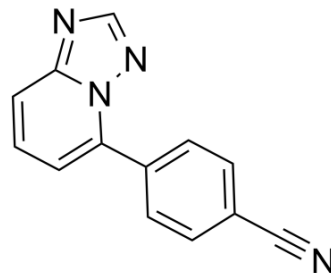


PHD-1-IN-1

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male C57BL6 mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>3 mg/kg (p.o.) or 0.5 mg/kg (i.v.) (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>PO or IV</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>	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.
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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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