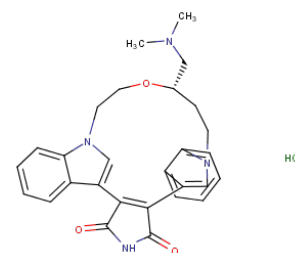


Catalog Number: CM06020

## 产品信息

Catalog Number:  
CM06020CAS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  $\beta$  :4.7 nM|PKC  $\eta$  :0.052  $\mu$  M|PKC  $\gamma$  :0.3  $\mu$  M|PKC  $\beta$  2:5.9 nM|PKC  $\delta$  :0.25  $\mu$  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- $\beta$ 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  $\mu$ g/ml), AGEs (200  $\mu$ g/ml) and LY333531 (200 nM)+AGEs (200  $\mu$ 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<sub>2</sub> 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  $\beta$  I and PKC  $\beta$  II (IC<sub>50</sub> values are 4.7 and 5.9 nM respectively). Selective for PKC  $\beta$  over other PKC isozymes (IC<sub>50</sub> values are 0.052, 0.25, 0.30, 0.36, 0.60 and >100  $\mu$  M for PKC  $\eta$ , - $\delta$ , - $\gamma$ , - $\alpha$ , - $\epsilon$  and - $\zeta$  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 1 year