

产品名称: VU 0364439

产品别名: VU 0364439

生物活性:

Description	VU 0364439 is a mGlu4 positive allosteric modulator (PAM), with EC50 of 19.8 nM. IC50 Value: 19.8 nM(EC50) Target: mGluR in vitro: in vivo: VU 0364439 possess less than ideal PK properties preventing their use as in vivo tools. It shows better stability in HLM (63% remaining) than RLM (2% remaining).																									
	In Vitro: DMSO : 50 mg/mL (118.40 mM; Need ultrasonic)																									
	<table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent Concentration</th><th>Mass</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td></td><td>2.3680 mL</td><td>11.8402 mL</td><td>23.6804 mL</td></tr><tr><td>5 mM</td><td></td><td>0.4736 mL</td><td>2.3680 mL</td><td>4.7361 mL</td></tr><tr><td>10 mM</td><td></td><td>.2368 mL</td><td>1.1840 mL</td><td>2.3680 mL</td></tr></tbody></table>					Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg	1 mM		2.3680 mL	11.8402 mL	23.6804 mL	5 mM		0.4736 mL	2.3680 mL	4.7361 mL	10 mM		.2368 mL	1.1840 mL	2.3680 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</p> <p>Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.92 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% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.92 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]. Hong, Sang-Phyo; Liu, Kevin G.; Ma, Gil et al. Tricyclic Thiazolopyrazole Derivatives as Metabotropic Glutamate Receptor 4 Positive Allosteric Modulators. <i>Journal of Medicinal Chemistry</i> (2011), 54(14), 5070-5081.</p> <p>[2]. Engers, Darren W.; Gentry, Patrick R.; Williams, Richard et al. Synthesis and SAR of novel 4-(phenylsulfamoyl)phenylacetamide mGlu4 positive allosteric modulators (PAMs) identified by functional high-throughput screening (HTS). <i>Bioorganic & Medicinal Chem</i></p> <p>[3]. AJ Robichaud et al. Recent Progress on the Identification of Metabotropic Glutamate 4 Receptor</p>																									



源叶生物