La Renon

Outrank *the* Best

NOW INTRODUCING

BILAHENZ-M

Bilastine 20mg and Montelukast 10mg Tablets

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Bilastine 20 mg Tablets

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INVERSE AGONIST: H1 ANTIHISTAMINE:

- The biological effects of histamine in the allergic reaction are mediated through H1 receptors that coexist in active and inactive forms of g protein-coupled receptors which balance each other. H1 antihistamines work as inverse agonists that drive the balance toward the inactive side and suppress the effects of histamine.
- Since these effects are not genuine antagonistic but rather represent a balance displacement between active and inactive forms of H1 receptors, now, the term H1 antihistamine rather than the former "antihistamine antagonist" is used

PHARMACODYNAMICS:

- Bilastine is an H1 receptor inverse agonist. In vitro studies have shown that bilastine has a high specific affinity for the H1-receptor but it has no or very low affinity for 30 other tested receptors.
- The affinity for the H1 receptor is 3 and 6 times higher than for cetirizine and fexofenadine, respectively.
- As per ARIA guidelines, one of the key qualities of an ideal oral H1 antihistamine is to have no interaction with CYP 450. However, some oral H1 antihistamines (eg, loratadine, rupatadine) are extensively transformed to active metabolites by the CYP system in the liver. This creates significant potential for drug–drug interactions. Importantly, Bilastine does not interact significantly, either as an inhibitor or as a inducer, with the CYP enzyme system in vitro.

PHARMACOKINETIC:

- It has a **rapid onset and sustained action**, with an 8 h duration of maximum effect and significant activity for at least 24 h following a single dose.

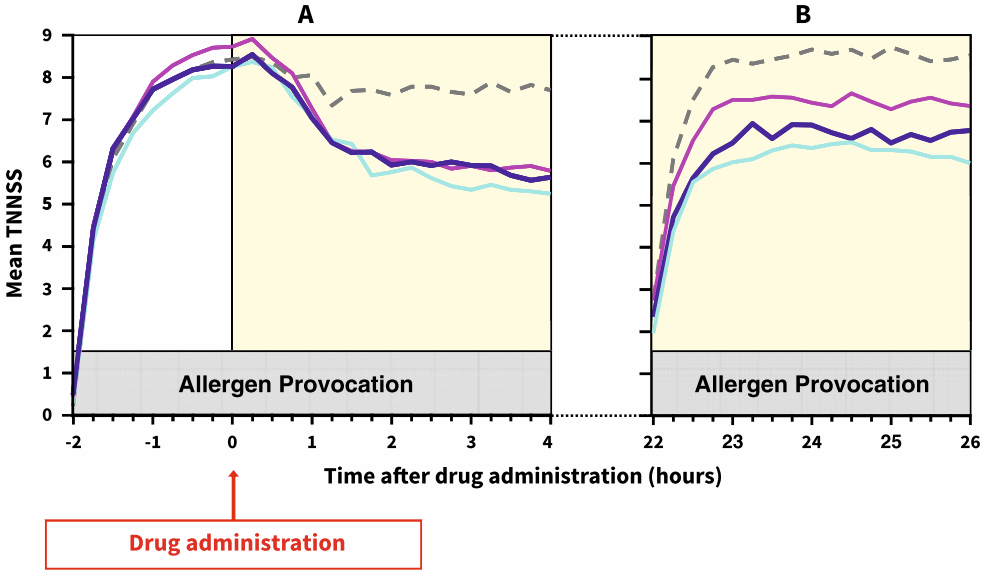
Generic name	Cetirizine	Fexofenadine	Levocetirizine	Loratadine	Bilastine
Dosage (mg × daily)	10×1	180×1	5×1	10×1	20× 1
Rapid onset (h)	0.5–1	1	0.5–1	0.5–1	0.5–1
Maximum effect (h)	4–6	6	4–6	4–6	1.3-1
Duration of effect (h)	24	24	24	24	>24
Metabolism (%)	<10	0	<10	>90	0
Interactions	No	Yes	No	No	No
Discontinuation interval (d)	3	3	3	3	ND

Ref:
1) F. Horak et al.Inflamm. Res. (2010) 59:391–398
2) A. Rosa, J Coimbra et. Current Medical Research And Opinion, 2017(33)No. 1, 129–136

CLINICAL EFFICACY

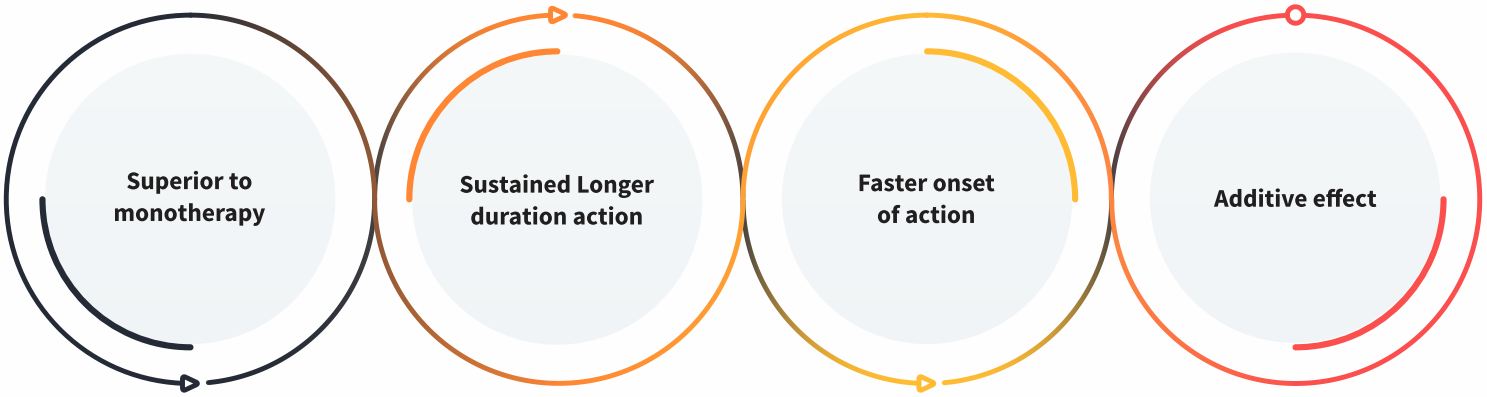
The effects of bilastine compared with cetirizine, fexofenadine, and placebo on allergen-induced nasal and ocular symptoms in patients exposed to aeroallergen in the Vienna Challenge Chamber

- Seventy-five allergic volunteers were challenged with grass pollen in the Vienna Challenge Chamber (VCC) on two consecutive days of allergen provocation; 6 h on day 1 and 4 h day 2. Bilastine 20 mg, cetirizine 10 mg, fexofenadine 120 mg, or placebo were taken orally 2 h after the start of provocation on day 1 only. Total nasal symptom scores, the global symptom scores, nasal secretions, and eye symptoms were assessed on both day 1 and day 2.
- Bilastine had a rapid onset of action, within 1 h, and a long duration of action, greater than 26 h. Cetirizine was similar. Fexofenadine was similar on day 1 but less effective on day 2, indicating a shorter duration of action. Bilastine, like cetirizine and fexofenadine, was safe and well tolerated in this study.



The time course of the effects of bilastine 20 mg (dark blue line, n = 74), cetirizine 10 mg (light blue line, n = 68), fexofenadine 120 mg (magenta line, n = 70), and placebo (grey broken line, n = 70) against the allergen-induced increase in total nasal symptom score (TNSS) assessed every 15 min in the Vienna Challenge Chamber.

Combination Advantage:



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INTRODUCTION:

BILAHENZ-M is a tablet of bilastine Plus Montelukast combination, a next-generation well-tolerated, nonsedating H1 receptor antihistamine. Bilastine belongs to piperidine derivatives and is not structurally derived from any other currently available antihistamines. A combination therapy may provide additive benefit, as demonstrated both in vitro and in vivo.

COMPOSITION:

Each film coated tablet contains.	Each film coated tablet contains.
Bilastine……20mg	Bilastine……20mg
Montelukast Sodium……10mg	

INDICATION:

For symptomatic relief of nasal and non-nasal symptoms of Allergic rhinitis in patients 12 years of age and older (seasonal and perennial) and for symptomatic relief in chronic spontaneous urticaria in patients 18 years of age and older.

MECHANISM OF ACTION:

- Bilastine is a selective histamine H1 receptor antagonist. During allergic response mast cells undergo degranulation which releases histamine and other subastances. By binding to and preventing activation of the H1 receptor, bilastine reduces the development of allergic symptoms due to the release of histamine from mast cells.
- MONTELUKAST causes inhibition of airway cysteinyl leukotriene receptors as demonstrated by the ability to inhibit bronchoconstriction due to action of LTD4 in asthmatics. It makes breathing easier by reducing swelling in the airways.

PHARMACOKINETIC:

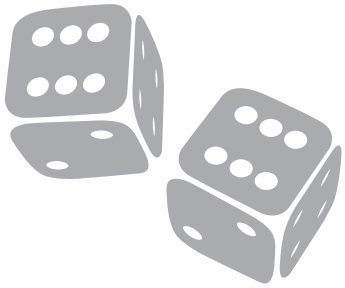
In healthy adults,a mean oral systemic availability of bilastine of 61% has been reported. Themaximum plasma concentration (220 ng/mL) of bilastine 20 mg was found 1.3 hours after administration, half time was 14.5 hours, and plasma protein binding was 84–90%. Approximately, 95% is excreted intact in faeces (67%) or in urine (33%)

DOSAGE AND ADMINISTRATION:

As recommended by healthcare professionals. The tablet should be taken one hour before or two hours after intake of food or fruit juice.

PRESENTATION:

It is available as 10*10 strip pack.



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