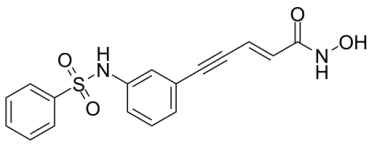
Data Sheet

Product Name:	Oxamflatin
Cat. No.:	CS-6980
CAS No.:	151720-43-3
Molecular Formula:	C17H14N2O4S
Molecular Weight:	342.37
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Solubility:	DMSO : ≥ 125 mg/mL (365.10 mM)



BIOLOGICAL ACTIVITY:

Oxamflatin (Metacept-3) is a potent **HDAC** inhibitor with an **IC_{50}** of 15.7 nM. IC50 & Target: IC50: 15.7 nM (HDAC)[1] **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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]. **Animal Administration:** Oxamflatin is suspended in the vehicle (saline including 0.4% Tween 80, 0.5% carboxymethylcellulose and 0.9% benzylalcohol).[1]Mouse: 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].

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.

CAIndexNames:

2-Penten-4-ynamide, N-hydroxy-5-[3-[(phenylsulfonyl)amino]phenyl]-, (2E)-

SMILES:

O=C(NO)/C=C/C#CC1=CC=CC(NS(=O)(C2=CC=CC=C2)=O)=C1

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