Small Molecules

Purvalanol A

Cyclin/CDK pathway inhibitor; Inhibits CDKs

Catalog # 73774

10 mg

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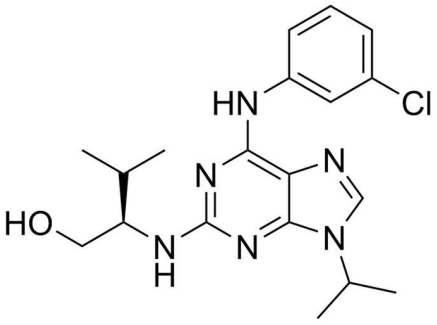
Product Description

Purvalanol A is a cell-permeable, potent, and selective inhibitor of cyclin-dependent kinases (CDKs). CDKs and cyclins form a stoichiometric complex, which is necessary for the CDK subunit to gain its protein kinase activity. It has been shown that these CDK/cyclin complexes play a key role in initiating G2/M transitions of the cell cycle (Jackman & Pines). Purvalanol A acts through competitive inhibition of ATP binding, to inhibit CDK1/cyclin B (IC_{50} = 4 nM), CDK2/cyclin A (IC_{50} = 70 nM), CDK2/cyclin E (IC_{50} = 35 nM), CDK4/cyclin D1 (IC_{50} = 850 nM), and CDK5-p35 (IC_{50} = 75 nM; Bain et al.; Gray et al.), thereby arresting cells in G1 and G2.

Molecular Name:	Purvalanol A
Alternative Names:	NG 60
CAS Number:	212844-53-6
Chemical Formula:	$C_{19}H_{25}ClN_6O$
Molecular Weight:	388.9 g/mol
Purity:	≥ 98%
Chemical Name:	(2R)-2-[[6-[(3-chlorophenyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]-3-methyl-1-butanol
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 75 mM · Absolute ethanol ≤ 25 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.57 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Inhibits proliferation in exponentially growing cancer cell lines and reversibly arrests synchronised cells in G1 and G2 phase of cell cycle (Villerbu et al.).
· Induces apoptosis in MCF-7 estrogen receptor positive breast cancer cells (Obakan et al.).
· Suppresses cancer progression associated with Src up-regulation by the coordinated inhibition of cell cycle progression and tyrosine kinase signaling (Hikita et al.).

References

Bain J et al. (2003) The specificities of protein kinase inhibitors: an update. Biochem J 371(Pt 1): 199–204.
Gray NS et al. (1998) Exploiting chemical libraries, structure, and genomics in the search for kinase inhibitors. Science 281(5376): 533–8.
Hikita T et al. (2010) Purvalanol A, a CDK inhibitor, effectively suppresses Src-mediated transformation by inhibiting both CDKs and c-Src. Genes Cells 15(10): 1051–62.
Jackman MR & Pines JN. (1997) Cyclins and the G2/M transition. Cancer Surv 29: 47–73.
Obakan P et al. (2014) Purvalanol A is a strong apoptotic inducer via activating polyamine catabolic pathway in MCF-7 estrogen receptor positive breast cancer cells. Mol Biol Rep 41(1): 145–54.
Villerbu N et al. (2002) Cellular effects of purvalanol A: a specific inhibitor of cyclin-dependent kinase activities. Int J Cancer 97(6): 761–9.

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