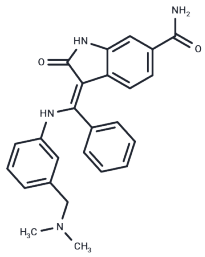
Data Sheet (Cat.No.T1744)

TargetMol

BIX02188

Chemical Properties



CAS No. : 334949-59-6

Formula: C25H24N4O2

Molecular Weight: 412.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BIX02188 is a selective and potent MEK5 inhibitor that inhibits MEK5-induced apoptosis in cells expressing the oncogenic mutant FLT3-ITD.
Targets(IC50)	Apoptosis,ERK,MEK
In vitro	BIX02188 is a potent MEK5 enzyme inhibitor that selectively blocks ERK5 phosphorylation in activated HeLa cells, without impacting the phosphorylation of ERK1/2, JNK, and p38 MAP kinases. Its specificity was further demonstrated in studies with cultured endothelial cells (EC) and bovine lung microvascular endothelial cells (BLMECs), where BIX02188 dose-dependently inhibited BMK1 phosphorylation induced by H2O2 activation, displaying an IC50 of 0.8±1.0 μM. Notably, BIX02188 did not significantly inhibit ERK1/2 and JNK at concentrations ranging from 0.1-10 μM, underscoring its selective action on MEK5-induced BMK1 phosphorylation. Additionally, BIX02188 exhibited strong inhibitory effects on MEK5 and ERK5 activities, with IC50 values of 4.3 nM and 810 nM, respectively, and did not inhibit closely related kinases such as MEK1, MEK2, ERK2, and JNK2. In podocyte studies, pre-incubation with BIX02188 mitigated the pro-fibrotic stimulus-induced proliferation by TGFβ1, highlighting its potential utility in reducing podocyte cell number through the inhibition of Erk5 activation. This comprehensive evaluation demonstrates BIX02188's efficacy and specificity in inhibiting MEK5/ERK5 pathway components, offering valuable insights for therapeutic strategies targeting specific kinase activities.

Solubility Information

Solubility	DMSO: 30 mg/mL (72.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.42 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4244 mL	12.1218 mL	24.2436 mL
5 mM	0.4849 mL	2.4244 mL	4.8487 mL
10 mM	0.2424 mL	1.2122 mL	2.4244 mL
50 mM	0.0485 mL	0.2424 mL	0.4849 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Tatake RJ, et al. Identification of pharmacological inhibitors of the MEK5/ERK5 pathway. Biochem Biophys Res Commun. 2008 Dec 5;377(1):120-5.
Badshah II, et al. Erk5 is a mediator to TGFβ1-induced loss of phenotype and function in human podocytes. Front Pharmacol. 2014 Apr 21;5:71.
Li L, et al. Fluid shear stress inhibits TNF-mediated JNK activation via MEK5-BMK1 in endothelial cells. Biochem Biophys Res Commun. 2008 May 23;370(1):159-63.