

Palbociclib

货号: P2418

储存条件: 粉末-20°C 可保存 3 年; 液体-80°C 可保存 12 月。

产品描述

CDK4/6, forming a complex with its partner Cyclin D1/2/3, can mediate the phosphorylation of Rb in G1 cell cycle, as well as stimulating the synthesis cyclin E and D-type cyclins controlled by extracellular mitogens. Palbociclib (PD 0332991) is a highly specific pyridopyrimidine-derived inhibitor of both CDK4 and CDK6 with IC50 value of 11nM and 16nM (measured by enzymatic activity), respectively. Treatment with 0.031-1uM of palbociclib for 24h can decrease the level of Rb phosphorylation at Ser-780 in MDA-MB-435 human breast carcinoma cells. Palbociclib can potently inhibit cell growth in Rb-positive cells, such as MDA-MB-435, ZR-75-1, T-47D, MCF-7, H1299, Colo-205, CRRF-CEM and K562 cell lines, with IC50 value of 0.04-0.4uM, as well as suppress DNA replication by preventing cells from entering S phase. Daily p.o. dosing for 14 days with palbociclib (150 or 75mg/kg) can produce rapid tumor regressions in p16 deleted mice bearing Colo-205 colon carcinoma xenografts. Up to now, several phase 3 studies on Palbociclib of treatment for breast cancer are undergoing (see on <https://www.clinicaltrials.gov/>).

作用机制

Palbociclib can inhibit CDK4/6 in an ATP-competitive manner through its pyridopyrimidine structure.

产品信息

CAS 号	571190-30-2	
分子式	C24H29N7O2	
分子量	447.53	
别名	PD-0332991	
溶解度	DMSO	5.0 mg/mL (11.2 mM)
	Water	Insoluble

