

Catalog Number: CM05058

产品信息

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CM05058

CAS号:
1094614-85-3

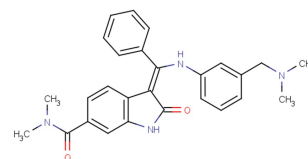
分子式:
C₂₇H₂₈N₄O₂

主要靶点:
MEK|ERK|TGF-beta/Smad

主要通路:
MAPK 信号通路|MAPK 信号通路|干细胞

分子量:
440.54

溶解度:
H₂O:< 1 mg/mL (insoluble or slightly soluble); DMSO:50 mg/mL (113.5 mM); Ethanol:74 mg/mL (168 mM)



靶点活性

MEK5:1.5 nM

体内活性

用BIX02189预处理以剂量依赖性方式抑制山梨醇诱导的HeLa细胞中ERK5的磷酸化,并且对ERK1/2,p38和JNK1/2 MAPKs的磷酸化没有抑制活性。在HeLa或HEK293细胞中仅用BIX02189处理24小时不显示任何细胞毒性作用。BIX02189抑制HeLa和HEK293细胞中MEK5/ERK5/MEF2C驱动的荧光素酶表达,IC₅₀分别为0.53 μM和0.26 μM。BIX02189抑制MEK5和ERK5催化活性,IC₅₀分别为1.5 nM和59 nM。BIX02189抑制CSF1R(FMS),IC₅₀为46 nM,但对相关激酶MEK1,MEK2,ERK1,p38α,JNK2,EGFR和STK16无活性,IC₅₀>3.7 μM。BIX02189增强山梨醇诱导的NRCM细胞凋亡,证实了ERK5在心肌细胞中的保护作用。BIX02189 (10 μM) 抑制ERK5磷酸化,并降低由异丙肾上腺素刺激的新生大鼠心肌细胞(NRCMs)中的肌细胞增强因子2(MEF2)转录活性。

细胞实验

The cells are serum starved for 20 hours prior to stimulation with sorbitol at a final concentration of 0.4 M for 20 minutes at 37 °C. BIX02189 is added 1.5 hours prior to the addition of sorbitol. The cells are harvested and lysed in 50 μL RIPA buffer containing Halt protease and phosphate inhibitors at 4 °C for 5-10 minutes. The lysates are centrifuged for 10 minutes at 14,000 rpm and 50 μL lysate is added to 50 μL 2× sample buffer and boiled for 4 minutes at 95 °C. Twenty microliters sample is run on SDS-PAGE 10% Tris-glycine gels and transferred to nitrocellulose. Western blotting is done with appropriate antibodies. (Only for Reference)

储存

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