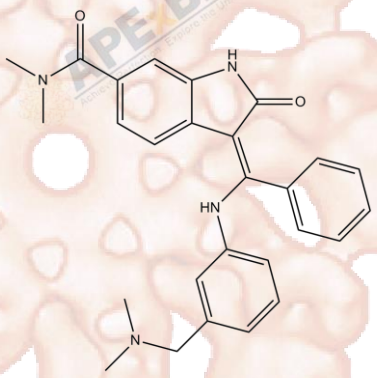
Product Data Sheet

BIX 02189



Cat. No.:	A5801
CAS No.:	1094614-85-3
Formula:	C27H28N4O2
M.Wt:	440.54
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾22.05 mg/mL in DMSO; insoluble in H2O; ⩾40.7 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.2699 mL	11.3497 mL	22.6994 mL
5 mM	0.4540 mL	2.2699 mL	4.5399 mL
10 mM	0.2270 mL	1.1350 mL	2.2699 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Selective MEK5 inhibitor
IC_{50} & Target	1.5 nM (MEK5), 59 nM (ERK5), 580 nM (TGFβR1)

In Vitro

Cell Viability Assay

Cell Line:	HeLa cells
Preparation method:	The solubility of this compound in DMSO is > 22.1mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1.5 h, 10 μM

	Applications:	BIX02189 inhibited MEK5 and ERK5 catalytic activity with IC50 values of 1.5 nM and 59 nM, respectively. BIX02189 inhibited ERK5 phosphorylation activated by sorbitol in a dose-dependent manner in HeLa cells. BIX02189 also inhibited luciferase gene expression induced by MEF2 in a dose dependent manner in HeLa and 293T cells.
In Vivo	**Animal experiment**	
	Animal models:	Specific pathogen-free C57BL/6 mice
	Dosage form:	Intraperitoneal injection, 10 mg/kg
	Applications:	BIX02189 significantly inhibited collagen accumulation and fibrogenic histological changes in the lung tissues of bleomycin-treated mice. BIX02189 also improved survival rate of mice after bleomycin inoculation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

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References

[1]. Tatake R J, O'Neill M M, Kennedy C A, et al. Identification of pharmacological inhibitors of the MEK5/ERK5 pathway[J]. Biochemical and biophysical research communications, 2008, 377(1): 120-125.

[2]. Kim S, Lim J H, Woo C H. ERK5 inhibition ameliorates pulmonary fibrosis via regulating Smad3 acetylation[J]. The American journal of pathology, 2013, 183(6): 1758-1768.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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