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Zuschläge

- Mindermengenzuschlag
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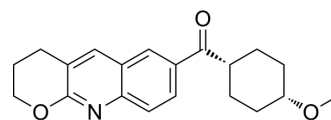
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JNJ16259685

Cat. No.:	HY-100407
CAS No.:	409345-29-5
Molecular Formula:	C ₂₀ H ₂₃ NO ₃
Molecular Weight:	325.4
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	<div> <div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> </div> <div> <div>In solvent</div> <div>-80°C 2 years</div> <div>-20°C 1 year</div> </div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (307.31 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		3.0731 mL	15.3657 mL	30.7314 mL
	5 mM		0.6146 mL	3.0731 mL	6.1463 mL
	10 mM		0.3073 mL	1.5366 mL	3.0731 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ16259685 is a selective antagonist of mGlu1 receptor, and inhibits the synaptic activation of mGlu1 in a concentration-dependent manner with IC₅₀ of 19 nM.

IC₅₀ & Target

mGluR1
 19 nM (IC₅₀)

In Vitro	<p>JNJ16259685 potently and completely inhibits the glutamate (30 μM)-induced increase in intracellular Ca^{2+} concentrations at the rat mGlu1a receptor with an IC_{50} value of 3.24 ± 1.00 nM. IC_{50} values for CPCCOEt and BAY 36-7620 are 17.8 ± 10.3 μM and 161 ± 38 nM, respectively. The potency of JNJ16259685 in blocking glutamate (30 μM)-induced Ca^{2+} mobilization at the human mGlu1a receptor is 1.21 ± 0.53 nM (IC_{50} n=3). JNJ16259685 inhibits the glutamate (3 μM)-induced rise in intracellular Ca^{2+} concentrations at the rat mGlu5a receptor with an IC_{50} value of 1.31 ± 0.39 μM (n=4). JNJ16259685 blocks glutamate (3 μM)-induced Ca^{2+} mobilization at the human mGlu5 receptor with an IC_{50} of 28.3 ± 11.7 μM (n=4). JNJ16259685 does not exhibit agonist activity at any of the group I mGlu receptors^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>JNJ16259685 (0.125, 0.25, 0.5, 1, 2, 4 and 8 mg/kg, i.p) significantly reduces the time spent in digging behaviours (0.25-8 mg/kg), threat (all doses) and attack, in comparison with vehicle group^[1]. JNJ16259685 (30 mg/kg) produces very minimal effects on locomotor activity. JNJ16259685 dramatically reduces rearing behavior, exploration of a novel environment and lever pressing for a food reward (rat: 0.3 mg/kg; mouse: 1 mg/kg). Subcutaneously administered JNJ16259685 (30 mg/kg) has no effect on reflexive startle responses to loud auditory stimuli or foot shock in mice^[2]. JNJ16259685 exhibits high potencies in occupying central mGlu1 receptors in the rat cerebellum and thalamus (ED_{50}=0.040 and 0.014 mg/kg, respectively)^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Animal Administration ^{[1][2]}	<p>Mice^[1]</p> <p>Nine groups of mice are used. Animals are randomly allocated to two control groups (n=15 each) receiving only saline or saline (90%) plus DMSO (10%), and seven experimental groups (N=14-16 each) receiving JNJ16259685 injections. JNJ16259685 is diluted in saline (90%) plus DMSO (10%) to provide appropriate doses for injections and administered in seven doses: 0.125, 0.25, 0.5, 1, 2, 4 and 8 mg/kg. The doses are chosen on the basis of recent behavioural studies using this compound. Drug or vehicle is injected intraperitoneally in a volume of 10 mL/kg.</p> <p>Rats^[2]</p> <p>This procedure is used to measure overt behavioral, neurological and autonomic responses to the drug challenge. Briefly, rats are randomly separated into four groups (n=6), each of which receives a different dose (0, 3, 10, or 30 mg/kg) of JNJ16259685. An expert observer, blind to the drug treatment of the animals, assesses and scores the animals at 30, 60, 120, and 240 min post-injection. The animals are assessed for passivity, body elevation, limb position, limb tone, body tone, gait, and pupil size. For each of these behaviors, a score of 0 is assigned to animals that appeared "normal", whereas scores of ± 1, ± 2, or ± 3 indicated mild, moderate, or severe increases (+) or decreases (–) from normality. Individual animals that receive a score of ± 2, or greater, are considered to be significantly effected on the measure. A dose is considered to have a significant effect if 3 or more of the animals receive a score of greater than ± 2.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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REFERENCES

- [1]. Navarro JF, et al. JNJ16259685, a selective mGlu1 antagonist, suppresses isolation-induced aggression in male mice. *Eur J Pharmacol.* 2008 May 31;586(1-3):217-20.
- [2]. Hodgson RA, et al. Characterization of the selective mGluR1 antagonist, JNJ16259685, in rodent models of movement and coordination. *Pharmacol Biochem Behav.* 2011 Apr;98(2):181-7.
- [3]. Lavreysen H, et al. JNJ16259685, a highly potent, selective and systemically active mGlu1 receptor antagonist. *Neuropharmacology.* 2004 Dec;47(7):961-72.
- [4]. I Fukunaga, et al. Potent and Specific Action of the mGlu1 Antagonists YM-298198 and JNJ16259685 on Synaptic Transmission in Rat Cerebellar Slices. *Br J Pharmacol.* 2007 Jul;151(6):870-6.

Caution: Product has not been fully validated for medical applications. For research use only.

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