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产品名称: **LY-344864 Hydrochloride**
产品别名: **LY 344864**

生物活性:

Description	<p>LY 344864 is a selective receptor agonist with an affinity of 6 nM (Ki) at the recently cloned 5-HT1F receptor. IC50 Value: 6 nM (Ki) [1] Target: 5-HT1F LY 344864 possesses little affinity for the 56 other serotonergic and non-serotonergic neuronal binding sites examined [1]. in vitro: he 5-HT1A, 5-HT1B and 5-HT1D receptor agonists 8-OH-DPAT (3 microM), CP93129 (3 microM) and L694247 (3 microM), but not the 5-HT1F receptor agonist LY344864 (1 - 3 microM) inhibited evoked IPSCs [2]. in vivo: After an intravenous dose of 1 mg/kg, rat plasma LY 344864 levels declined with time whereas brain cortex levels remained relatively constant for the first 6 hours after injection. Oral and intravenous LY344864 administration potently inhibited dural protein extravasation caused by electrical stimulation of the trigeminal ganglion in rats [1]. Sumatriptan, zolmitriptan, rizatriptan, and naratriptan all contracted the rabbit saphenous vein from baseline tone, whereas LY 344864 in concentrations up to 10(-4) M did not contract the rabbit saphenous vein. Furthermore, vascular contractions to sumatriptan were markedly augmented in the presence of prostaglandin F(2alpha) (PGF(2alpha)). However, even in the presence of PGF(2alpha) (3 x 10(-7) M), LY344864 did not contract the rabbit saphenous vein in concentrations well in excess of its 5-HT(1F) receptor affinity (pK(i) = 8.2) [3].</p>																	
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : ≥ 350 mg/mL (995.96 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p>																	
	<table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>SolventMassConcentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.8456 mL</td><td>14.2280 mL</td><td>28.4560 mL</td></tr><tr><td>5 mM</td><td>0.5691 mL</td><td>2.8456 mL</td><td>5.6912 mL</td></tr><tr><td>10 mM</td><td>0.2846 mL</td><td>1.4228 mL</td><td>2.8456 mL</td></tr></table>	Preparing Stock Solutions	<div>SolventMassConcentration</div>	1 mg	5 mg	10 mg	1 mM	2.8456 mL	14.2280 mL	28.4560 mL	5 mM	0.5691 mL	2.8456 mL	5.6912 mL	10 mM	0.2846 mL	1.4228 mL	2.8456 mL
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<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>																		
References	<p>[1]. Phebus LA, Johnson KW, Zgombick JM, Characterization of LY344864 as a pharmacological tool to study 5-HT1F receptors: binding affinities, brainpenetration and activity in the neurogenic dural inflammation model of migraine. Life Sci. 1997;61(21):2117-26.</p> <p>[2]. Jeong HJ, Chenu D, Johnson EE, Sumatriptan inhibits synaptic transmission in the rat midbrain periaqueductal grey. Mol Pain. 2008 Nov 11;4:54.</p> <p>[3]. Cohen ML, Schenck K. 5-Hydroxytryptamine(1F) receptors do not participate in vasoconstriction: lack of vasoconstriction to LY344864, a selective serotonin(1F) receptor agonist in rabbit saphenous vein. J Pharmacol Exp Ther. 1999 Sep;290(3):935-9.</p>																	