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ProductInformation

Roscovitine

Product Number **R 7772**
Storage Temperature -0 °C

Product Description

Molecular Formula: $C_{19}H_{26}N_6O$
Molecular Weight: 354.5
CAS Number: 186692-46-6
Synonyms: 6-(benzylamino)-2(R)-[1-(hydroxymethyl)propyl]amino]-9-isopropylpurine, 2-(R)-[[9-(1-Methylethyl)-6-[(phenylmethyl)amino]-9H-purin-2-yl]amino]-1-butanol

Roscovtine is a purine analog that is a potent and selective inhibitor of cyclin-dependent kinases (cdk).[1,2] In particular, it is a competitive inhibitor of cdc2/cyclin B, cdk2/cyclin A, cdk2/cyclin E, and cdk5/p35.[3] The crystal structure of roscovitine complexed with cdk2 has been determined, where the purine portion of roscovitine binds to the adenine binding pocket of cdk2. In addition, a comparison of the relative activities of the (R) and (S) stereoisomers of roscovitine towards cdc2/cyclin B has indicated that the (R)-isomer has about twice the inhibitory capacity than the (S)-isomer.[4]

Another potential function of roscovitine that has been postulated is a role in regulation of P/Q-type calcium channels and transmitter release in central neurons.[5] The role of roscovitine in inhibiting nucleotide uptake in K562 cells has been studied.[6] A cell culture study of roscovitine on human tumor cell lines and a mouse model of cancer has been reported.[7] A study in DU-145 prostate tumor spheroids of the action of roscovitine on the regulation of the cyclin-dependent kinase (CDK) inhibitors p27(Kip1) and p21(WAF-1) has been described.[8]

Precautions and Disclaimer

For Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

This product is soluble in chloroform (50 mg/ml), yielding a clear, colorless to light yellow solution. It is also soluble in DMSO (5 mg/ml).

References

1. Meijer, L., and Raymond, E., Roscovitine and other purines as kinase inhibitors. From starfish oocytes to clinical trials. Acc. Chem. Res., **36(6)**, 417-425 (2003).
2. Bain, J., et al., The specificities of protein kinase inhibitors: an update. Biochem. J., <B>**371(Pt 1)**, 199-204 (2003).
3. 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., **243(1-2)**, 527-536 (1997).
4. De Azevedo, W. F., et al., Inhibition of cyclin-dependent kinases by purine analogues: crystal structure of human cdk2 complexed with roscovitine. Eur. J. Biochem., **243(1-2)**, 518-526 (1997).
5. Yan, Z., et al., Roscovitine: a novel regulator of P/Q-type calcium channels and transmitter release in central neurons. J. Physiol., **540(Pt 3)**, 761-770 (2002).
6. Huang, M., et al., Inhibition of nucleoside transport by protein kinase inhibitors. J. Pharmacol. Exp. Ther., **304(2)**, 753-760 (2003).
7. McClue, S. J., et al., *In vitro* and *in vivo* antitumor properties of the cyclin dependent kinase inhibitor CYC202 (R-roscovitine). Int. J. Cancer, **102(5)**, 463-468 (2002).
8. Wartenberg, M., et al., Modulation of intrinsic P-glycoprotein expression in multicellular prostate tumor spheroids by cell cycle inhibitors. Biochim. Biophys. Acta, **1589(1)**, 49-62 (2002).

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