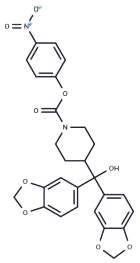
Data Sheet (Cat.No.T6554)

TargetMol

JZL 184

Chemical Properties



CAS No. : 1101854-58-3

Formula: C27H24N2O9

Molecular Weight: 520.49

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	JZL 184 is a potent and selective inhibitor of MAGL with IC50 of 8 nM and 4 μM for inhibition of MAGL and FAAH in mouse brain membranes respectively.
Targets(IC50)	Lipase
In vitro	JZL184 is a useful tool for studying the effects of endogenous 2-AG signaling. JZL184 displays time-dependent inhibition of MAGL and exhibits >300-fold selectivity for MAGL over FAAH in vitro. JZL184 does not interact with CB1 or CB2 receptors and does not inhibit the 2-AG biosynthetic enzymes diacylglycerol lipase-αand diacylglycerol lipase-β, or the arachidonic acid–mobilizing enzyme cytosolic phospholipase A2 group IVA. [1]
In vivo	JZL184 produced a rapid and sustained blockade of brain 2-AG hydrolase activity in mice, resulting in eight-fold elevations in endogenous 2-AG levels that are maintained for at least 8 h. JZL184-treated mice showed a wide array of CB1-dependent behavioral effects, including analgesia, hypomotility and hypothermia, that suggest a broad role for 2-AG–mediated endocannabinoid signaling throughout the mammalian nervous system. [1]
Kinase Assay	activity-based protein profiling (ABPP): Mouse brains are Dounce-homogenized in PBS, pH7.5, followed by a low-speed spin (1,400×, 5 min) to remove debris. The supernatant is then subjected to centrifugation (64,000×, 45 min) to provide the cytosolic fraction in the supernatant and the membrane fraction as a pellet. The pellet is washed and resuspended in PBS buffer by sonication. Total protein concentration in each fraction is determined using a protein assay kit. Samples are stored at -80 °C until use. Mouse brain membrane proteomes, are diluted to 1 mg/mL in PBS and pre-incubated with varying concentrations of inhibitors (1 nM to 10 mM) for 30 min at 37 °C before the addition of FP-rhodamine at a final concentration of 2 mM in a 50 mL total reaction volume. After 30 min at 25 °C, the reactions are quenched with 4×SDS-PAGE loading buffer, boiled for 5 min at 90 °C, subjected to SDS-PAGE and visualized in-gel using a flatbed fluorescence s
Cell Research	1 × 105 cells are split into four-well chamber slides and incubated with culture medium containing BrdU for 4 h. BrdU staining is performed following the manufacturer's instructions.(Only for Reference)

Solubility Information

Solubility	DMSO: 93 mg/mL (178.68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9213 mL	9.6063 mL	19.2127 mL
5 mM	0.3843 mL	1.9213 mL	3.8425 mL
10 mM	0.1921 mL	0.9606 mL	1.9213 mL
50 mM	0.0384 mL	0.1921 mL	0.3843 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.

Reference

Long JZ, et al. Nat Chem Biol, 2009, 5(1), 37-44.
Ye L, et al. Cancer Lett, 2011, 307(1), 6-17.