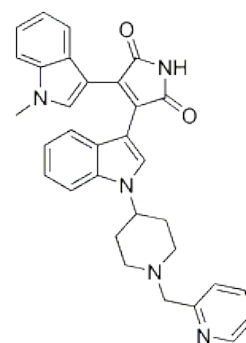




MS-275 (Entinostat) Kinase Inhibitor

E1KS1053

Kinase Inhibitor Name:MS-275 (Entinostat)**Catalog Number:** E1KS1053**Quantity:** 25 mg**M.W.:** 515.61**Formula:** C₃₂H₂₉N₅O₂**Solubility:** DMSO ≥50mg/mL Water <1mg/mL Ethanol <1mg/mL**Purity:** >99%**Storage:** at -20°C 2 years**CAS No.:** 170364-57-5

Biological Activity

Enzastaurin induced marked dose-dependent growth inhibition in all MM cell lines investigated including MM.1S, MM.1R, RPMI 8226 (RPMI), RPMI-Dox40 (Dox40), NCI-H929, KMS-11, OPM-2, and U266. IC₅₀ ranged from 0.6 to 1.6 μM. [1]

Enzastaurin has a direct effect on human tumor cells, inducing apoptosis and suppressing the proliferation of cultured tumor cells. Enzastaurin treatment also suppresses the phosphorylation of GSK3βser9, ribosomal protein S6S240/244, and AKTThr308. [2]

Enz inhibited PKCβ and radiosensitized HDMEC with an enhancement ratio of 1.31 ± 0.05. Enz combined with RT reduced HDMEC capillary sprouting to a greater extent than either agent alone. Enz prevented radiation-induced GSK3β phosphorylation of serine 9 while having no direct effect on VEGFR phosphorylation. Treatment of xenografts with Enz and radiation produced greater reductions in microvessel density than either treatment alone. The reduction in microvessel density corresponded with increased tumor growth delay. [3]

References

The Protein Kinase Cβ—Selective Inhibitor, Enzastaurin (LY317615.HCl), Suppresses Signaling through the AKT Pathway, Induces Apoptosis, and Suppresses Growth of Ann M. McNulty, Kimberly Ross Hanna, et al. Cancer Res 2005;65:7462-7469

Enzastaurin, an inhibitor of PKCβ, Enhances Antiangiogenic Effects and Cytotoxicity of Radiation against Endothelial Cells1,2 Aaron C. Spalding, Benjamin D. Zeitlin, et al. Translational Oncology 2008;1:195-201

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.

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