

Seliciclib Catalog No: tcsc0016

Available Sizes
Size: 10mg
Size: 50mg
Size: 100mg
Size: 200mg
Size: 500mg

Size: 1g

Specifications

CAS No:

186692-46-6

Formula:

 $C_{19}H_{26}N_{6}O$

Pathway:

Target:

CDK

Purity / Grade:

>98%

Solubility:

 $\mathsf{DMSO}: \geq 100 \; \mathsf{mg/mL} \; (282.13 \; \mathsf{mM})$

Alternative Names:

Roscovitine;CYC202;R-roscovitine

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Observed Molecular Weight:

354.45

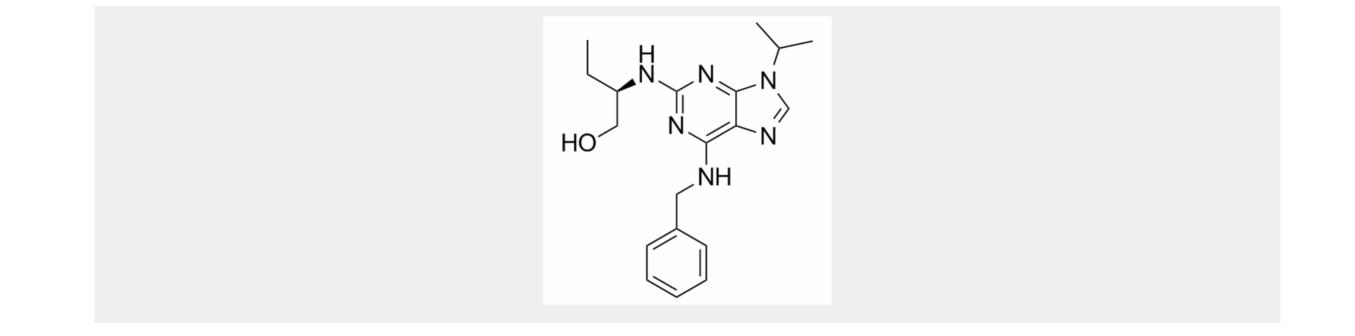
Product Description

Roscovitine is a potent and selective **CDKs** inhibitor with **IC**₅₀s of 0.2 μ M, 0.65 μ M, and 0.7 μ M for **CDK5**, **Cdc2**, and **CDK2**, respectively.

IC50 & Target: IC50: 0.2 μM (CDK5), 0.65 μM (Cdc2), 0.7 μM (CDK2)^[1]

In Vitro: Roscovitine displays high efficiency and high selectivity towards some cyclin-dependent kinases. The kinase specificity of Roscovitine is investigated with 25 highly purified kinases (including protein kinase A, G and C isoforms, myosin light-chain kinase, casein kinase 2, insulin receptor tyrosine kinase, c-src, v-abl). Most kinases are not significantly inhibited by Roscovitine. Cdc2, Cdk2, and Cdk5 only are substantially inhibited (IC₅₀ values of 0.65, 0.7, and 0.2 μ M, respectively). Cdk4k and Cdk6 are very poorly inhibited by Roscovitine (IC₅₀>100 μ M). Extracellular regulated kinases erk1 and erk2 are inhibited with an IC₅₀ of 34 μ M and 14 μ M, respectively. Roscovitine inhibits the proliferation of mammalian cell lines with an average IC₅₀ of 16 μ M^[1]. Roscovitine decreases the level of CDK5 and p35 with upregulation of E-cadherin, but downregulation of Vimentin and Collagen IV. Moreover, Roscovitine inhibits the ability of high glucose cultured NRK52E cells to migrate and invade^[2].

In Vivo: Compare with normal controls, Roscovitine downregulates phosphorylated ERK1/2 and PPARγ with concomitant increase in E-cadherin, but decrease in Vimentin and Collagen IV. Correspondingly, Roscovitine decreases renal tubulointerstitial fibrosis of diabetic rats. Roscovitine is effective in decreasing tubulointerstitial fibrosis via the ERK1/2/PPARγ pathway in diabetic rats^[2]. Roscovitine (16.5 mg/kg) significantly reduces the rate of tumor growth and increases survival of treated mice. Strikingly, Roscovitine treatment leads to complete tumor disappearance in one mouse (25%); moreover, no tumor regrowth in this mouse is found 5 months after completion of the treatment. Mouse weights do not differ significantly between mice treated with Roscovitine and control mice, and behavioral differences between the two groups are also negligible. These results suggest that Roscovitine can be used effectively as a selective tumor growth inhibitor in HPV+ head and neck cancer^[3].



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