Role of Bilastine in Allergic Rhinitis: A Narrative Review

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ABSTRACT

Allergic rhinitis (AR) is considered a trivial disease and is often self-treated with over-the-counter drugs and home remedies. However, AR is a contributing risk factor for asthma associated with complications, including chronic cough, eosinophilic esophagitis, and otitis media with effusion. In AR, inflammation is primarily mediated by histamines. Guidelines advise using second-generation oral H1 antihistamines as the primary treatment for AR. Second-generation H1 antihistamines strongly prefer the H1 receptor, limiting their ability to enter the central nervous system. Thus, they have minimal adverse effects. Among these H1 antihistamines, bilastine is highly specific for H1 receptors with a slight affinity for other receptors. It has a rapid and prolonged action, which reduces the need for frequent dosing and has better compliance. In the long term, bilastine is well-tolerated with minimal adverse effects. It is not associated with drug interactions, so dosage adjustment is unnecessary. Bilastine does not penetrate the brain and is nonselecting at 80 mg once daily. The low possibility of drug–drug interactions and pharmokinetics of bilastine makes it suitable for elderly patients, even with compromised hepatic and renal function, without dose adjustment. This review comprehensively discusses the guidelines and the role of bilastine in treating AR.

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INTRODUCTION

Allergic rhinitis (AR) occurs due to inflammation of the upper airways triggered by allergens; it is usually a chronic disease with episodes of acute exacerbation.1 In the acute stage, it commonly presents as a blocked nose, sneezing, rhinorrhea, and itching.2 The overall prevalence of AR in India is 17.9–24.7%; however, the prevalence varies based on different geographical locations. It might be due to air pollution levels, heterogeneity in weather, diet, religious and cultural factors, socioeconomic levels, and literacy.3 Historically, AR was considered an ailment of the nasal airway alone. Today, it is recognized as a systemic allergic reaction that may be connected to other illnesses, including asthma and atopic dermatitis.4 Nevertheless, AR is often considered a trivial disease, and many people choose self-treatment with over-the-counter drugs and home remedies. Most patients are unaware of AR and the risks of associated complications.1 Certain patients may exhibit a nonproductive cough accompanied by allergic conjunctivitis, persistent sinus inflammation, and eustachian tube dysfunction.5 If nasal congestion or discharge symptoms last longer than 3 months, acute AR can progress to chronic rhinosinusitis. Chronic rhinosinusitis can cause nasal polyps due to chronic inflammation of the paranasal sinus mucosa.6 Further, sensitization to allergens can result in adenoid hypertrophy.6 Additionally, research indicates that AR can be a predisposing factor for asthma, mainly when diagnosed in infancy. Other complications linked to AR encompass chronic cough, eosinophilic esophagitis, and otitis media with effusion.7

WHY IS IT ESSENTIAL TO TREAT AR?

Allergic rhinitis (AR) results in impaired work productivity, absenteeism, and reduced performance at work.8 According to a study, people with rhinitis (36%) were likelier to have worse self-rated work performance than those with asthma (19%).9 Quality of life (QoL) is another important and perhaps undervalued aspect of AR. Almost 90% of patients with AR complain of nasal congestion and associated sleep problems. Furthermore, nasal congestion, rhinorrhea, and sneezing are most intense early in the morning, further exacerbating their sleep effects. Thus, people with AR are more likely to experience daytime fatigue due to disrupted sleep during the night. Sleep impairment can lead to depression, irritability, memory deficits, difficulty concentrating, and a decreased QoL.10 Although clinicians perceive AR as a chronic but nonserious medical condition with limited symptoms, patients perceive it as limiting and disabling. This disconnect may lead to suboptimal treatment.11 Hence, it is important not to trivialize AR and treat it according to guideline recommendations.

GUIDELINES FOR AR MANAGEMENT

The principal objective of AR treatment is the relief of symptoms. The first-line treatment involves avoiding relevant triggers, for example, molds, house dust mites, pollens, pets, tobacco smoke, etc. However, it might not always be possible. Leukotriene receptor antagonists, combined intranasal corticosteroid (CS)/antihistamine sprays, intranasal CSs, allergen immunotherapy, and oral antihistamines are pharmacological alternatives for symptomatic alleviation. Decongestants and oral CSs are other alternatives for symptomatic alleviation. Based on systematic research, the guidelines provide explicit, clear, and transparent clinical recommendations for treating AR. According to the ARIA guidelines, the selection of pharmacotherapy for patients with AR is aimed at effectively managing and controlling the disease. Factors affecting include (1) patient preferences, empowerment, and age; (2) major symptoms, disease severity,

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The choice of drug is often determined by a combination of factors, including dosing, onset time, drug interactions, and adverse effects. Moreover, bilastine has shown distinct advantages over other agents in these aspects.

Pharmacological Properties of Bilastine

Bilastine has a low affinity for other receptors and a high selectivity for H1-receptors.19 Its activity has a quick onset and a longer time of action.20 It has an extended residence period at the H1-receptor, leading to 60–70% antagonism visible 24 hours after dosage.21 After oral administration, it is quickly absorbed, reaching maximum plasma concentrations within 1–1.5 hours and having a mean elimination half-life of around 12–14.5 hours.22 According to a double-blind crossover research comparing bilastine, cetirizine, and fexofenadine in AR, within an hour of taking the medication, bilastine decreased sneezing and eye symptoms (itchy eyes, watery eyes, and red eyes).23 Thus, bilastine has a rapid action that lasts for a prolonged period.
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Numerous studies have compared the efficacy and safety of bilastine with a placebo and other second-generation antihistamines. Bilastine showed significant improvement in total symptom score (TSS) comprising four nasal symptoms (rhinorrhea, itching, congestion, and sneezing) and six nonnasal symptoms (burning, tearing, ocular itching, redness, and itching of ears or palate, and a feeling of a foreign body in the eye) against placebo. It has also shown comparable efficacy to cetirizine, fexofenadine, and desloratadine in clinical trials on AR.17,24–26 Bilastine demonstrated a superior adverse event profile compared to cetirizine. It was significantly associated with less fatigue (0.4 vs 4.8; \( p = 0.02 \)) and somnolence (1.8 vs 7.5%; \( p < 0.001 \)) than cetirizine.26 It was also reported to have an extended action time than fexofenadine.27 In another study, 20 mg bilastine had a quicker onset of action than 60 mg fexofenadine.23 Bilastine successfully managed the ocular symptoms and nasal obstruction associated with allergic rhinoconjunctivitis, according to analyses from seven clinical trials.28,29 Once daily, bilastine 20 mg effectively reduced TSS and improved QoL in 64 patients with perennial AR for up to 52 weeks. This effect was sustained for up to 1 year.30 In a multicenter, placebo-controlled, randomized, parallel-group, double-blind research including 513 Caucasian patients, bilastine 20 mg once a day was well-tolerated and safe throughout a 1-year treatment period.26

The Safety Profile of Bilastine

In the long term, bilastine showed minimal side effects and is well-tolerated. The side effects included dizziness, headache, somnolence, and fatigue.26 In vitro, bilastine did not induce or inhibit CYP3A isoenzyme activity, and its metabolism in humans is insignificant, thus having a minimal chance for metabolic drug–drug interactions resulting in no dosage adjustments.13,31 Liver impairment does not affect bilastine since it is not metabolized in the liver; thus, dose adjustment is not needed in patients with liver impairment.32 Bilastine, like placebo, has minimal H1-receptor occupancy (H1RO) in the central nervous system. Among first- and second-generation H1 antihistamines, bilastine shows the lowest H1RO; hence, it is classified as a nonbrain penetrating antihistamine.33 Even at an 80 mg once-day dosage, bilastine is still nonsedating.34,35 Driving ability was unaffected by 20 mg bilastine or 40 mg in a trial with healthy participants.36 Even when given up to four times the recommended amount, bilastine has no discernible impact on the QT corrected for heart rate interval and shows no signs of cardiotoxicity.19
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Bilastine in Special Populations
Older adults frequently have comorbid conditions and take numerous medications, increasing the risk of drug interactions and adverse drug responses. Elderly individuals might also have reduced cognitive performance. Moreover, they might have age-related compromised hepatic and renal function, which can impact drug clearance.87 The low possibility of drug–drug interactions and pharmacokinetics of bilastine makes it suitable for elderly patients without needing dose adjustment, even with compromised hepatic and renal function. Bilastine showed a favorable safety profile in an observational study in patients 65 years and older, with a very low rate of serious adverse effects.88 Bilastine is also safe for 2-year-old kids and is permitted in Europe for kids between the ages of 6 and 12.89 There is insufficient or no data on using bilastine in pregnant or lactating women. Humans have not been examined for bilastine excretion in milk.

In summary, bilastine has good effectiveness with a quick onset and longer duration of action. It is well tolerated, has a little sedative effect, and exhibits fewer drug interactions. Bilastine can be used as a first-line pharmaceutical agent for treating patients with AR in all age groups, from school children to older people, either as a monotherapy or a combination therapy component.

Author Contributions
All authors contributed and approved the final manuscript. All authors met ICMJE criteria, and those who fulfilled those criteria were enlisted as authors. All authors had access to the study data and made the final decision regarding where to publish these data and approved submission to this journal.

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