

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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PRODUCT INFORMATION



Roxadustat-d₅

Item No. 34235

CAS Registry No.: 2043026-13-5

Formal Name: N-[(4-hydroxy-1-methyl-7-phenoxy-d₅-3-

isoquinolinyl)carbonyl]-glycine

MF: $C_{19}H_{11}D_5N_2O_5$

FW: 357.4

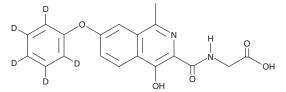
Chemical Purity: ≥98% (Roxadustat)

Deuterium

Incorporation: ≥99% deuterated forms (d_1-d_5) ; ≤1% d_0

Supplied as: A solid Storage: -20°C Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Roxadustat-d₅ is intended for use as an internal standard for the quantification of roxadustat (Item No. 15294) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Roxadustat- d_{ϵ} is supplied as a solid. A stock solution may be made by dissolving the roxadustat- d_{ϵ} in the solvent of choice, which should be purged with an inert gas. Roxadustat- d_s is soluble in acetone and DMSO.

Description

Roxadustat is an inhibitor of hypoxia-inducible factor prolyl hydroxylase (HIF-PH; IC_{50} s = 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 μ M for all). Roxadustat (10-200 μ M) stabilizes HIF-1 α and HIF-2 α 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 peptidoglycanpolysaccharide, as well as a rat model of chronic 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

References

- 1. Yeh, T.-L., Leissing, T.M., Abboud, M.I., et al. Molecular and cellular mechanisms of HIF prolyl hydroxylase inhibitors in clinical trials. Chem. 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 disease. J. 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 model. iScience 19, 940-954 (2019).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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