For Research Use Only

(E)-SIS3

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Catalog Number: CM06464

产品信息

Catalog Number:
CM06464

CAS号:
521984-48-5

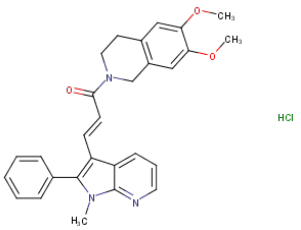
分子式:
$C_{28}H_{27}N_3O_3 \cdot HCl$

主要靶点:
TGF-beta/Smad

主要通路:
干细胞

分子量:
489.99

溶解度:
H2O:<1 mg/mL,Ethanol:23 mg/mL (46.9 mM),DMSO:90 mg/mL (183.7 mM)



靶点活性

Smad3:3 μM.

体外活性

Addition of SIS3 attenuates the effects of TGF-β 1 by reducing the transcriptional activity. SIS3 also inhibits the myofibroblast differentiation of fibroblasts by TGF-β 1. SIS3 completely diminishes the constitutive phosphorylation of Smad3 as well as the up-regulated type I collagen expression in scleroderma fibroblasts, thus abolishes the ECM overexpression in the TGF-β 1-treated normal dermal fibroblasts and scleroderma fibroblasts in vitro[1].

体内活性

SIS3 inhibits Smad3 activation in streptozotocin(STZ)-induced diabetic nephropathy in Tie2-Cre;Loxp-EGFP mice. It also reduces AGE-induced EndoMT and decreases EndoMT in STZ-induced diabetic nephropathy in Tie2-Cre;Loxp-EGFP mice. SIS3 significantly reduces collagen IV and fibronectin expression in the glomeruli and tubulointerstitium of STZ-injected Tie2-Cre;Loxp-EGFP mice, suggesting that SIS3 retards the early development of STZ-induced diabetic glomerulosclerosis and tubulointerstitial fibrosis. However, SIS3 administration does not reduce proteinuria[2].

细胞实验

Normal human dermal fibroblasts are plated at a density of 105 cells/well in six-well culture plates and grown until subconfluence in MEM containing 10% FCS. Cells are quiesced by 24-h incubation in serum-free MEM, followed by incubation in serum-free medium in the presence or absence of SIS3 before the collection of cells for 72 h. Then, the cells are detached from the wells by trypsin treatment and counted using a Coulter counter.(Only for Reference)

描述

(E)-SIS3 (SIS3), a selective Smad3 inhibitor, can attenuate TGF-β 1-dependent Smad3 phosphorylation and DNA binding. It has no effect on p38 MAPK, Smad2, ERK or PI3K signaling. It also inhibits TGF-β 1-induced myofibroblast differentiation of dermal fibroblasts and inhibits TGF-β 2-induced endothelial cell differentiation in iPSCs.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year