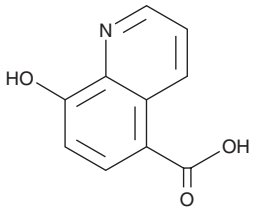
PRODUCT INFORMATION

IOX1

Item No. 11572

CAS Registry No.:	5852-78-8
Formal Name:	8-hydroxy-5-quinolinecarboxylic acid
MF:	$C_{10}H_7NO_3$
FW:	189.2
Purity:	≥97%
UV/Vis.:	λ_{max}: 241, 320 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

IOX1 is supplied as a crystalline solid. A stock solution may be made by dissolving the IOX1 in the solvent of choice, which should be purged with an inert gas. IOX1 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of IOX1 in these solvents is approximately 20 and 10 mg/ml, respectively.

IOX1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, IOX1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. IOX1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

IOX1 is a pan-inhibitor of 2-oxoglutarate-dependent demethylases and oxygenases.[1,2] It inhibits jumonji domain-containing 2C (JMJD2C), JMJD2E, JMJD1A, JMJD2A, jumonji AT-rich interactive domain 1C (JARID1C), and JMJD3 in cell-free assays (IC_{50}s = 0.6, 2.3, 1.8, 0.1, 19, and 1.4 µM, respectively) and inhibits demethylation of trimethylated lysine 9 on histone H3 (H3K9Me3) by JMJD2A in HeLa cells (IC_{50} = 86.5 µM). IOX1 also inhibits the 2-oxoglutarate (2-OG) oxygenases hypoxia-inducible factor prolyl hydroxylase 2 (HIF-PH2) and factor inhibiting HIF (FIH) with IC_{50} values of 14.3 and 20.5 µM, respectively.[1] IOX1 (200 µM) reduces angiotensin II-induced proliferation and migration of primary rat vascular smooth muscle cells (VSMCs).[3] It is active against *E. coli* and *A. baumannii in vitro* and increases survival, decreases lung polymorphonuclear leukocytes (PMN) infiltration, and reduces serum and lung TNF-α, IL-1β, and IL-6 levels in a mouse model of LPS-induced endotoxemia when administered at a dose of 20 mg/kg.[4] IOX1, alone and in combination with bevacizumab, decreases tumor weight and volume and increases intratumoral T cell infiltration in a CT26 murine model of colon cancer.[5]

References

1. King, O.N.F., Li, X.S., Sakurai, M., *et al.* Quantitative high-throughput screening identifies 8-hydroxyquinolines as cell-active histone demethylase inhibitors. *PLoS One* **5(11)**, 1-12 (2010).
2. Schiller, R., Scozzafava, G., Tumber, A., *et al.* A cell-permeable ester derivative of the JmjC histone demethylase inhibitor IOX1. *ChemMedChem* **9(3)**, 566-571 (2014).
3. Hu, Q., Chen, J., Zhang, J., *et al.* IOX1, a JMJD2A inhibitor, suppresses the proliferation and migration of vascular smooth muscle cells induced by angiotensin II by regulating the expression of cell cycle-related proteins. *Int. J. Mol. Med.* **37(1)**, 189-196 (2016).
4. Lee, S.J., You, J.S., Gharbi, A., *et al.* IOX1 activity as sepsis therapy and an antibiotic against multidrug-resistant bacteria. *Sci. Rep.* **11(1)**, 2942 (2021).
5. Fang, S., Cao, H., Liu, J., *et al.* Antitumor effects of IOX1 combined with bevacizumab-induced apoptosis and immunity on colorectal cancer cells. *Int. Immunopharmacol.* **141**, 112896 (2024).

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