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产品名称: **Bilastine**

产品别名: 比拉斯汀

生物活性:

Description	Bilastine is a selective histamine H1 receptor antagonist used for treatment of allergic rhinoconjunctivitis and urticaria. Target: Histamine H1 Receptor Bilastine binds to histamine H1-receptors as indicated by its displacement of [3H]-pyrilamine from H1-receptors expressed in guinea-pig cerebellum and human embryonic kidney (HEK) cell lines. The studies conducted on guinea-pig smooth muscle demonstrated the capability of bilastine to antagonise H1-receptors. Bilastine is selective for histamine H1-receptors as shown in receptor-binding screening conducted to determine the binding capacity of bilastine to 30 different receptors [1]. Bilastine distribution has an apparent volume of distribution of 1.29 L/kg, and has an elimination half-life of 14.5 h and plasma protein binding of 84-90% [2].																									
Solvent&Solubility	<p>In Vitro: DMSO : ≥ 49.3 mg/mL (106.34 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p> <table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent</th><th>Mass Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td></td><td>2.1570 mL</td><td>10.7849 mL</td><td>21.5699 mL</td></tr><tr><td>5 mM</td><td></td><td>0.4314 mL</td><td>2.1570 mL</td><td>4.3140 mL</td></tr><tr><td>10 mM</td><td></td><td>0.2157 mL</td><td>1.0785 mL</td><td>2.1570 mL</td></tr></tbody></table> <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>					Preparing Stock Solutions	Solvent	Mass Concentration	1 mg	5 mg	10 mg	1 mM		2.1570 mL	10.7849 mL	21.5699 mL	5 mM		0.4314 mL	2.1570 mL	4.3140 mL	10 mM		0.2157 mL	1.0785 mL	2.1570 mL
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References	<p>[1]. Corcostegui, R., et al., Preclinical pharmacology of bilastine, a new selective histamine H1 receptor antagonist: receptor selectivity and <i>in vitro</i> antihistaminic activity. <i>Drugs R D</i>, 2005. 6(6): p. 371-84. [2]. Jauregizar, N., et al., Pharmacokinetic-pharmacodynamic modelling of the antihistaminic (H1) effect of bilastine. <i>Clin Pharmacokinet</i>, 2009. 48(8): p. 543-54.</p>																									