



上海源叶生物科技有限公司
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产品名称: Acumapimod
产品别名: Acumapimod

生物活性:

Description	Acumapimod (BCT197) is an orally active p38 MAP kinase inhibitor, with an IC ₅₀ of less than 1 μM for p38α.																								
IC₅₀ & Target	IC ₅₀ : less than 1 μM (p38α)[1]																								
In Vitro	Acumapimod is an inhibitor of p38α with an IC ₅₀ value of less than 1 μM.																								
In Vivo	BCT197 is an oral low-molecular-weight p38 inhibitor currently in development for the treatment of several inflammatory conditions, including chronic obstructive pulmonary disease (COPD). Intermittent short-term dosing of BCT197 (75 mg on days 1 and 6) shows a marked improvement in lung function in COPD patients[2].																								
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : ≥ 50 mg/mL (129.73 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</th><th>Concentration</th><th></th></tr><tr><th></th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td>2.5946 mL</td><td>12.9729 mL</td><td>25.9457 mL</td></tr><tr><td>5 mM</td><td>0.5189 mL</td><td>2.5946 mL</td><td>5.1891 mL</td></tr><tr><td>10 mM</td><td>0.2595 mL</td><td>1.2973 mL</td><td>2.5946 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。</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 (6.49 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.49 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> <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 (6.49 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.49 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>				Preparing Stock Solutions	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	1 mM	2.5946 mL	12.9729 mL	25.9457 mL	5 mM	0.5189 mL	2.5946 mL	5.1891 mL	10 mM	0.2595 mL	1.2973 mL	2.5946 mL
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	<p>3.请依序添加每种溶剂: 10% DMSO → 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (6.49 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.49 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]. Norman P, et al. Investigational p38 inhibitors for the treatment of chronic obstructive pulmonary disease. Expert Opin Investig Drugs. 2015 Mar;24(3):383-92.</p> <p>[2]. De Buck S, et al. Population PK-PD Model for Tolerance Evaluation to the p38 MAP Kinase Inhibitor BCT197. CPT Pharmacometrics Syst Pharmacol. 2015 Dec;4(12):691-700.</p>



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