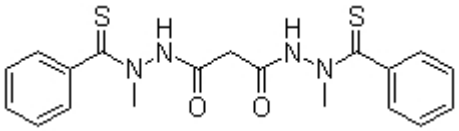
Product Introduction

Elesclomol (STA-4783)

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

Technical Data:

Molecular Weight (MW):	400.5	
Formula:	$C_{19}H_{20}N_4O_2S_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 80 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	488832-69-5	

Biological Activity

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 cytoxicity 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]

Although Elesclomol (25-100 mg/kg) as a single agent 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]

References

[1] Kirshner JR, et al. Mol Cancer Ther, 2008, 7(8), 2319-2327.

[2] Bair JS, et al. J Am Chem Soc, 2010, 132(15, 5469-5478.

[3] Blackman RK, et al. PLoS One, 2012, 7(1), e29798.

[4] Gehrmann M. Curr Opin Investig Drugs, 2006, 7(6), 574-580.

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