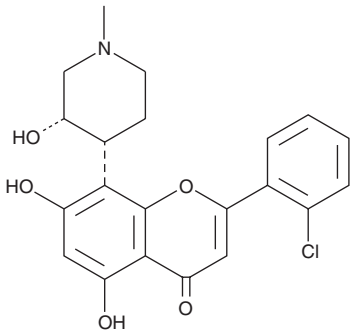
PRODUCT INFORMATION

Flavopiridol

Item No. 26024

CAS Registry No.:	146426-40-6
Formal Name:	2-(2-chlorophenyl)-5,7-dihydroxy-8-[(3S,4R)-3-hydroxy-1-methyl-4-piperidinyl]-4H-1-benzopyran-4-one
Synonyms:	Alvocidib, HL 275, HMR 1275, L-868,275
MF:	$C_{21}H_{20}ClNO_5$
FW:	401.8
Purity:	≥95%
UV/Vis.:	λ_{max}: 214, 272, 344 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

Flavopiridol is supplied as a crystalline solid. A stock solution may be made by dissolving the flavopiridol in the solvent of choice. Flavopiridol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of flavopiridol in these solvents is approximately 3, 30, and 5 mg/ml, respectively.

Flavopiridol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, flavopiridol should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Flavopiridol has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Flavopiridol is an orally bioavailable inhibitor of cyclin dependent kinases (IC_{50}s = ~100, ~100, ~100, and 300 nM for Cdk1, Cdk2, Cdk4, and Cdk7, respectively).[1] It also inhibits TEFb, a complex composed of Cdk9 and cyclin T1, with a K_i value of 3 nM.[2] Flavopiridol inhibits transcription of a CMV promoter in HeLa nuclear extract (IC_{50} = 34 nM), Tat-stimulated transcription of an HIV-1 promotor (IC_{50} = 7 nM), and HIV-1 replication in HEK293T cells (IC_{50} = <10 nM). *In vivo*, flavopiridol (5 mg/kg, i.p.) induces apoptosis and cyclin D1 depletion and delays tumor growth in an HN-12 head and neck carcinoma mouse xenograft model.[1] It also suppresses synovial hyperplasia and joint destruction in a mouse model of collagen-induced arthritis.[3]

References

1. Senderowicz, A.M. and Sausville, E.A. Preclinical and clinical development of cyclin-dependent kinase modulators. *J. Natl. Cancer Inst.* **92(5)**, 376-387 (2000).
2. Chao, S.H., Fujinaga, K., Marion, J.E., *et al.* Flavopiridol inhibits P-TEFb and blocks HIV-1 replication. *J. Biol. Chem.* **275(37)**, 28345-28348 (2000).
3. Sekine, C., Sugihara, T., Miyake, S., *et al.* Successful treatment of animal models of rheumatoid arthritis with small-molecule cyclin-dependent kinase inhibitors. *J. Immunol.* **180(3)**, 1954-1961 (2008).

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