

Elesclomol

Chemical Properties

CAS No. : 488832-69-5

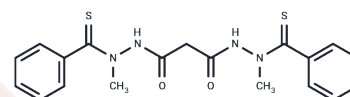
Formula: C₁₉H₂₀N₄O₂S₂

Molecular Weight: 400.52

Appearance: no data available

Storage: store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Elesclomol (STA-4783) is an oxidative stress inducer and a highly lipophilic copper ion carrier. Elesclomol induces apoptosis in tumor cells and is used in copper death related studies. Elesclomol also inhibits FDX1-mediated Fe-S cluster biosynthesis.
Targets(IC50)	Apoptosis,HSP,Reactive Oxygen Species
In vitro	In nude mouse models carrying human breast cancer (MDA435, MCF7, and ZR-75-1), lung cancer (RER), or lymphoma (U937), Elesclomol ([25-100 mg/kg]) alone demonstrated no anticancer activity. However, it significantly enhanced the efficacy of chemotherapeutic agents such as paclitaxel, leading to tumor regression and extended lifespan in mice.
In vivo	Elesclomol exhibits significant inhibitory effects on the viability of SK-MEL-5, MCF-7, and HL-60 cells with IC ₅₀ values of 110, 24, and 9 nM, respectively. It induces the production of copper-dependent reactive oxygen species (ROS) and toxicity in yeast cells not by targeting specific intracellular sites but through interaction with the electron transport chain, which leads to elevated levels of ROS in organelles and consequently, cell death. Furthermore, treatment with 100 nM Elesclomol significantly induces the expression of heat shock response genes and metallothionein genes in Hs294T cells as analyzed through Affymetrix gene chip at 6 hours post-treatment. In Ramos Burkitt's lymphoma B cells treated with 100 nM Elesclomol, Hsp70 RNA levels increase by 4.8-fold and 160-fold after 1 and 6 hours, respectively. Additionally, ROS levels rise by 20% and 385% after 0.5 and 6 hours of treatment, with antioxidant pretreatments like N-acetylcysteine and Tiron inhibiting the induction of Hsp70. Treatment with 200 nM Elesclomol for 18 hours increases early and late apoptosis in HSB2 cells by 3.7 and 11 times, respectively, through the induction of oxidative stress.
Kinase Assay	In vitro enzyme assays for PLK1: Recombinant PLK1 (10 ng) is incubated with different concentrations of Rigosertib in a 15 µL reaction mixture (50 mM HEPES, 10 mM MgCl ₂ , 1 mM EDTA, 2 mM Dithiothreitol, 0.01% NP-40 [pH 7.5]) for 30 min at room temperature. Kinase reactions are performed for 20 min at 30 °C in a volume of 20 µL (15 µL enzyme + inhibitor, 2 µL 1 mM ATP), 2 µL of γ ³² P-ATP (40 µCi), and 1 µL of recombinant Cdc25C (100 ng) or casein (1 µg) substrates. Reactions are terminated by boiling for 2 min in 20 µL of 2× Laemmli buffer. Phosphorylated substrates are separated by 18% SDS-PAGE. The gels are dried and exposed to X-ray film for 3-10 min.

Cell Research	Cells are treated with various concentrations of Elesclomol for 18 or 24 hours. The level of intracellular ROS is monitored using the DCFDA probe, which emits a green fluorescence on oxidation. Cell death is determined by flow cytometry of cells double stained with Annexin V/FITC and propidium iodide (PI) using a Vybrant Apoptosis assay kit.(Only for Reference)
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Solubility Information

Solubility	2% DMSO+40% PEG300+5% Tween 80+ 53% Saline: 5 mg/mL (12.48 mM),Sonication is recommended, DMSO: 250 mg/mL (624.19 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 7.4 mg/mL (18.48 mM),Solution. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4968 mL	12.4838 mL	24.9675 mL
5 mM	0.4994 mL	2.4968 mL	4.9935 mL
10 mM	0.2497 mL	1.2484 mL	2.4968 mL
50 mM	0.0499 mL	0.2497 mL	0.4994 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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