

# PRODUCT INFORMATION



## Echinomycin

Item No. 11049

**CAS Registry No.:** 512-64-1  
**Formal Name:** N-(2-quinoxalinylicarbonyl)-O-[N-(2-quinoxalinylicarbonyl)-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<sub>51</sub>H<sub>64</sub>N<sub>12</sub>O<sub>12</sub>S<sub>2</sub>

**FW:** 1,101.3

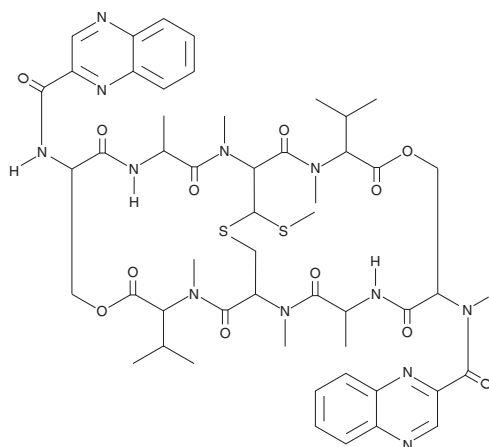
**Purity:** ≥95%

**UV/Vis.:** λ<sub>max</sub>: 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.<sup>1,2</sup> 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.<sup>1-3</sup> Echinomycin reversibly inhibits hypoxia-induced HIF-1 transcription activity in U215 cells with an EC<sub>50</sub> value of 1.2 nM.<sup>1</sup> It inhibits hypoxia-induced expression of vascular endothelial growth factor, blocking angiogenesis and altering excitatory synaptic transmission in hippocampal neurons.<sup>2,4</sup> Echinomycin also impairs expression of survivin, enhancing the sensitivity of multiple myeloma cells to melphalan.<sup>5</sup>

### 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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM