# JNJ-40411813

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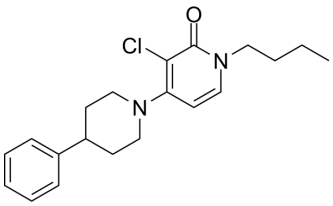
| **Cat. No.:** | HY-15748 |
| **CAS No.:** | 1127498-03-6 |
| **Molecular Formula:** | $C_{20}H_{25}ClN_2O$ |
| **Molecular Weight:** | 344.88 |
| **Target:** | mGluR |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (72.49 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.8996 mL | 14.4978 mL | 28.9956 mL |
| 5 mM | 0.5799 mL | 2.8996 mL | 5.7991 mL |
| 10 mM | 0.2900 mL | 1.4498 mL | 2.8996 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: 2.5 mg/mL (7.25 mM); Suspended solution; Need ultrasonic and warming
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.25 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

JNJ-40411813 (ADX-71149) is a novel positive allosteric modulator of the metabotropic Glutamate 2 receptor (mGlu2R) with $EC_{50}$ of 147 nM. JNJ-40411813 has orally bioactivity and penetrate the blood-brain barriers. JNJ-40411813 has the potential property of anti-depression[1][2][3].

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

mGlu2 Receptor
147 nM (EC50)

**In Vivo**

JNJ-40411813 (ADX-71149) (5-20 mg/kg; p.o.; 6 times in 24 h) clearly potentiated glutamate response in brain regions, more specifically in the cortical regions, striatum, and the hippocampus, coaddition of Glutamate (HY-N0455B)[2].

Pharmacokinetic Analysis in SD rat[2]

| Route | Dose (mg/kg) | $AUC_{INF\_obs}$ (ng·h/mL) | $t_{1/2}$ (h) | $T_{max}$ (h) | $C_{max}$ (ng/mL) | $Cl_{obs}$ (L·h/kg) | $V_{ss\_obs}$ (L/kg) |
|---|---|---|---|---|---|---|---|
| i.v. | 2.5 | 1833±90 | / | / | / | 1.4±0.1 | 2.31.4±0.10.2 |
| p.o. | 10 | 2250±417 | 2.31±0.5 | 7 | 938 | / | / |

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| Animal Model: | Male Wistar Rats (150 mg)[2] |
| Dosage: | 5 mg/kg, 20 mg/kg |
| Administration: | Oral Gavage (p.o.) |
| Result: | Showed increasing in basal binding with an $EC_{50}$ of 48 μM and a Combined with 10 μM glutamate, [$^{35}$S]GTPγS binding with an $EC_{50}$ of 7.3 μM and a maximal effect of 380%. |

## REFERENCES


[1]. Hilde Lavreysen, et al. Pharmacological and pharmacokinetic properties of JNJ-40411813, a positive allosteric modulator of the mGlu2 receptor. Pharmacol Res Perspect 2015 Feb;3(1):e00096.

[2]. Dunlop J, Schizophrenia, et al. Schizophrenia drug discovery and development in an evolving era: are new drug targets fulfilling expectations?. Journal of Psychopharmacology. 29 (2): 230–8.

[3]. Cid JM, et al. Discovery of 1-Butyl-3-chloro-4-(4-phenyl-1-piperidinyl)-(1H)-pyridone (JNJ-40411813): A Novel Positive Allosteric Modulator of the Metabotropic Glutamate 2 Receptor. J Med Chem. 2014 Aug 14;57(15):6495-512.





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