For Research Use Only

Palbociclib

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Catalog Number: CM00627

产品信息

Catalog Number:
CM00627

CAS号:
571190-30-2

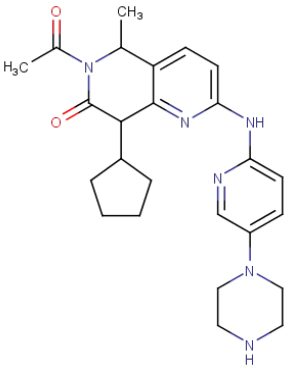
分子式:
$C_{24}H_{29}N_7O_2$

主要靶点:
CDK

主要通路:
细胞周期

分子量:
447.54

溶解度:
0.1 M HCL:1.25 mg/mL (2.79 mM);DMSO:< 1 mg/mL (insoluble or slightly soluble);Ethanol:insoluble



靶点活性

CDK4:11 nM|CDK6:16 nM

体外活性

The IC50 of Palbociclib (PD 0332991) for reduction of retinoblastoma (Rb) phosphorylation at Ser780 in MDA-MB-435 breast carcinoma cells is 66 nM. Palbociclib is equally effective at reducing Rb phosphorylation at Ser795 in this tumor with an IC50 of 63 nM, and similar effects on both Ser780 and Ser795 phosphorylation are obtained in the Colo-205 colon carcinoma[1]. The MP-MRT-AN (AN), KP-MRT-RY (RY), G401, and KP-MRT-NS (NS) cell lines are effectively inhibited by Palbociclib (PD) in a concentration-dependent manner in a WST-8 assay. The IC50s are 0.01 μM, 0.01 μM, 0.06 μM, and 0.6 μM, respectively. In contrast, the KP-MRT-YM (YM) cell line is resistant to Palbociclib (IC50>10 μM). The flow cytometry results show that Palbociclib at concentrations between 0 to 1.0 μM induces G1 arrest in the AN, RY, G401 and NS cell lines in a concentration-dependent manner, but has no effect on YM cells. The BrdU incorporation results are consistent with the WST-8 and flow cytometry results: PD reduces BrdU incorporation (indicating G1 arrest) in the AN, RY, G401 and NS cell lines, but not in the YM cell line. Palbociclib, even at a concentration of 0.05 μM, significantly reduces BrdU incorporation in the AN, RY, and G401 cell lines ($p<0.05$)[2].

体内活性

Palbociclib (PD 0332991) exhibits significant antitumor efficacy against multiple human tumor xenograft models. In mice bearing Colo-205 colon carcinoma xenografts (p16 deleted), daily p.o. dosing for 14 days with Palbociclib (150 or 75 mg/kg) produces rapid tumor regressions and a corresponding tumor growth delay of ~50 days with >1 log of tumor cell kill at the highest dose tested. At 37.5 mg/kg, the tumor slowly regressed during treatment. A 13-day growth delay is obtained even at doses as low as 12.5 mg/kg, which indicates a 90% inhibition of tumor growth rate. Similarly, robust antitumor activity is seen in the MDA-MB-435 breast carcinoma (p16 deleted) where complete tumor stasis is apparent at 150 mg/kg and some cell kill is evident at the highest dose[1].

细胞实验

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.

描述

Palbociclib is an orally available cyclin-dependent kinase (CDK) inhibitor with potential antineoplastic activity. Palbociclib selectively inhibits cyclin-dependent kinase 4 (CDK4) and 6 (CDK6), thereby inhibiting retinoblastoma (Rb) protein phosphorylation early in the G1 phase leading to cell cycle arrest. This suppresses DNA replication and decreases tumor cell proliferation.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year