

For Research Use Only

Ruboxistaurin hydrochloride



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Catalog Number: CM06020

产品信息

Catalog Number:
CM06020

CAS号:
169939-93-9

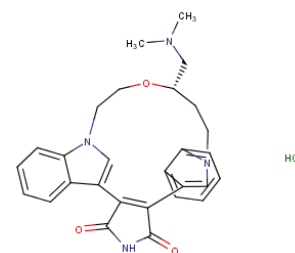
分子式:
 $C_{28}H_{28}N_4O_3 \cdot HCl$

主要靶点:
PKC

主要通路:
表观遗传|细胞骨架

分子量:
505.01

溶解度:
DMSO:10.1 mg/mL (20 mM)



靶点活性

PKC β :1.47 nM|PKC η :0.052 μ M|PKC γ :0.3 μ M|PKC β :2.5.9 nM|PKC δ :0.25 μ M

体外活性

LY333531 strikingly decreases the chance of HUVEC survival and the effect of LY333531 on apoptotic cell death in HUVEC significantly increases compared with the AGEs group. Blockade of PKC-beta up-regulates the expression of Bax and Bad proteins and down-regulates the expression of Bcl-2 protein. Moreover, LY333531 reduces the ratio of Bcl-2/Bax. LY333531 can further prompt AGEs-induced endothelial cells apoptosis. The increased expression of Bax, Bad and decreased expression of Bcl-2 and Bcl-2/Bax ratio are associated with the apoptotic process[3].

体内活性

A significant up-regulation of TGF- β 1, Smad2 and Smad3 mRNA expression was observed in diabetic rats, which was alleviated by administration of ruboxistaurin.

细胞实验

HUVECs are seeded into 96-well plates in low glucose DMEM with 10% FBS for 12 h. Afterwards, HUVECs are starved for 12 h and incubated with BSA (200 μ g/ml), AGEs (200 μ g/ml) and LY333531 (200 nM)+AGEs (200 μ g/ml) for 48 h. Then, the medium is replaced with 0.5 mg/ml MTT and at 37 $^{\circ}$ C in a 95% air/5% CO $_2$ incubator for 4 h. Finally, the medium containing MTT is aspirated and replaced by dimethyl sulphoxide (DMSO). OD is measured with a Microplate spectrophotometer. AGEs:advanced glycation end products.(Only for Reference)

描述

Isozyme-selective inhibitor of protein kinase C (PKC); competitively and reversibly inhibits PKC β I and PKC β II (IC $_{50}$ values are 4.7 and 5.9 nM respectively). Selective for PKC β over other PKC isozymes (IC $_{50}$ values are 0.052, 0.25, 0.30, 0.36, 0.60 and >100 μ M for PKC η , - δ , - γ , - α , - ϵ and - ζ respectively). Exhibits selectivity for PKC over other ATP-dependent kinases, including protein kinase A, casein kinase and src).

储存

Powder: -20 $^{\circ}$ C for 3 years | In solvent: -80 $^{\circ}$ C for 2 years

For technical support and original validation data for this product please contact

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