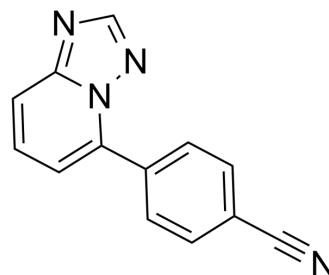


PHD-1-IN-1

Cat. No.:	HY-136300		
CAS No.:	2009343-14-8		
Molecular Formula:	C ₁₃ H ₈ N ₄		
Molecular Weight:	220.23		
Target:	HIF/HIF Prolyl-Hydroxylase		
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 : 195 mg/mL (885.44 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	4.5407 mL	22.7035 mL	45.4071 mL
		5 mM	0.9081 mL	4.5407 mL	9.0814 mL
10 mM		0.4541 mL	2.2704 mL	4.5407 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 9.75 mg/mL (44.27 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 9.75 mg/mL (44.27 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 9.75 mg/mL (44.27 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	PHD-1-IN-1 is an orally active and potent HIF prolylhydroxylase domain-1 (PHD-1) inhibitor with an IC ₅₀ of 0.034 μM. PHD-1-IN-1 has a unique monodentate binding interaction with the active site Fe ²⁺ ion and induces the formation of an “Arg367-out” pocket ^[1] .
IC₅₀ & Target	IC ₅₀ : 0.034 μM (PHD-1) ^[1]
In Vivo	PHD-1-IN-1 (compound 17; 3 mg/kg of p.o. or 0.5 mg/kg of i.v.) has a C _{max} of 0.8 μM, a AUC of 176 ng•h/mL, K _{p,uu} of 1.11 and

B/P of 0.95^[1].

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

Animal Model:	Male C57BL6 mice ^[1]
Dosage:	3 mg/kg (p.o.) or 0.5 mg/kg (i.v.) (Pharmacokinetic Analysis)
Administration:	PO or IV
Result:	Had a C _{max} of 0.8 μM, a AUC of 176 ng•h/mL, K _{p,uu} of 1.11 and B/P of 0.95.

REFERENCES

[1]. Ahmed S, et al. 1,2,4-Triazolo-[1,5-a]pyridine HIF Prolylhydroxylase Domain-1 (PHD-1) Inhibitors With a Novel Monodentate Binding Interaction. J Med Chem. 2017 Jul 13;60(13):5663-5672.

Caution: Product has not been fully validated for medical applications. For research use only.

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