

# PRODUCT INFORMATION



## Borrelidin

Item No. 14436

**CAS Registry No.:** 7184-60-3  
**Formal Name:** (1R)-2R-[7-cyano-8R,16S-dihydroxy-9S,11R,13S,15S-tetramethyl-18-oxooxacyclooctadeca-4E,6Z-dien-2S-yl]-cyclopentanecarboxylic acid

**Synonyms:** NSC 216128, Treponemycin

**MF:** C<sub>28</sub>H<sub>43</sub>NO<sub>6</sub>

**FW:** 489.6

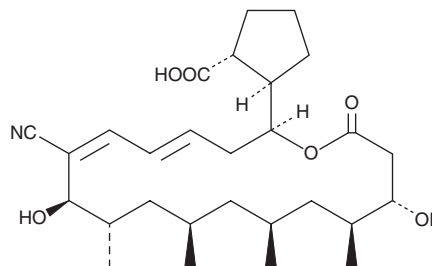
**Purity:** ≥98%

**Supplied as:** A powder

**Storage:** -20°C

**Stability:** ≥4 years

**Item Origin:** Bacterium/*Streptomyces* sp.



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

### Laboratory Procedures

Borrelidin is supplied as a powder. A stock solution may be made by dissolving the borrelidin in the solvent of choice. Borrelidin is soluble in organic solvents such as chloroform, DMSO, and methanol, which should be purged with an inert gas.

### Description

Borrelidin is a secondary metabolite produced by *Streptomyces* and other bacteria. It displays potent antiangiogenic activity, preventing tube formation in rat aorta explants (IC<sub>50</sub> = 0.8 nM) and inducing apoptosis in endothelial cells.<sup>1,2</sup> Borrelidin also alters the splicing of VEGF mRNA, producing an antiangiogenic isoform of the growth factor.<sup>3</sup> It has long been known as a powerful inhibitor of both eukaryotic and bacterial threonyl tRNA synthetase.<sup>4</sup> Borrelidin is also an effective anti-malarial drug, as it kills *P. falciparum* with an IC<sub>50</sub> value of 1.8 nM.<sup>5</sup> At higher doses, it inhibits cyclin-dependent kinase in yeast (IC<sub>50</sub> = 24 μM), resulting in growth arrest in the G<sub>1</sub> phase.<sup>6</sup>

### References

1. Wakabayashi, T., Kageyama, R., Naruse, N., *et al.* Borrelidin is an angiogenesis inhibitor; Disruption of angiogenic capillary vessels in a rat aorta matrix culture model. *J. Antibiot.* **50**(8), 671-676 (1997).
2. Kawamura, T., Liu, D., Towle, M.J., *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**(8), 709-715 (2003).
3. Woolard, J., Vousden, W., Moss, S.J., *et al.* Borrelidin modulates the alternative splicing of VEGF in favour of anti-angiogenic isoforms. *Chem. Sci.* **2011**(2), 273-278 (2011).
4. Paetz, W. and Nass, G. Biochemical and immunological characterization of threonyl-tRNA synthetase of two borrelidin-resistant mutants of *Escherichia coli* K12. *Eur. J. Biochem.* **35**(2), 331-337 (1973).
5. Otoguro, K., Ui, H., Ishiyama, A., *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**(8), 727-729 (2003).
6. Tsuchiya, E., Yukawa, M., Miyakawa, T., *et al.* Borrelidin inhibits a cyclin-dependent kinase (CDK), Cdc28/Cln2, of *Saccharomyces cerevisiae*. *J. Antibiot.* **54**(1), 84-90 (2001).

#### 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.

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