

Product Data Sheet

Product Name: ADX-47273

Cat. No.: GC10494

Chemical Properties

Cas. No. 851881-60-2

Chemical Name (4-fluorophenyl)-[(3S)-3-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]piperidin-1-yl]methanone

SMILES C1CC(CN(C1)C(=O)C2=CC=C(C=C2)F)C3=NC(=NO3)C4=CC=C(C=C4)F

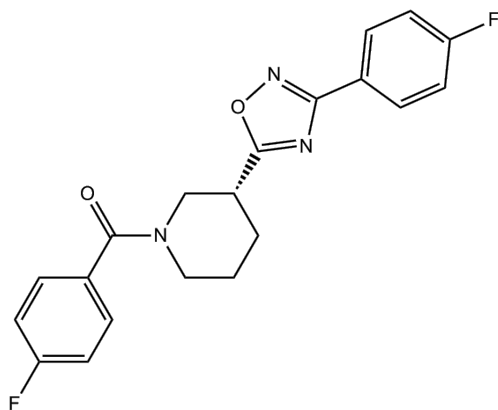
Formula $C_{20}H_{17}F_2N_3O_2$ M.Wt 369.36

Solubility DMF: 2 mg/mL, DMSO: 30 mg/mL, DMSO:PBS(pH 7.2) (1:3): 0.25 mg/mL, Ethanol: 2 mg/mL
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

ADX-47273 is a potent and selective mGluR5 receptor PAM (positive allosteric modulator), EC₅₀ = 0.17 μM, with no effect on other mGluR subtypes. [1]

The mGluR (metabotropic glutamate receptor) is a group of G-protein coupled receptors and is active

Caution: Product has not been fully validated for medical applications. For research use only.

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through an indirect metabotropic process. It plays a critical role in regulating synaptic plasticity and neural network activity.

In HEK-293 cell expressing rat mGlu5, ADX-showed 9-fold higher response ($EC_{50} = 0.17 \mu\text{M}$) to threshold concentration of glutamate (50 nM) in the fluorometric Ca^{2+} assays, it also shifted mGlu5 receptor glutamate response curve to the left. [1]

In vivo, ADX47273 increased ERK (extracellular signal-regulated kinase) and CREB (cAMP-responsive element-binding protein) phosphorylation in rat hippocampus and prefrontal cortex. In rat and mouse models that sensitive to antipsychotic treatment, ADX47273 decreased rat conditioned avoidance responding (minimal effective dose = 30 mg/kg i.p.) and reduced mouse amphetamine-activated climbing (minimal effective dose = 100 mg/kg i.p.) [1]

Reference:

[1] Liu F, Grauer S, Kelley C, Navarra R, Graf R, Zhang G, Atkinson PJ, Popielek M, Wantuch C, Khawaja X, Smith D, Olsen M, Kouranova E, Lai M, Pruthi F, Pulicicchio C, Day M, Gilbert A, Pausch MH, Brandon NJ, Beyer CE, Comery TA, Logue S, Rosenzweig-Lipson S, Marquis KL. 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 precognitive activities. J Pharmacol Exp Ther. 2008 Dec;327(3):827-39.

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