

LEE011

货号: L5813

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. LEE011 (Ribociclib) is an orally bioavailable dual CDK4/6 inhibitor with IC50 values of 10 nM and 40 nM, respectively. Treatment of LEE011 (0.25 - 10 μ M) can cause decrease in pRB-S780 accompany growth suppression in BE2C, EBC1, IMR5 and SKNAS neuroblastoma cell lines by a dose-dependent manner. 100 - 250nM of LEE011 can cause accumulation of G0/G1 phase of the cell cycle and cellular senescence with decreased FOXM1 in BE2C and IMR5 cells. Treatment of once daily for 21 days with 200mg/kg LEE011 can suppress neuroblastoma growth in mice with subcutaneously implanted BE2C or 1643 xenografts. There are currently 16 active clinical trials with LEE011 as single agent or in combination with other drugs of treatment for melanoma, breast cancer, neuroblastoma, malignant rhabdoid and lymphomas.

作用机制

LEE011 can inhibit CDK4/6 in an ATP-competitive manner through its pyrrolo[2,3d]pyrimidine core.

产品信息

CAS号	1211441-98-3	
分子式	C23H30N8O	
分子量	434.54	
别名	Ribociclib	
溶解度	DMSO	10.0 mg/mL (23.0 mM) warming
	Water	insoluble

