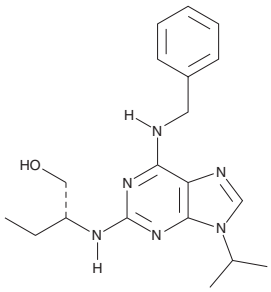
PRODUCT INFORMATION

(R)-Roscovitine

Item No. 10009569

CAS Registry No.:	186692-46-6
Formal Name:	2-[[9-(1-methylethyl)-6-[(phenylmethyl) amino]-9H-purin-2-yl]amino]-1-butanol
MF:	$C_{19}H_{26}N_6O$
FW:	354.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 291 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(R)-Roscovitine is supplied as a crystalline solid. A stock solution may be made by dissolving the (R)-roscovitine in the solvent of choice. (R)-Roscovitine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of (R)-roscovitine in these solvents is approximately 30, 50, and 3 mg/ml, respectively.

(R)-Roscovitine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (R)-roscovitine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. (R)-Roscovitine has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cyclin-dependent kinases (CDKs) are key regulators of cell cycle progression and are therefore promising targets for cancer therapy. (R)-Roscovitine is a potent inhibitor of Cdk2/cyclin E with an IC_{50} value of 0.1 µM.[1] It also inhibits Cdk7/cyclin H, Cdk5/p35, and cell division cycle (cdc)/cyclin B with IC_{50} values of 0.49, 0.16, and 0.65 µM, respectively.[1-3] (R)-Roscovitine inhibits the growth of rapidly proliferating cells with an average IC_{50} value of 15.2 µM against a panel of 19 human tumor cell lines.[1] In murine models of polycystic kidney disease, (R)-roscovitine effectively inhibited disease progression at doses of 50-100 mg/kg.[4]

References

1. McClue, S.J., Blake, D., Clarke, R., *et al. In vitro* and *in vivo* antitumor properties of the cyclin dependent kinase inhibitor CYC202 (R-roscovitine). *Int. J. Cancer* **102**, 463-468 (2002).
2. Meijer, L., Borgne, A., Mulner, O., *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.* **243**, 527-536 (1997).
3. Havlícek, L., Hanuš, J., Veselý, J., *et al.* Cytokinin-derived cyclin-dependent kinase inhibitors: Synthesis and cdc2 inhibitory activity of olomoucine and related compounds. *J. Med. Chem.* **40**, 408-412 (1997).
4. Bukanov, N.O., Smith, L.A., Klinger, K.W., *et al.* Long-lasting arrest of murine polycystic kiney disease with CDK inhibitor roscovitine. *Nature Letters* **444**, 949-952 (2006).

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