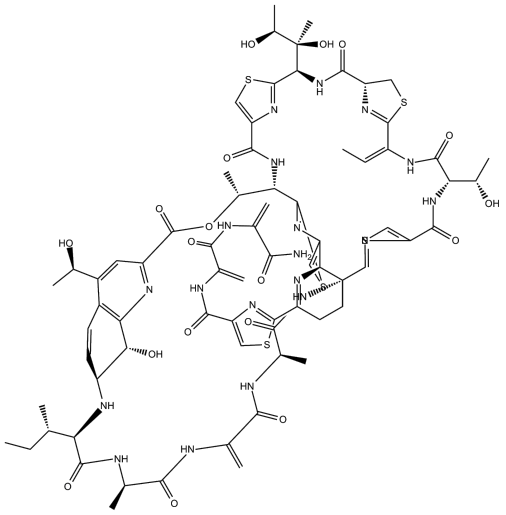
Product Data Sheet

Product Name: Thiostrepton
Cat. No.: GC13002

Chemical Properties

Cas. No.	1393-48-2		
Formula	$C_{72}H_{85}N_{19}O_{18}S_5$	M.Wt	1664.89
Solubility	2mg/ml in DMSO, 25mg/mL in DMF	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines Ewing's sarcoma (EWS) cell lines

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Preparation Method	The EWS cell lines (A4573, SK-ES-1 and TC-71) and were maintained in DMEM supplemented with antibiotics and 10% fetal bovine serum. Cells were incubated at 37˚C in a humidified chamber with 5% CO_2. EWS cells were treated with 1μM Thiostrepton for 48h for all subsequent experiments.
Reaction Conditions	1μM; 48h
Applications	Thiostrepton altered cell cycle with a decrease in the percentage of the cell populations traversing through S-phase and the accumulation of cells in either the G1 or the G2-M phase.

Animal experiment [2]:

Animal models	Female BALB/c nude mice
Preparation Method	Pancreatic cancer MIA PaCa-2 cells suspension was injected subcutaneously into female BALB/c nude mice for 4 weeks age. When the tumours were macroscopic (approximately one week), the mice were divided into three groups (five mice per group): the control group, Thiostrepton group, and Thiostrepton + Fer-1 group (three times a week). The size of the tumour was measured three times a week. After 3 weeks of drug administration, blood was collected from the mouse eyeballs.
Dosage form	17mg/kg; i.p.; three times a week for 3 weeks
Applications	Thiostrepton treatment lowered FOXM1, STAT3, p-STAT3, GPX4 and Ki67 staining. Thiostrepton inhibited tumour growth, and Fer-1, a ferroptosis inhibitor, reversed this effect.

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References:
[1] Sengupta A, Rahman M, Lozano S M, Tirado O M, Notario V. 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.
[2] Zhang W F, Gong M Y, Zhang W N, et al. Thiostrepton induces ferroptosis in pancreatic cancer cells through STAT3/GPX4 signalling. Cell Death Dis. 2022 Jul 20;13(7):630.

Background

Thiostrepton, an antibiotic derived from *Streptomyces azureus*, is a specific inhibitor of FoxM1 [1]. Thiostrepton exhibits anticancer efficacy and pronounced anti-inflammatory effects [2][3][4][7].

In vitro, Thiostrepton (0-5μM) incubation on non–small-cell lung cancer cells (NSCLCs) for 14 days suppressed cellular viability and colony-forming ability in a dose-dependent manner with an IC_{50} value of 0.05μM and significantly reduced the migration capability[3]. Thiostrepton (1μM) treatment on Ewing's sarcoma for 48h altered cell cycle with a decrease in the percentage of the cell populations traversing through S-phase and the accumulation of cells in either the G1 or the G2-M phase. Thiostrepton also inhibited the mRNA and protein expression of both FoxM1 and EWS/FLI1[4].

In vivo, Thiostrepton (17mg/kg) were intraperitoneally injected into pancreatic cancer MIAPaCa-2 cells xenograft BALB/c nude mice with or without Fer-1 (5mg/kg) three times a week for 3 weeks. Thiostrepton treatment lowered FOXM1, STAT3, p-STAT3, GPX4 and Ki67 staining. Thiostrepton inhibited tumour growth, and Fer-1, a ferroptosis inhibitor, reversed this effect[5]. Thiostrepton (30mg/kg) was administered daily into an human endometrial adenocarcinoma HEC-1A cells xenograft mice model with or without weekly carboplatin (80mg/kg, reduced to 20mg/kg from day 22) for 5 weeks. Thiostrepton alone showed no significant antitumor activity but enhances sensitivity to carboplatin in vivo[6]. Thiostrepton (20mg/kg) was administered into cecal ligation and puncture-induced sepsis model mice intraperitoneally and monitored for survival up to 7 days. Thiostrepton improved survival in the murine model by reducing pro-inflammatory markers, reducing plasma creatinine and reducing intravascular and peritoneal bacterial burden[7].

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References:

[1] Korkmaz F D, Turacli I D, Esendagli G, Ekmekci A. Effects of thiostrepton alone or in combination with selumetinib on triple-negative breast cancer metastasis. Mol Biol Rep. 2022 Nov;49(11):10387-10397.
[2] Asikaer A, Sun C, Shen Y. Thiostrepton: multifaceted biological activities and its applications in treatment of inflammatory diseases. Inflammopharmacology. 2025 Jan;33(1):183-194.
[3] Huang T H, Wu A T H, Cheng T S, et al. In silico identification of thiostrepton as an inhibitor of cancer stem cell growth and an enhancer for chemotherapy in non-small-cell lung cancer.J Cell Mol Med. 2019 Dec;23(12):8184-8195.
[4] Sengupta A, Rahman M, Lozano S M, Tirado O M, Notario V. 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.
[5] Zhang W F, Gong M Y, Zhang W N, et al. Thiostrepton induces ferroptosis in pancreatic cancer cells through STAT3/GPX4 signalling. Cell Death Dis. 2022 Jul 20;13(7):630.
[6] Zhang X, Cheng L H, Minn K, 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.
[7] Esparza K, Oliveira S D, Castellon M, Minshall R D, Onyuksel H. Thiostrepton-Nanomedicine, a TLR9 Inhibitor, Attenuates Sepsis-Induced Inflammation in Mice. Mediators Inflamm. 2023 Aug 24:2023:4035516.