Web: www.taiclone.com
Tel: +886-2-2735-9682
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BIX02188

Catalog No: tcsc0214

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
334949-59-6

Formula:
$C_{25}H_{24}N_4O_2$

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

Target:
ERK;ERK;MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 45 mg/mL (109.10 mM)

Observed Molecular Weight:
412.48

Product Description

BIX02188 is a potent **MEK5**-selective inhibitor with an **IC_{50}** of 4.3 nM. BIX02188 inhibits **ERK5** catalytic activity, with an **IC_{50}** of 810

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nM.

IC50 & Target: IC50: 4.3 nM (MEK5), 810 nM (ERK5)[2]

In Vitro: BIX02188 is a potent inhibitor of catalytic function of purified, active MEK5 enzyme. In activated HeLa cells, BIX02188 blocks phosphorylation of ERK5, without affecting phosphorylation of ERK1/2, JNK and p38 MAP kinases. To characterize the effects of BIX02188 in cultured endothelial cells (EC), H_2O_2 is used to activate BMK1. Bovine lung microvascular endothelial cells (BLMECs) are pretreated with 0.1-10 μM BIX02188 for 30 min, and then stimulated with 300 μM H_2O_2. BMK1 is dramatically activated by H_2O_2, with peak at 20 min. Phosphorylated BMK1 is inhibited by BIX02188 in a dose-dependent manner, with an IC_{50}=0.8±1.0 μM, and maximal inhibition at concentrations >3 μM. To examine the specificity of BIX02188, The effect of 0.1-10 μM BIX02188 is measured on the activity of ERK1/2 and JNK. There is no significant inhibition of ERK1/2 and JNK at these concentrations. These observations confirm the selectivity of BIX02188 for MEK5-induced BMK1 phosphorylation[1]. BIX02188 inhibits MEK5 and ERK5 activity, with IC_{50}s of 4.3 nM and 810 nM, respectively. BIX02188 does not inhibit closely related kinases MEK1, MEK2, ERK2, and JNK2. BIX02188 inhibits ERK5 phosphorylation in a dose dependent manner[2]. To assess the proliferation of podocytes in response to the pro-fibrotic stimulus of TGFβ1, podocytes are pre-incubated in the presence and absence of BIX02188 (10 μM) for 60 min after which cells are co-treated with TGFβ1 (2.5 ng/mL) for 48 h to provide adequate time for proliferation to occur and a colorimetric cell proliferation assay is employed where metabolic activity is directly proportional to cell number. Inhibition of Erk5 activation with BIX02188 incubation reduces podocyte cell number. TGFβ1 stimulation increases podocyte cell number which is prevented following BIX02188 co-treatment[3].