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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

IOX1

Cat. No.: HY-12304

CAS No.: 5852-78-8

Molecular Formula: $C_{10}H_7NO_3$

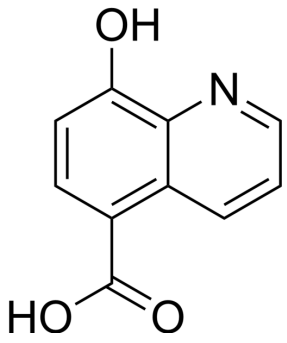
Molecular Weight: 189.17

Target: Histone Demethylase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 13.33 mg/mL (70.47 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	5.2863 mL	26.4313 mL	52.8625 mL
5 mM	1.0573 mL	5.2863 mL	10.5725 mL
10 mM	0.5286 mL	2.6431 mL	5.2863 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (11.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (11.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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 IC_{50} values of 0.6 μM, 2.3 μM, 1.8 μM, 0.1 μM and 1.4 μM, respectively[1][2]. IOX1 also inhibits ALKBH5[3].

IC_{50} & Target	KDM2	KDM3	KDM4	KDM6

In Vitro

IOX1 (0-200 μM; 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 μM; 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 μM; 2 hours) attenuates cyclin D1 and upregulates p21 mRNA levels in a concentration-dependent[2].
?IOX1 (50-200 μM; 2 hours) mediates cyclin D1 and p21 expression by regaining H3K9me3[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	Vascular smooth muscle cells (VSMCs)
Concentration:	50 μM, 100 μM, 200 μM
Incubation Time:	Pretreated 2 hours
Result:	Exhibited a decrease in proliferation and migration.

Cell Cycle Analysis[2]

Cell Line:	Vascular smooth muscle cells (VSMCs)
Concentration:	200 μM
Incubation Time:	24 hours
Result:	Slowed down the progression of the cell cycle from the G0/G1 to the S phase.

RT-PCR[2]

Cell Line:	Vascular smooth muscle cells (VSMCs)
Concentration:	50 μM, 100 μM, 200 μM
Incubation Time:	2 hours
Result:	Decreased cyclin D1 mRNA expression and increased p21 mRNA expression.

RT-PCR[2]

Cell Line:	Vascular smooth muscle cells (VSMCs)
Concentration:	50 μM, 100 μM, 200 μM
Incubation Time:	2 hours
Result:	Enhanced the total protein levels of H3K9me3.

In Vivo

IOX1 (5-c-8HQ) (oral gavage; 10-20 mg/kg; 12 days) inhibits tumor growth and attenuates the self-renewal of liver cancer stem-like cells (LCSCs) in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old male BALB/c nude mice[4]
Dosage:	10 mg/kg, 20 mg/kg
Administration:	12 days
Result:	Did not result in obvious adverse effects on mice as demonstrated by no body weight reduction and no toxicity to the major organs after treatment. Inhibited LCSC orthotopic graft tumor growth. Significantly reduced the protein levels of EpCAM and Sox9 in LCSC orthotopic graft

	tumors nhibited LCSC orthotopic graft tumor growth. Decreased Ki67-positive tumor cells and markedly reduced the tumorsphere formation abilities of LCSCs in a dose-dependent manner.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2022 Feb 15;119(7):e2113454119.
- Cell Death Dis. 2022 Jun 13;13(6):547.
- JHEP Rep. 2023 Jul 15;5(10):100849.
- Oncogene. 2020 Apr;39(16):3336-3353.
- Cell Death Discov. 2022 Dec 24;8(1):497.

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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.

[4]. Yuan Deng, et al. Histone demethylase JMJD2D promotes the self-renewal of liver cancer stem-like cells by enhancing EpCAM and Sox9 expression. J Biol Chem

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA