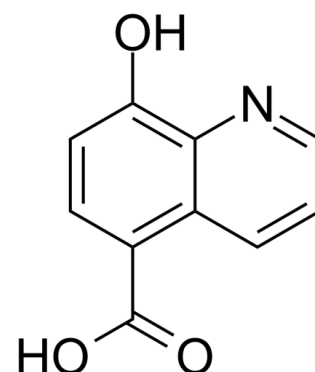


Data Sheet

Product Name:	IOX1
Cat. No.:	CS-3370
CAS No.:	5852-78-8
Molecular Formula:	C ₁₀ H ₇ NO ₃
Molecular Weight:	189.17
Target:	Histone Demethylase
Pathway:	Epigenetics
Solubility:	DMSO : 13.33 mg/mL (70.47 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

IOX1, 5-Carboxy-8-hydroxyquinoline, is a potent broad-spectrum inhibitor of **2OG** oxygenases, including the **JmjC** demethylases. IOX1 inhibits KDM4C, KDM4E, KDM2A, KDM3A and KDM6B with **IC₅₀** values of 0.6 μ M, 2.3 μ M, 1.8 μ M, 0.1 μ M and 1.4 μ M, respectively^{[1][2]}. IOX1 also inhibits ALKBH5^[3]. **In Vitro:** IOX1 (0-200 μ M; 2 hours) inhibits the proliferation and migration of vascular smooth muscle cells (VSMCs) stimulated with angiotensin II (Ang II) in a concentration-dependent manner^[2]. IOX1 (200 μ M; 24 hours) blocks the cell cycle progression of angiotensin II (Ang II)-VSMCs by increasing the percentage of cells in the G0/G1 phase^[2]. IOX1 (50-200 μ M; 2 hours) attenuates cyclin D1 and upregulates p21 mRNA levels in a concentration-dependent^[2]. IOX1 (50-200 μ M; 2 hours) mediates cyclin D1 and p21 expression by regaining H3K9me3^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] Cells (Hep3B, RCC4 and HeLa) were cultured in DMEM each supplemented with 10% fetal calf serum, 2 mM L-glutamine, 50 units/ml of penicillin, and 50 μ g/ml of streptomycin. Cells were treated with IOX1 for 5-6 h and harvested for immunoblotting as previously described. All compounds (except DMOG) were dissolved in DMSO and added directly to culture medium at final DMSO concentrations of \leq 2%. DMOG (dimethyloxallylglycine, dissolved in water) and FG2216 were used as positive controls. MG132 treatment was for 4 h.

References:

- [1]. Schiller R, et al. A cell-permeable ester derivative of the JmjC histone demethylase inhibitor IOX1. *ChemMedChem*. 2014 Mar;9(3):566-71.
- [2]. Hu Q, 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*. 2016 Jan;37(1):189-96.
- [3]. Li F, et al. A Radioactivity-Based Assay for Screening Human m6A-RNA Methyltransferase, METTL3-METTL14 Complex, and Demethylase ALKBH5. *Biomol Screen*. 2016 Mar;21(3):290-7.

CAIndexNames:

5-Quinolinecarboxylic acid, 8-hydroxy-

SMILES:

O=C(C1=CC=CC=NC2=C(O)C=C1)O

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

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