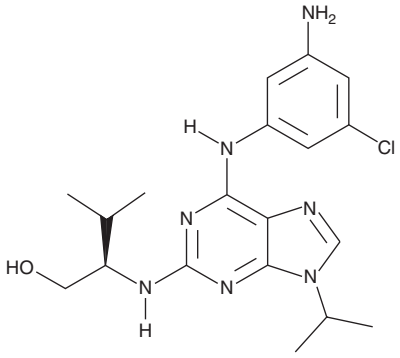
PRODUCT INFORMATION

Aminopurvalanol A

Item No. 21424

CAS Registry No.:	220792-57-4
Formal Name:	(2R)-2-[[6-[(3-amino-5-chlorophenyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]-3-methyl-1-butanol
Synonym:	NG 97
MF:	$C_{19}H_{26}ClN_7O$
FW:	403.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 211, 256, 315 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

Aminopurvalanol A is supplied as a crystalline solid. A stock solution may be made by dissolving the aminopurvalanol A in the solvent of choice. Aminopurvalanol A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of aminopurvalanol A in these solvents is approximately 10, 30, and 50 mg/ml, respectively.

Aminopurvalanol A is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, aminopurvalanol A should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Aminopurvalanol A has a solubility of approximately 0.2 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Aminopurvalanol A is a selective, cell-permeable, and competitive cyclin-dependent kinase (Cdk) inhibitor that potently inhibits Cdk1/cyclin B, Cdk2/cyclin A, Cdk2/cyclin E, and Cdk5/p35 (IC_{50}s = 33, 33, 28, and 20 nM, respectively).[1] It is over 90-fold selective for Cdks over ERK1, ERK2, PKC-δ, protein kinase A (PKA), casein kinase 1, insulin receptor tyrosine kinase (IC_{50}s = 3.0-36 µM), and over 3,000-fold selective over a range of other kinases (IC_{50}s > 100 µM). In antiproliferative assays *in vitro*, aminopurvalanol A inhibits growth of ovarian (IGROV1), leukemic (SR), lung (NCI-H522), and colonic (KM12) cells (GI_{50}s = 470, 420, 1,000, and 30 nM, respectively). Aminopurvalanol A also inhibits mitotic division and preferentially arrests cells in the G_2/M phase *via* Cdk1/cyclin B.[2,3]

References

1. Chang, Y.-T., Gray, N.S., Rosania, G.R., *et al.* Synthesis and application of functionally diverse 2,6,9-trisubstituted purine libraries as CDK inhibitors. *Chem. Biol.* **6(6)**, 361-375 (1999).
2. Rosania, G.R., Merlie, J. Jr., Gray, N., *et al.* A cyclin-dependent kinase inhibitor inducing cancer cell differentiation: biochemical identification using Xenopus egg extracts. *Proc. Natl. Acad. Sci. USA* **96(9)**, 4797-4802 (1999).
3. Knockaert, M., Gray, N., Damiens, E., *et al.* Intracellular targets of cyclin-dependent kinase inhibitors: identification by affinity chromatography using immobilised inhibitors. *Chem. Biol.* **7(6)**, 411-422 (2000).

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