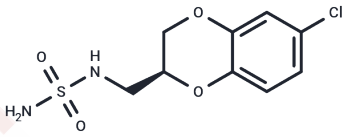
Data Sheet (Cat.No.T27672)

TargetMol

JNJ-26489112

Chemical Properties



CAS No. : 871824-55-4

Formula: C9H11ClN2O4S

Molecular Weight: 278.71

Storage: Keep away from moisture,Store at low temperature
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-26489112 is a CNS-active agent and inhibitor of voltage-gated Na+ channels and N-type Ca2+ channels with broad-spectrum anticonvulsant activity in rodents and can be used to study neurological disorders.
Targets(IC50)	Calcium Channel,Potassium Channel,Sodium Channel
In vitro	JNJ-26489112 inhibited calcium inward flow under depolarizing conditions (fluorescence assay) with an IC50 value of 34 μM. When N-type channel activity was measured directly by whole-cell patch-clamp assay with low-frequency stimulation (0.07 Hz), JNJ-26489112 enhanced its inhibitory effect in a concentration-dependent manner with an IC50 of 70 μM. This compound is an activator of KCNQ2 channels, especially at -50 mV. [1]
In vivo	Intraperitoneal injection of JNJ-26489112 effectively prevented forelimb clonic seizures induced by bisuccinic acid (Bic), picric acid (Pic), or pentylenetetrazole (PTZ) in male CF-1 mice, with 1-hour ED50s of 197, 189, and 109 mg/kg.[1] In a pharmacokinetic study, after oral administration of 10 mg/kg JNJ-26489112 to adult male rats, plasma Cmax was 9090 ng/mL (33 μM), tmax was 53 minutes, bioavailability (F) was 95%, t1/2 was 8.2 hours, and the AUC (total exposure) was 53,200 ng-h/mL. At doses of 10, 30, and 300 mg/kg, a linear relationship between exposure and dose was observed. The volume of distribution (Vdss) was 390 mL/kg and clearance (CL) was 96 mL/h/kg after intravenous injection of 2 mg/kg. In female beagles, after oral administration of 10 mg/kg JNJ-26489112, Cmax reached 11,500 ng/mL (41 μM), tmax was 55 minutes, F was 83%, t1/2 was 20 hours, and the AUC was 212,000 ng-h/mL. after intravenous administration of 2 mg/kg, Vdss and CL were 630 mL/kg and 30 mL/h/kg, respectively.[1]

Solubility Information

Solubility	DMSO: 100 mg/mL (358.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.588 mL	17.9398 mL	35.8796 mL
5 mM	0.7176 mL	3.588 mL	7.1759 mL
10 mM	0.3588 mL	1.794 mL	3.588 mL
50 mM	0.0718 mL	0.3588 mL	0.7176 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

McComsey DF, et al. Novel, broad-spectrum anticonvulsants containing a sulfamide group: pharmacological properties of (S)-N-[(6-chloro-2,3-dihydrobenzo[1,4]dioxin-2-yl)methyl]sulfamide (JNJ-26489112). J Med Chem. 2013 Nov 27;56(22):9019-30.

Di Prospero NA, et al. Evaluation of JNJ-26489112 in patients with photosensitive epilepsy: a placebo-controlled, exploratory study. Epilepsy Res. 2014 May;108(4):709-16.

Eichenbaum G, et al. Implications of retinal effects observed in chronic toxicity studies on the clinical development of a CNS-active drug candidate. Regul Toxicol Pharmacol. 2014 Jul;69(2):187-200.

Zaccara G, et al. Do traditional anti-seizure drugs have a future? A review of potential anti-seizure drugs in clinical development. Pharmacol Res. 2016 Feb;104:38-48.