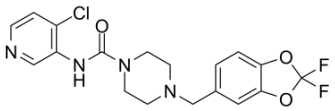
JNJ-42165279

Cat. No.:	HY-19636
CAS No.:	1346528-50-4
Molecular Formula:	$C_{18}H_{17}ClF_2N_4O_3$
Molecular Weight:	410.8
Target:	FAAH; Autophagy
Pathway:	Metabolic Enzyme/Protease; Neuronal Signaling; Autophagy
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 (243.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.4343 mL	12.1714 mL	24.3427 mL
	5 mM	0.4869 mL	2.4343 mL	4.8685 mL
	10 mM	0.2434 mL	1.2171 mL	2.4343 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: ≥ 3 mg/mL (7.30 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 3 mg/mL (7.30 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 3 mg/mL (7.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-42165279 is a FAAH inhibitor with IC50 of 70 ± 8 nM and 313 ± 28 nM for hFAAH and rFAAH, respectively.IC50 value: 70 ± 8 nM (for hFAAH), 313 ± 28 nM (for rFAAH)TargetFAAHJNJ-42165279 covalently inactivates the FAAH enzyme, but is highly selective with regard to other enzymes, ion channels, transporters, and receptors. JNJ-42165279 exhibits high selectivity against a panel of 50 receptors, enzymes, transporters, and ion-channels at 10 μM, at which concentration it does not produce >50% inhibition of binding to any of the targets. Fortunately, JNJ-42165279 also

does not inhibit CYPS (1A2, 2C8, 2C9, 2C19, 2D6, 3A4) or hERG when tested at a 10 μM compound concentration. [1]in vivo: JNJ-42165279 exhibits excellent ADME and pharmacodynamic properties as evidenced by its ability to block FAAH in the brain and periphery of rats and thereby cause an elevation of the concentrations of anandamide (AEA), oleoyl ethanolamide (OEA), and palmitoyl ethanolamide (PEA). The compound was also efficacious in the spinal nerve ligation (SNL) model of neuropathic pain. JNJ-42165279 exhibits relatively rapid clearance in the course of rat pharmacokinetic experiments, manifesting as a low AUC and Cmax; however, sufficiently high exposures were obtainable to support preclinical animal models. In a subsequent higher dose (20 mg/kg) oral PK experiment, compound concentrations were determined both in the plasma and brain of rats. [1]

REFERENCES

[1]. Keith JM, et al. Preclinical Characterization of the FAAH Inhibitor JNJ-42165279. ACS Med Chem Lett. 2015 Nov 2;6(12):1204-8.

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