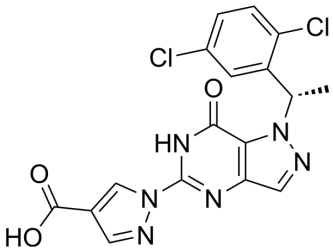
HIF-PHD-IN-1

Cat. No.:	HY-131346
CAS No.:	1567657-46-8
Molecular Formula:	$C_{17}H_{12}Cl_2N_6O_3$
Molecular Weight:	419.22
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (238.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3854 mL	11.9269 mL	23.8538 mL
	5 mM	0.4771 mL	2.3854 mL	4.7708 mL
	10 mM	0.2385 mL	1.1927 mL	2.3854 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 (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HIF-PHD-IN-1 is an orally active inhibitor of hypoxia-inducible factor prolyl hydroxylase domain (HIF-PHD), with an IC_{50} of 54 nM for hHIF-PHD2. HIF-PHD-IN-1 is promising therapeutic agents for renal anemia[1].

IC_{50} & Target

IC50: 54 nM (hHIF-PHD2)[1]

In Vivo

HIF-PHD-IN-1 (compound 19) (0.5-2 mg/kg; p. o. once daily for 4 weeks) improves hemoglobin levels in anemic rats[1].
HIF-PHD-IN-1 (10 mg/kg; single p.o.) increases serum erythropoietin (EPO) concentration at 8 h after administration in SD rats[1].
HIF-PHD-IN-1 (1 mg/kg; p.o.) shows good bioavailability (F=77%) in male SD rats[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male SD Rats excising five-sixths of their kidneys[1]

Dosage:	0.5, 1, 2 mg/kg
Administration:	P.o. once daily for 4 weeks
Result:	Improved blood hemoglobin levels starting at weeks 2 and 1 in the groups receiving 1 and 2 (mg/kg)/day, respectively.

Animal Model:	Male SD Rats[1]
Dosage:	1 mg/kg (Pharmacokinetic Analysis)
Administration:	Single p.o. or i.v.
Result:	C_{max}=1839 ng/mL (p.o.); C_{max}=12357ng/mL (i.v.); F=77%.

REFERENCES

[1]. Goi T, et, al. Pyrazolo[4,3- d]pyrimidine Derivatives as a Novel Hypoxia-Inducible Factor Prolyl Hydroxylase Domain Inhibitor for the Treatment of Anemia. ACS Med Chem Lett. 2020 Jun 4; 11(7): 1416-1420.

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