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产品名称: **Ebrotidine**
产品别名: 乙溴替丁; **FI3542**

生物活性:					
Description	Ebrotidine(FI 3542) is a competitive H2-receptor antagonist (Ki= 127.5 nM) with a potent antisecretory activity and evidenced gastroprotection. IC50 Value: 127.5 nM (Ki)[1]; 0.21mg/kg (ED50, histamine-stimulated acid secretion) [2] Target: H2 receptor in vitro: Ebrotidine displaced 3H-thiotidine specific binding to histamine H2-receptors (Ki: 127.5 nmol/l), showing a higher affinity (p < 0.05) than ranitidine (Ki: 190.0 nmol/l) and cimetidine (Ki: 246.1 nmol/l) [1]. in vivo: Following intravenous administration to rats, ebrotidine inhibited histamine- and pentagastrin-stimulated acid secretion in a dose-dependent manner, ED50 being 0.21 and 0.44 mg/kg, respectively [2]. The mean number of gastric erosions seen at endoscopy after treatment with ebrotidine plus ASA (2.0 +/- 0.3) was significantly lower than that after placebo plus ASA (3.7 +/- 0.2). This reduction in lesion core by ebrotidine was accompanied by a significant increase in gastric blood flow (by 15% in corpus and 26% in antrum), by a rise in transmucosal potential difference (by 12%), and by a decrease of mucosal microbleeding [3]. Results of macroscopic assessment revealed that ebrotidine at doses of 50mg and higher/kg body weight effectively prevented mucosal injury, and that the maximal protective effect was achieved by 1h. Physicochemical analysis established that ebrotidine evoked 30% increase in mucus gel dimension, and showed 20% increase in phospholipids, and the content of sulfo- (18%) and sialomucins (21%) [4].				
	In Vitro: DMSO : 100 mg/mL (209.46 mM; Need ultrasonic)				
Preparing Stock Solutions	<div><div>Solvent</div><div>Concentration</div><div>Mass</div></div>		1 mg	5 mg	10 mg
		1 mM	2.0946 mL	10.4730 mL	20.9459 mL
	5 mM	0.4189 mL	2.0946 mL	4.1892 mL	
	10 mM	0.2095 mL	1.0473 mL	2.0946 mL	
<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°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> <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: ≥ 2.5 mg/mL (5.24 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.24 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 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>					
Solvent&Solubility					



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.24 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.24 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. Agut J, Sánchez JC, Sacristán A, Action of ebrotidine, ranitidine and cimetidine on the specific binding to histamine H1- and H2-receptors. <i>Arzneimittelforschung</i>. 1997 Apr;47(4A):447-9.</p> <p>[2]. Palop D, Agut J, Márquez M, Histamine H2-receptor antagonist action of ebrotidine. Effects on gastric acid secretion, gastrin levels and NSAID-induced gastrototoxicity in the rat. <i>Arzneimittelforschung</i>. 1997 Apr;47(4A):439-46.</p> <p>[3]. Konturek SJ, Kwiecien N, Sito E, Effects of ebrotidine on aspirin-induced gastric mucosal damage and blood flow in humans. <i>Scand J Gastroenterol</i>. 1993 Dec;28(12):1047-50.</p> <p>[4]. Piotrowski J, Yamaki K, Morita M, Ebrotidine--a new H2-receptor antagonist with mucosal strengthening activity. <i>Biochem Int</i>. 1992 Mar;26(4):659-67.</p>

源叶生物