Chaetocin

Cat. No.: HY-N2019

CAS No.: 28097-03-2

Molecular Formula: $C_{30}H_{28}N_6O_6S_4$

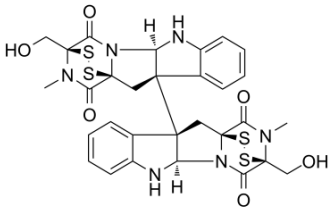
Molecular Weight: 696.84

Target: Histone Methyltransferase; Bacterial; Antibiotic

Pathway: Epigenetics; Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 26 mg/mL (37.31 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4350 mL	7.1752 mL	14.3505 mL
5 mM	0.2870 mL	1.4350 mL	2.8701 mL
10 mM	0.1435 mL	0.7175 mL	1.4350 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 (2.98 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Chaetocin is a specific inhibitor of the histone methyltransferase (HMT) SU(VAR)3-9 with an IC_{50} of 0.6 μM for SU(VAR)3-9. It also inhibits thioredoxin reductase (TrxR) with an IC_{50} of 4 μM.

IC_{50} & Target

IC50: 0.6 μM (HMT)[1], 4 μM (TrxR)[2]

In Vitro

Chaetocin is initially isolated from the fermentation broth of chaetomium minutum and belongs to the class of 3-6 epidithio-diketopiperazines (ETPs). The IC_{50} for SU(VAR)3-9 is 0.6 μM and acts as a competitive inhibitor for S-adenosylmethionine. Chaetocin inhibits the human ortholog of dSU(VAR)3-9 with a similar IC_{50} value of 0.8 μM. It inhibits other known Lys9-specific HMTs such as mouse G9a and Neurospora crassa DIM5 with a higher IC_{50} values of 2.5 and 3 mM, respectively[1].

	Chaetocin inhibits TrxR1-initiated turnover of the synthetic substrate DTNB in a cell-free assay in a dose-responsive manner with an IC_{50} of about 4 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SL-2 Drosophila tissue cells are cultured in the presence or absence of the inhibitor. Chaetocin has a toxic effect on cells grown in culture. Toxicity is highly dependent on the initial cell density when chaetocin is added to the culture. The number of H3 molecules dimethylated at Lys9 (H3K9me2) is markedly reduced when cells are grown in medium containing 0.5 μM chaetocin after 5 d. Histones isolated from cells treated with 0.1 μM and for a shorter time also shows a drop in Lys9 methylation, but not as strongly as with the higher concentration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HeLa cells are transfected with 1 μg pcDNA or pcDNA-Trx. Twenty four h after transfection the cells are treated with either DMSO, 100 nM chaetocin or 100 nM doxorubicin for 24 h. The cells are then trypsinized and manually counted in trypan blue to exclude dead cells. For immunoblotting (24 h after transfections), cells are trypsinized, ished in cold PBS, and lysed in CelLytic lysis buffer containing protease inhibitors. Protein is analyzed by BCA assay and lysates are electrophoresed on 15% SDS-PAGE gels and transferred to nitrocellulose. Immunoblotting for thioredoxin and actin is then performed[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Greiner D, et al. Identification of a specific inhibitor of the histone methyltransferase SU(VAR)3-9. Nat Chem Biol. 2005 Aug;1(3):143-5.

[2]. Tibodeau JD, et al. The anticancer agent chaetocin is a competitive substrate and inhibitor of thioredoxin reductase. Antioxid Redox Signal. 2009 May;11(5):1097-106.

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