ADX-47273

Cat. No.: HY-13058

CAS No.: 851881-60-2

Molecular Formula: $C_{20}H_{17}F_2N_3O_2$

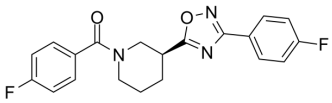
Molecular Weight: 369.36

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

Methanol : 105.5 mg/mL (285.63 mM; Need ultrasonic and warming)

DMSO : ≥ 31 mg/mL (83.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7074 mL	13.5369 mL	27.0739 mL
5 mM	0.5415 mL	2.7074 mL	5.4148 mL
10 mM	0.2707 mL	1.3537 mL	2.7074 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ADX-47273 is a potent, selective and brain-penetrant mGluR5 positive allosteric modulator (PAM), with an EC_{50} of 0.17 μM for potentiation of glutamate (50 nM) response. ADX-47273 has antipsychotic and procognitive activities[1][2].

IC_{50} & Target

mGluR5

0.17 μM (EC50)

In Vitro

ADX-47273 (0.1 nM-10 μM; 5 min) causes a concentration-dependent increase in the response to 50 nM glutamate in HEK 293 cells expressing rat mGlu5 without eliciting a response by itself[1].

ADX-47273 (0.1 nM-10 μM; 5 min) causes a concentration-dependent increase in the response to 300 nM glutamate in primary astrocyte cultures, with an EC_{50} of 0.23 μM[1].

ADX47273 (0.01-10 μM; 60 min) inhibits [^{3}H]MPEP binding to rat mGlu5 receptor HEK cell membranes, with a K_i of 4.3 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ADX47273 (1-10 mg/kg; a single i.p.) dose-dependently increases ERK and CREB phosphorylation in rat hippocampus and prefrontal cortex[1].
ADX47273 (10-100 mg/kg; a single i.p.) decreases conditioned avoidance responding in a dose-dependent manner in rats[1].
ADX47273 (10-300 mg/kg; i.p.) blocks apomorphine-induced climbing in mice[1].
ADX47273 (0.1-50 mg/kg; i.p.) increases novel object recognition and reduces impulsivity in the five-choice serial reaction time test in rats[1].
ADX47273 (15 mg/kg; i.p.) enhances reversal learning in the Morris Water Maze (MWM) in mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Long-Evans rats[1]
Dosage:	1, 10 mg/kg
Administration:	A single i.p.
Result:	Increased ERK and CREB phosphorylation in both the prefrontal cortex and hippocampus.

CUSTOMER VALIDATION

- Biological Sciences. 2020 Sep.

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REFERENCES

[1]. 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

[2]. Xu J, et al. Potentiating mGluR5 function with a positive allosteric modulator enhances adaptive learning. Learn Mem. 2013 Jul 18;20(8):438-45.

[3]. Schlumberger C, et, al. Effects of a positive allosteric modulator of mGluR5 ADX47273 on conditioned avoidance response and PCP-induced hyperlocomotion in the rat as models for schizophrenia. Pharmacol Biochem Behav. 2010 Mar;95(1):23-30.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA