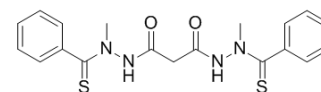


Elesclomol

Cat. No.:	HY-12040		
CAS No.:	488832-69-5		
Molecular Formula:	C ₁₉ H ₂₀ N ₄ O ₂ S ₂		
Molecular Weight:	400.52		
Target:	Apoptosis		
Pathway:	Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 22 mg/mL (54.93 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

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

In Vivo

- 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
- 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

BIOLOGICAL ACTIVITY

Description

Elesclomol is an oxidative stress inducer that induces cancer cell apoptosis.

In Vitro

Elesclomol significantly induces the expression of heat shock stress response genes and metallothionein genes, a signature transcription profile indicative of oxidative stress in Hs294T cells. Elesclomol (100 nM) rapidly induces Hsp70 RNA levels with a 4.8-fold increase at 1 hour and a 160-fold increase at 6 hours in Ramos Burkitt's lymphoma B cells in consistent with the intracellular ROS content which increases by 20% as early as 0.5 hour and 385% at 6 hours, and the induction of Hsp70 can be blocked by antioxidants N-acetylcysteine (NAC) and Tiron pretreatment. Elesclomol increases the number of early and late apoptotic cells with 3.7- and 11-fold through the induction of oxidative stress, which can be completely blocked by NAC, while having little effect on normal cells^[1]. Elesclomol significantly inhibits the cell viability of SK-MEL-5, MCF-7, and HL-60

with IC₅₀ of 110 nM, 24 nM and 9 nM, respectively^[2]. Elesclomol induces copper-dependent ROS generation and cytotoxicity in yeast. Instead of working through a specific cellular protein target, elesclomol interacts with the electron transport chain (ETC), a biologically coherent set of processes occurring in the mitochondrion, to generate high levels of ROS within the organelle and consequently cell death^[3].

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

In Vivo

Although elesclomol (25-100 mg/kg) shows no antitumor activity in nude mouse xenograft models of human breast cancers (MDA435, MCF7 and ZR-75-1), lung cancer (RER) or lymphoma (U937). Elesclomol substantially enhances the efficacy of chemotherapeutic agents such as paclitaxel in these models, both in terms of tumor regression and extended survival of mice^[4].

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

PROTOCOL

Cell Assay ^[3]

For viability assays, HBL and HBL-p0 cells are incubated with 300 nM elesclomol-Cu or Cu for 24 h. Cell death is determined using propidium iodide (5 µg/mL in PBS) staining and FACS analysis. Inner mitochondrial transmembrane potential is measured by incubating cells (25×10⁴/mL) with 40 nM DIOC₆(3) for 15 minutes at 37°C immediately prior to flow cytometry. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Chem Biol. 2019 Jul;15(7):681-689.
- Biomolecules. 2019 Jul 24;9(8):298.
- bioRxiv. March 24, 2018.
- Patent. US9808434B2.
- Patent. US20160354327A1.

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REFERENCES

- [1]. Kirshner JR, et al. Elesclomol induces cancer cell apoptosis through oxidative stress. Mol Cancer Ther. 2008 Aug;7(8):2319-27.
- [2]. Bair JS, et al. Chemistry and biology of deoxyxyboquinone, a potent inducer of cancer cell death. J Am Chem Soc. 2010 Apr 21;132(15):5469-7
- [3]. Blackman RK, et al. Mitochondrial electron transport is the cellular target of the oncology drug elesclomol. PLoS One. 2012;7(1):e29798.
- [4]. Gehrman M. Drug evaluation: STA-4783--enhancing taxane efficacy by induction of Hsp70. Curr Opin Investig Drugs. 2006 Jun;7(6):574-80.

Caution: Product has not been fully validated for medical applications. For research use only.

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