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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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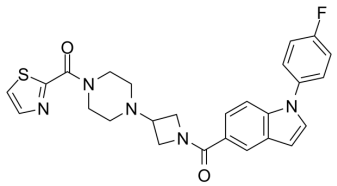
JNJ-42226314

Cat. No.:	HY-133130
CAS No.:	1252765-13-1
Molecular Formula:	$C_{26}H_{24}FN_5O_2S$
Molecular Weight:	489.56
Target:	MAGL
Pathway:	Metabolic Enzyme/Protease
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 : 250 mg/mL (510.66 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0427 mL	10.2133 mL	20.4265 mL
	5 mM	0.4085 mL	2.0427 mL	4.0853 mL
	10 mM	0.2043 mL	1.0213 mL	2.0427 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: ≥ 6.25 mg/mL (12.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (12.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (12.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-42226314 is a competitive, highly selective and reversible non-covalent monoacylglycerol lipase (MAGL) inhibitor. JNJ-42226314 demonstrates dose-dependent enhancement of the major endocannabinoid 2-arachidonoylglycerol (2-AG) as well as efficacy in models of neuropathic and inflammatory pain[1].

In Vitro

JNJ-42226314 has IC_{50}s of 1.13 nM, 1.88 nM, 0.67 nM, 0.97 nM for human Hela cells, human PBMC, mouse brain and rat brain, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

JNJ-42226314 (i.p.; 3 mg/kg and 30 mg/kg; 120 min) dose-dependently elevates hippocampal 2-AG in vivo[1].
JNJ-42226314 (i.p.; 30 mg/kg)significantly increases total wake time for up to 8 hours afterward, whereas total wake time was only elevated for 2 hr following a 3 mg/kg dose[1].
JNJ-42226314 (i.p.; 30 mg/kg) is antinociceptive in the rat complete Freund's adjuvant (CFA) model of inflammatory pain[1].
JNJ-42226314 has $t_{1/2}$ values of 11.4, 27.6, 27.2 min for MAGL in human, mouse and rat, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57Bl/6 mice weighing 20-30g and male Sprague-Dawley rats weighing 300-400 g[1]
Dosage:	3 mg/kg and 30 mg/kg
Administration:	i.p.; 120 min
Result:	Dose-dependently elevated hippocampal 2-AG in vivo.

REFERENCES

[1]. Wyatt RM, et al.Pharmacologic characterization of JNJ-42226314, [1-(4-fluorophenyl)indol-5-yl]-[3-[4-(thiazole-2-carbonyl)piperazin-1-yl]azetidin-1-yl]methanone, a reversible, selective and potent monoacylglycerol lipase inhibitor.J Pharmacol Exp Ther. 20

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