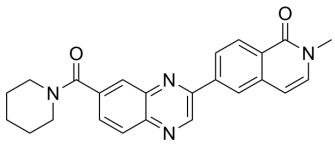
15-PGDH-IN-1

Cat. No.:	HY-151807
CAS No.:	2241676-74-2
Molecular Formula:	$C_{24}H_{22}N_4O_2$
Molecular Weight:	398.46
Target:	15-PGDH
Pathway:	Metabolic Enzyme/Protease
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 (250.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5097 mL	12.5483 mL	25.0966 mL
	5 mM	0.5019 mL	2.5097 mL	5.0193 mL
	10 mM	0.2510 mL	1.2548 mL	2.5097 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 (6.27 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 (6.27 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.27 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

15-PGDH-IN-1 is a potent and orally active 15-PGDH inhibitior. 15-PGDH-IN-1 has inhibition activity against recombinant human 15-PGDH with an IC_{50} value of 3 nM. 15-PGDH-IN-1 can be used for the research of tissue repair and regeneration[1].

IC_{50} & Target

IC50: 3 nM (15-PGDH)[1]

In Vitro

15-PGDH-IN-1 (compound 49) has inhibition activity against recombinant human 15-PGDH with an IC_{50} value of 3 nM[1].
15-PGDH-IN-1 (4, 20, 100, 500, 2500 nM) induces PGE2 in A549 cells at 20 nM[1].

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

In Vivo

15-PGDH-IN-1 (compound 49) (5, 10, 20, 40 mg/kg; IV, IP, PO) shows potent inhibition of 15-PGDH, good oral bioavailability, and protective activity in mouse models of ulcerative colitis and recovery from bone marrow transplantation[1].

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

Animal Model:	CD1 Mice (female)[1]
Dosage:	5, 10 mg/kg
Administration:	IV, IP, PO
Result:	Showed a low C_{max} value when dosed orally versus IP, but the AUC was only reduced by half and had good oral bioavailability (63%).

Animal Model:	C57Bl/6 mice[1]
Dosage:	5, 20, 40 mg/kg
Administration:	IP, Oral
Result:	Showed elevation of PGE2 levels in colon and lung inhibited 15-PGDH enzymatic activity in the colon.

Animal Model:	DSS model[1]
Dosage:	10, 40 mg/kg
Administration:	IP (10 mg/kg BID) or PO (40 mg/kg BID)
Result:	Showed protection in the mouse DSS model of ulcerative colitis.

REFERENCES

[1]. Bin Hu, et al. Orally Bioavailable Quinoxaline Inhibitors of 15-Prostaglandin Dehydrogenase (15-PGDH) Promote Tissue Repair and Regeneration. J Med Chem. 2022 Nov 2.

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