Roscovitine

货号：R1862

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

The CDKs (cyclin dependent kinases), as direct regulators of specific phases of the cell cycle, can control cellular proliferation and transcription with their activating cyclin partners and subunit inhibitors. Roscovitine (Seliciclib, CYC202) is a CDK2 and CDK5 inhibitor with IC50 values of 0.65, 0.7, 0.7 and 0.16 μM for cdc2/cyclin B, cdk2/cyclin A, cdk2/cyclin E and cdk5/p35 (measured by purified kinases activity), respectively. Roscovitine had a very limited effect on the cdk4/cyclin D1 and cdk6/cyclin D2 kinases (IC50 values >100 μM). It also exhibited the potency to CDK7/cyclin H with IC50 value of 0.49 μM. Roscovitine showed anti-growth effect against a panel of human tumor cell lines in cell culture, including A549, CHAGO-K1, NCI-H69, NCI-H460, LOVO, HCT15, HCT116, HT29, A498, ACHN, HT1376, MCF7, MDAMB435S, AN3CA, MES-SA, MES-SA/Dx5 and HepG2 cell lines, with IC50 values ranging from 7.9 - 30.2 μM for 72h. Treatment of 60 μM roscovitine for 48h could inhibit the growth of L1210 cells and arrest cells in G2M. Lovo xenografted mice treated with roscovitine, 100 mg/kg intraperitoneally 3 times daily at 8 hourly intervals for 5 days, exhibited a statistically significant reduction of 44.8% in tumor growth. Phase 2 studies of roscovitine treatment for cystic fibrosis and Cushing's Disease, and phase 1 study of roscovitine combined with sapacitabine treatment for advanced solid tumors are recruiting now (see https://www.clinicaltrials.gov/).

作用机制

Roscovitine behaves as a competitive inhibitor for ATP binding to cdc2.

产品信息

CAS 号	186692-46-6	
分子式	C19H26N6O	
分子量	354.45	
别名	CYC202; R-Roscovitine; Seliciclib	
溶解度	DMSO	500.0 mg/mL (1410.6 mM) warming
	Water	insoluble

兰博利德 LABLEAD
高 新 技 术 企 业