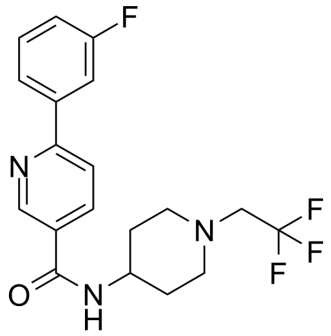
HPGDS inhibitor 1

Cat. No.:	HY-10439
CAS No.:	1033836-12-2
Molecular Formula:	$C_{19}H_{19}F_4N_3O$
Molecular Weight:	381.37
Target:	PGE synthase
Pathway:	Immunology/Inflammation
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 : 50 mg/mL (131.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6221 mL	13.1106 mL	26.2213 mL
	5 mM	0.5244 mL	2.6221 mL	5.2443 mL
	10 mM	0.2622 mL	1.3111 mL	2.6221 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HPGDS inhibitor 1 is a potent, selective and orally active Hematopoietic Prostaglandin D Synthase (HPGDS) inhibitor with an IC_{50}s of 0.6 nM and 32 nM in enzyme and cellular assays, respectively. HPGDS inhibitor 1 does not inhibit human L-PGDS, mPGES, COX-1, COX-2, or 5-LOX[1].

IC_{50} & Target

IC50: 0.6 nM (HPGDS in enzyme assays) and 32 nM (HPGDS in cellular assays)[1]

In Vitro

HPGDS inhibitor 1 has equal potency against purified HPGDS from human, rat, dog, and sheep (IC_{50}, 0.5-2.3 nM)[1].

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

In Vivo

HPGDS inhibitor 1 (compound 8; 1 mg/kg) has excellent PK characteristics with 76% bioavailability, and the $T_{1/2}$ is 4.1 hours in rats[1].

Rats dosed orally with 1 mg/kg and 10 mg/kg HPGDS inhibitor 1 (compound 8) are sacrificed at various times. Oral

	administration of HPGDS inhibitor 1 blocks PGD2 production in the rat spleen; inhibition of PGD2 is inversely correlated with the plasma concentration of HPGDS inhibitor 1 in a time- and dose-dependent manner[1]. HPGDS inhibitor 1 (compound 8; 1 mg/mL) illustrates efficacy in an in vivo sheep model of asthma[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Chris P Carron, et al. Discovery of an Oral Potent Selective Inhibitor of Hematopoietic Prostaglandin D Synthase (HPGDS). ACS Med Chem Lett. 2010 Feb 2;1(2):59-63.

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