SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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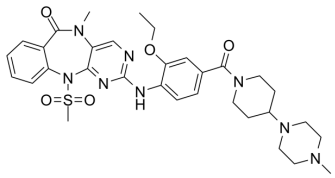
AX-15836

Cat. No.:	HY-101846
CAS No.:	2035509-96-5
Molecular Formula:	$C_{32}H_{40}N_8O_5S$
Molecular Weight:	648.78
Target:	ERK
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (154.14 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5414 mL	7.7068 mL	15.4135 mL
	5 mM	0.3083 mL	1.5414 mL	3.0827 mL
	10 mM	0.1541 mL	0.7707 mL	1.5414 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AX-15836 is a potent and selective ERK5 inhibitor with an IC_{50} of 8 nM.

IC_{50} & Target

ERK5
8 nM (IC_{50})

In Vitro

AX-15836 shows more than 1,000-fold selectivity for ERK5 over a panel of over 200 kinases. It also exhibits selectivity over BRD4 with a K_d of 3,600 nM. AX15836 shows similar intracellular potency (4–9 nM) across all cells tested, including peripheral

blood mononuclear cells (PBMCs), endothelial cells, and oncogenic cell lines. AX15836 was completely ineffective (EC_{50}>10 μM) to suppress inflammatory cytokine response, suggesting that it was the BRD inhibition component of the compounds that mediated cytokine reduction. In HUVEC and HeLa cell types, samples treated with AX15836 shows very few genes to be differentially expressed. AX15836 could clearly inhibit the EGF-stimulated, phosphorylated form of ERK5 in HeLa cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

For proliferation studies, cells are treated with eight-point serial dilution series of AX-15836 (starting concentration of 15 μM) or with DMSO vehicle (0.25% final volume). For MM.1S cells, compound was added 1 h before adding recombinant human IL-6 at 5 nM. After 3 d, the relative number of viable cells was measured via quantitation of ATP using CellTiter-Glo 2.0 reagent. Luminescence was read on the Synergy 2 multimode reader[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- MedComm. 04 March 2022.
- Cell Signal. 2023 Jan 20;110607.
- bioRxiv. 2023 Mar 24.

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REFERENCES

[1]. Lin EC, et al. ERK5 kinase activity is dispensable for cellular immune response and proliferation. Proc Natl Acad Sci U S A. 2016 Oct 18;113(42):11865-11870.

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