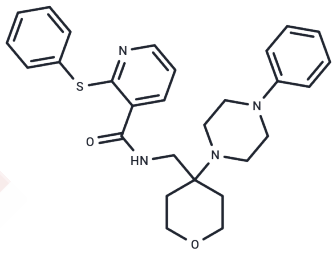
Data Sheet (Cat.No.T4298)

TargetMol

JNJ-47965567

Chemical Properties



CAS No. : 1428327-31-4

Formula: C28H32N4O2S

Molecular Weight: 488.64

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	JNJ-47965567 (JNJ-479655) is a selective antagonist of the purinergic receptor P2X subtype 7 (P2X7), a ligand-gated ion channel(with pKis of 7.9 and 8.7 for human and rat P2X7, respectively).
Targets(IC50)	P2X Receptor
In vitro	JNJ-47965567 is potent high affinity (pKi 7.9 0.07), selective human P2X7 antagonist, with no significant observed speciation.?In native systems, the potency of the compound to attenuate IL-1β release was 6.7 0.07 (human blood), 7.5 0.07 (human monocytes) and 7.1 0.1 (rat microglia).?JNJ-47965567 exhibited target engagement in rat brain, with a brain EC50 of 78 19 ng·mL(-1) (P2X7 receptor autoradiography) and functional block of Bz-ATP induced IL-1β release.?JNJ-47965567 (30 mg·kg(-1)) attenuated amphetamine-induced hyperactivity and exhibited modest, yet significant efficacy in the rat model of neuropathic pain.?No efficacy was observed in forced swim test.
Animal Research	The authors used a combination of in vitro assays (calcium flux, radioligand binding, electrophysiology, IL-1β release) in both recombinant and native systems.?Target engagement of JNJ-47965567 was demonstrated by ex vivo receptor binding autoradiography and in vivo blockade of Bz-ATP induced IL-1β release in the rat brain.? Finally, the efficacy of JNJ-47965567 was tested in standard models of depression, mania and neuropathic pain

Solubility Information

Solubility	DMSO: 200 mg/mL (409.3 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0465 mL	10.2325 mL	20.465 mL
5 mM	0.4093 mL	2.0465 mL	4.093 mL
10 mM	0.2046 mL	1.0232 mL	2.0465 mL
50 mM	0.0409 mL	0.2046 mL	0.4093 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pharmacological characterization of a novel centrally permeable P2X7 receptor antagonist: JNJ-47965567.[J]. British Journal of Pharmacology, 2013, 170(3):624-640.
Chen J, Li T, Huang D, et al.Integrating UHPLC-MS/MS quantitative analysis and exogenous purine supplementation to elucidate the antidepressant mechanism of Chaigui granules by regulating purine metabolism.Journal of Pharmaceutical Analysis.2023