Product Data Sheet

Product Name: HIF-PHD-IN-1
Cat. No.: GC67941

Chemical Properties

Cas. No.	1567657-46-8		
Formula	$C_{17}H_{12}Cl_2N_6O_3$	M.Wt	419.22
Solubility	DMSO : 100 mg/mL (238.54 mM; Need ultrasonic)	Storage	4°C, away from moisture and light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	HIF-PHD-IN-1		

Background

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

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].

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].

Animal Model: Male SD Rats excising ?ve-sixths of their kidneys[1]
Dosage: 0.5, 1, 2 mg/kg
Administration: P.o. once daily for 4 weeks

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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%.

[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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