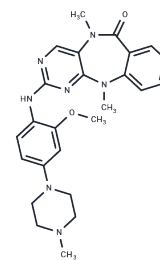


ERK5-IN-1

Chemical Properties

CAS No. :	1234479-76-5
Formula:	C ₂₅ H ₂₉ N ₇ O ₂
Molecular Weight:	459.54
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	ERK5-IN-1 is a potent ERK5 inhibitor (IC ₅₀ : 87 nM) and also inhibits LRRK2[G2019S] (IC ₅₀ : 26 nM).
Targets(IC ₅₀)	ERK
In vitro	ERK5-IN-1 (Compound 5) inhibits EGF-stimulated autophosphorylation of ERK5 in HeLa cells [EC ₅₀ : 0.19 μM].
Kinase Assay	Kinase activity was determined in an assay volume of 40 μL in kinase buffer (50 mM Tris-HCl, pH 7.5, 0.1 mM EGTA, 1 mM 2-mercaptoethanol) containing 200 ng of pure active ERK5 and the indicated amount of inhibitor. Reaction started by adding 10 mM magnesium acetate, and 50 μM [γ- ³² P]-ATP (500 cpm/pmol) and 250 μM PIMtide (ARKKRRHPSGPPTA) as substrates. Assays were carried out for 20 min at 30 °C, terminated by applying the reaction mixture onto p81 paper and the incorporated radioactivity measured as described previously.
Cell Research	HeLa cells were serum starved overnight followed by treatment with inhibitors for 1 h. Cells were then stimulated with EGF (20 ng/mL) for 17 min and harvested in RIPA buffer (1× PBS, 1% NP40, 0.5% sodium deoxycholate, 0.1% SDS, 0.1 mg/ml PMSF and 1 mM sodium orthovanadate). Proteins from total cell lysates were resolved by 6% sodium dodecyl sulfate (SDS)-poly-acrylamide gel electrophoresis (PAGE), transferred to nitrocellulose membrane, blocked in 5% nonfat milk, and blotted with anti-ERK5 antibody.

Solubility Information

Solubility	DMSO: 25 mg/mL (54.4 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: 2 mg/mL (4.35 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1761 mL	10.8804 mL	21.7609 mL
5 mM	0.4352 mL	2.1761 mL	4.3522 mL
10 mM	0.2176 mL	1.088 mL	2.1761 mL
50 mM	0.0435 mL	0.2176 mL	0.4352 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

Deng X, et al. Structural determinants for ERK5 (MAPK7) and leucine rich repeat kinase 2 activities of benzo[e]pyrimido-[5,4-b]diazepine-6(11H)-ones. Eur J Med Chem. 2013;70:758-767.

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