Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Enzastaurin

Catalog No: tcsc0132

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
170364-57-5

Formula:
$C_{32}H_{29}N_5O_2$

Pathway:
TGF-beta/Smad;Epigenetics;Autophagy

Target:
PKC;PKC;Autophagy

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
LY317615

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Observed Molecular Weight:
515.61

Product Description

Enzastaurin is a potent **PKCβ** selective inhibitor with **IC_{50}** of 6 nM, 6- to 20-fold selectivity against PKCα, PKCγ and PKCε.

IC50 & Target: IC50: 6 nM (PKCβ)

In Vitro: Enzastaurin increases apoptosis in malignant lymphocytes of CTCL. When combined with GSK3 inhibitors, enzastaurin demonstrates an enhancement of cytotoxicity levels. Treatment with a combination of enzastaurin and the GSK3 inhibitor AR-A014418 leads to increased levels of β-catenin total protein and β-catenin-mediated transcription. Blocking of β-catenin-mediated transcription or small hairpin RNA (shRNA) knockdown of β-catenin induces the same cytotoxic effects as that of enzastaurin plus AR-A014418. Additionally, treatment with enzastaurin and AR-A014418 decreases the mRNA levels and surface expression of CD44[1].

Enzastaurin application results in a marked dose-dependent inhibition of growth 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, with IC_{50} from 0.6-1.6 μM. Enzastaurin direct impacts human tumor cells, inducing apoptosis and suppressing proliferation in cultured tumor cells. Enzastaurin also suppresses the phosphorylation of GSK3βser9, ribosomal protein S6S240/244, and AKTThr308 while having no direct effect on VEGFR phosphorylation[3].

In Vivo: Treatment of xenografts with Enzastaurin and radiation produces greater reductions in density of microvessels than either treatment alone. The decrease in microvessel density corresponds to delayed tumor growth[2].