

Product Data Sheet

Product Name: Roxadustat-d5

Cat. No.: GC49190

Chemical Properties

Cas. No. 2043026-13-5

SMILES CC1=NC(C(NCC(O)=O)=O)=C(O)C2=C1C=C(OC3=C([2H])C([2H])=C([2H])C([2H])=C3[2H])C=C2

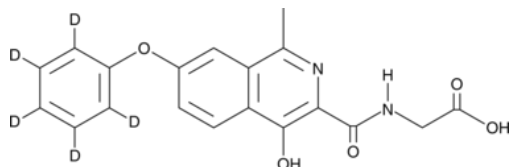
Formula C₁₉H₁₁D₅N₂O₅ M.Wt 357.4

Solubility Acetone: soluble, DMSO: soluble Storage -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

Roxadustat-d₅ is intended for use as an internal standard for the quantification of roxadustat by GC- or LC-MS. Roxadustat is an inhibitor of hypoxia-inducible factor prolyl hydroxylase (HIF-PH; IC₅₀s = 1.4, 1.26, and 1.32 μM for HIF-PH1, HIF-PH2, and HIF-PH3, respectively).¹ It is selective for HIF-PH over other 2-oxoglutarate-dependent dioxygenases, including lysine-specific demethylase 5A (KDM5A), KDM5B, -5C, -5D, and -6B (IC₅₀s = >100 μM for all). Roxadustat (10-200 μM) stabilizes HIF-1α and HIF-2α in Hep3B hepatocellular carcinoma cells. It increases levels of secreted erythropoietin in Hep3B cells in a concentration-dependent manner and increases erythropoiesis in rats when administered at doses of 25 and 50 mg/kg.² Roxadustat reverses anemia in a rat model of chronic inflammation induced by peptidoglycan-polysaccharide, as well as a rat model of chronic

Caution: Product has not been fully validated for medical applications. For research use only.

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kidney disease induced by 5/6 nephrectomy. It reduces tumor growth and increases survival in a murine Lewis lung carcinoma model when administered at a dose of 3 mg/animal.³

1.Yeh, T.-L., Leissing, T.M., Abboud, M.I., et al.Molecular and cellular mechanisms of HIF prolyl hydroxylase inhibitors in clinical trialsChem. Sci.8(11)7651-7668(2017) 2.Del Balzo, U., Signore, P.E., Walkinshaw, G., et al.Nonclinical characterization of the hypoxia-inducible factor prolyl hydroxylase inhibitor roxadustat, a novel treatment of anemia of chronic kidney diseaseJ. Pharmacol. Exp. Ther.374(4)342-353(2020) 3.Nishide, S., Matsunaga, S., Shiota, M., et al.Controlling the phenotype of tumor-infiltrating macrophages via the PHD-HIF axis inhibits tumor growth in a mouse modeliScience19940-954(2019)

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