



上海源叶生物科技有限公司
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产品名称: **LY456236 hydrochloride**

CAS 号: **338736-46-2**

产品货号: **T70829**

生物活性:

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Description		LY456236 is a selective, non-competitive and orally active antagonist of glutamate receptor 1 (mGlu1), which can inhibit phosphatidylinositol hydrolysis with an IC50 of 0.145 μM. LY456236 can also inhibit EGFR, with an IC50 of 0.918 μM. LY456236 blocks cell proliferation by inhibiting the MAPK pathway, reversing the anti-apoptotic effect of DHPG . LY456236 can be used in epilepsy research[1][2][3].																																										
IC50 & Target		mGluR 1	EGFR 0.918 μM (IC50)																																									
In Vitro		LY456236 (2 μM; 30 min) 降低 DHPG 刺激的 OCCM-30 增殖[2]。 Cell Line: OCCM-30 cells Concentration: 2 μM Incubation Time: 30 min, followed by 72 h incubation with DHPG Result: Reduced DHPG-stimulated OCCM-30 proliferation.																																										
In Vivo		LY456236 在小鼠 (3-100 mg/kg; i.p.; once) 和大鼠 (10-60 mg/kg; oral; once) 中显示抗惊厥作用。 <table><tr><td>Animal Model:</td><td colspan="4">DBA/2 mice and CD1 mice, seizure models[3]</td></tr><tr><td>Dosage:</td><td colspan="4">3-100 mg/kg</td></tr><tr><td>Administration:</td><td colspan="4">IP, once</td></tr><tr><td>Result:</td><td colspan="4">Produced dose-related anticonvulsant effects in preventing audiogenic-induced (tonic-clonic) seizures in DBA/2 mice, threshold electroshock-induced seizures in CD1 mice, and 6 Hz electroshock-induced seizures in CD1 mice.</td></tr></table> <table><tr><td>Animal Model:</td><td colspan="4">Amygdala-kindled Sprague-Dawley rats[3]</td></tr><tr><td>Dosage:</td><td colspan="4">10, 30 and 60 mg/kg</td></tr><tr><td>Administration:</td><td colspan="4">Oral, once</td></tr><tr><td>Result:</td><td colspan="4">Produced dose-related decreases in behavioral and electrographic seizures at threshold stimulus intensity. Produced a dose-related increase in the stimulus intensity required to produce generalized seizures.</td></tr></table>			Animal Model:	DBA/2 mice and CD1 mice, seizure models[3]				Dosage:	3-100 mg/kg				Administration:	IP, once				Result:	Produced dose-related anticonvulsant effects in preventing audiogenic-induced (tonic-clonic) seizures in DBA/2 mice, threshold electroshock-induced seizures in CD1 mice, and 6 Hz electroshock-induced seizures in CD1 mice.				Animal Model:	Amygdala-kindled Sprague-Dawley rats[3]				Dosage:	10, 30 and 60 mg/kg				Administration:	Oral, once				Result:	Produced dose-related decreases in behavioral and electrographic seizures at threshold stimulus intensity. Produced a dose-related increase in the stimulus intensity required to produce generalized seizures.			
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Solvent&Solubility		细胞实验: DMSO 中的溶解度 : 250 mg/mL (786.71 mM; 超声助溶 (<60°C); 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO) <table><tr><td rowspan="4">Preparing Stock Solutions</td><td> Solvent / Mass Concentration </td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>3.1468 mL</td><td>15.7342 mL</td><td>31.4683 mL</td></tr><tr><td>5 mM</td><td>0.6294 mL</td><td>3.1468 mL</td><td>6.2937 mL</td></tr><tr><td>10 mM</td><td>0.3147 mL</td><td>1.5734 mL</td><td>3.1468 mL</td></tr></table> * 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 (sealed storage, away from moisture)。-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。			Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg	1 mM	3.1468 mL	15.7342 mL	31.4683 mL	5 mM	0.6294 mL	3.1468 mL	6.2937 mL	10 mM	0.3147 mL	1.5734 mL	3.1468 mL																							
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参考文献	[1]. Ravikumar B, et al. Chemogenomic Analysis of the Druggable Kinome and Its Application to Repositioning and Lead Identification Studies. Cell Chem Biol. 2019 Nov 21;26(11):1608-1622.e6.					
	[2]. Kanaya S, et al. Metabotropic glutamate receptor 1 promotes cementoblast proliferation via MAP kinase signaling pathways. Connect Tissue Res. 2016 Sep;57(5):417-26.					
	[3]. Shannon HE, et al. Anticonvulsant effects of LY456236, a selective mGlu1 receptor antagonist. Neuropharmacology. 2005;49 Suppl 1:188-95.					
完整储备液配制表：						
* 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℃, 6 months; -20℃, 1 month (sealed storage, away from moisture)。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。						
可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg	
DMSO	1 mM	3.1468 mL	15.7342 mL	31.4683 mL	78.6708 mL	
	5 mM	0.6294 mL	3.1468 mL	6.2937 mL	15.7342 mL	
	10 mM	0.3147 mL	1.5734 mL	3.1468 mL	7.8671 mL	
	15 mM	0.2098 mL	1.0489 mL	2.0979 mL	5.2447 mL	
	20 mM	0.1573 mL	0.7867 mL	1.5734 mL	3.9335 mL	
	25 mM	0.1259 mL	0.6294 mL	1.2587 mL	3.1468 mL	
	30 mM	0.1049 mL	0.5245 mL	1.0489 mL	2.6224 mL	
	40 mM	0.0787 mL	0.3934 mL	0.7867 mL	1.9668 mL	
	50 mM	0.0629 mL	0.3147 mL	0.6294 mL	1.5734 mL	
	60 mM	0.0524 mL	0.2622 mL	0.5245 mL	1.3112 mL	
	80 mM	0.0393 mL	0.1967 mL	0.3934 mL	0.9834 mL	
	100 mM	0.0315 mL	0.1573 mL	0.3147 mL	0.7867 mL	