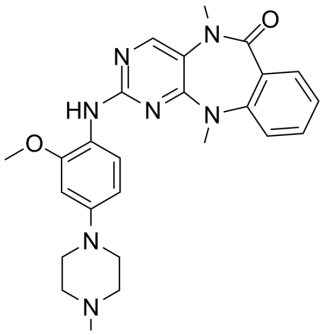
ERK5-IN-1



Cat. No.:	HY-14403
CAS No.:	1234479-76-5
Molecular Formula:	$C_{25}H_{29}N_7O_2$
Molecular Weight:	459.54
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 (217.61 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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	1.0880 mL	2.1761 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: ≥ 2.75 mg/mL (5.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (5.98 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (5.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	ERK5-IN-1 is a potent ERK5 inhibitor with an IC_{50} of 87±7 nM. ERK5-IN-1 also inhibits LRRK2[G2019S] with an IC_{50} of 26 nM.

IC_{50} & Target	ERK	LRRK2[G2019S]
	87 nM (IC_{50})	26 nM (IC_{50})

In Vitro	ERK5-IN-1 (Compound 5) exhibits a cellular EC_{50} for inhibiting epidermal growth factor (EGF) induced ERK5

autophosphorylation of 0.19±0.04 μM[1].

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

PROTOCOL

Kinase Assay [1]

Kinase activity is 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 are carried out for 20 min at 30°C, terminated by applying the reaction mixture onto p81 paper and the incorporated radioactivity measured[1].

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

REFERENCES

[1]. 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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