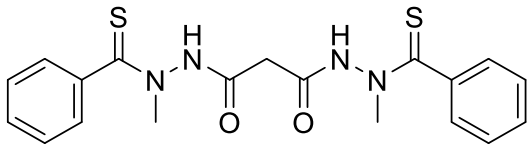
Product data sheet

MedKoo Cat#: 201210 Name: Elesclomol CAS#: 488832-69-5 Chemical Formula: $C_{19}H_{20}N_4O_2S_2$ Exact Mass: 400.10277 Molecular Weight: 400.52	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Elesclomol, also known as STA-4783, is a HSP-90 Inhibitor, and is a small-molecule bis(thio-hydrazide amide) with oxidative stress induction, pro-apoptotic, and potential antineoplastic activities. Elesclomol induces oxidative stress, creating high levels of reactive oxygen species (ROS), such as hydrogen peroxide, in both cancer cells and normal cells. Because tumor cells have elevated levels of ROS compared to normal cells, the increase in oxidative stress beyond baseline levels elevates ROS beyond sustainable levels, exhausting tumor cell antioxidant capacity, which may result in the induction of the mitochondrial apoptosis pathway.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20	49.9

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.50 mL	12.48 mL	24.97 mL
5 mM	0.50 mL	2.50 mL	4.99 mL
10 mM	0.25 mL	1.25 mL	2.50 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Feng Y, Wu JJ, Sun ZL, Liu SY, Zou ML, Yuan ZD, Yu S, Lv GZ, Yuan FL. Targeted apoptosis of myofibroblasts by elesclomol inhibits hypertrophic scar formation. EBioMedicine. 2020 Apr;54:102715. doi: 10.1016/j.ebiom.2020.102715. Epub 2020 Apr 3. PMID: 32251998; PMCID: PMC7132150.

2. Guthrie LM, Soma S, Yuan S, Silva A, Zulkifli M, Snavely TC, Greene HF, Nunez E, Lynch B, De Ville C, Shanbhag V, Lopez FR, Acharya A, Petris MJ, Kim BE, Gohil VM, Sacchettini JC. Elesclomol alleviates Menkes pathology and mortality by escorting Cu to cuproenzymes in mice. Science. 2020 May 8;368(6491):620-625. doi: 10.1126/science.aaz8899. PMID: 32381719; PMCID: PMC7304446.

In vivo study

1. Feng Y, Wu JJ, Sun ZL, Liu SY, Zou ML, Yuan ZD, Yu S, Lv GZ, Yuan FL. Targeted apoptosis of myofibroblasts by elesclomol inhibits hypertrophic scar formation. EBioMedicine. 2020 Apr;54:102715. doi: 10.1016/j.ebiom.2020.102715. Epub 2020 Apr 3. PMID: 32251998; PMCID: PMC7132150.

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2. Guthrie LM, Soma S, Yuan S, Silva A, Zulkifli M, Snavely TC, Greene HF, Nunez E, Lynch B, De Ville C, Shanbhag V, Lopez FR, Acharya A, Petris MJ, Kim BE, Gohil VM, Sacchettini JC. Elesclomol alleviates Menkes pathology and mortality by escorting Cu to cuproenzymes in mice. Science. 2020 May 8;368(6491):620-625. doi: 10.1126/science.aaz8899. PMID: 32381719; PMCID: PMC7304446.

7. Bioactivity

Biological target:

Elesclomol (STA-4783) is a novel potent oxidative stress inducer that elicits pro-apoptosis events among tumor cells.

In vitro activity

Treatment of cancer cells in vitro with elesclomol resulted in the rapid generation of reactive oxygen species (ROS) and the induction of a transcriptional gene profile characteristic of an oxidative stress response. Inhibition of oxidative stress by the antioxidant N-acetylcysteine blocked the induction of gene transcription by elesclomol. In addition, N-acetylcysteine blocked drug-induced apoptosis, indicating that ROS generation is the primary mechanism responsible for the proapoptotic activity of elesclomol. Excessive ROS production and elevated levels of oxidative stress are critical biochemical alterations that contribute to cancer cell growth. Thus, the induction of oxidative stress by elesclomol exploits this unique characteristic of cancer cells by increasing ROS levels beyond a threshold that triggers cell death.

Reference: Mol Cancer Ther. 2008 Aug;7(8):2319-27. http://mct.aacrjournals.org/cgi/pmidlookup?view=long&pmid=18723479

In vivo activity

To investigate the impact of elesclomol on HS formation, the rabbit ear HS model was established. We created 6 full-thickness circular wounds on the ventral surface of each ear (Fig. 4A). The wounds were observed on days 5 to 7, and scar hyperplasia peaked after approximately 21 days. As shown in Fig. 4C, the wound areas on the rabbit ears in the no-treatment and vehicle groups consisted of prominent skin tissue with a tough texture, light red bulges, and hard areas. In contrast, the wound areas in the elesclomol group (0.2 mg elesclomol per wound area) appeared flatter and softer with a near-normal color. HE-stained sections of rabbit ear tissue collected 35 days after wounding showed numerous fibroblasts in the blank control and vehicle groups, along with abundant fibroblast proliferation and coarsely arranged collagen fibers (Fig. 4D). In contrast, the tissues from the elesclomol group were flatter and had a significantly smaller cross-sectional wound area. These findings were consistent with the overall wound appearance (Fig. 4C). In addition, Masson's trichrome staining was performed, which stained the collagen fibers blue, and the cells interspersed between the collagen fibers light gray. Masson stained images showed that, in the elesclomol group, the collagen fibers were arranged regularly and loosely, while in the blank-control and vehicle groups, the fibers were disordered, dense, and even formed spiral-like tangles (Fig. 4E). Analysis of the Masson-stained images showed that elesclomol treatment reduced the SEI (Fig. 4F), which is considered to be the most objective criterion for assessing scar formation.

Reference: EBioMedicine. 2020 Apr;54:102715. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/32251998/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.