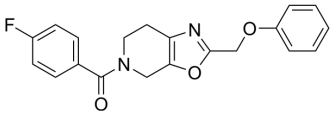
JNJ-46778212

Cat. No.:	HY-19559
CAS No.:	1363281-27-9
Molecular Formula:	$C_{20}H_{17}FN_2O_3$
Molecular Weight:	352.36
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (283.80 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8380 mL	14.1900 mL	28.3801 mL
	5 mM	0.5676 mL	2.8380 mL	5.6760 mL
	10 mM	0.2838 mL	1.4190 mL	2.8380 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: ≥ 2.08 mg/mL (5.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-46778212 (VU 0409551) is an mGlu5 positive allosteric modulator with an EC_{50} of 260 nM.

IC_{50} & Target

mGlu5 Receptor
260 nM (EC50)

In Vivo

In oral brain/plasma studies, JNJ-46778212 displays excellent CNS penetration[1]. JNJ-46778212 enhances NMDAR function and rescues long-term potentiation in hippocampal slices obtained from $SR^{-/-}$ mice. The administration of JNJ-46778212 to

	$SR^{-/-}$ mice reverses their deficits in several neuroplasticity signaling pathways and improves their contextual fear memory[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] $SR^{-/-}$ mice receive once daily, intraperitoneal (i.p.) injections of vehicle (20% hydroxypropyl β-cyclodextran) or VU0409551 for 5 days at a volume of 10 mL/kg. For the in vivo pharmacokinetic and dose-finding experiments, WT mice (n=5–6/dose) receive vehicle or VU0409551 (10 and 30 mg/kg). For the $SR^{-/-}$ mice reversal studies, WT mice receive vehicle and $SR^{-/-}$ mice receive either vehicle or VU0409551 (30 mg/kg). All mice are killed 2 h after the last injection on day 5[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Conde-Ceide S, et al. Discovery of VU0409551/JNJ-46778212: An mGlu5 Positive Allosteric Modulator Clinical Candidate Targeting Schizophrenia. ACS Med Chem Lett. 2015 May 20;6(6):716-20.

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