

产品名称: VU 0361737

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生物活性:				
Description	VU 0361737 is a selective positive allosteric modulator (PAM) for mGlu4 receptor with EC50 of 240 nM and 110 nM at human and rat receptors, respectively, displays weak activity at mGlu5 and mGlu8 receptors, is inactive at mGlu1, mGlu2, mGlu3, mGlu6 and mGlu7 receptors. IC50 value: 110 nM (EC50, for rat ), 240 nM (EC50, for human) Target: mGlu4 in vitro: VU0361737 is inactive at mGlu1, mGlu2, mGlu3, mGlu6 and mGlu7 receptors and displays weak activity at mGlu5 and mGlu8 receptors. [3] in vivo: VU0361737 shows high in vivo CL in rat, a short half-life (T1/2 20 min), and demonstrates significant brain exposure (brain-to-plasma ratio of 4.1). [3]			
Solvent&Solubility	<b><i>In Vitro:</i></b> <b>DMSO : ≥ 43 mg/mL (163.69 mM)</b>  * "≥" means soluble, but saturation unknown.			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	3.8068 mL	19.0338 mL
	Stock Solutions	5 mM	0.7614 mL	3.8068 mL
		10 mM	0.3807 mL	1.9034 mL
*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。				
References	<p>[1]. Jantas D, et al. Neuroprotective effects of metabotropic glutamate receptor group II and III activators against MPP(+)-induced cell death in human neuroblastoma SH-SY5Y cells: the impact of cell differentiation state. Neuropharmacology. 2014 Aug;83:36-53.</p> <p>[2]. Jantas D, et al. Neuroprotective effects of mGluR II and III activators against staurosporine- and doxorubicin-induced cellular injury in SH-SY5Y cells: New evidence for a mechanism involving inhibition of AIF translocation. Neurochem Int. 2015 Sep;88:124-37.</p> <p>[3]. Engers DW, et al. Synthesis and evaluation of a series of heterobiaryl amides that are centrally penetrant metabotropic glutamate receptor 4 (mGluR4) positive allosteric modulators (PAMs). J Med Chem. 2009 Jul 23;52(14):4115-8.</p> <p>[4]. Engers DW, et al. Discovery, synthesis, and structure-activity relationship development of a series of N-(4-acetamido)phenylpicolinamides as positive allosteric modulators of metabotropic glutamate receptor 4 (mGlu(4)) with CNS exposure in rats. J Med Chem. 2011 Feb 24;54(4):1106-10.</p>			