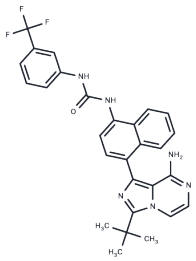
Data Sheet (Cat.No.TQ0076)

TargetMol

KIRA6

Chemical Properties



CAS No. : 1589527-65-0

Formula: C28H25F3N6O

Molecular Weight: 518.53

Storage: Store at low temperature
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	KIRA6 is an effective inhibitor of IRE1α RNase kinase (IC50: 0.6 μM). It can trigger an apoptotic response.
Targets(IC50)	IRE1
In vitro	KIRA6 (10-1000 nM, 72 hours) strongly compromises the viability of the KIT-dependent cell line HMC-1.1 at the low nM concentration, in a manner that coincided with KIT blockade. KIRA6 (1nM-100μM) bounds to the cytoplasmic domain of KIT with a Kd value of 10.8 ?μM. KIRA6 (10-1000 nM, 1 hour) reduces signaling output of KIT, including the phosphorylation of KIT as well as its downstream signaling modules, PSTAT5 and phosphorylated ERK1/2 [1]. KIRA6 (1 μM, 0-48 hours) inhibits Ins1 mRNA decay from IRE1α hyperactivation in a dose-dependent manner. KIRA6 (0.1-10μM, 72 hours) dose-dependently reduces 1NM-PP1 potentiation of Ins1 apoptosis during ER stress in a dose-dependent manner [2].
In vivo	KIRA6 (intraperitoneal injection; 5 mg/kg; 37 days) shows significant amelioration of random glucose levels over several weeks compared to the vehicle, both fed ad lib. KIRA6 (i.p, 5 mg/kg, 21 or 18 days post injections) increases both plasma insulin and C-peptide levels, remains insulin-positive islet areas at a high level after stopping injections in the Akita Mouse [2].
Cell Research	Cell Line: HMC-1.1 cells. Concentration: 10 nM, 30 nM, 100 nM, 300 nM, 1000 nM. Incubation Time: 72 hours [1]
Animal Research	Animal Model: Male Ins2+/Akita mice. Dosage: 5 mg/kg. Administration: Intraperitoneal injection, 5 mg/kg, 21 or 18 days post injections [2]

Solubility Information

Solubility	Ethanol: 2 mg/mL (3.86 mM),Sonication is recommended. DMSO: 25 mg/mL (48.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (4.82 mM),Solution. 10% DMSO+90% Saline: < 2.5 mg/mL (4.82 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	1.9285 mL	9.6426 mL	19.2853 mL
5 mM	0.3857 mL	1.9285 mL	3.8571 mL
10 mM	0.1929 mL	0.9643 mL	1.9285 mL
50 mM	0.0386 mL	0.1929 mL	0.3857 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

Mahameed M,et al. The unfolded protein response modulators GSK2606414 and KIRA6 are potent KIT inhibitors. Cell Death Dis. 2019 Apr 1;10(4):300.

Wang J, Zhang J, Guo Z, et al.Targeting HSP70 chaperones by rhein sensitizes liver cancer to artemisinin derivatives.Phytomedicine.2023: 155156.

Ghosh R, et al. Allosteric inhibition of the IRE1α RNase preserves cell viability and function during endoplasmic reticulum stress. Cell. 2014 Jul 31;158(3):534-48.

Liu S, Zhang X, Yao X, et al.Mammalian IRE1α dynamically and functionally coalesces with stress granules.Nature Cell Biology.2024: 1-15.

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