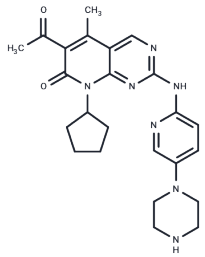
Data Sheet (Cat.No.T1785)

TargetMol

Palbociclib

Chemical Properties



CAS No. : 571190-30-2

Formula: C24H29N7O2

Molecular Weight: 447.53

Storage: Keep away from direct sunlight
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	Palbociclib (PD 0332991) is a CDK inhibitor that inhibits CDK4 and CDK6 (IC50=11/16 nM) and is orally active. Palbociclib has anti-tumorigenic activity and has investigational potential for use in ER-positive and HER2-negative breast cancer.
Targets(IC50)	CDK
In vitro	**METHODS**: Malignant rhabdomyosarcoma cells G401, MP-MRT-AN (AN), KP-MRT-RY (RY), KP-MRT-NS (NS), and KP-MRT-YM (YM) were treated with Palbociclib (0-1 mM) for 24 h, and cell viability was assayed by WST. **RESULTS**: Palbociclib effectively inhibited AN, RY, G401 and NS cell lines in a concentration-dependent manner, with IC50s of 0.01 μM, 0.01 μM, 0.06 μM and 0.6 μM, respectively; on the contrary, the YM cell line was resistant to Palbociclib, with an IC50 >10 μM.[1] **METHODS**: Human breast cancer cells MDA-MB-453 were treated with Palbociclib (0.02-10 μmol/L) for 24 h, and the cell cycle was examined by Flow Cytometry. **RESULTS**: Palbociclib caused G1 phase block. [2]
In vivo	**METHODS**: To detect antitumor activity in vivo, Palbociclib (12.5-150 mg/kg, sodium lactate buffer (50 mmol/L, pH 4.0)) was administered orally to immunodeficient mice harboring the tumors Colo-205 or MDA-MB-435 once daily for fourteen days. **RESULTS**: Palbociclib showed significant anti-tumor efficacy in multiple human tumor xenograft models. In Colo-205 tumors, PD 0332991 administered for 14 days produced rapid tumor regression. [2] **METHODS**: To assay antitumor activity in vivo, Palbociclib (150 mg/kg, sodium lactate buffer (50 mM, pH = 4.0)) was administered once daily for two weeks by gavage to nu/nu BALB/c mice bearing esophageal squamous cell carcinoma (ESCC) tumors EC109 or KYSE150. **RESULTS**: Palbociclib effectively inhibited ESCC tumor growth and lung metastasis. [3]
Kinase Assay	CDK assays are performed in 96-well filter plates. All CDK-cyclin kinase complexes are expressed in insect cells through baculovirus infection and purified. The substrate for the assays is a fragment (amino acids 792-928) of pRb fused to GST (GST·RB-Cterm). The total volume in each well is 0.1 mL containing a final concentration of 20 mM Tris-HCl, pH 7.4, 50 mM NaCl, 1 mM dithiothreitol, 10 mM MgCl2, 25 μM ATP (for CDK4-cyclin D1, CDK6-cyclin D2, and CDK6-cyclin D3) or 12 μM ATP (for CDK2-cyclin E, CDK2-cyclin A, and CDC2-cyclin B) containing 0.25 μCi of [γ-32P]ATP, 20 ng of enzyme, 1 μg of GST·RB-

Kinase Assay	Cterm, and Palbociclib (0.001-0.1 μM). All components except the [γ-32P]ATP are added to the wells, and the plate is placed on a plate mixer for 2 min. The reaction is started by adding the [γ-32P]ATP and the plate is incubated at 25°C for 15 min. The reaction is terminated by addition of 0.1 mL of 20% trichloroacetic acid and the plate is kept at 4°C for at least 1 hour to allow the substrate to precipitate. The wells are then washed 5 times with 0.2 mL of 10% trichloroacetic acid and radioactive incorporation is determined with a β plate counter.
Cell Research	Palbociclib (PD) is prepared in DMSO and stored (?80°C), and then diluted with appropriate media before use[1]. MRT cell lines, G401, MP-MRT-AN (AN), KP-MRT-RY (RY), KP-MRT-NS (NS), and KP-MRT-YM (YM) cell lines are seeded in normal growth medium into 96-well cell plates. After 24 h, the culture medium is replaced with culture medium containing Palbociclib (0.05 or 1 μM) or DMSO. Cells are cultured and treated in triplicate. Cell proliferation is determined 8 days after the treatment by WST-8 assay using a Cell Counting Kit-8.

Solubility Information

Solubility	0.1 M HCl: 1.25 mg/mL (2.79 mM),Sonication is recommended. DMSO: < 1 mg/mL (insoluble or slightly soluble) Ethanol: insoluble (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2345 mL	11.1724 mL	22.3449 mL
5 mM	0.4469 mL	2.2345 mL	4.469 mL
10 mM	0.2234 mL	1.1172 mL	2.2345 mL
50 mM	0.0447 mL	0.2234 mL	0.4469 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

Katsumi Y, et al. Sensitivity of malignant rhabdoid tumor cell lines to PD 0332991 is inversely correlated with p16 expression. Biochem Biophys Res Commun. 2011 Sep 16;413(1):62-8.
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