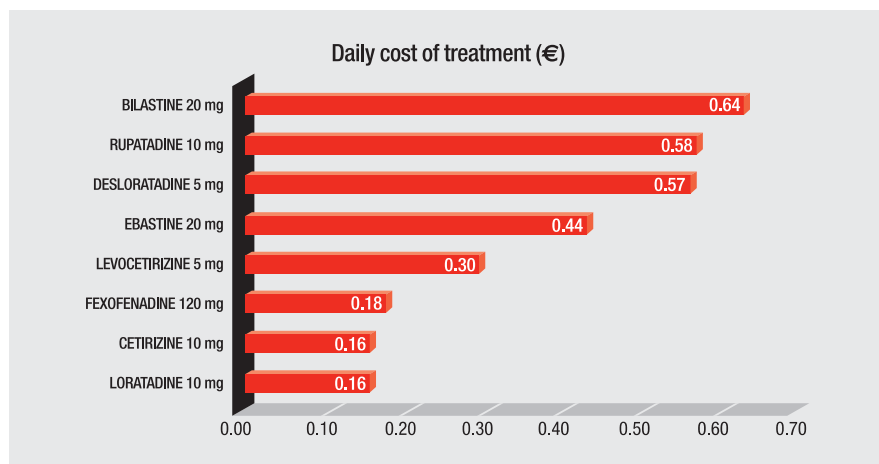


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Bilastine (▲Bilaxten[®], ▲Ibis[®], ▲Obalix[®]) in allergic rhinoconjunctivitis and urticaria

Another H₁ antagonist drug! What for?



The elective H₁ antagonist drugs are loratadine and cetirizine



Indications¹

Symptomatic treatment of allergic rhinoconjunctivitis (seasonal and perennial) and urticaria.

Mechanism of action and pharmacokinetics¹

Bilastine is an H₁ antagonist that is not metabolized and its main elimination pathway is fecal. Its elimination half-life is 14.5 hours.

Posology and method of administration¹

Adults and children over 12 years: 20 mg (1 tablet) daily. It should be administered one hour before or two hours after food intake or fruit

juices. In cases of allergic rhinitis, treatment is limited to the period of exposure. In seasonal allergies treatment can be interrupted when symptoms have resolved.

Clinical efficacy Allergic rhinoconjunctivitis

Two double-blind, randomized clinical trials have been published to evaluate the efficacy of bilastine in seasonal allergic rhinitis^{2,3}. The total number of patients in both trials was 1404 and treatment duration was 14 days. The primary endpoint was the area under the curve (AUC) of the total score of nasal and non-nasal symptoms from the beginning to the end of treatment. To evaluate each symptom, a 4-point (0-3) scale was used. In one of the trials

- Bilastine is another H₁ antagonist authorized for the symptomatic management of allergic rhinoconjunctivitis and urticaria.
- In allergic rhinoconjunctivitis, bilastine has shown similar efficacy to desloratadine and cetirizine with respect to symptom relief.
- In urticaria, bilastine has shown similar efficacy to levocetirizine in the reduction of pruritus and the number of wheals, but it was less effective in the maximum size of wheals.
- It is well tolerated, just like the other drugs within its group, but has the inconvenience of not being recommended with food or fruit juice as its absorption is significantly reduced.
- It presents more drug interactions and it is much more expensive.

The qualification assigned to the drug was agreed by the Drug Assessment Committees of Andalusia, Basque Country, Catalonia Institute of Health, Aragon and Navarre. The current report is based on the available information and is susceptible to be updated according to the latest evidence. Let us remind the reader about the importance of notifying the Pharmacovigilance Centre when there are suspicions of adverse reactions to drugs.

the efficacy and safety of bilastine 20 mg daily was compared to desloratadine 5 mg daily and to placebo². The primary outcomes decreased in all groups and no significant differences were found between bilastine (98.4 [90.9-105.9]) and desloratadine (100.5 [93.6-107.4]). However differences were found in the case of placebo (118.4 [110.5-126.3]). There were no significant differences in the percentage of change in total score of symptoms from the beginning to the end of treatment between bilastine (-48.9%) and desloratadine (-49.5%), but there was in the comparison with placebo (-37.4%).

In the other trial, a comparison was made of the efficacy and safety between bilastine and cetirizine and placebo³. The primary endpoint, AUC of the total score of symptoms, decreased in a similar way in the bilastine group (76.5) and cetirizine (72.3), and was statistically lower than placebo (100.6). There were no significant differences in the percentage of change in total scores of the symptoms from the beginning to the end of treatment between bilastine (-44.7%) and cetirizine (-49.1%). However differences were found with respect to placebo (-26.6%). There is no clinical trial published on perennial allergic rhinoconjunctivitis.

Urticaria

There is a double-blind, randomized clinical trial comparing the efficacy and safety of bilastine 20 mg daily and levocetirizine 5 mg daily and placebo in the management of chronic idiopathic urticaria⁵ (n = 525). The primary endpoint was change from baseline up to day 28 in total symptom scores according to patients' evaluation. Three symptoms were evaluated: pruritus, number of wheals and maximum size of wheals, employing a scale of 4 points (0-3). The average difference in the total score of symptoms from baseline to the end of treatment in the bilastine group was -4.63 (SD 1.91). There were no significant differences between the two drugs but there were differences between bilastine and placebo -2.99 (SD 2.16). When evaluating symptoms individually, there were no significant differences between bilastine and levocetirizine with regard to their effects on pruritus and the number of wheals. Levocetirizine was significantly better in terms of reducing the maximum size of the wheals.

Safety Adverse reactions

The most frequent adverse reactions cited were: cephalgia (10.6-12.1%), somnolence (1.8-5.8%), and fatigue (0.4-2.9%). The frequency was similar in those treated with placebo^{1-3,5}.

Contraindications¹

Hypersensitivity to the active substance or to any of its excipients.

Warnings and precautions¹

In patients with moderate renal failure, bilastine should not be given in combination with glucoprotein P inhibitors (P-gp) such as ketoconazole, erythromycin, ciclosporine, diltiazem and ritonavir, as they can increase plasma levels of bilastine and therefore increase the risk of adverse effects.

Use in special situations¹

Patients over 65 years: no dose adjustments required. However, clinical experience in this population is limited. **Children under 12 years:** safety and efficacy has not been established in this group. **Renal failure:** no dose adjustments required. **Liver failure:** there is no clinical experience although since there is no renal metabolism, no adjustment would be necessary. **Pregnancy:** as limited data are available it is preferable to avoid it in this population. **Lactation:** it is unknown whether this drug is secreted in breast milk. It is not recommended. **Effects on driving:** in rare occasions bilastine can provoke somnolence and therefore can affect the ability to drive or operate machinery.

Interactions¹

Interactions with food: Food including grapefruit juice can significantly reduce the bioavailability of bilastine by 30%. This effect can also occur with other fruit juices. The mechanism responsible is the inhibition of the organic anion transporting polypeptide (OATP1A2), a carrier involved in the absorption and biliar excretion of drugs, of which bilastine is a substrate.

Interactions with drugs: Drugs which are substrates or inhibitors of the OATP1A2 (eg, ritonavir, rifampicin) can reduce the concentrations

in plasma of bilastine. Substrates of the P-gp (eg, ketoconazole, erythromycin, ciclosporine) can increase plasma levels of bilastine. The concomitant administration of diltiazem increases bilastine plasma levels by 50% although it does not appear to affect the safety profile of bilastine.

Place in therapeutics

Management of rhinitis consists of identifying and, if possible, avoiding allergens and taking certain drugs aimed at symptom control and relief⁶. Among the latter we find second generation oral H₁ antagonists (cetirizine and loratadine) and nasal histamine antagonists (azelastine, levocabastine). Other histamine antagonists (desloratadine, levocetirizine, rupatadine) do not present any additional advantages, their cost is higher and experience of use is lower.

Bilastine is a new oral H₁ antagonist indicated in the management of rhinoconjunctivitis (seasonal and perennial) and urticaria. In the case of allergic rhinitis, bilastine has shown a similar efficacy in symptom relief to other compared drugs (desloratadine and cetirizine). In the management of urticaria, bilastine has shown similar efficacy to levocetirizine in the reduction of pruritus and the number of wheals, but it has been less effective with regard to the maximum size of the wheals. The safety profile of bilastine is similar to the rest of H₁ antagonists with which it was compared.

Bilastine does not offer any new element to the management of allergic rhinitis or urticaria. However, it does present the inconvenience that it cannot be taken with food or fruit juices. In symptomatic management of these affections, it is recommended to employ cheaper H₁ antagonists of which greater experience in use is available, eg, loratadine and cetirizine.

Presentations

Bilaxten[®] (Faes Farma), Ibis[®] (Menarini), Obalix[®] (GSK) 20 mg 20 tablets (12.80 €)

References

A complete report on bilastine can be found at: <http://www.dtb.navarra.es>

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