



上海源叶生物科技有限公司
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产品名称:

5,6-DIHYDRO-2,3-DIMETHOXY-6,6-DIMETHYLBENZ[7,8]INDOLIZINO[2,3-B]QUINOXALINE

产品别名: **YM-90709**

生物活性:

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Description	<p>YM-90709 is a novel antagonist which inhibits the binding of interleukin-5 to interleukin-5 receptor. Target: IL-5 in vitro: YM-90709 potently inhibits the binding of 100 pM [125I]-IL-5 to IL-5R on human peripheral eosinophils and eosinophilic HL-60 clone 15 cells with IC50 values of 1.0±0.40 and 0.57±0.21 μM, respectively. YM-90709 inhibits the 4 pM IL-5-induced effect in a concentration-dependent manner with an IC50 value of 0.45±0.024 μM. YM-90709 also inhibits the higher concentrations (12 and 40 pM) of IL-5-induced effects with IC50 values of 0.89±0.29 and 1.0±0.22 μM, respectively. [1] YM-90709 is a novel interleukin-5 receptor antagonist, YM-90709 inhibits antigen-induced eosinophil recruitment into the airway, the same as anti-IL-5 mAb does. YM-90709 inhibits the binding of IL-5 to IL-5R on human eosinophils, but did not inhibit the binding of GM-CSF to GM-CSFR. In addition, YM-90709 inhibits IL-5-induced, but not GM-CSF-induced, eosinophil survival as well as the tyrosine phosphorylation of Janus kinase 2. [2] in vivo: YM-90709 suppresses antigen-induced airway inflammation in Brown Norway rats . YM-90709 is a novel IL-5R antagonist with those of anit-IL-5 mAb on the antigen-induced infiltration of eosinophils into the airways of BDF1 mice, a strain that is commonly used in the antibody estimation. [2] This is the first report on the examination of the effects of YM-90709 in vivo, as a novel IL-5R antagonist on the antigen-induced infiltration of eosinophils and other leukocytes into the BALF of Brown-Norway (BN) rats. [3]</p>																				
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : 62.5 mg/mL (173.89 mM; Need ultrasonic)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <table><tr><td></td><td><div><div>Solvent</div><div>Mass</div><div>Concentration</div></div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>Preparing</td><td>1 mM</td><td>2.7823 mL</td><td>13.9113 mL</td><td>27.8226 mL</td></tr><tr><td>Stock Solutions</td><td>5 mM</td><td>0.5565 mL</td><td>2.7823 mL</td><td>5.5645 mL</td></tr><tr><td></td><td>10 mM</td><td>0.2782 mL</td><td>1.3911 mL</td><td>2.7823 mL</td></tr></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。</p> <p>储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。</p> <p>In Vivo:</p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:</p> <p>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.08 mg/mL (5.79 mM); Clear solution</p>		<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg	Preparing	1 mM	2.7823 mL	13.9113 mL	27.8226 mL	Stock Solutions	5 mM	0.5565 mL	2.7823 mL	5.5645 mL		10 mM	0.2782 mL	1.3911 mL	2.7823 mL
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	<p>此方案可获得 ≥ 2.08 mg/mL (5.79 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO\rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: 2.08 mg/mL (5.79 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.08 mg/mL (5.79 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p>
References	<p>[1]. Morokata T, et al. Characterization of YM-90709 as a novel antagonist which inhibits the binding of interleukin-5 to interleukin-5 receptor. Int Immunopharmacol. 2002 Nov;2(12):1693-702.</p> <p>[2]. Morokata T, et al. Effect of a novel interleukin-5 receptor antagonist, YM-90709, on antigen-induced eosinophil infiltration into the airway of BDF1 mice. Immunol Lett. 2005 Apr 15;98(1):161-5.</p> <p>[3]. Morokata T, et al. Effect of a novel interleukin-5 receptor antagonist, YM-90709 (2,3-dimethoxy-6,6-dimethyl-5,6-dihydrobenzo[7,8]indolizino[2,3-b]quinoxaline), on antigen-induced airway inflammation in BN rats. Int Immunopharmacol. 2004 Jul;4(7):873-83.</p>

源叶生物