



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: PCI 29732

产品别名: PCI 29732

生物活性:

Description	PCI 29732 is a selective and irreversible Btk inhibitor with IC50 of 8.2 nM in a FRET based biochemical enzymology assay. IC50 value: 8.2 nM [1] Target: Btk kinase PCI 29732(compound 1) has a 8.2 nM potency against Btk in a FRET based biochemical enzymology assay. PCI 29732 shows only modest inhibitory activity against Itk, another Tec family kinase, probably due to the difference at the "gatekeeper" residue [1]. In human CD20+ B cells stimulated at the BCR, PCI-29732 blocked the transcriptional up-regulation of a panel of B-cell activation genes that occurs within 6 h of stimulation. Pulse exposure to the reversible inhibitor PCI-29732 did not result in BCR inhibition [2].																				
In Vitro: DMSO : ≥ 53 mg/mL (142.69 mM) Ethanol : 10 mg/mL (26.92 mM; Need ultrasonic) * "≥" means soluble, but saturation unknown.																					
Solvent&Solubility	<table border="1"><thead><tr><th></th><th>Solvent / Mass Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>Preparing Stock Solutions</td><td>1 mM</td><td>2.6922 mL</td><td>13.4611 mL</td><td>26.9222 mL</td></tr><tr><td></td><td>5 mM</td><td>0.5384 mL</td><td>2.6922 mL</td><td>5.3844 mL</td></tr><tr><td></td><td>10 mM</td><td>0.2692 mL</td><td>1.3461 mL</td><td>2.6922 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。 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: 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: ——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: ≥ 1 mg/mL (2.69 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (2.69 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 10.0 mg/mL 的澄清 EtOH 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: ≥ 1 mg/mL (2.69 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (2.69 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 10.0 mg/mL 的澄清 EtOH 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p>		Solvent / Mass Concentration	1 mg	5 mg	10 mg	Preparing Stock Solutions	1 mM	2.6922 mL	13.4611 mL	26.9222 mL		5 mM	0.5384 mL	2.6922 mL	5.3844 mL		10 mM	0.2692 mL	1.3461 mL	2.6922 mL
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	<p>3.请依序添加每种溶剂: 10% DMSO → 90% corn oil Solubility: ≥ 1 mg/mL (2.69 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (2.69 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。 以 1 mL 工作液为例, 取 100 μL 10.0 mg/mL 的澄清 EtOH 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	[1]. Pan Z, et al. Discovery of selective irreversible inhibitors for Bruton's tyrosine kinase. <i>ChemMedChem.</i> 2007 Jan;2(1):58-61. [2]. Honigberg LA, et al. The Bruton tyrosine kinase inhibitor PCI-32765 blocks B-cell activation and is efficacious in models of autoimmune disease and B-cell malignancy. <i>Proc Natl Acad Sci U S A.</i> 2010 Jul 20;107(29):13075-80.



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