Data Sheet (Cat.No.T3468)



Tomivosertib

Chemical Properties

CAS No.: 1849590-01-7

Formula: C17H20N6O2

Molecular Weight: 340.38

Appearance: no data available

Storage: keep away from direct sunlight

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Tomivosertib (eFT508) is a potent and highly selective MNK1 and MNK2 inhibitor with an IC50 value of 1-2 nM.	
Targets(IC50)	MNK,PD-1/PD-L1	
In vitro	Tomivosertib has a half-maximal inhibitory concentration (IC50) of 1-2 nM against both MNK isoforms in enzyme assays and inhibits the kinase through a reversible, ATP-competitive mechanism of action. Treatment of tumor cell lines with Tomivosertib leads to a dose-dependent reduction in eIF4E phosphorylation at serine 209 (IC50 = 2-16 nM). In a panel of ~50 hematological cancers, Tomivosertib shows anti-proliferative activity against multiple DLBCL cell lines. Sensitivity to Tomivosertib in TMD8, OCI-Ly3 and HBL1 DLBCL cell lines is associated with dose-dependent decreases in production of pro-inflammatory cytokines including TNF α , IL-6, IL-10 and CXCL10[1].	
In vivo	eFT508 is well-tolerated and shows efficacy against MyD88-mutant DLBCL models in vivo. Significant anti-tumor activity of eFT508 is observed in the TMD8 and HBL-1 ABC-DLBCL models(subcutaneous human lymphoma xenograft models), both of which harbor activating MyD88 mutations[1].	
Cell Research	TMD8 cells are treated with the indicated concentrations of eFT508 for 24 h. Cell lysates are subjected to m7-GTP Sepharose pull-down and bound proteins are analyzed by immunoblotting with the indicated antibodies. (Only for Reference)	

Solubility Information

Solubility	H2O: <1 mg/mL,	
	DMSO: 11 mg/mL (32.32 mM), Sonication is recommended.	
	Ethanol: <1 mg/mL,	
	(< 1 mg/ml refers to the product slightly soluble or insoluble)	

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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9379 mL	14.6895 mL	29.3789 mL
5 mM	0.5876 mL	2.9379 mL	5.8758 mL
10 mM	0.2938 mL	1.4689 mL	2.9379 mL
50 mM	0.0588 mL	0.2938 mL	0.5876 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.

Reference

Kevin R Webster, et al. eFT508, a Potent and Selective Mitogen-Activated Protein Kinase Interacting Kinase (MNK) 1 and 2 Inhibitor, is Efficacious in Preclinical Models of Diffuse Large B-Cell Lymphoma (DLBCL). Abstract#1554. Suarez M, Blyth G T, Mina A A, et al. Inhibitory effects of Tomivosertib in acute myeloid leukemia. Oncotarget. 2021, 12(10): 955.

Suarez M, Blyth G T, Mina A A, et al. Inhibitory effects of Tomivosertib in acute myeloid leukemia[J]. Oncotarget. 2021, 12(10): 955.

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