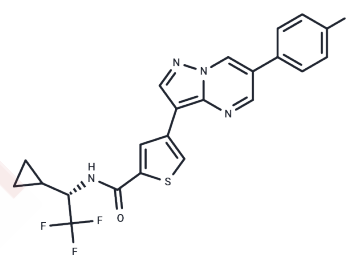


ULK-101

Chemical Properties

CAS No. :	2443816-45-1
Formula:	C ₂₂ H ₁₆ F ₄ N ₄ O ₅
Molecular Weight:	460.45
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	ULK-101 is a potent and selective inhibitor of ULK1 (IC ₅₀ s: 8.3/30 nM for ULK1/ULK2). It can suppress autophagy.
Targets(IC ₅₀)	Autophagy
In vitro	U2OS cells were treated with AZD8055 for 2.5 hr, a catalytic mTOR inhibitor that strongly induces autophagy in U2OS cells and observed that the abundance of DFCP1-positive puncta increased over 3-fold. Co-treatment of cells with 5 μM ULK-101 completely abrogated the formation of DFCP1-positive structures induced by AZD8055 treatment, consistent with the loss of Beclin 1 phosphorylation.
Kinase Assay	IC ₅₀ data for ULK1 and ULK2 was generated using 10-point IC ₅₀ Profiler assays with half-log dilutions from top concentrations of 10 μM (4 replicates) and 1 μM (4 replicates), giving 8 data points for most concentrations in the curve. For selectivity profiling, KinaseProfiler assays with wild-type human kinase panels were performed in duplicate using 500 nM SBI-0206965, 40 nM ULK-101, or 15 nM ULK-100. For each kinase reaction, the Km concentration of ATP was used. The percent activity remaining and percent inhibition were calculated from negative control wells. For selectivity profiling, relative inhibition was calculated by dividing the percent inhibition of each kinase by the percent inhibition of ULK1. GraphPad Prism 7 was used for IC ₅₀ determinations by fitting curves with variable slope (four-parameter) non-linear regression models using top and bottom constraints of 100% and 0%, respectively.
Cell Research	Cells (U2OS or NSCLC) were seeded on tissue culture treated 96-well plates at 1,000 cells per well in RPMI-1640 media supplemented with 10% FBS. Twenty-four hours later, media was aspirated, wells rinsed with 1x DPBS, and replaced with full media (FM) or Optistarve (OS) with a concentration gradient of ULK-101 (final concentrations of 100 μM, 50 μM, 25 μM, 12.5 μM, 6.25 μM, 3.1 μM, 1.6 μM, 0.8 μM, 0.4 μM, or 0 μM). Two days later, media (and ULK-101) was aspirated, wells were rinsed with 1x DPBS, and all wells replaced with FM. Five days later, relative ATP levels were measured using a luminescent CellTiter-Glo assay.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 22 mg/mL (47.78 mM),Sonication is recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.21 mg/mL (4.8 mM),Solution. 10% DMSO+90% Saline: < 2.21 mg/mL (4.8 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1718 mL	10.8589 mL	21.7179 mL
5 mM	0.4344 mL	2.1718 mL	4.3436 mL
10 mM	0.2172 mL	1.0859 mL	2.1718 mL
50 mM	0.0434 mL	0.2172 mL	0.4344 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

Martin KR, et al. A Potent and Selective ULK1 Inhibitor Suppresses Autophagy and Sensitizes Cancer Cells to Nutrient Stress. *iScience*. 2018 Oct 26;8:74-84.

Xia Z, Zhang X, Liu P, et al. GNA13 regulates BCL2 expression and the sensitivity of GCB-DLBCL cells to BCL2 inhibitors in a palmitoylation-dependent manner. *Cell Death & Disease*. 2021, 12(1): 1-11.

Xia Z, Zhang X, Liu P, et al. GNA13 regulates BCL2 expression and the sensitivity of GCB-DLBCL cells to BCL2 inhibitors in a palmitoylation-dependent manner[J]. *Cell Death & Disease*. 2021, 12(1): 1-11.

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