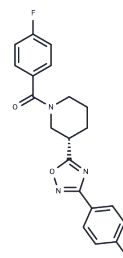


ADX-47273

Chemical Properties

CAS No. : 851881-60-2
Formula: C₂₀H₁₇F₂N₃O₂
Molecular Weight: 369.36
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	ADX-47273 (BA 94673139) is a positive and selective allosteric modulator for the metabotropic glutamate receptor subtype mGluR5 (EC ₅₀ =170 nM).
Targets(IC ₅₀)	GluR
In vitro	Intraperitoneal administration of ADX47273 at a dosage of 10 mg/kg was found to increase the levels of ERK and CREB phosphorylation in the prefrontal cortex and hippocampus of Long-Evans rats. This effect was consistently observed with the same dosage. Moreover, intraperitoneal injections of ADX47273 at 100 mg/kg significantly reduced the conditioned avoidance response in Sprague-Dawley rats at both 30 and 90 minutes after administration. At a higher dosage of 175 mg/kg, ADX47273 was able to decrease dopamine levels in the nucleus accumbens of Sprague-Dawley rats.
In vivo	In HEK293 cells expressing rat mGlu5, ADX47273 at concentrations of 0.1 or 1 μM reduced the EC ₅₀ of glutamate by fourfold and ninefold, respectively. At a concentration of 0.3 μM, ADX-47273 induced NMDA receptor-dependent long-term potentiation in rat hippocampal slices, an effect that could be blocked by the specific mGlu5 receptor antagonist MPEP at 10 μM. In primary cultures of astrocytes, ADX47273 at concentrations of 1 or 3 μM reduced the EC ₅₀ of glutamate by four- and ninefold, respectively. ADX47273 elicited a concentration-dependent increase in the response to 50 nM glutamate in HEK293 cells expressing rat mGlu5, with the maximum enhancement approximately ninefold and an EC ₅₀ of 0.17±0.03 μM. ADX47273 inhibited the binding of [3H]MPEP to the cell membranes from HEK293 cells expressing the mGlu5 receptor, with a K _i of 4.3 ± 0.5 μM.

Solubility Information

Solubility	Ethanol: 28 mg/mL (75.81 mM), Sonication is recommended. DMSO: 69 mg/mL (186.81 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0541 mL	0.2707 mL	0.5415 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Liu F, et al. J Pharmacol Exp Ther, 2008, 327(3), 827-839.
Rosenbrock H, et al. Eur J Pharmacol, 2010, 639(1-3), 40-6.
Schlumberger C, et al. Pharmacol Biochem Behav, 2010, 95(1), 23-30.

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