

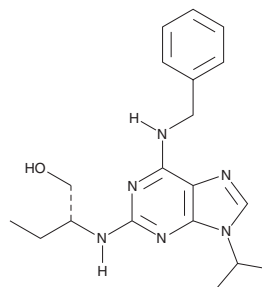
PRODUCT INFORMATION



(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: C₁₉H₂₆N₆O
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 IC₅₀ value of 0.1 μM.¹ It also inhibits Cdk7/cyclin H, Cdk5/p35, and cell division cycle (cdc)/cyclin B with IC₅₀ 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 IC₅₀ 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. Havlíček, 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 kidney disease with CDK inhibitor roscovitine. *Nature Letters* **444**, 949-952 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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