

产品名称: 5-[6-[4-(1-Piperazinyl)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]quinoline
产品别名: LDN-212854

生物活性:																									
Description	LDN-212854 is a novel BMP inhibitor that exhibits substantially greater selectivity for BMP versus the TGF- β type I receptors; possesses a bias towards ALK2($IC_{50}=1.3\text{ nM}$) versus ALK1 and ALK3 compared to other inhibitors. IC_{50} value: 1.3 nM [1] Target: ALK2 In vitro, LDN-212854 exhibits some selectivity for ALK2 in preference to other BMP type I receptors, ALK1 and ALK3, which may permit the interrogation of ALK2-mediated signaling, transcriptional activity and function. LDN-212854 potently inhibits heterotopic ossification in an inducible transgenic mutant ALK2 mouse model of fibrodysplasia ossificans progressiva.																								
	<p>In Vitro:</p> <p>DMSO : $\geq 30\text{ mg/mL}$ (73.80 mM)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "\geq" 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>2.4601 mL</td> <td>12.3007 mL</td> <td>24.6015 mL</td> </tr> <tr> <td>5 mM</td> <td>0.4920 mL</td> <td>2.4601 mL</td> <td>4.9203 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2460 mL</td> <td>1.2301 mL</td> <td>2.4601 mL</td> </tr> </tbody> </table>				Preparing Stock Solutions	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	1 mM	2.4601 mL	12.3007 mL	24.6015 mL	5 mM	0.4920 mL	2.4601 mL	4.9203 mL	10 mM	0.2460 mL	1.2301 mL	2.4601 mL
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Solvent&Solubility	<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 Solubility: 2.5 mg/mL (6.15 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (6.15 mM) 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 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) Solubility: 2.5 mg/mL (6.15 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (6.15 mM) 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 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 生理盐水水溶液中, 混合均匀。</p>																								
References	[1]. Mohedas AH, et al. Development of an ALK2-biased BMP type I receptor kinase inhibitor. ACS Chem Biol. 2013;8(6):1291-302.																								