

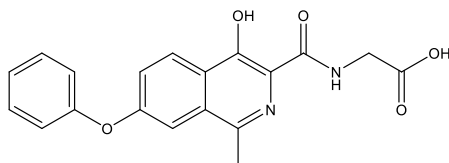
**Catalog # 10-4468**

**Roxadustat**

CAS# 808118-40-3

2-[(4-Hydroxy-1-methyl-7-phenoxyisoquinoline-3-carbonyl)amino]acetic acid; FG-4592

Lot # FBS2082



Roxadustat (808118-40-3) is a pan-prolyl hydroxylase domain (PHD) inhibitor ( $IC_{50}$  for PHD2 = 591 nM)<sup>1</sup> that stabilizes hypoxia-inducible factor (HIF) leading to erythropoiesis. Currently in clinical trials for treatment of anemia related to chronic kidney disease.<sup>2</sup> Roxadustat accelerated cutaneous wound healing and shortened healing time *via* improvement in epidermal stem cell proliferation and motility<sup>3</sup> and increasing angiogenesis<sup>4</sup>. It displayed radioprotective effects in haematopoietic systems *via* up-regulation of HIF-1 $\alpha$ .<sup>5</sup> Roxadustat displayed protective effects against atherosclerosis *via* activation of adipose HIF-2 $\alpha$ .<sup>6</sup>

- 1) Lei *et al.* (2015) *Affinity-Based Fluorescence Polarization Assay for High-Throughput Screening of Prolyl Hydroxylase 2 Inhibitors*, ACS Med. Chem. Lett. **6** 12367
- 2) Liu *et al.* (2020) *Roxadustat (FG-4592) Treatment for Anemia in Dialysis-Dependent (DD) and Not Dialysis-Dependent (NDD) Chronic Kidney Disease Patients: A*, Hemodial. Int. **21(Suppl. 1)** S110
- 3) Tang *et al.* (2018) *FG-4592 Accelerates Cutaneous Wound Healing by Epidermal Stem Cell Activation via HIF-1 $\alpha$  Stabilization*, Cell Physiol. Biochem. **46** 2460
- 4) Zhu *et al.* (2019) *Roxadustat Promotes Angiogenesis Through HIF-1 $\alpha$ /VEGF/VEGFR2 Signaling and Accelerates Cutaneous Wound Healing in Diabetic Rats*, Wound Repair Regen. **27** 324
- 5) Zhang *et al.* (2019) *Radioprotective effects of roxadustat (FG-4592) in haematopoietic system*, J. Cell Mol. Med. **23** 349
- 6) Zhang *et al.* (2019) *Adipocyte Hypoxia-Inducible Factor 2 $\alpha$  Suppresses Atherosclerosis by Promoting Adipose Ceramide Catabolism*, Cell Metab. **30** 937

**PHYSICAL DATA**

Molecular Weight:	352.35
Molecular Formula:	C <sub>19</sub> H <sub>16</sub> N <sub>2</sub> O <sub>5</sub>
Purity:	>99% (HPLC)
Solubility:	DMSO (>25 mg/mL)
Physical Description:	Off-white to white solid
Storage and Stability:	Store as supplied at -20°C for up to one year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**