



上海源叶生物科技有限公司
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产品名称: 6-异丙氧基-9-氧代氧杂蒽-2-羧酸
产品别名: AH 6809

生物活性:																					
Description	AH 6809 is an EP and DP receptor antagonist with nearly equal affinity for the cloned human EP1, EP2, EP3-III, and DP1 receptors. IC50 Value: ~3 nM (EC50 for calcium mobilization by PGE2) [1] Target: EP/DP receptor in vitro: AH6809also antagonized the aggregatory effect of U-46619 in whole blood (pA2 = 4.45). However, concentrations of AH6809 up to 300 microM were without effect upon either ADP- or platelet activating factor (Paf)-induced aggregation (pA2 less than 3.5) [2]. Preincubation of control cells in 10(-4) M concentrations of AH6809 inhibited PGE2-induced activation of AC by greater than 80% without significant (P greater than .05) inhibition of basal activity by the antagonist [3]. in vivo: Exposure to a selective COX-2 inhibitor (SC58125) or an EP1/EP2 antagonist (AH6809), but not an EP4 antagonist (AH23848B), significantly reduced cell proliferation of esophageal explants in 24 hour-organ culture experiments [4]. Oral administration of the EP1 receptor antagonist, AH6809 (10 mg/kg/day, for 4 days), significantly reduced the systolic blood pressure in db/db, but not in control mice [5].																				
	<p>In Vitro:</p> <p>DMSO : 25 mg/mL (83.81 mM; Need ultrasonic)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>Solvent / Mass / Concentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>3.3524 mL</td><td>16.7622 mL</td><td>33.5244 mL</td></tr><tr><td>5 mM</td><td>0.6705 mL</td><td>3.3524 mL</td><td>6.7049 mL</td></tr><tr><td>10 mM</td><td>0.3352 mL</td><td>1.6762 mL</td><td>3.3524 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°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 (8.38 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (8.38 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 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> <p>2.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: 2.5 mg/mL (8.38 mM); Suspended solution; Need ultrasonic</p>					Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg	1 mM	3.3524 mL	16.7622 mL	33.5244 mL	5 mM	0.6705 mL	3.3524 mL	6.7049 mL	10 mM	0.3352 mL	1.6762 mL
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References	<p>[1]. http://www.millipore.com/publications.nsf/a73664f9f981af8c852569b9005b4eee/cae2c825891fb78e85257b19005fa865/\$FILE/HTS099C%20ep1%20datasheet%20121212.pdf</p> <p>[2]. Keery RJ, et al. AH6809, a prostaglandin DP-receptor blocking drug on human platelets. Br J Pharmacol. 1988 Jul;94(3):745-54.</p> <p>[3]. Capehart AA, et al. Effects of a putative prostaglandin E2 antagonist, AH6809, on chondrogenesis in serum-free cultures of chick limb mesenchyme. J Cell Physiol. 1991 Jun;147(3):403-11.</p> <p>[4]. Piazuelo E, et al. Characterization of the prostaglandin E2 pathway in a rat model of esophageal adenocarcinoma. Curr Cancer Drug Targets. 2012 Feb;12(2):132-43.</p> <p>[5]. Rutkai I, et al. Activation of prostaglandin E2 EP1 receptor increases arteriolar tone and blood pressure in mice with type 2 diabetes. Cardiovasc Res. 2009 Jul 1;83(1):148-54.</p>

源叶生物