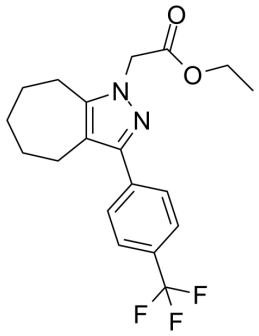
JNJ-28583113

Cat. No.:	HY-149143
CAS No.:	2765255-93-2
Molecular Formula:	$C_{19}H_{21}F_3N_2O_2$
Molecular Weight:	366.38
Target:	TRP Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
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 (272.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7294 mL	13.6470 mL	27.2941 mL
	5 mM	0.5459 mL	2.7294 mL	5.4588 mL
	10 mM	0.2729 mL	1.3647 mL	2.7294 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

JNJ-28583113 is an TRPM2 antagonist with brain permeability. JNJ-28583113 inhibits TRPM2 blocked phosphorylation of GSK3α and β subunits. JNJ-28583113 protects cells from oxidative stress induced cell death. JNJ-28583113 also suppresses cytokine release in response to pro-inflammatory stimuli in microglia[1].

IC_{50} & Target

TRPM2

In Vitro

JNJ-28583113 inhibits TRPM2 in cells overexpressing chimpanzee (IC_{50}=100 nM), rat (IC_{50}=25 nM), and human (IC_{50}=126 nM), respectively[1].

JNJ-28583113 (3 nM, 30 nM, and 1 μM; 200 s) exhibits electrophysical characterization in ADPR-induced currents recorded in hTRPM2-HEK-inducible cells[1].

JNJ-28583113 (10 μM; 1 h) prevents cells from H_2O_2 induced cell death up to 1 mM of H_2O_2. JNJ-28583113 (10 μM; 1 h) also protects HeLa cells from H_2O_2 (10 μM; 1 h) induced morphological changes[1].

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

Western Blot Analysis[1]

Cell Line:	hTRPM2-HEK cells
Concentration:	10 μM
Incubation Time:	30 min
Result:	Recovered phosphorylation of GSK3α and β subunits which inhibited by H_2O_2 (300 μM; 10 min).

In Vivo

JNJ-28583113 (10 mg/kg, 2 ml/kg; sc; single dose) is brain penetrant, and achieves 400 ng/mL in the brain compartment[1].

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

Animal Model:	Harlan Sprague Dawley Rats (400 g)[1]
Dosage:	10 mg/kg, 2 mL/kg
Administration:	SC; sampled at 0.5, 2, or 6 h post dosing
Result:	Quickly metabolized in the plasma, while it showed high levels in plasma and low levels in the brain.

REFERENCES

[1]. Fourgeaud L, et al. Pharmacology of JNJ-28583113: A novel TRPM2 antagonist. Eur J Pharmacol. 2019 Jun 15;853:299-307.

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