

## Catalog #10-2132 Enzastaurin

170364-57-5

3-(1-Methyl-1 H-indol-3-yl)-4-[1-[1-(2-pyridinylmethyl)-4-piperidinyl]-1 H-indol-3-yl]-1 H-ind

Potent and selective PKC $\beta$  inhibitor. IC<sub>50</sub> = 6, 39, 83 and 110 nM, for PKC $\beta$ , PKC $\alpha$ , PKC $\gamma$  and PKC $\epsilon$  respectively. Induces apoptosis in multiple myeloma cell lines via inhibition of the AKT signaling pathway. Induces mitotic missegregation and preferential cytotoxicity in colorectal cancer cells with chromosomal instability. Attenuates ampheta-mine-stimulated dopamine efflux. Inhibits blood-brain barrier leakiness in a mouse model.

- 1) Graff et al. (2005), The protein kinase Cbeta-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** 7462
- 2) Rizvi et al. (2006) Enzastaurin (LY317615), a protein kinase  $C\beta$  inhibitor, inhibits the AKT pathway and induces apoptosis in multiple myeloma cell lines; Mol.Cancer Ther. **5** 1783
- 3) Ouaret and Larsen (2014), Protein kinase  $C\beta$  inhibition by enzastaurin leads to mitotic missegregation and preferential cytotoxicity toward colorectal cancer cells with chromosomal instability (CIN); Cell Cycle **13** 2697
- 4) Zestos et al. (2016), PKCβ Inhibitors Attenuate Amphetamine-Stimulated Dopamine Efflux; ACS Chem.Neurosci. 7 757
- 5) Stranahan et al. (2016), Blood-brain barrier breakdown promotes macrophage infiltration and cognitive impairment in leptin receptor-deficient mice; J.Cereb.Blood Flow Metab. **36** 2108

## **PHYSICAL DATA**

NMR: (Conforms)

Solubility: DMSO (7 mg/ml with warming)

Physical Description: Orange solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 3 months.