

Product Name: Roxadustat

Catalog No.: 7486

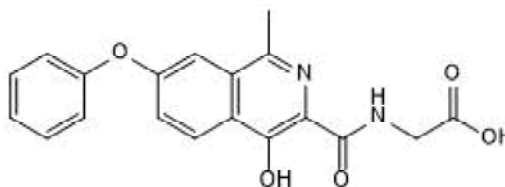
Batch No.: 1

CAS Number: 808118-40-3

IUPAC Name: *N*-[(4-Hydroxy-1-methyl-7-phenoxy-3-isoquinolinyl)carbonyl]glycine

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₉H₁₆N₂O₅.
Batch Molecular Weight: 352.35
Physical Appearance: Cream solid
Solubility: DMSO to 100 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 100% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	64.77	4.58	7.95
Found	64.35	4.55	8.03

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Roxadustat is a hypoxia-inducible factor prolyl hydroxylase enzyme (HIF-PH) inhibitor (IC₅₀ = 591.4 nM). Roxadustat reduces basal oxygen consumption and increases glycolysis in vitro. It increases hemoglobin levels and stimulates erythropoiesis in vivo. By reducing ACE2 expression, roxadustat reduces the levels of SARS-CoV-2 viral RNA and inhibits entry, replication and secretion of infectious particles in lung epithelial cells. Roxadustat also suppresses hydroxylation and secretion of high molecular weight forms of mannose-binding lectin. The compound suppresses ion currents in pituitary tumor cells (IC₅₀ values for inhibition of peak and la... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

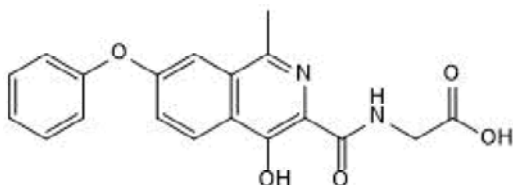
Batch Molecular Formula: C₁₉H₁₆N₂O₅.

Batch Molecular Weight: 352.35

Physical Appearance: Cream solid

Minimum Purity: ≥98%

Batch Molecular Structure:



References:

Bhute et al (2020) Mannose binding lectin is hydroxylated by collagen prolyl-4-hydroxylase and inhibited by some PHD inhibitors. *Kidney360* **2**.

Chang et al (2019) Evidence for the capability of roxadustat (FG-4592), an oral HIF prolyl-hydroxylase inhibitor, to perturb membrane ionic currents:an unidentified yet important action. *Int.J.Mol.Sci.* **20** 6027. PMID: 31795416.

Wu et al (2018) Click chemistry-based discovery of [3-Hydroxy-5-(1H-1,2,3-triazol-4-yl)picolinoyl]glycines as orally active hypoxia-inducing factor prolyl hydroxylase inhibitors with favorable safety profiles for the treatment of anemia. *J.Med.Chem.* **61** 5332.

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

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