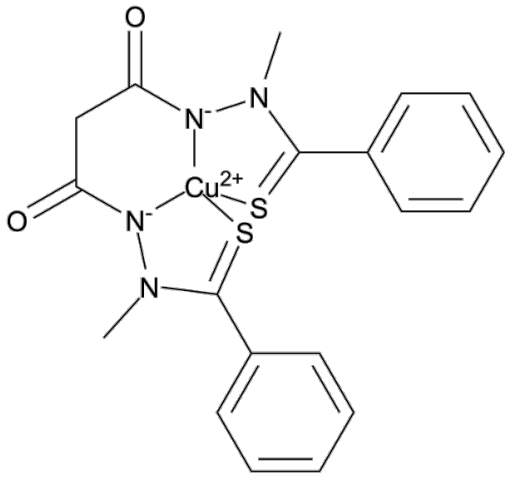
Product Data Sheet

Product Name: Cu(II)-Elesclomol
Cat. No.: GC73634

Chemical Properties

Cas. No.	1224195-72-5		
Formula	$C_{19}H_{18}CuN_4O_2S_2$	M.Wt	462.05
Solubility	DMSO : 6.67 mg/mL (14.44 mM; ultrasonic and warming and heat to 80°C)	Storage	-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 K562 cells

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Preparation Method	The K562 cells were seeded into 96-well plates at a density of $5x10^4$ cells/mL.The K562 cells were treated with TM just prior to the addition of either Cu(II)-Elesclomoll. Cell growth inhibition was evaluated by an MTS assay after 72h. The curved lines were calculated from non-linear least squares fits to 4-parameter logistic equations to yield IC_{50} values.
Reaction Conditions	0, 0.001, 0.01, 0.1, 1, 10, 100, 1000μM;72 hours
Applications	The results that showed that the copper chelators TM and TRIEN greatly reduced the growth inhibitory effects of elesclomol and Cu(II)-Elesclomol strongly suggests that copper present in the medium has a role in the K562 cell growth inhibitory effects of elesclomol and Cu(II)-Elesclomol.

Cell experiment [2]:

Cell lines	CHO cells
Preparation Method	CHO cells were seeded into 96-well plates at a density of $2x10^4$ cells/mL.CHO cells that had been synchronized in G0/G1 through serum starvation were repleted with serum and were treated with 50nM Cu(II)-Elesclomol , elesclomol, or the DMSO vehicle control directly after repletion and allowed to grow for the times indicated, after which they were subjected to cell cycle analysis of their propidium iodide-stained DNA.
Reaction Conditions	50nM;30min

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Applications	Cu(II)-Elesclomol causes G1 phase arrest in CHO cells, resulting in rapid cessation of cell growth, induction of apoptosis, and may also partially inhibit cell growth through its ability to destroy DNA.

References:
[1]Hasinoff BB, Yadav AA, Patel D, Wu X. The cytotoxicity of the anticancer drug elesclomol is due to oxidative stress indirectly mediated through its complex with Cu(II). J Inorg Biochem. 2014 Aug;137:22-30.
[2]Fleischmann R, Pangan AL, Song IH, Mysler E, Bessette L, Peterfy C, Durez P, Ostor AJ, Li Y, Zhou Y, Othman AA, Genovese MC. Upadacitinib Versus Placebo or Adalimumab in Patients With Rheumatoid Arthritis and an Inadequate Response to Methotrexate: Results of a Phase III, Double-Blind, Randomized Controlled Trial. Arthritis Rheumatol. 2019 Nov;71(11):1788-1800.

Background

Cu (II) -Elesclomol is a 1:1 chelate formed by Elesclomol and Cu (II) ions, which can induce copper death and has anti-cancer activity[1]. Elesclomol is a highly novel anti-cancer drug that has completed Phase 3 clinical trials for patients with advanced melanoma[2]. Both Elesclomol and Cu (II) -elesclomol are highly effective in vitro and usually inhibit the growth of cancer cells at low concentrations[3]. Cu (II) -Elesclomol inhibits the growth of cancer cells by inducing Cu^{2+} -mediated apoptosis and oxidative stress[1,2].

In vitro, K562 cells were treated with Cu (II) -Elesclomol (0.04, 0.2, 1, 5μM) for 72 hours to induce intracellular H_2O_2 production, increase intracellular reactive oxygen species levels, and cause oxidative stress in the cells. The IC_{50} of Cu (II) -Elesclomol on K562 cells was 6.2nM [1,4]. CHO cells were treated with Cu (II) -Elesclomol (50nM) for 30 minutes to induce G1 phase arrest of CHO cells, resulting in rapid cessation of cell growth and induction of cell apoptosis[5].

References:
[1]Hasinoff BB, Yadav AA, Patel D, Wu X. The cytotoxicity of the anticancer drug elesclomol is due to oxidative stress indirectly mediated through its complex with Cu(II). J Inorg Biochem. 2014 Aug;137:22-30.

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[2] Nagai M, Vo NH, Shin Ogawa L, Chimmanamada D, Inoue T, Chu J, Beaudette-Zlatanova BC, Lu R, Blackman RK, Barsoum J, Koya K, Wada Y. The oncology drug elesclomol selectively transports copper to the mitochondria to induce oxidative stress in cancer cells. Free Radic Biol Med. 2012 May 15;52(10):2142-50.
[3]Hasinoff BB, Yadav AA, Patel D, Wu X. The cytotoxicity of the anticancer drug elesclomol is due to oxidative stress indirectly mediated through its complex with Cu(II). J Inorg Biochem. 2014 Aug;137:22-30.Nov;71(11):1788-1800.
[4]Wu L, Zhou L, Liu DQ, Vogt FG, Kord AS. LC-MS/MS and density functional theory study of copper(II) and nickel(II) chelating complexes of elesclomol (a novel anticancer agent). J Pharm Biomed Anal. 2011 Jan 25;54(2):331-6.
[5]Fleischmann R, Pangan AL, Song IH, Mysler E, Bessette L, Peterfy C, Durez P, Ostor AJ, Li Y, Zhou Y, Othman AA, Genovese MC. Upadacitinib Versus Placebo or Adalimumab in Patients With Rheumatoid Arthritis and an Inadequate Response to Methotrexate: Results of a Phase III, Double-Blind, Randomized Controlled Trial. Arthritis Rheumatol. 2019 Nov;71(11):1788-1800.

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