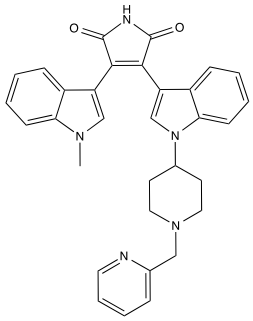
Catalog #10-2132
Enzastaurin

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*-pyrrole-2,5-dione; LY-317615

Lot # X101523



Potent and selective PKCβ inhibitor. IC_{50} = 6, 39, 83 and 110 nM, for PKCβ, PKCα, PKCγ and PKCε respectively.[1] Induces apoptosis in multiple myeloma cell lines via inhibition of the AKT signaling pathway.[2] Induces mitotic missegregation and preferential cytotoxicity in colorectal cancer cells with chromosomal instability.[3] Attenuates ampheta-mine-stimulated dopamine efflux.[4] Inhibits blood-brain barrier leakiness in a mouse model.[5]

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 Cβ 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 Cβ 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

Molecular Weight:	515.61
Molecular Formula:	$C_{32}H_{29}N_5O_2$
Purity:	>99% (HPLC)
	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.

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