For Research Use Only

Enzastaurin

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Catalog Number: CM06016

产品信息

Catalog Number:
CM06016

CAS号:
170364-57-5

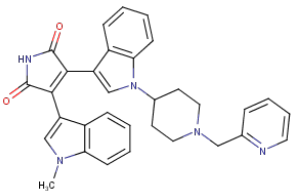
分子式:
$C_{32}H_{29}N_5O_2$

主要靶点:
Apoptosis|PKC|Autophagy

主要通路:
表观遗传|细胞骨架|凋亡|自噬

分子量:
515.61

溶解度:
DMSO:10.3 mg/mL (20 mM)



靶点活性

PKC β :6 nM

体外活性

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 IC50 from 0.6-1.6 μ M. Enzastaurin direct impacts human tumor cells, inducing apoptosis and suppressing proliferation in cultured tumor cells. Enzastaurin also suppresses the phosphorylation of GSK3 β ser9, ribosomal protein S6S240/244, and AKTThr308 while having no direct effect on VEGFR phosphorylation. [1] Enzastaurin increases apoptosis in malignant lymphocytes of CTCL. When combined with GSK3 inhibitors, enzastaurin demonstrated an enhancement of cytotoxicity levels. Treatment with a combination of enzastaurin and the GSK3 inhibitor AR-A014418 led 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 induced the same cytotoxic effects as that of enzastaurin plus AR-A014418. Additionally, treatment with enzastaurin and AR-A014418 decreased the mRNA levels and surface expression of CD44. [2]

体内活性

Treatment of xenografts with Enzastaurin and radiation produced greater reductions in density of microvessels than either treatment alone. The decrease in microvessel density corresponded to delayed tumor growth. [3]

细胞实验

Induction of apoptosis by enzastaurin is measured by nucleosomal fragmentation and terminal deoxynucleotidyl transferase-mediated nick-end labeling (TUNEL) and staining in HCT116 and U87 mg cell lines. Briefly, 5 × 103 cells are plated per well in 96-well plates (1% FBS-supplemented media conditions), incubated with or without Enzastaurin for 48 to 72 hours. The absorbance values are normalized to those from control-treated cells to derive a nucleosomal enrichment factor at all concentrations as per the manufacturer's protocol. The concentrations studied ranges from 0.1 to 10 μM. In situ TUNEL staining is assayed with the In situ Cell Death Detection, Fluorescein kit. Cells (7.5 ×104) are plated per well in 6-well plates and incubated 72 hours in 1% FBS-supplemented media Enzastaurin. Fluorescein-labeled DNA strand breaks are detected with the BD epics flow cytometer. Ten thousand, single-cell, FITC-staining events are collected for each test. (Only for Reference)

描述

Enzastaurin (LY317615) is an effective PKC β selective inhibitor (IC50: 6 nM), 6- to 20-fold selectivity against PKC α / γ / ε .

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years