For Research Use Only

Enzastaurin

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Catalog Number: CM06016

产品信息

Catalog Number:
CM06016

CAS号:
170364-57-5

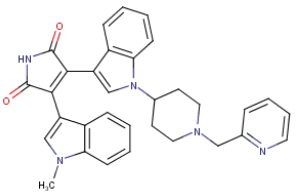
分子式:
$C_{32}H_{29}N_5O_2$

主要靶点:
Apoptosis|PKC|Autophagy

主要通路:
凋亡|表观遗传|细胞骨架|自噬

分子量:
515.6

溶解度:
DMSO:10.3 mg/mL (20 mM)



靶点活性

PKC β :6 nM

体外活性

Enzastaurin 在所有研究的MM细胞系中，包括MM.1S、MM.1R、RPMI 8226 (RPMI)、RPMI-Dox40 (Dox40)、NCI-H929、KMS-11、OPM-2和U266，均显示出显著的剂量依赖性生长抑制作用，IC50范围为0.6-1.6 μ M。Enzastaurin 直接作用于人类肿瘤细胞，诱导凋亡并抑制培养肿瘤细胞的增殖。Enzastaurin 还抑制了GSK3 β ser9、核糖体蛋白S6S240/244和AKTThr308的磷酸化，但对VEGFR磷酸化无直接影响。[1] Enzastaurin 提高了CTCL恶性淋巴细胞的凋亡率。与GSK3抑制剂联合使用时，enzastaurin 显示出提高的细胞毒性水平。使用enzastaurin与GSK3抑制剂AR-A014418的组合处理，增加了 β -catenin总蛋白水平和 β -catenin介导的转录。阻断 β -catenin介导的转录或小发夹RNA (shRNA)敲减 β -catenin产生了与enzastaurin加AR-A014418相同的细胞毒作用。此外，enzastaurin和AR-A014418的治疗降低了CD44的mRNA水平和表面表达。[2]

体内活性

将异种移植瘤用Enzastaurin和放射线联合处理，比单独使用任一治疗能更大幅度降低微血管密度。微血管密度的减少与肿瘤生长延迟相对应。[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)

储存

store at low temperature | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.