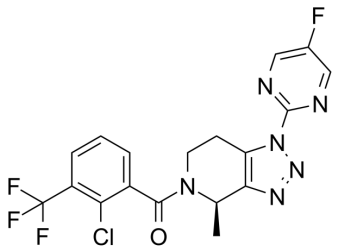
JNJ-54175446

Cat. No.:	HY-117508
CAS No.:	1627902-21-9
Molecular Formula:	$C_{18}H_{13}ClF_4N_6O$
Molecular Weight:	440.78
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
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 : 62.5 mg/mL (141.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2687 mL	11.3435 mL	22.6871 mL
	5 mM	0.4537 mL	2.2687 mL	4.5374 mL
	10 mM	0.2269 mL	1.1344 mL	2.2687 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 (4.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-54175446 is a potent and selective brain penetrant P2X7 receptor antagonist, with pIC_{50}s of 8.46 and 8.81 for hP2X7 receptor and rP2X7 receptor, respectively.

IC_{50} & Target

pIC50: 8.46 (hP2X7 receptor), 8.81 (rP2X7 receptor)[1]

In Vitro

JNJ-54175446 (Compound 14) is a potent and selective brain penetrant P2X7 antagonist, with pIC_{50}s of 8.46 and 8.81 for hP2X7 and rP2X7, respectively. JNJ-54175446 shows less potent activity against mouse, dog and Macaque P2X7 (pIC_{50}, 7.8,

	7.9 and 8.1, respectively)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	JNJ-54175446 shows dose-dependent occupancy with the ED_{50} of 0.46 mg/kg, corresponding to plasma EC_{50} of 105 ng/mL [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Letavic MA, et al. 4-Methyl-6,7-dihydro-4H-triazolo[4,5-c]pyridine-Based P2X7 Receptor Antagonists: Optimization of Pharmacokinetic Properties Leading to the Identification of a Clinical Candidate. Send to

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