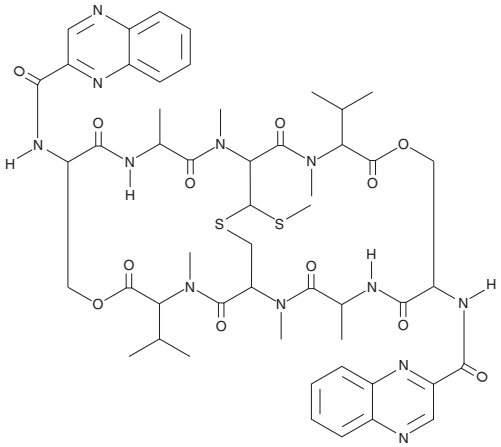
PRODUCT INFORMATION

Echinomycin

Item No. 11049

CAS Registry No.:	512-64-1
Formal Name:	N-(2-quinoxalinylcarbonyl)-O-[N-(2-quinoxalinylcarbonyl)-D-seryl-L-alanyl-3-mercapto-N,S-dimethylcysteinyl-N-methyl-L-valyl]-D-seryl-L-alanyl-N-methylcysteinyl-N-methyl-(8→1)-lactone, cyclic (3→7)-thioether-L-valine
Synonyms:	Antibiotic A 654I, NSC 13502, NSC 526417, Quinomycin A, SK 302B
MF:	$C_{51}H_{64}N_{12}O_{12}S_2$
FW:	1,101.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 244 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Echinomycin is supplied as a crystalline solid. A stock solution may be made by dissolving the echinomycin in the solvent of choice, which should be purged with an inert gas. Echinomycin is soluble in DMSO and methanol.

Description

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.[1-3] Echinomycin reversibly inhibits hypoxia-induced HIF-1 transcription activity in U215 cells with an EC_{50} value of 1.2 nM.[1] 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]

References

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).

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