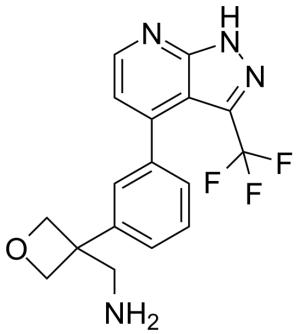
PKC-theta inhibitor 1

Cat. No.:	HY-126328
CAS No.:	1160501-81-4
Molecular Formula:	$C_{17}H_{15}F_3N_4O$
Molecular Weight:	348.32
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
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 (287.09 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8709 mL	14.3546 mL	28.7092 mL
	5 mM	0.5742 mL	2.8709 mL	5.7418 mL
	10 mM	0.2871 mL	1.4355 mL	2.8709 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: 2.5 mg/mL (7.18 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.18 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.18 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PKC-theta inhibitor 1 is the PKCθ inhibitor with an K_i value of 6 nM, inhibits IL-2 production in vivo with an IC_{50} of 0.19 μM. PKC-theta inhibitor 1 demonstrates a reduction of symptoms in a mouse model of multiple sclerosis[1].

IC_{50} & Target				
	PKCθ	PKCδ	PKCα	IL-2 production
	6 nM (Ki)	392 μM (Ki)	1020 μM (Ki)	0.19 μM (IC_{50})

REFERENCES

[1]. Collier PN, et al. Discovery of Selective, Orally Bioavailable Pyrazolopyridine Inhibitors of Protein Kinase Cθ (PKCθ) That Ameliorate Symptoms of Experimental Autoimmune Encephalomyelitis. ACS Med Chem Lett. 2019 Jun 27;10(8):1134-1139.

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