FG-2216

Cat. No.: HY-15641

CAS No.: 223387-75-5

Molecular Formula: $C_{12}H_9ClN_2O_4$

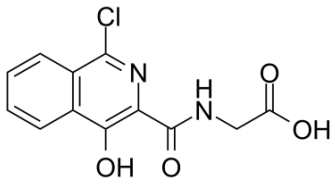
Molecular Weight: 280.66

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (110.45 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / 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.

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 nM. 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

- J Anal Toxicol. 2020 May 20;bkaa055.
- Biomed Chromatogr. 2020 Aug 25;e4970.

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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.

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