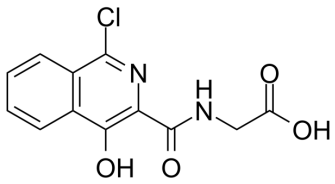
FG-2216

Cat. No.:	HY-15641
CAS No.:	223387-75-5
Molecular Formula:	$C_{12}H_9ClN_2O_4$
Molecular Weight:	280.66
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (178.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5630 mL	17.8151 mL	35.6303 mL
	5 mM	0.7126 mL	3.5630 mL	7.1261 mL
	10 mM	0.3563 mL	1.7815 mL	3.5630 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 (8.91 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FG-2216 (IOX3) is a potent and orally active inhibitor of HIF prolyl hydroxylase-2 (PHD2), with an IC_{50} of 3.9 μM. FG-2216 induces robust erythropoietin and modest fetal hemoglobin in vivo[1][2][3].

IC_{50} & Target

IC50: 3.9 nM (PHD2)[1]

In Vitro

FG-2216 (50-100 μM; 24 h) stimulates erythropoietin (Epo) secretion by PHD2 inhibition in Hep3B cells[1].
FG-2216 (3-100 μM; 24 h) stabilizes HIF-1α and HIF-2α in Hep3B cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

FG-2216 (40-60 mg/kg; p.o. twice a week for 150 d) induces erythropoiesis and a small elevation of hemoglobin (HbF)

expression, and is well tolerated in rhesus macaques[2].

FG-2216 (50 mg/kg; p.o. once daily for 4 or 12 d) increases hematocrit, red blood cell counts, and hemoglobin levels in mice [1].

FG-2216 (40-60 mg/kg; a single p.o) reversibly induces endogenous Epo in rhesus macaques[2].

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

Animal Model:	Male rhesus macaques (3-6 years; 4-7 kg) mice are treated with large-volume phlebotomy with iron supplementation[2]
Dosage:	40, 60 mg/kg
Administration:	P.o. (40 mg/kg) twice a week for 6-8 weeks P.o. (60 mg/kg) twice a week for 6-8 weeks P.o. (60 mg/kg) twice a week for 6-8 weeks
Result:	Exhibited reticulocytosis within 1-2 weeks of dosing. Increased total hemoglobin levels at the end of the study duration.

CUSTOMER VALIDATION

- ACS Omega. August 29, 2022.
- J Anal Toxicol. 2020 May 20;bkaa055.
- Biomed Chromatogr. 2021 Feb;35(2):e4970.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hong YR, et al. [(4-Hydroxyl-benzo[4,5]thieno[3,2-c]pyridine-3-carbonyl)-amino]-acetic acid derivatives; HIF prolyl 4-hydroxylase inhibitors as oral erythropoietin secretagogues. Bioorg Med Chem Lett. 2013 Nov 1;23(21):5953-7.

[2]. Hsieh MM, et al. HIF prolyl hydroxylase inhibition results in endogenous erythropoietin induction, erythrocytosis, and modest fetal hemoglobin expression in rhesus macaques. Blood. 2007 Sep 15;110(6):2140-7.

[3]. Bernhardt WM, et, al. Inhibition of prolyl hydroxylases increases erythropoietin production in ESRD. J Am Soc Nephrol. 2010 Dec;21(12):2151-6.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA