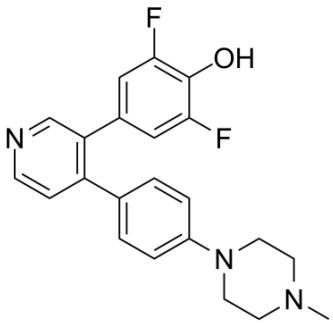
LJH685

Cat. No.:	HY-19712
CAS No.:	1627710-50-2
Molecular Formula:	$C_{22}H_{21}F_2N_3O$
Molecular Weight:	381.42
Target:	Ribosomal S6 Kinase (RSK); Apoptosis
Pathway:	MAPK/ERK Pathway; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (81.28 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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: ≥ 1 mg/mL (2.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LJH685 is a potent, ATP-competitive and selective RSK inhibitor, inhibits RSK1, 2, and 3 biochemical activities with IC_{50}s of 6, 5, 4 nM, respectively[1].

IC_{50} & Target

IC50: 6 nM (RSK1), 5 nM (RSK1), 4 nM (RSK1)[1]

In Vitro

LJH685 (0.01-100 μM; 72 hours) efficiently inhibits the growth of MDA-MB-231 and H358 cells in soft agar with EC_{50}s of 0.73

and 0.79 μM, respectively[1].
LJH685 (0.1-10 μM; 4 hours) efficiently reduces phosphorylation of YB1 at submicromolar concentrations and causes nearly complete inhibition at higher concentrations[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231, H358 cells
Concentration:	0.01, 0.1, 1, 10, 100 μM
Incubation Time:	72 hours
Result:	The growth in soft agar was efficiently inhibited with EC_{50} values of 0.73 and 0.79 μM in MDA-MB-231 and H358, respectively.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231, H358 cells
Concentration:	0.1, 0.3, 1, 3, 10 μM
Incubation Time:	4 hours
Result:	Efficiently reduced phosphorylation of YB1 at submicromolar concentrations and caused nearly complete inhibition at higher concentrations.

CUSTOMER VALIDATION

- J Invest Dermatol. 2020 Sep 9;S0022-202X(20)32055-8.

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REFERENCES

[1]. Aronchik I, et al. Novel potent and selective inhibitors of p90 ribosomal S6 kinase reveal the heterogeneity of RSK function in MAPK-driven cancers. Mol Cancer Res. 2014 May;12(5):803-12.

[2]. Davies AH, et al. Inhibition of RSK with the novel small-molecule inhibitor LJI308 overcomes chemoresistance by eliminating cancer stem cells. Oncotarget. 2015 Aug 21;6(24):20570-7.

[3]. Jain R, et al. Discovery of Potent and Selective RSK Inhibitors as Biological Probes. J Med Chem. 2015 Sep 10;58(17):6766-83.

[4]. My-My Huynh, et al. RSK2: a promising therapeutic target for the treatment of triple-negative breast cancer. Expert Opin Ther Targets. 2020 Jan;24(1):1-5.

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