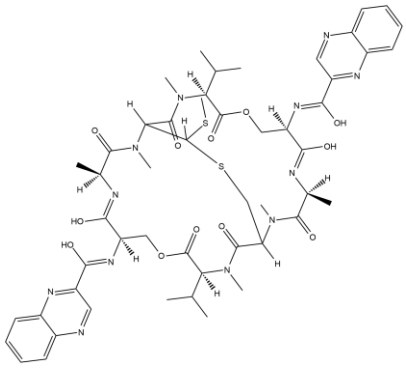
Product Data Sheet

Product Name: Echinomycin
Cat. No.: GC18236
Chemical Name:

CHEMICAL PROPERTIES

Cas No:	512-64-1		
Molecular Formula:	$C_{51}H_{64}N_{12}O_{12}S_2$		
Molecular Weight:	1101.3		
Solubility	Soluble in DMSO & methanol		
Storage:	Powder	-80°C	2 years
		-20°C	1 year
	In solvent	-80°C	6 months
		-20°C	1 month

Chemical Structure:



Background

Hypoxia-inducible factor-1 (HIF-1) is a transcription factor that controls genes involved in glycolysis, angiogenesis, migration, and invasion. Echinomycin is a cell-permeable inhibitor of HIF-1-mediated gene transcription.[1][2] It acts by intercalating into DNA in a sequence-specific manner, blocking the binding of either HIF-1α or HIF-1β to the hypoxia-responsive element.[1][2][3] Echinomycin reversibly inhibits hypoxia-induced HIF-1 transcription activity in U215 cells with an EC50 value of 1.2 nM. It inhibits hypoxia-induced expression of vascular endothelial growth factor, blocking angiogenesis and altering excitatory synaptic transmission in hippocampal neurons.[2][4] Echinomycin also impairs expression of survivin, enhancing the sensitivity of multiple myeloma cells to melphalan.[5]

Reference:

[1]. Kong, D., Park, E.J., Stephen, A.G., et al. Echinomycin, a small-molecule inhibitor of hypoxia-inducible factor-1 DNA-binding activity Cancer Research 65(19), 9047-9055 (2005).
[2]. Nickols, N.G., Jacobs, C.S., Farkas, M.E., et al. Modulating hypoxia-inducible transcription by disrupting the HIF-1-DNA interface ACS Chemical Biology 2(8), 561-571 (2007).
[3]. Ward, D.C., Reich, E., and Goldberg, I.H. Base specificity in the interaction of polynucleotides with antibiotic drugs Science 149(3689), 1259-1263 (1965).
[4]. Huang, Y.F., Yang, C.H., Huang, C.C., et al. Pharmacological and genetic accumulation of hypoxia-inducible factor-1α enhances excitatory synaptic transmission in hippocampal neurons through the production of vascular endothelial growth factor J. Neurosci. 30(17), 6080-6093 (2010).
[5]. Hu, Y., Kirito, K., Yoshida, K., et al. Inhibition of hypoxia-inducible factor-1 function enhances the sensitivity of multiple myeloma cells to melphalan Mol. Cancer Ther. 8(8), 2329-2338 (2009).