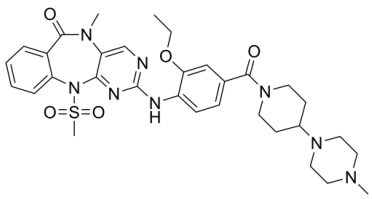
Data Sheet

Product Name:	AX-15836
Cat. No.:	CS-6889
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
Solubility:	DMSO : 100 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

AX-15836 is a potent and selective **ERK5** inhibitor with an **IC_{50}** of 8 nM. IC50 & Target: IC50: 8 nM (ERK5)[1] ***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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: AX-15836 is dissolved in DMSO.[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].

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.

CAIndexNames:

6H-Pyrimido[4,5-b][1,4]benzodiazepin-6-one, 2-[[2-ethoxy-4-[[4-(4-methyl-1-piperazinyl)-1-piperidinyl]carbonyl]phenyl]amino]-5,11-dihydro-5-methyl-11-(methylsulfonyl)-

SMILES:

O=C1N(C)C2=CN=C(NC3=CC=C(C(N4CCC(N5CCN(C)CC5)CC4)=O)C=C3OCC)N=C2N(S(=O)(C)=O)C6=CC=CC=C16

Caution: Product has not been fully validated for medical applications. For research use only.

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