

PRODUCT INFORMATION



Enzastaurin

Item No. 11601

CAS Registry No.: 170364-57-5
Formal Name: 3-(1-methyl-1H-indol-3-yl)-4-[1-[1-(2-pyridinylmethyl)-4-piperidiny]-1H-indol-3-yl]-1H-pyrrole-2,5-dione

Synonym: LY317615

MF: C₃₂H₂₉N₅O₂

FW: 515.6

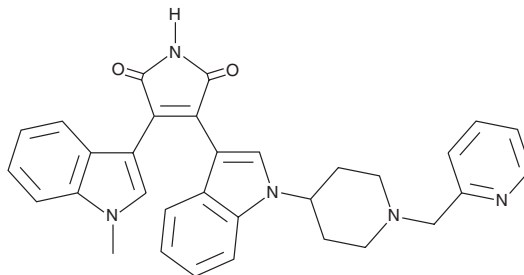
Purity: ≥98%

UV/Vis.: λ_{max}: 285, 377, 467 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

Enzastaurin is supplied as a crystalline solid. A stock solution may be made by dissolving the enzastaurin in the solvent of choice, which should be purged with an inert gas. Enzastaurin is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of enzastaurin in DMSO is approximately 10 mg/ml and approximately 16.6 mg/ml in DMF.

Enzastaurin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, enzastaurin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Enzastaurin has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Enzastaurin is a potent inhibitor of PKCβ (IC₅₀ = 6 nM), with modest selectivity over PKCα, PKCγ, and PKCε (IC₅₀ = 39, 83, and 110 nM, respectively).¹ At 3 μM, enzastaurin also inhibits signaling through the Akt pathway.² It suppresses angiogenesis, induces apoptosis, and reduces proliferation of cultured tumor cells.^{1,2} Because of these effects, enzastaurin has potential antineoplastic activity.³

References

1. Graff, J.R., McNulty, A.M., Hanna, K.R., *et al.* The protein kinase Cβ-selective inhibitor, enzastaurin (LY317615.HCl), suppresses signaling through the AKT pathway, induces apoptosis, and suppresses growth of human colon cancer and glioblastoma xenografts. *Cancer Res.* **65(16)**, 7462-7469 (2005).
2. Querfeld, C., Rizvi, M.A., Kuzel, T.M., *et al.* The selective protein kinase C β inhibitor enzastaurin induces apoptosis in cutaneous T-cell lymphoma cell lines through the AKT pathway. *J. Invest. Dermatol.* **126(7)**, 1641-1647 (2006).
3. Herbst, R.S., Oh, Y., Wagle, A., *et al.* Enzastaurin, a protein kinase Cβ-selective inhibitor, and its potential application as an anticancer agent in lung cancer. *Clin. Cancer Res.* **13(15.2)**, s4641-s4646 (2007).

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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