Oxamflatin

Cat. No.: HY-102033

CAS No.: 151720-43-3

Molecular Formula: $C_{17}H_{14}N_2O_4S$

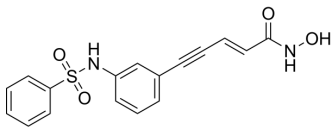
Molecular Weight: 342.37

Target: HDAC

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (146.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9208 mL	14.6041 mL	29.2082 mL
	5 mM	0.5842 mL	2.9208 mL	5.8416 mL
	10 mM	0.2921 mL	1.4604 mL	2.9208 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (6.08 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Oxamflatin (Metacept-3) is a potent HDAC inhibitor with an IC_{50} of 15.7 nM. Oxamflatin is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

HDAC
15.7 nM (IC_{50})

In Vitro	Oxamflatin induces transcriptional activation of junD and morphological reversion in various NIH3T3-derived transformed cell lines. Oxamflatin shows antiproliferative activity against various mouse and human tumor cell lines with drastic changes in the cell morphology. Oxamflatin causes an elongated cell shape with filamentous protrusions as well as arrest of the cell cycle at the G1 phase in HeLa cells. Oxamflatin greatly enhances the transcriptional activity of the CMV promoter in a dose-dependent manner and inhibits intracellular HDAC activity[1]. Oxamflatin in the nanomolar range induces morphological changes in OVCAR-5 and SKOV-3 ovarian cancer cell lines. Treatment with oxamflatin also leads to decreased cell viability. Oxamflatin is able to significantly inhibit DNA synthesis and cell proliferation[2]. Oxamflatin can induce E-cadherin expression and also reduce cell viability in the MKN-45 cell line[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Injection of oxamflatin, six times at the dose of 20 mg/kg, exhibits a significant increase in the days of survival (38% of ILS). The ILS of the mice treated with oxamflatin at the dose of 50 mg/kg is calculated to be more than 67% and one mouse survived over 60 days after tumor inoculation. No subsidiary effect, such as body weight loss, is observed at least up to this dose[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cells grown in DMEM supplemented with 10% fetal bovine serum are challenged with serial two fold dilutions of oxamflatin on day 1 after the cells are seeded, and incubated for 2 days for the suspension cell cultures and for 3 days for the adherent cell cultures. Inhibition of the cell growth by oxamflatin is determined by staining with MTT as described previously[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Oxamflatin is injected intraperitoneally into BDF1 mice on day 1, 3, 5, 7, 9 and 11 and after the intraperitoneal inoculation of single cell suspension of the B16 melanoma cells. The survival days of the animals are recorded and the percent of increased life span (ILS%) is calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kim YB, et al. Oxamflatin is a novel antitumor compound that inhibits mammalian histone deacetylase. Oncogene. 1999 Apr 15;18(15):2461-70.

[2]. Wang YL, et al. HDAC Inhibitor Oxamflatin Induces Morphological Changes and has Strong Cytostatic Effects in Ovarian Cancer Cell Lines. Curr Mol Med. 2016;16(3):232-42.

[3]. Faghihloo E, et al. The effect of oxamflatin on the E-cadherin expression in gastric cancer cell line. Cancer Gene Ther. 2016 Nov;23(11):396-399.

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