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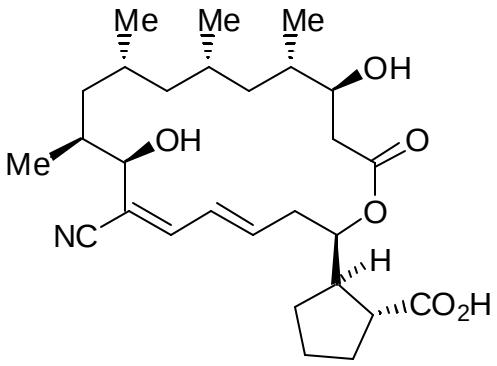
ProductInformation

Borrelidin
from *Streptomyces parvulus*

Catalog Number **B3061**
Store at –20 °C

CAS RN 7184-60-3

Synonyms: Borrelidine; 2-(7-cyano-8,16-dihydroxy-9,11,13,15-tetramethyl-18-oxooxacyclooctadeca-4,6-dien-2-yl)-cyclopentanecarboxylic acid; NSC 216128; Treponemycin



Product Description

Molecular Formula: $C_{28}H_{43}NO_6$
Molecular Weight: 489.64

Borrelidin, an 18-membered macrolide-polyketide, is a compound with anti-viral, anti-bacterial, anti-malarial and anti-angiogenic properties.[1-3] It is a known inhibitor of bacterial and eukaryal threonyl-tRNA synthetases.[2] Borrelidin induces apoptosis in endothelial cells via the caspase-3 and Caspase-8 pathway.[4] In addition, borrelidin strongly inhibits capillary tube formation and also disrupts formed capillary tubes by inducing apoptosis of the tube-forming cells in a rat aorta matrix culture model.[5]

In *S.cerevisiae,* borrelidin was found to inhibit the cyclin-dependent kinase Cdc28/Cln2 with an IC_{50} = 24 µM.[6] This causes the arrest of both haploid and diploid cells in G1 phase[6] and induces the transcription of amino acid biosynthetic enzymes through a *GCN4*-dependent pathway.[7]

Reagent

Purity: > 98% by HPLC

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.

Storage/Stability

Store desiccated at –20 °C. Under these conditions, the product is stable for 2 years. A DMSO solution is stable at 2-8 °C for two weeks, as determined by HPLC.

Preparation Instructions

Soluble in methanol and DMSO at 1 mg/mL.

References

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2. Ruan, B., et al., A unique hydrophobic cluster near the active site contributes to differences in Borrelidin inhibition among Threonyl-tRNA synthetases. *J. Biol. Chem.*, **280**, 571-577 (2005).
3. Otoguro, K., et al., *In vitro* and *in vivo* antimalarial activities of a non-glycosidic 18-membered macrolide antibiotic, borrelidin, against drug-resistant strains of Plasmodia. *J. Antibiot.*, **56**, 727-729 (2004).

4. Kawamura, T., et al., Anti-angiogenesis effects of borrelidin are mediated through distinct pathways: threonyl-tRNA synthetase and caspases are independently involved in suppression of proliferation and induction of apoptosis in endothelial cells. *J. Antibiot*., **56**, 709-715 (2003).
5. Wakabayashi, T., et al., Borrelidin is an angiogenesis inhibitor; disruption of angiogenic capillary vessels in a rat aorta matrix culture model. *J. Antibiot*., **50**, 671-676 (2003).
6. Tsuchiya, E., et al., Borrelidin inhibits a cyclin-dependent kinase (CDK), Cdc28/Cln2, of *Saccharomyces cerevisiae*. *J. Antibiot*., **54**, 84-90 (2001).
7. Eastwood, E.L., et al., Borrelidin induces the transcription of amino acid biosynthetic enzymes via a *GCN-4* dependent pathway. Bioorg. Med. Chem. Lett., **13**, 2235-2237 (2003).

ES,KAA,PHC 03/06-1