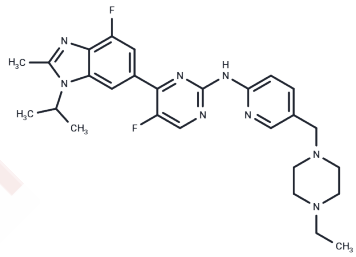
Data Sheet (Cat.No.T2381)

TargetMol

Abemaciclib

Chemical Properties



CAS No. : 1231929-97-7

Formula: C27H32F2N8

Molecular Weight: 506.59

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	Abemaciclib (LY2835219) is a dual inhibitor of CDK4/6 (IC50=2/10 nM) with selectivity and specificity. Abemaciclib has antitumor activity and is used to treat advanced or metastatic breast cancer.
Targets(IC50)	CDK
In vitro	**METHODS**: HNSCC cell lines OSC-19, FaDu and YD-10B were treated with Abemaciclib (0.01-10 μM) for 72 h, and cell viability was measured by Cell Counting Kit. **RESULTS**: Abemaciclib treatment decreased the cell viability of HNSCC cells with IC50 values ranging from 0.5 μM to 0.7 μM. [1] **METHODS**: AML cells MV4-11 were treated with Abemaciclib (0.04-5 μM) for 24 h. The cell cycle was detected using Flow Cytometry. **RESULTS**: Abemaciclib induced G1 phase block in MV4-11 cells. The G1-phase block was maximized when the concentration was ≥320 nmol/L. The **RESULTS** showed that Abemaciclib induced G1-phase block in MV4-11 cells. [2]
In vivo	**METHODS**: To assay antitumor activity in vivo, Abemaciclib (45-90 mg/kg in 1% HEC in 20 mM phosphate buffer (pH 2.0)) was administered by gavage to BALB/c mice bearing human tongue squamous carcinoma tumors OSC-19 once daily for fourteen days. **RESULTS**: Abemaciclib significantly reduced tumor growth in OSC-19 xenografts during treatment. abemaciclib treatment decreased AKT phosphorylation but had no effect on mTOR activation. [1] **METHODS**: To assay antitumor activity in vivo, Abemaciclib (22.5-90 mg/kg in 1% HEC in 25 mmol/L PB pH2) was administered by gavage to athymic nude mice harboring melanoma A375 once a day for twenty-one days. **RESULTS**: Statistically significant tumor growth inhibition was observed with Abemaciclib at 45 or 90 mg/kg dosing regimens. abemaciclib treatment significantly reduced pS780-Rb and pS10-Histone H3 levels, suggesting that CDK4/6 inhibition resulted in cell cycle inhibition and reduced tumor cell proliferation. [3]
Kinase Assay	Cells (5×103) are plated in 96 well plates. Cells are treated the next day for 24 to 48 hours and then assessed for caspase-3 activity by Caspase-Glo-3/7 Assay, as per manufacturer's instructions and a luminescence plate reader.
Cell Research	LY2835219 is dissolved in DMSO to a 10 mM concentration.? Cells are seeded in a 96-well plate, allowed to adhere overnight, and treated with DMSO control (0.1% v/v) or the indicated compounds for 72 h. Cell viability and proliferation are determined using a Cell Counting Kit according to the manufacturer's instructions. The interaction between

Cell Research	LY2835219 and mTOR inhibitor is determined using CompuSyn. Combination index (CI) values of 1 indicates and additive drug interaction, whereas a CI of 1 is synergistic and a CI of >1 is antagonistic.

Solubility Information

Solubility	DMSO: < 1 mg/mL (insoluble or slightly soluble),Sonication is recommended. Ethanol: 1.69 mg/mL (3.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 0.1 mg/mL (0.2 mM),Solution. *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	1.974 mL	9.8699 mL	19.7398 mL
5 mM	0.3948 mL	1.974 mL	3.948 mL
10 mM	0.1974 mL	0.987 mL	1.974 mL
50 mM	0.0395 mL	0.1974 mL	0.3948 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

Ku BM, et al. The CDK4/6 inhibitor LY2835219 has potent activity in combination with mTOR inhibitor in head and neck squamous cell carcinoma. Oncotarget. 2016 Mar 22;7(12):14803-13.
Ni J, Kabraji S, Xie S, et al. p16INK4A-deficiency predicts response to combined HER2 and CDK4/6 inhibition in HER2+ breast cancer brain metastases. Nature Communications. 2022, 13(1): 1-8.
Liu X, Hu Q, Wang W, et al. A protein-fragment complementation assay reveals that celastrol and gambogic acid suppress ERα mutants in breast cancer. Biochemical Pharmacology. 2021, 188: 114583.
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