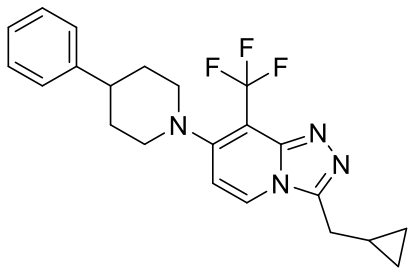
Product data sheet

MedKoo Cat#: 526854 Name: JNJ-42153605 CAS: 1254977-87-1 Chemical Formula: $C_{22}H_{23}F_3N_4$ Exact Mass: 400.1875 Molecular Weight: 400.4492	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
JNJ-42153605 is a potent and selective mGlu2 receptor PAM with an acceptable pharmacokinetic profile in rodent and nonrodent species. JNJ-42153605 showed centrally mediated in vivo effectivity in models sensitive to mGlu2 receptor modulation such as sleep−wake electroencephalogram (sw-EEG) in rats,34 showing suppressed REM sleep during the first 4 h after oral administration of a 3 mg/kg dose.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	74.92
DMF:PBS (pH 7.2) (1:3)	0.25	0.62
DMSO	10.34	25.81
Ethanol	10.0	24.97

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.50 mL	12.49 mL	24.97 mL
5 mM	0.50 mL	2.50 mL	4.99 mL
10 mM	0.25 mL	1.25 mL	2.50 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Ahnaou A, Lavreysen H, Tresadern G, Cid JM, Drinkenburg WH. mGlu2 Receptor Agonism, but Not Positive Allosteric Modulation, Elicits Rapid Tolerance towards Their Primary Efficacy on Sleep Measures in Rats. PLoS One. 2015 Dec 11;10(12):e0144017. doi: 10.1371/journal.pone.0144017. PMID: 26658273; PMCID: PMC4684355.

In vivo study

1. Lavreysen H, Langlois X, Donck LV, Nuñez JM, Pype S, Lütjens R, Megens A. Preclinical evaluation of the antipsychotic potential of the mGlu2-positive allosteric modulator JNJ-40411813. Pharmacol Res Perspect. 2015 Mar;3(2):e00097. doi: 10.1002/prp2.97. Epub 2015 Jan 30. PMID: 25692027; PMCID: PMC4324682.
2. Cid JM, Tresadern G, Vega JA, de Lucas AI, Matesanz E, Iturrino L, Linares ML, Garcia A, Andrés JI, Macdonald GJ, Oehlrich D, Lavreysen H, Megens A, Ahnaou A, Drinkenburg W, Mackie C, Pype S, Gallacher D, Trabanco AA. 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. doi: 10.1021/jm3010724. Epub 2012 Oct 16. PMID: 23072213.

7. Bioactivity

Biological target:

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

In vitro activity

Consistent with the effects of an allosteric potentiator, JNJ-42153605 shifts the concentration-response curve of glutamate to the left (Fig 1C left panel), increasing the potency of glutamate up to ~25-fold. At the human mGluR2, the EC_{50} of glutamate decreases from ~10 to 0.5 μM with the addition of 3 μM JNJ-42153605.

Reference: PLoS One. 2015 Dec 11;10(12):e0144017. https://pubmed.ncbi.nlm.nih.gov/26658273/

In vivo activity

JNJ-40411813, JNJ-42153605, and LY404039 dose dependently inhibited spontaneous locomotion and PCP- and scopolamine-induced hyperlocomotion but not d-amphetamine-induced hyperlocomotion (Fig. 2; Table 2) in mice.

Reference: Pharmacol Res Perspect. 2015 Mar;3(2):e00097. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4324682/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.