

产品名称: UNC 1215

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生物活性:																									
Description	UNC1215 is a potent and selective inhibitor for the methyllysine (Kme) reading domain function of L3MBTL3 with a K_d value of 120 nM and an IC_{50} of 40 nM. UNC1215 has the potential to treat malignant brain tumor.																								
IC₅₀ & Target	IC_{50} : 40 nM (L3MBTL3). K_d : 120 nM (L3MBTL3).																								
In Vitro	UNC1215 binds L3MBTL3 with a d of 120 nM, competitively displacing mono- or dimethyllysine-containing peptides, and is greater than 50-fold more potent toward L3MBTL3 than other members of the MBT family while also demonstrating selectivity against more than 200 other reader domains examined. X-ray crystallography identified a unique 2:2 polyvalent mode of interaction between UNC1215 and L3MBTL3. In cells, UNC1215 is nontoxic and directly binds L3MBTL3 via the Kme-binding pocket of the MBT domains. UNC1215 increases the cellular mobility of GFP-L3MBTL3 fusion proteins, and point mutants that disrupt the Kme-binding function of GFP-L3MBTL3 phenocopy the effects of UNC1215 on localization[1].																								
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : \geq 270 mg/mL (509.70 mM)</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>1.8878 mL</td><td>9.4389 mL</td><td>18.8779 mL</td></tr><tr><td>5 mM</td><td>0.3776 mL</td><td>1.8878 mL</td><td>3.7756 mL</td></tr><tr><td>10 mM</td><td>0.1888 mL</td><td>0.9439 mL</td><td>1.8878 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 Solubility: \geq 0.83 mg/mL (1.57 mM); Clear solution 此方案可获得 \geq 0.83 mg/mL (1.57 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 8.3 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: \geq 0.83 mg/mL (1.57 mM); Clear solution 此方案可获得 \geq 0.83 mg/mL (1.57 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 8.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理</p>				Preparing Stock Solutions	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	1 mM	1.8878 mL	9.4389 mL	18.8779 mL	5 mM	0.3776 mL	1.8878 mL	3.7756 mL	10 mM	0.1888 mL	0.9439 mL	1.8878 mL
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	<p>盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 0.83 mg/mL (1.57 mM); Clear solution</p> <p>此方案可获得 ≥ 0.83 mg/mL (1.57 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 8.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	[1]. James Li, Barsyte-Lovejoy D, Zhong N, et al. Discovery of a chemical probe for the L3MBTL3 methyllysine reader domain. Nat Chem Biol. 2013 Mar;9(3):184-91. doi: 10.1038/nchembio.1157.



源叶生物