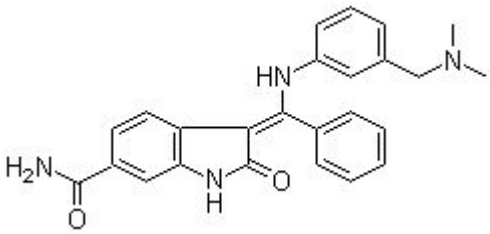
Product Introduction

BIX 02188

BIX02188 is a selective inhibitor of **MEK5** with **IC50** of 4.3 nM, also inhibits ERK5 catalytic activity with **IC50** of 810 nM, and does not inhibit closely related kinases MEK1, MEK2, ERK2, and JNK2.

Technical Data:

Molecular Weight (MW):	412.48	
Formula:	C25H24N4O2	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 43 mg/mL	
	Water <1 mg/mL	
	Ethanol 3 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1094614-84-2	

Biological Activity

BIX02188 significantly blocks MEK5 catalytic activity with IC50 of 4.3 nM and inhibits ERK5 catalytic activity with IC50 of 0.83 μM. It shows no activity against closely related kinases MEK1, MEK2, ERK1, p38α, JNK2, TGFβR1, EGFR, and STK16 with IC50 values of 1.8 μM for TGFβR1, 3.9 μM for p38α, and >6.3 μM for other kinases. Pretreatment with BIX02188 inhibits sorbitol-induced phosphorylation of ERK5 in HeLa cells in a dose dependent manner and displays no inhibitory activity against the phosphorylation of ERK1/2, p38, and JNK1/2 MAPKs. BIX02188 treatment alone for 24 hours in HeLa or HEK293 cells does

not show any cytotoxic effect. BIX02188 inhibits transcriptional activation of MEF2C through the MEK5/ERK5 signaling cascade in active MEK5/ERK5/MEF2C-driven luciferase expression system in HeLa and HEK293 cells with IC50 values of 1.15 μM and 0.82 μM, respectively. [1] BIX02188 also inhibits phosphorylation of BMK1 in bovine lung microvascular endothelial cells (BLMECs) which are stimulated with 300 μM H2O2, in a dose-dependent manner, with IC50 of 0.8 μM, specifically by blocking the MEK5 signal pathway. BIX02188 completely reverses the inhibitory effect on TNF-mediation; JNK activation had a similar effect on BMK1 inhibition, suggesting that it inhibits TNF signaling through activation of the MEK5-BMK1 signaling pathway. [2]

References

[1] Tatake RJ, et al. Biochem Biophys Res Commun, 2008, 377(1), 120-125.
[2] Li L, et al. Biochem Biophys Res Commun, 2008, 370(1), 159-163.

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