For Research Use Only

Staurosporine

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Catalog Number: CM00517

产品信息

Catalog Number:
CM00517

CAS号:
62996-74-1

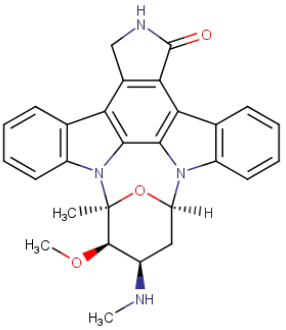
分子式:
$C_{28}H_{26}N_4O_3$

分子量:
466.53

溶解度:
H2O:< 0.1 mg/mL (insoluble),DMSO:31 mg/mL (66.45 mM)

主要靶点:
Apoptosis|Antibacterial|PKC|Antibiotic|Src|Antifungal|PKA

主要通路:
凋亡|表观遗传|蛋白酪氨酸激酶|细胞骨架|微生物学|血管生成



靶点活性

PKC η :4 nM|PKC α :2 nM|PKC δ :20 nM|PKC γ :5 nM|PKC ζ :1086 nM|PKC ε :73 nM

体外活性

Staurosporine, a microbial alkaloid, significantly inhibits protein kinase C from rat brain with IC50 of 2.7 nM. Staurosporine displays strong inhibitory effect against HeLa S3 cells with IC50 of 4 nM. [1] Staurosporine also inhibits a variety of other protein kinases, including PKA, PKG, phosphorylase kinase, S6 kinase, Myosin light chain kinase (MLCK), CAM PKII, cdc2, v-Src, Lyn, c-Fgr, and Syk with IC50 of 15 nM, 18 nM, 3 nM, 5 nM, 21 nM, 20 nM, 9 nM, 6 nM, 20 nM, 2 nM, and 16 nM, respectively. [2] Staurosporine (1 μM) induces >90% apoptosis in PC12 cells. Consistently, Staurosporine treatment induces a rapid and prolonged elevation of intracellular free calcium levels [Ca2+]i, accumulation of mitochondrial reactive oxygen species (ROS), and subsequent mitochondrial dysfunction. [3] The apoptosis of MCF7 cells induced by Staurosporine can be enhanced by the expression of functional caspase-3 via caspase-8 activation and Bid cleavage. [4] Staurosporine treatment at 1 μM only partially inhibits IL-3-stimulated Bcl2 phosphorylation but completely blocks PKC-mediated Bcl2 phosphorylation. [5] Staurosporine induces apoptosis of human foreskin fibroblasts AG-1518, depending on the lysosomal cathepsins D mediated cytochrome c release and caspase activation. [6] In addition to activating the classical mitochondrial apoptosis pathway, Staurosporine triggers a novel intrinsic apoptosis pathway, relying on the activation of caspase-9 in the absence of Apaf-1. [7]

体内活性

In the gerbil and rat ischemia models, Staurosporine pretreatment (0.1-10 ng) before ischemia prevents neuronal damage in a dose-dependent manner, suggesting the involvement of PKC in CAl pyramidal cell death after ischemia. [8]

细胞实验

Cells are exposed to Staurosporine for ~32 hours. Cells are fixed in 4% paraformaldehyde and stained with the DNA-binding dye Hoechst 33342. Cells are visualized under epifluorescence illumination, and the percentage of apoptotic cells (cells with condensed and fragmented DNA) is determined. (Only for Reference)

描述

Staurosporine is a potent PKC inhibitor for PKC α / γ / η (IC50: 2/5/4 nM), less potent to PKC ε (73 nM), PKC δ (20 nM) and little action to PKC ζ (1086 nM).

储存

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