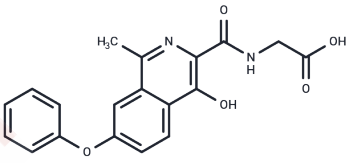
Data Sheet (Cat.No.T2515)

TargetMol

Roxadustat

Chemical Properties



CAS No. : 808118-40-3

Formula: C19H16N2O5

Molecular Weight: 352.34

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Roxadustat (FG-4592) is an orally bioavailable, hypoxia-inducible factor prolyl hydroxylase inhibitor (HIF-PHI), with potential anti-anemic activity.
Targets(IC50)	Ferroptosis,HIF/HIF Prolyl-Hydroxylase,HIF
In vivo	FG-4592 (25 mg/kg) enhances mitophagy and attenuates retinal histopathologic damage in the photoreceptor layer after RD in an RD animal model[1].
Kinase Assay	Kinase assays: Potencies (IC50 values) are determined by assays of active kinase domains cloned and expressed in baculovirus using the FastBacbaculovirus expression system or obtained commercially. For tyrosine kinase assays, a biotinylated peptide substrate containing a single tyrosine is used with 1 mM ATP, anEu-cryptate-labeled anti-phosphotyrosine antibody (PT66), and Strepavidin-APC in a homogeneous time-resolved fluorescence assay. Serine/threonine kinases are assayed using 5 μM ATP, [33P]ATP, and a biotinylated peptide substrate with peptide capture and incorporation of 33P determined using a SA-Flashplate. Linifanib is assayed at multiple concentrations prepared by serial dilution of a DMSO stock solution of Linifanib. The concentration resulting in 50% inhibition of activity is calculated using nonlinear regression analysis of the concentration response data.
Cell Research	The left eye of each rat is retro-orbitally injected with 25 mg/kg FG-4592 every 2 days, and an equal volume of 20% DMSO diluted with 0.9% NaCl is administrated with retro-orbital injection and served as the control. The retinae (attached, 1 day, 3 days, 5 days, and 7 days after RD) are homogenized and lysed with buffer containing 50 mM hydroxymethyl(Tris)-aminomethane(HCl), 150 mM NaCl, 1% Triton X-100, 1% sodium deoxycholate, 0.1% SDS, and a protease inhibitor tablet. Samples are run on 8% to 12% 2-hydroxyethyl (Bis)-hydroxymethyl (Tris) gel electrophoresis and transferred onto polyvinylidene difluoride (PVDF) membranes (0.2-mm pores). After blocking with 3% nonfat dried milk, the membranes are incubated overnight with primary antibody HIF-1α, LC3, BNIP3, autophagy-related gene 5 (Atg5), and β-actin. The blotted membranes are then incubated for 60 minutes at room temperature with a horseradish peroxidase (HRP)-labeled secondary antibody. Immunoreactive bands are visualized by enhanced chemiluminescence (ECL) and detected with an Amersham Imager 600. A minimum of three rats are used for each condition.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 240 mg/mL (681.16 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (14.19 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0568 mL	0.2838 mL	0.5676 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

1. Seeley, TW, et al. U.S. Pat. Appl. Publ. 2007, US 20070292433 A1 20071220.
Wang J, Aniwan A, Liu H, et al.O-GlcNAcylation regulates HIF-1α and induces mesothelial-mesenchymal transition and fibrosis of human peritoneal mesothelial cells.Heliyon.2023
Liu H, et al. Prolyl-4-Hydroxylases Inhibitor Stabilizes HIF-1α and Increases Mitophagy to Reduce Cell Death After Experimental Retinal Detachment. Invest Ophthalmol Vis Sci. 2016 Apr 1;57(4):1807-15.
Wang J, Lv X, Lin Y, et al.Genistein inhibits HIF-1α and attenuates high glucose-induced peritoneal mesothelial-mesenchymal transition and fibrosis via the mTOR/OGT pathway.Scientific Reports.2024, 14(1): 24369.
Fascia-derived stem cells enhance fat graft retention by promoting vascularization through the HMOX1-HIF-1α pathway

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