Web: www.taiclone.com
Tel: +886-2-2735-9682
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BIX02189

Catalog No: tcsc0215

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1265916-41-3

Formula:
$C_{27}H_{28}N_4O_2$

Pathway:
Stem Cell/Wnt;MAPK/ERK Pathway;MAPK/ERK Pathway

Target:
ERK;ERK;MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 49.4 mg/mL (112.14 mM)

Observed Molecular Weight:
440.54

Product Description

BIX02189 is a potent and selective **MEK5** inhibitor with an **IC_{50}** of 1.5 nM. BIX02189 also inhibits **ERK5** catalytic activity with an **IC_{50}**

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of 59 nM.

IC50 & Target: IC50: 1.5 nM (MEK5), 59 nM (ERK5)[1]

In Vitro: BIX02189 blocks phosphorylation of ERK5, without affecting phosphorylation of ERK1/2 in sorbitol-stimulated HeLa cells. BIX02189 inhibits ERK5 phosphorylation in a dose dependent manner[1]. Fluvastatin reduces advanced glycation endproduct (AGE)-induced vascular smooth muscle cells (VSMCs) proliferation. To confirm this effect, VSMCs are treated with AGEs in the presence or absence of Fluvastatin and then subject to MTT assay. AGEs are found to dose-dependently induce cell proliferation, and this is significantly suppressed by Fluvastatin. In addition to MTT assay, the similar results are got with cell counting. This suppressive effect of Fluvastatin is prevented when VSMCs are pretreated with BIX02189. Whether ERK5 activation can reduce proliferation is also examined by using Ad-CA-MEK5α encoding a constitutively active mutant form of MEK5α (an upstream kinase of ERK5). AGE-induced proliferation determined by both MTT assay and cell counting is significantly diminished in the presence of Ad-CA-MEK5α, and Nrf2 depletion using siRNA restored AGE-induced proliferation[2].

In Vivo: Mice are treated with either 10 mg/kg of BIX02189 (in 25% DMSO) or vehicle control (same volume of 25% DMSO) by intraperitoneal injection. The nuclear localization of Nrf2 is inhibited in aortic endothelial cells from mice treated with BIX02189[3].