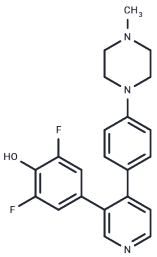
Data Sheet (Cat.No.T6877)

TargetMol

LJH685

Chemical Properties



CAS No. : 1627710-50-2

Formula: C22H21F2N3O

Molecular Weight: 381.42

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	LJH685 is an effective pan-RSK inhibitor for RSK1/2/3 (IC50: 6/5/4 nM).
Targets(IC50)	Apoptosis,S6 Kinase
In vitro	LJH685 modulates YB1 phosphorylation by potently and selectively inhibiting RSK in cells. In MAPK pathway-dependent cancer cell lines, LJH685 shows antiproliferative effects, and causes cell-cycle regulation and apoptosis induction. [1]
Kinase Assay	Inhibition of RSK1, RSK2, and RSK3 activity: Enzymatic activity of RSK isoforms 1, 2, and 3 (PV4049, PV4051, and PV3846) is assessed using recombinant full-length RSK protein. RSK1 (1 nmol/L), RSK2 (0.1 nmol/L), or RSK3 (1 nmol/L) is allowed to phosphorylate 200 nmol/L peptide substrate (biotin-AGAGRSRHSSYPAGT-OH) in the presence of ATP at concentration equal to the Km for ATP for each enzyme (RSK1, 5 μmol/L; RSK2, 20 μmol/L; and RSK3, 10 μmol/L) and appropriate dilutions of RSK inhibitors.
Cell Research	Cell growth under attached conditions is assessed by plating 1000 cells per well on 96-well tissue culture-treated plates in cell growth medium. Appropriate dilutions of compound are added medium above cells and cell growth is assessed after 72 hrs by addition of CellTiter Glo reagent according to manufacturer's directions.(Only for Reference)

Solubility Information

Solubility	DMSO: 29.4 mg/mL (77.08 mM),Sonication is recommended. Ethanol: 16 mg/mL (41.95 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 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 (5.24 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6218 mL	13.1089 mL	26.2178 mL
5 mM	0.5244 mL	2.6218 mL	5.2436 mL
10 mM	0.2622 mL	1.3109 mL	2.6218 mL
50 mM	0.0524 mL	0.2622 mL	0.5244 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

Aronchik I, et al. Mol Cancer Res. 2014, 12(5), 803-812.