

产品名称: (S)-(4-氟苯基)-{3-[3-(4-氟苯基)-[1,2,4]二唑-5-基]哌啶-1-基}甲酮
 产品别名: ADX-47273

生物活性:					
Description	ADX-47273 is a positive allosteric modulator selective for the metabotropic glutamate receptor subtype mGluR5(EC50=170 nM). IC50 value: 170 nM(EC50) [1] [2] Target: positive allosteric modulator (PAM) of mGluR5 in vitro: ADX-47273 increased (9-fold) the response to threshold concentration of glutamate (50 nM) in fluorometric Ca(2+) assays (EC(50) = 170 nM) in human embryonic kidney 293 cells expressing rat mGlu5. ADX47273 dose-dependently shifted mGlu5 receptor glutamate response curve to the left (9-fold at 1 microM) and competed for binding of [(3)H]2-methyl-6-(phenylethynyl)pyridine (K(i) = 4.3 microM), but not [(3)H]quisqualate [2]. in vivo: ADX47273 increased extracellular signal-regulated kinase and cAMP-responsive element-binding protein phosphorylation in hippocampus and prefrontal cortex, both of which are critical for glutamate-mediated signal transduction mechanisms. In models sensitive to antipsychotic drug treatment, ADX47273 reduced rat-conditioned avoidance responding [minimal effective dose (MED) = 30 mg/kg i.p.] and decreased mouse apomorphine-induced climbing (MED = 100 mg/kg i.p.), with little effect on stereotypy or catalepsy [2]. ADX47273 had no effect on single-session and multi-session extinction, but administration of ADX47273 after a single retrieval trial enhanced subsequent fear extinction learning [3].				
Solvent&Solubility	In Vitro: DMSO : ≥ 31 mg/mL (83.93 mM) * "≥" means soluble, but saturation unknown.				
		<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg
	Preparing	1 mM	2.7074 mL	13.5369 mL	27.0739 mL
	Stock Solutions	5 mM	0.5415 mL	2.7074 mL	5.4148 mL
		10 mM	0.2707 mL	1.3537 mL	2.7074 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℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。					
References	[1]. de Paulis T, et al. Substituent effects of N-(1,3-diphenyl-1H-pyrazol-5-yl)benzamides on positive allosteric modulation of the metabotropic glutamate-5 receptor in rat cortical astrocytes. J Med Chem. 2006 Jun 1;49(11):3332-44. [2]. Liu F, et al. ADX47273 [S-(4-fluoro-phenyl)-{3-[3-(4-fluoro-phenyl)-[1,2,4]-oxadiazol-5-yl]-piperidin-1-yl}-methanone]: a novel metabotropic glutamate receptor 5-selective positive allosteric modulator with preclinical antipsychotic-like and procognitive activities. J Pharmacol Exp Ther. 2008 Dec;327(3):827-39. [3]. Xu J, et al. Potentiating mGluR5 function with a positive allosteric modulator enhances adaptive learning. Learn Mem. 2013 Jul 18;20(8):438-45.				