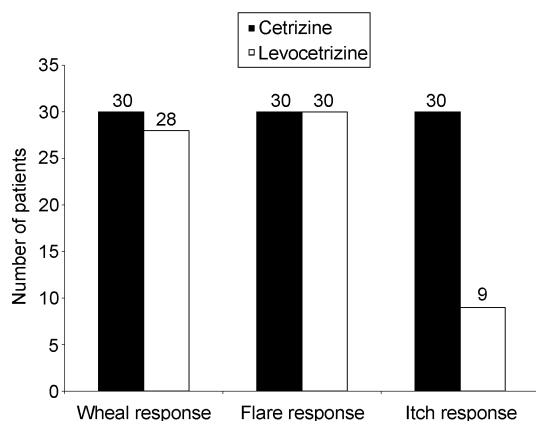


Figure 1. Comparative efficacy of cetirizine and levocetirizine.

(24 hours) in comparison with levocetirizine (14–16 hours) in 21 (70%) patients ($p < 0.005$). Only three (10%) patients noticed occasional headache and fatigue while on levocetirizine.

Discussion

Levocetirizine dihydrochloride, a metabolite of hydroxyzine, is a racemic mixture of equal amounts of two enantiomers: an active R-enantiomer, levocetirizine (ucb 28556); and a distomer, S-enantiomer – dextrocetirizine (ucb 28557) (4). The drug is remarkably efficacious in CIU and largely free from adverse effects except for mild-to-moderate sedation experienced only by susceptible individuals (5). It acts in two phases: an early phase decreases the immediate release of histamine, platelet activating factor and influx of eosinophils; while the late phase inhibits the chemotactic responses of T-lymphocytes to various chemokines. The recent preclinical research based on inhibition of histamine-induced wheal, flare and itch responses had shown that the R-enantiomer or levocetirizine is 10 times more potent than its S-enantiomer, and has a twofold higher affinity for H1 receptors (4,6–8).

Levocetirizine is more potent than cetirizine as most of its pharmacological effects can be achieved at half the dosage of cetirizine (3). Various studies have observed that cetirizine and levocetirizine equally inhibit wheal and flare responses for an average period of 24 hours (9). However, comparative clinical inhibition of itch response by levocetirizine and cetirizine has not been studied so far. Similarly, the effects on cognitive and psychomotor functions have not been compared for cetirizine and levocetirizine. Individual studies have shown that levocetirizine does not impair these functions while cetirizine has a definite central activity affecting cognitive and psychomotor function, thus its use by air personnel is not recommended (3,5,10).

The present open trial shows an equal efficacy of cetirizine and levocetirizine on wheal and flare response when used sequentially in an individual

patient. The longer inhibition of itch response by cetirizine in comparison with levocetirizine could be attributable to its central sedative and hence anti-pruritic action or partially due to its S-enantiomer.

The adverse effects profile of both cetirizine and levocetirizine was comparable, except for fatigue and headache reported by a few patients taking levocetirizine. The cognitive and psychomotor functions were not assessed objectively but none of the patients reported drowsiness, dizziness or sedation with either of the drugs.

This is the first open trial which compares the clinical efficacy of cetirizine and levocetirizine sequentially in individual patients. Although cetirizine and levocetirizine are equally effective in controlling wheal and flare response in CIU, cetirizine has a marginal benefit over levocetirizine in inhibition of itch response, probably at the cost of its central sedative action. However, the preliminary results of this comparative study need to be confirmed through a randomized, controlled or crossover study design in a large number of patients with CIU.

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