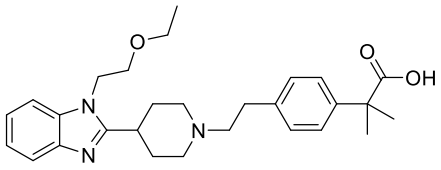
Product data sheet

MedKoo Cat#: 314243 Name: Bilastine CAS#: 202189-78-4 Chemical Formula: $C_{28}H_{37}N_3O_3$ Exact Mass: 463.28349 Molecular Weight: 463.61	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Bilastine (trade name Bilaxten) is a second generation antihistamine drug for the treatment of allergic rhinoconjunctivitis and urticaria (hives). It exerts its effect as a selective histamine H1 receptor antagonist, and has a potency similar to cetirizine and is superior to fexofenadine. It was developed in Spain by FAES Farma. Bilastine is approved in the European Union for the symptomatic treatment of allergic rhinoconjunctivitis and urticaria, but it is not approved by the U.S. Food and Drug Administration for any use in the United States. Bilastine has been effective in the treatment of ocular symptoms and diseases of allergies, including rhinoconjuctivitis. Additionally, bilastine has been shown to improve quality of life, and all nasal and ocular symptoms related to allergic rhinitis.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	49.3	106.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.16 mL	10.78 mL	21.57 mL
5 mM	0.43 mL	2.16 mL	4.31 mL
10 mM	0.22 mL	1.08 mL	2.16 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

N/A

In vivo study

1. Verta R, Grange C, Gurrieri M, Borga S, Nardini P, Argenziano M, Ghè C, Cavalli R, Benetti E, Miglio G, Bussolati B, Pini A, Rosa AC. Effect of Bilastine on Diabetic Nephropathy in DBA2/J Mice. Int J Mol Sci. 2019 May 24;20(10):2554. doi: 10.3390/ijms20102554. PMID: 31137660; PMCID: PMC6566437.
2. Corcóstegui R, Labeaga L, Innerárity A, Berisa A, Orjales A. In vivo pharmacological characterisation of bilastine, a potent and selective histamine H1 receptor antagonist. Drugs R D. 2006;7(4):219-31. doi: 10.2165/00126839-200607040-00002. PMID: 16784247.

7. Bioactivity

Biological target:

Bilastine is a selective histamine H1 receptor antagonist.

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In vitro activity

N/A

In vivo activity

Interestingly, an apparent discrepancy between functional and morphological data appears. Indeed, while only bilastine 30 mg/kg showed a full protection of renal function, matrix mesangial expansion and collagen deposition were significantly affected by bilastine, irrespective of the dose. However, these are both pro-fibrotic events that could be related to the general anti-inflammatory effect of bilastine, also confirmed in this study in terms of reduction of infiltrating cells. On the contrary, the biochemical evaluation (nephrin, synaptopodin, and P-cadherin expression), keeping with the functional analysis, reached statistical significance only by bilastine at 30 mg/kg. Therefore, histamine could be a trigger stimulus for the renal inflammatory response induced by hyperglycaemia, but is also a contributor to the podocyte junctional integrity, to which other mediators, such as angiotensin II, participate as well. The observed effect of bilastine is possibly due to the haemodynamic regulation induced by blocking H1 receptor.

Reference: Int J Mol Sci. 2019 May; 20(10): 2554. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6566437/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.