Thiostrepton

Cat. No.: HY-B0990

CAS No.: 1393-48-2

Molecular Formula: $C_{72}H_{85}N_{19}O_{18}S_5$

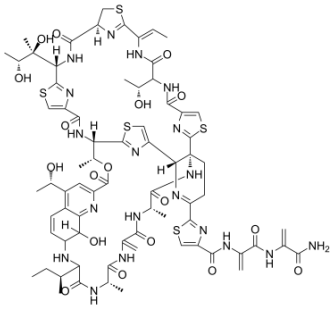
Molecular Weight: 1664.89

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (60.06 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.6006 mL	3.0032 mL	6.0064 mL
5 mM	0.1201 mL	0.6006 mL	1.2013 mL
10 mM	0.0601 mL	0.3003 mL	0.6006 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (1.50 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thiostrepton is a thiazole antibiotic which selectively inhibits FOXM1. FOXM1 binds to YAP/TEAD complex. YAP/TEAD/FOXM1 complex binding at regulatory regions of genes governing cell cycle may impact cell proliferation[1].

In Vitro

Thiostrepton (0.01-1000 μM; 48 hours) suppresses cell viability in A2780 and HEC-1A[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	A2780 and HEC-1A cells

Concentration:	0.01, 0.1, 1, 10, 100, 1000 μM
Incubation Time:	48 hours
Result:	The IC_{50}s are 1.10 μM in A2780 and 2.22 μM in HEC-1A, respectively.

In Vivo

Thiostrepton (i.p.; 17 mg/kg) reduces the tumorigenicity of Ewing's sarcoma (EWS) cells. Tumor volumes in control mice have increased ~6-fold from the initiation of treatment, while their Thiostrepton-treated counterparts increase only ~1.7-fold, exhibiting a ~3.5-fold reduction, relative to controls[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic (BALB/c nu/nu) nude mice bearing A4573 cells[3]
Dosage:	17 mg/kg
Administration:	Administered i.p.
Result:	Treatment inhibited the growth of EWS-derived tumors in vivo.

CUSTOMER VALIDATION

- Oncogene. 2018 Oct;37(41):5520-5533.
- Environ Pollut. 2018 Aug 17;242(Pt B):1535-1545.
- Mol Oncol. 2019 Feb;13(2):228-245.
- Ecotoxicol Environ Saf. 2021 Jan 25;212:111931.
- Gene. 2020 Oct 5;757:144947.

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REFERENCES

[1]. Ajaybabu V Pobbati, et al. A combat with the YAP/TAZ-TEAD oncoproteins for cancer therapy. Theranostics. 2020 Feb 18;10(8):3622-3635.

[2]. Xuan Zhang, et al. Targeting of mutant p53-induced FoxM1 with Thiostrepton induces cytotoxicity and enhances carboplatin sensitivity in cancer cells. Oncotarget. 2014 Nov 30;5(22):11365-80.

[3]. Aniruddha Sengupta, et al. The dual inhibitory effect of Thiostrepton on FoxM1 and EWS/FLI1 provides a novel therapeutic option for Ewing's sarcoma. Int J Oncol. 2013 Sep;43(3):803-12.

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