# JNJ-42153605

**Cat. No.:** HY-18162

**CAS No.:** 1254977-87-1

**Molecular Formula:** $C_{22}H_{23}F_3N_4$

**Molecular Weight:** 400.44

**Target:** mGluR

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:**

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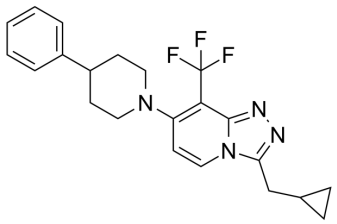
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 16.67 mg/mL (41.63 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 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 |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.67 mg/mL (4.17 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (4.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (4.17 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

JNJ-42153605 is a positive allosteric modulator of the metabotropic glutamate 2 (mGlu2) receptor with an $EC_{50}$ of 17 nM.

**$IC_{50}$ & Target**

mGluR2
17 nM (EC50)

**In Vitro**

JNJ-42153605 is assessed for its selectivity for the mGlu2 receptor and is found to not have agonist or antagonist activity toward other mGlu receptor subtypes up to 30 μM. JNJ-42153605 shows high permeability with no indication for P-

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|---|---|
| | glycoprotein efflux[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | JNJ-42153605 shows a central in vivo efficacy by inhibition of REM sleep state at a dose of 3 mg/kg po in the rat sleep-wake EEG paradigm, a phenomenon shown to be mGlu2 mediated. In mice, JNJ-42153605 shows reversed PCP-induced hyperlocomotion with an $ED_{50}$ of 5.4 mg/kg sc, indicative of antipsychotic activity. JNJ-42153605 shows a rapid rate of absorption from the gastrointestinal tract, reaching the maximal concentration after 0.5 h. Clearance in vivo is moderate to high in both rat and dog (35 and 29 mL/min/kg, respectively). Elimination halflives are on the shorter side across the species, being 2.7 h in rat and 0.8-1.1 h in dog[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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|---|---|
| **Animal Administration** [1] | Rats: The effects of the tested molecule and vehicle on sleep–wake distribution during the lights-on period are investigated in 16 rats. Two EEG recording sessions are performed: the first recording session starts at 13:30 h and lasts 20 h following oral administration of saline. The second recording session is performed during the same consecutive circadian time and for the same duration following administration of either vehicle (20% CD+2H2T) or JNJ-42153605[1].<br><br>Mice: Male NMRI mice are treated with vehicle, or JNJ-42153605, and immediately challenged with either PCP (5.0 mg/kg, sc) or vehicle and individually placed into open-fields for a 30 min period. The distance traveled by animals is measured using video tracking and computerized analysis systems[1].<br><br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. 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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