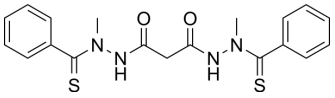
Elesclomol

Cat. No.: HY-12040

CAS No.: 488832-69-5

Molecular Formula: $C_{19}H_{20}N_4O_2S_2$

Molecular Weight: 400.52



Target: Apoptosis; Reactive Oxygen Species; Cuproptosis

Pathway: Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB

Storage:

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

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (249.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4968 mL	12.4838 mL	24.9677 mL
	5 mM	0.4994 mL	2.4968 mL	4.9935 mL
	10 mM	0.2497 mL	1.2484 mL	2.4968 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (12.48 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.24 mM); Clear solution
4. Add each solvent one by one: 0.5% CMC/saline water
   Solubility: 1 mg/mL (2.50 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Elesclomol (STA-4783) is a potent copper ionophore and promotes copper-dependent cell death (cuproptosis). Elesclomol specifically binds ferredoxin 1 (FDX1) α2/α3 helices and β5 strand. Elesclomol inhibits FDX1-mediated Fe-S cluster biosynthesis. Elesclomol is an oxidative stress inducer that induces cancer cell apoptosis. Elesclomol is a reactive oxygen species (ROS) inducer. Elesclomol can be used for Menkes and associated disorders of hereditary copper deficiency research [1][2][3][4].

In Vitro

Elesclomol (STA-4783) binds the FDX1 α2/α3 helices and β5 strand, but does not bind the paralog protein FDX2. Elesclomol-Cu(II) is an FDX1 neo-substrate. FDX1 protein binds and reduces the elesclomol-Cu(II) complex[1].
Elesclomol-Cu (1:1 ratio) (40 nM) for only 2 hours results in a 15- to 60-fold increase in intracellular copper levels that triggered cell death more than 24 hours later in ABC1 cells[1].
The addition of copper to elesclomol at a 1:1 molar ratio prior to treatment significantly reduces cell viability when cells are grown in glycolytic (glucose media) conditions[2].
Elesclomol (200 nM; 18 hours) treatment increases the number of early and late apoptotic cells in HSB2 cells. Elesclomol induces apoptosis in cancer cells through the induction of oxidative stress[3].
Elesclomol significantly inhibits the cell viability of SK-MEL-5, MCF-7, and HL-60 cells with IC_{50} values of 110 nM, 24 nM and 9 nM, respectively[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[3]

Cell Line:	HSB2 cells
Concentration:	200 nM
Incubation Time:	18 hours
Result:	Increased the number of early and late apoptotic cells.

In Vivo

Elesclomol (10 mg/kg; subcutaneous injection; every three days from post-natal day 5 to 26 and once weekly until post-natal day 54) treatment ameliorates severe cardiac pathology with a partial reduction in hypertrophy. Cardiac [Cu] increased with Elesclomol treatment from a vehicle knockout level of 34 to 55%[4].
Elesclomol escorted copper to the mitochondria and increased cytochrome c oxidase levels in the brain. Elesclomol prevents detrimental neurodegenerative changes and improved the survival of the mottled-brindled mouse[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Cardiac Ctr1 knockout mice[4]
Dosage:	10 mg/kg
Administration:	Subcutaneous injection; every three days from post-natal day 5 to 26 and once weekly until post-natal day 54
Result:	Ameliorated severe cardiac pathology with a partial reduction in hypertrophy.

CUSTOMER VALIDATION

- Nat Chem Biol. 2019 Jul;15(7):681-689.
- Cell Rep Med. 2022 Nov 3;100802.
- J Exp Clin Cancer Res. 2023 Jun 6;42(1):142.
- Biomed Pharmacother. 2023 Jan 25;159:114301.
- Cell Biosci. 2022 Dec 29;12(1):209.

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REFERENCES

[1]. Peter Tsvetkov, et al. Copper induces cell death by targeting lipoylated TCA cycle proteins. Science. 2022 Mar 18;375(6586):1254-1261.

[2]. Peter Tsvetkov, et al. Mitochondrial metabolism promotes adaptation to proteotoxic stress. Nat Chem Biol. 2019 Jul;15(7):681-689.

[3]. Kirshner JR, et al. Elesclomol induces cancer cell apoptosis through oxidative stress. Mol Cancer Ther. 2008 Aug;7(8):2319-27.

[4]. Bair JS, et al. Chemistry and biology of deoxynyboquinone, a potent inducer of cancer cell death. J Am Chem Soc. 2010 Apr 21;132(15):5469-7

[5]. Liam M Guthrie, et al. Elesclomol alleviates Menkes pathology and mortality by escorting Cu to cuproenzymes in mice. Science. 2020 May 8;368(6491):620-625.

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