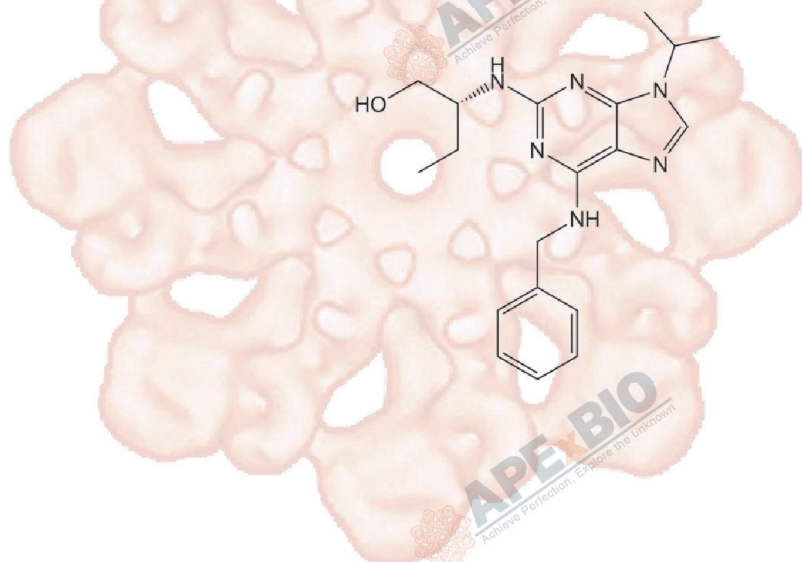


Product Data Sheet

Roscovitine (Seliciclib,CYC202)

| | |
|------------------|--|
| Cat. No.: | A1723 |
| CAS No.: | 186692-46-6 |
| Formula: | C ₁₉ H ₂₆ N ₆ O |
| M.Wt: | 354.45 |
| Synonyms: | |
| Target: | Cell Cycle/Checkpoint |
| Pathway: | Cyclin-Dependent Kinases |
| Storage: | Store at -20°C |



Solvent & Solubility

insoluble in H₂O; ≥17.72 mg/mL in DMSO; ≥53.5 mg/mL in EtOH

| In Vitro | Preparing Stock Solutions | Mass | | | |
|----------|---------------------------|----------------------|-----------|------------|------------|
| | | Solvent | 1mg | 5mg | 10mg |
| | | Concentration | | | |
| | | 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

Biological Activity

| | | |
|---------------------------|---|--|
| Shortsummary | CDK inhibitor,potent and selective | |
| IC ₅₀ & Target | 0.1 μM (Cdk2/cyclin E), 0.49 μM (Cdk7/cyclin H), 0.16 μM (Cdk5/p35), 0.65 μM (cdc/cyclin B) | |
| In Vitro | Cell Viability Assay | |
| | Cell Line: | Mitotic sea urchin embryos |
| | Preparation method: | The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months. |
| | Reacting conditions: | IC50: 10 μM, 60 min |

| | | |
|---------|--------------------------|--|
| | Applications: | When added 60 min after fertilisation, roscovitine was found to arrest cells in late prophase in a dose-dependent manner. A large nucleus could be observed in the roscovitine arrested eggs. This arrest was completely reversible: following washing with sea water, the eggs re-entered mitotic cycles and developed into normal plutei. This was observed even with highest concentration of roscovitine, 100 µM. |
| In Vivo | Animal experiment | |
| | Animal models: | Male athymic nude mice injected with A4573 cells |
| | Dosage form: | Intraperitoneal injection, 50 mg/kg, daily, for either 5 days or two 5-day series with a 2-day break in between. |
| | Applications: | Tumor growth was significantly slower in roscovitine-treated mice than in control animals, as a reflection of the markedly smaller size of individual tumors observed after excision. One day after completion of the first 5-day treatment series, tumors in roscovitine-treated animals had grown only 1.25-fold relative to their size at the time of treatment initiation, whereas tumors in untreated mice had already attained a volume 14.5-fold their original size. These values represented a difference of 11.5-fold in tumor volume. Counting from day 1 of roscovitine treatment, tumors in control animals reached a volume thrice the original in 2 days, whereas it took 10 days for the tumors in treated animals to triplicate their initial volume. |
| | Other notes: | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

Product Citations

1. Azimi A, Caramuta S, et al. "Targeting CDK2 overcomes melanoma resistance against BRAF and Hsp90 inhibitors." *Mol Syst Biol*. 2018 Mar 5;14(3):e7858.PMID:29507054
2. Ruegsegger GN, Toedebusch RG, et al. "Loss of Cdk5 function in the nucleus accumbens decreases wheel running and may mediate age-related declines in voluntary physical activity." *J Physiol*. 2016 Jul 27.PMID:27461471

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References

- [1] 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. *European Journal of Biochemistry*, 1997, 243(1-2): 527-536.
- [2] Tirado O M, Mateo-Lozano S, Notario V. Roscovitine is an effective inducer of apoptosis of Ewing's sarcoma family tumor cells in vitro and in vivo. *Cancer Research*, 2005, 65(20): 9320-9327.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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