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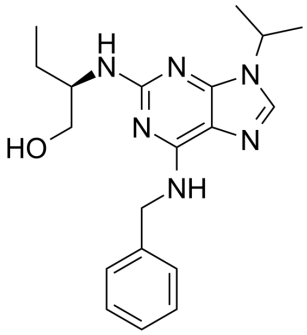
(R)-Roscovitine

Cat. No.:	HY-30237
CAS No.:	186692-46-6
Molecular Formula:	$C_{19}H_{26}N_6O$
Molecular Weight:	354.45
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (282.13 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.8213 mL	14.1064 mL	28.2127 mL
	5 mM	0.5643 mL	2.8213 mL	5.6425 mL
	10 mM	0.2821 mL	1.4106 mL	2.8213 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(R)-Roscovitine (Seliciclib) is an orally bioavailable and selective CDKs inhibitor with IC_{50}s of 0.2 μM, 0.65 μM, and 0.7 μM for CDK5, Cdc2, and CDK2, respectively.

IC_{50} & Target	cdc2/cyclin B 0.65 μM (IC_{50})	cdk2/cyclin A 0.7 μM (IC_{50})	Cdk2/cyclin E2 0.7 μM (IC_{50})	CDK5/p35 0.16 μM (IC_{50})
	GST-erk1 30 μM (IC_{50})	erk1 34 μM (IC_{50})	erk2 14 μM (IC_{50})	IR tyrosine kinase 70 μM (IC_{50})

In Vitro

(R)-Roscovitine (Seliciclib) displays high efficiency and high selectivity towards some cyclin-dependent kinases. The kinase specificity of Seliciclib is investigated with 25 highly purified kinases (including protein kinase A, G and C isoforms, myosin light-chain kinase, casein kinase 2, IR tyrosine kinase, c-src, v-abl). Most kinases are not significantly inhibited by (R)-Roscovitine. Cdc2, Cdk2, and Cdk5 only are substantially inhibited (IC_{50} values of 0.65, 0.7, and 0.2 μM, respectively). Cdk4k and Cdk6 are very poorly inhibited by (R)-Roscovitine (IC_{50}>100 μM). Extracellular regulated kinases erk1 and erk2 are inhibited with an IC_{50} of 34 μM and 14 μM, respectively. (R)-Roscovitine inhibits the proliferation of mammalian cell lines with an average IC_{50} of 16 μM[1]. (R)-Roscovitine (Seliciclib) decreases the level of CDK5 and p35 with upregulation of E-cadherin, but downregulation of Vimentin and Collagen IV. Moreover, (R)-Roscovitine inhibits the ability of high glucose cultured NRK52E cells to migrate and invade[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Compare with normal controls, (R)-Roscovitine (Seliciclib) downregulates phosphorylated ERK1/2 and PPARγ with concomitant increase in E-cadherin, but decrease in Vimentin and Collagen IV. Correspondingly, (R)-Roscovitine decreases renal tubulointerstitial fibrosis of diabetic rats. (R)-Roscovitine is effective in decreasing tubulointerstitial fibrosis via the ERK1/2/PPARγ pathway in diabetic rats[2]. (R)-Roscovitine (Seliciclib) (16.5 mg/kg) significantly reduces the rate of tumor growth and increases survival of treated mice. Strikingly, (R)-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 (R)-Roscovitine and control mice, and behavioral differences between the two groups are also negligible. These results suggest that (R)-Roscovitine can be used effectively as a selective tumor growth inhibitor in HPV+ head and neck cancer[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

Rat kidney tubular epithelial cells (NRK52E) are used. CDK5 inhibitor (R)-Roscovitine (Seliciclib) (Ros.; 10 μM) and activator p35 (15 μM), PPARγ agonist BRL 49653 (Rosi.; 50 nM), and ERK1/2 inhibitor U0126 (50 nM) are used to treat NRK52E cells. Cells in each group are treated for 72 hours and then harvested for further analyses[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [2][3]

Rats[2]

Male Sprague Dawley rats (6-8 weeks of age) are given intraperitoneally a single injection of either Streptozotocin (65 mg/kg) diluted in 0.1 M citrate buffer pH 4.5 (diabetic) or citrate buffer (non-diabetic). Plasma glucose concentrations are determined using the glucose oxidase method on a glucose analyzer three days after the injection. Rats with a glucose level over 16.7 mM are considered diabetic and thus included in the study. Plasma glucose level is measured once every week. To investigate the effect of CDK5 inhibition on renal tubulointerstitial fibrosis, Seliciclib (25 mg/kg) is injected peritoneally to diabetic rats every day till sacrifice. DMSO is included as controls.

Mice[3]

Exponentially growing UMSCC47 cells are injected subcutaneously into the sacral area of female NUDE mice. Each mouse is inoculated with 2×10^5 cells in 50% matrigel and 50% PBS at a volume of 100 μL. After tumors reach a measurable size, the mice are given 16.5 mg/kg doses of intraperitoneal Seliciclib or vehicle injections. Body weight, tumor growth, and general behavior are monitored. Tumor volumes are measured every 3 days. Mice are sacrificed when the tumor exceeded a size of $0.5cm^3$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2020 Sep;585(7824):293-297.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Acta Pharm Sin B. 2023 May 15.
- Cell Death Differ. 2021 Jan;28(1):337-348.
- Redox Biol. 22 July 2022, 102418.

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REFERENCES

[1]. Meijer L, et al. Biochemical and cellular effects of roscovitine, a potent and selective inhibitor of the cyclin-dependent kinases cdc2, cdk2 and cdk5. Eur J Biochem. 1997 Jan 15;243(1-2):527-36.

[2]. Bai X, et al. CDK5 promotes renal tubulointerstitial fibrosis in diabetic nephropathy via erk1/2/ppary pathway. Oncotarget. 2016 Apr 27.

[3]. Gary C, et al. Selective antitumor activity of roscovitine in head and neck cancer. Oncotarget. 2016 May 23.

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