

JNJ-42153605

Chemical Properties

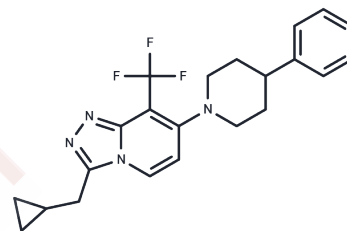
CAS No. : 1254977-87-1

Formula: C₂₂H₂₃F₃N₄

Molecular Weight: 400.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	JNJ-42153605 is a mGlu2 receptor positive allosteric modulator (EC ₅₀ : 17 nM).
Targets(IC ₅₀)	GluR
In vitro	JNJ-42153605 has been evaluated for its specificity to the mGlu2 receptor, demonstrating no agonist or antagonist effects on other mGlu receptor subtypes at concentrations up to 30 μM. Additionally, it shows high permeability without evidence of P-glycoprotein efflux.
In vivo	JNJ-42153605 demonstrates significant in vivo efficacy by suppressing REM sleep at a 3 mg/kg oral dose in rats, as observed in the sleep-wake EEG paradigm—a result attributed to mGlu2 mediation. Additionally, it mitigates PCP-induced hyperlocomotion in mice, indicating antipsychotic properties, with an effective dose (ED ₅₀) of 5.4 mg/kg subcutaneously. The compound is rapidly absorbed in the gastrointestinal tract, peaking at 0.5 hours post-administration. It exhibits moderate to high clearance rates in both rats and dogs (35 and 29 mL/min/kg, respectively), with relatively short elimination half-lives of 2.7 hours in rats and between 0.8 to 1.1 hours in dogs[1].

Solubility Information

Solubility	DMSO: 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	2.4973 mL	12.4863 mL	24.9725 mL
5 mM	0.4995 mL	2.4973 mL	4.9945 mL
10 mM	0.2497 mL	1.2486 mL	2.4973 mL
50 mM	0.0499 mL	0.2497 mL	0.4995 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Cid JM, et al. Discovery of 3-cyclopropylmethyl-7-(4-phenylpiperidin-1-yl)-8-trifluoromethyl[1,2,4]triazolo[4,3-a]pyridine (JNJ-42153605): a positive allosteric modulator of the metabotropic glutamate 2 receptor. *J Med Chem.* 2012 Oct 25;55(20):8770-89.

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