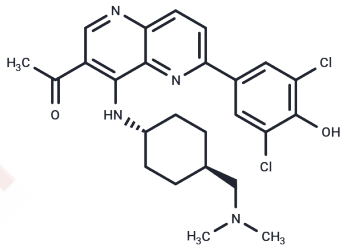
Data Sheet (Cat.No.T1759)

TargetMol

OTSSP167

Chemical Properties



CAS No. : 1431697-89-0

Formula: C25H28Cl2N4O2

Molecular Weight: 487.42

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	OTSSP167 (OTS167) is an orally available inhibitor of maternal embryonic leucine zipper kinase (MELK) with potential antineoplastic activity.
Targets(IC50)	MELK
In vitro	OTSSP167 inhibited the phosphorylation of PSMA1 and DBNL, novel MELK substrates that are essential for stem cell characterization and invasiveness.OTSSP167 inhibited sphere formation in breast cancer cells by inhibiting PSMA1 phosphorylation.OTSSP167 inhibited high levels of MELK expression in A549, T47D, DU4475 and 22Rv1 cancer cells with IC50 of 6.7, 4.3, 2.3 and 6.0 nM, respectively.
In vivo	OTSSP167 inhibited the phosphorylation of PSMA1 and DBNL, novel MELK substrates that are essential for stem cell characterization and invasiveness.OTSSP167 inhibited sphere formation in breast cancer cells by inhibiting PSMA1 phosphorylation.OTSSP167 inhibited high levels of MELK expression in A549, T47D, DU4475 and 22Rv1 cancer cells with IC50 of 6.7, 4.3, 2.3 and 6.0 nM, respectively.
Kinase Assay	in vitro kinase assay: MELK recombinant protein (0.4 μg) is mixed with 5 μg of each substrate in 20 μL of kinase buffer containing 30 mM Tris-HCl (pH), 10 mM DTT, 40 mM NaF, 10 mM MgCl2, 0.1 mM EGTA with 50 μM cold-ATP and 10 Ci of [γ-32P]ATP for 30 min at 30 °C. The reaction is terminated by addition of SDS sample buffer and boiled for 5 min prior to SDS-PAGE. The gel is dried and autoradiographed with intensifying screens at room temperature. OTSSP167 (final concentration of 10 nM) is dissolved in DMSO and added to kinase buffer before the incubation.
Cell Research	Cell Counting Kit-8(Only for Reference)

Solubility Information

Solubility	DMSO: 7.22 mg/mL (14.81 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0516 mL	10.2581 mL	20.5162 mL
5 mM	0.4103 mL	2.0516 mL	4.1032 mL
10 mM	0.2052 mL	1.0258 mL	2.0516 mL
50 mM	0.041 mL	0.2052 mL	0.4103 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

Chung S, et al. Oncotarget, 2012, 3(12), 1629-1640.
Tang Q, Li W, Zheng X, et al. MELK is an oncogenic kinase essential for metastasis, mitotic progression, and programmed death in lung carcinoma. Signal Transduction and Targeted Therapy. 2020, 5(1): 1-12.
Müller HD, et al. J Endod. 2012, 38(11), 1498-1503.
Tang Q, Li W, Zheng X, et al. MELK is an oncogenic kinase essential for metastasis, mitotic progression, and programmed death in lung carcinoma[J]. Signal Transduction and Targeted Therapy. 2020, 5(1): 1-12.