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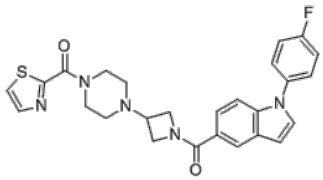
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	JNJ-42226314
Cat. No.	:	PC-72112
CAS No.	:	1252765-13-1
Molecular Formula	:	$C_{26}H_{24}FN_5O_2S$
Molecular Weight	:	489.569
Target	:	Monoacylglycerol Lipase (MAGL)
Solubility	:	10 mM in DMSO



Biological Activity

JNJ-42226314 (JNJ42226314) is a potent, highly selective and reversible **MAGL** inhibitor with IC50 of 1.13 nM (hMAGL). JNJ-42226314 displays high selectivity against the serine hydrolase superfamily, as well as a panel of 50 binding assays for ion channels and receptors, including CB1 and CB2. JNJ-42226314 also shows MAGL across species (mouse, rat MAGL IC50=1 nM).
JNJ-42226314 inhibits MAGL in a competitive mode with respect to the 2-AG substrate.
JNJ-42226314 time- and dose-dependently bound to MAGL, indirectly led to CB1 occupancy by raising 2-AG levels, and raised norepinephrine levels in cortex in rodent brain.
JNJ-42226314 exhibited antinociceptive efficacy in both the rat complete Freund's adjuvant-induced radiant heat hypersensitivity and chronic constriction injury-induced cold hypersensitivity models of inflammatory and neuropathic pain, respectively.
JNJ-42226314 induced hippocampal synaptic depression, altered sleep onset, and decreased electroencephalogram gamma power at 30 mg/kg, significantly increased 2-AG and norepinephrine levels, and produced neuropathic antinociception without synaptic depression at 3 mg/kg.

References

Ryan M Wyatt, et al. ***J Pharmacol Exp Ther***. 2020 Mar;372(3):339-353.

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