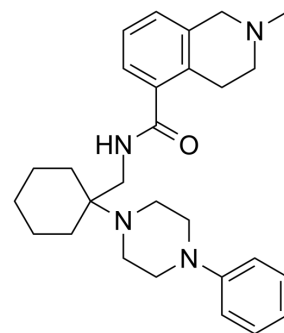


JNJ-42253432

Cat. No.:	HY-123481		
CAS No.:	1428327-35-8		
Molecular Formula:	C ₂₈ H ₃₈ N ₄ O		
Molecular Weight:	446.63		
Target:	P2X Receptor		
Pathway:	Membrane Transporter/Ion Channel		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (223.90 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.2390 mL	11.1949 mL	22.3899 mL
	5 mM	0.4478 mL	2.2390 mL	4.4780 mL
	10 mM	0.2239 mL	1.1195 mL	2.2390 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: ≥ 2.5 mg/mL (5.60 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.60 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-42253432 is a CNS-penetrant, high-affinity and orally active P2X7 antagonist, with pK_i values of 9.1 and 7.9 for rat and human P2X7 channels, respectively^[1].

In Vivo

When dosed in rats, JNJ-42253432 occupied the brain P2X7 channel with an ED₅₀ of 0.3 mg/kg, corresponding to a mean plasma concentration of 42 ng/ml. JNJ-42253432 also increased serotonin levels in the rat brain, which is due to antagonism of the serotonin transporter (SERT) resulting in an ED₅₀ of 10 mg/kg for SERT occupancy^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Brian Lord, et al. Pharmacology of a novel central nervous system-penetrant P2X7 antagonist JNJ-42253432. J Pharmacol Exp Ther. 2014 Dec;351(3):628-41.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA