Roxadustat

Cat. No.: HY-13426

CAS No.: 808118-40-3

Molecular Formula: $C_{19}H_{16}N_2O_5$

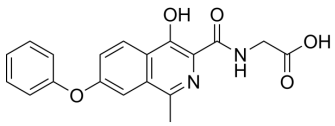
Molecular Weight: 352.34

Target: HIF/HIF Prolyl-Hydroxylase; Ferroptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

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 : ≥ 100 mg/mL (283.82 mM)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8382 mL	14.1908 mL	28.3817 mL
	5 mM	0.5676 mL	2.8382 mL	5.6763 mL
	10 mM	0.2838 mL	1.4191 mL	2.8382 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 5 mg/mL (14.19 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Roxadustat is an orally active hypoxia-inducible factor (HIF) prolyl-hydroxylase (PHD) inhibitor (HIF-PHI) that promotes erythropoiesis through increasing endogenous erythropoietin, improving iron regulation, and reducing hepcidin[1].

IC_{50} & Target	Hypoxia-inducible factor prolyl-hydroxylase[1]

In Vitro

Roxadustat (5-50 μM; 6 hours) significantly inhibits TBHP-induced apoptosis in PC12 cells[2].
Roxadustat (50 μM; 6 hours) stabilizes HIF-1α protein expression in PC12 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	PC12 cells
Concentration:	5, 20, 50 μM
Incubation Time:	6 hours
Result:	Significantly inhibited TBHP-induced apoptosis.

Western Blot Analysis[2]

Cell Line:	PC12 cells
Concentration:	50 μM
Incubation Time:	6 hours
Result:	stabilized HIF-1α protein expression.

In Vivo

Roxadustat (50 mg/kg; i.p.; daily for 7 days) protects the survival of motor neurons and improves recovery from spinal cord injury[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	12-week female C57BL/6 mice[2]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; daily for 7 days
Result:	Protected the survival of motor neurons and improved recovery from spinal cord injury.

CUSTOMER VALIDATION

- Science. 2016 Apr 1;352(6281):54-61.
- Cell Metab. 2019 Nov 5;30(5):937-951.e5.
- Cell Metab. 2017 Jan 10;25(1):73-85.
- Mol Cell. 2021 May 6;81(9):2041-2052.e6.
- Acta Pharm Sin B. 2023 May 5.

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REFERENCES

[1]. Provenzano R, et al. Roxadustat (FG-4592) Versus Epoetin Alfa for Anemia in Patients Receiving MaintenanceHemodialysis: A Phase 2, Randomized, 6- to 19-Week, Open-Label, Active-Comparator, Dose-Ranging, Safety and Exploratory Efficacy Study. Am J Kidney Dis. 2016 Jun;67(6):912-24.

[2]. Wu K, et al. Stabilization of HIF-1α by FG-4592 promotes functional recovery and neural protection in experimental spinal cord injury. Brain Res. 2016 Feb 1;1632:19-26.

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