



SIGMA-ALDRICH

3050 Spruce Street
Saint Louis, Missouri 63103 USA
Telephone 800-325-5832 • (314) 771-5765
Fax (314) 286-7828
email: techserv@sial.com
sigma-aldrich.com

Product Information

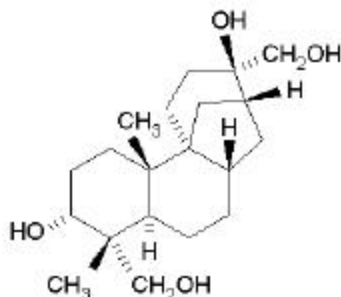
Aphidicolin from *Nigrospora sphaerica*

Product Number **A0781**

Storage Temperature 2-8 °C

CAS #: 38966-21-1

Synonyms: ICI 69653, NSC-234714



Molecular formula: C₂₀H₃₄O₄

Molecular weight: 338.48

Melting point: 227-233 °C¹

[α]_D²⁷: +12° (c = 1 in methanol)^{1,2}

Product Description

Aphidicolin is a tetracyclic diterpene with antiviral and antimetabolic properties that is produced by culturing *Nigrospora sphaerica*. It is also produced from *Cephalosporium aphidicola*.^{3,4}

Aphidicolin inhibits the growth of eukaryotic cells and the growth of certain animal viruses. It has no effect on the growth of prokaryotic cells. It specifically inhibits DNA Polymerase α, but not β or γ, responsible for DNA replication.^{5,6,7} RNA and protein synthesis are unaffected.⁸ It also inhibits α-like DNA polymerases of plants and yeasts.⁹

The mode of inhibition of DNA polymerase α activity by this mycotoxin is due to competition with dCTP, while it is noncompetitive with respect to the other dNTP's. Aphidicolin competes for the dCTP-specific binding site on the enzyme. This binding site may be a hydrophobic

region of the enzyme because aphidicolin is a nonpolar compound. The dissociation constant for the aphidicolin-DNA Polymerase α complex is 0.04-0.07 μM.^{6,10}

In a study of cell proliferation in Ehrlich ascites tumour cells, inhibition of DNA synthesis was accomplished using aphidicolin at a concentration of 0.02-2 μg/ml.⁸ It has been used, at a final concentration of 100 μg/ml, to study the temporal regulation of origin firing in *Xenopus* egg extracts.¹¹ It has also been identified in *in vitro* tests as a novel drug with antiparasitic potential¹² and as an inducer of endoreduplication in cultured tobacco cells.¹³

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

Aphidicolin is freely soluble in methanol. It is also soluble at 10 mg/mL in DMSO¹⁴ and at 1 mg/mL in ethanol. Sigma routinely tests the solubility at 10 mg/mL in methanol yielding a clear, colorless solution. For tissue culture applications, a stock solution prepared in DMSO is preferred. It is poorly soluble in water.

Storage/Stability

Store desiccated and protected from light at 2-8 °C. Under these conditions the product is stable for 3 years. A solution of aphidicolin in ethanol is stable for at least a week when stored at 4 °C. A solution in DMSO is stable for at least 6 weeks when stored at -20 °C.

References

1. Dictionary of Organic Compounds, 5th ed., Vol. I, 416 (1982).
2. Merck Index, 12th ed., No. 769 (1996).
3. Starratt, A.N. and Loschiavo, S.R., Can. J. Microbiol., **20**, 416-417 (1974).

4. Moyer, B.A. and Meyer, T.J., J. Amer. Chem. Soc., **101**, 1328-1330 (1979).
5. Seki, S., et al., Biochim. Biophys. Acta, **610**, 413-420 (1980).
6. Oguro, M., et al., Eur. J. Biochem., **97**, 603-607 (1979).
7. Spadari, S., et al., Trends in Biochem. Sci., **7**, 29-31 (1982).
8. Iliakis, G., et al., Int. J. Radiat. Biol. Relat. Stud. Phys. Chem. Med., **42**, 417-434 (1982).
9. Huberman, J.A., Cell, **23**, 647-648 (1981).
10. Zabel, H., et al., Eur. J. Biochem., **165**, 171-175 (1987).
11. Marheineke, K. and Hyrien, O., J. Biol. Chem., **276**, 17092-100 (2001).
12. Kayser, O., et al., Antimicrob. Agents Chemother., **45**, 288-92 (2001).
13. Quelo, A.H., et al., J. Exp. Bot., **53**, 669-75 (2002).
14. Dubel, S. and Schaller, H.C., J. Cell. Biol., **110**, 939-45 (1990).

NDH/PHC 12/04

Sigma brand products are sold through Sigma-Aldrich, Inc.

Sigma-Aldrich, Inc. warrants that its products conform to the information contained in this and other Sigma-Aldrich publications. Purchaser must determine the suitability of the product(s) for their particular use. Additional terms and conditions may apply. Please see reverse side of the invoice or packing slip.