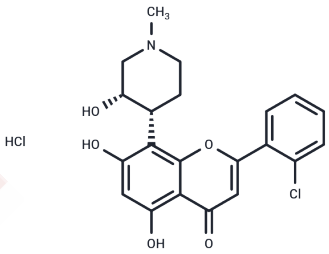
Data Sheet (Cat.No.T2615)

TargetMol

Flavopiridol hydrochloride

Chemical Properties



CAS No. : 131740-09-5

Formula: C21H21Cl2NO5

Molecular Weight: 438.3

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Flavopiridol hydrochloride (MDL 107826A) is a synthetic N-methylpiperidinyl chlorophenyl flavone compound. As an inhibitor of cyclin-dependent kinase, alvocidib induces cell cycle arrest by preventing phosphorylation of cyclin-dependent kinases (CDKs) and by down-regulating cyclin D1 and D3 expression, resulting in G1 cell cycle arrest and apoptosis. This agent is also a competitive inhibitor of adenosine triphosphate activity.
Targets(IC50)	HIV Protease,CDK,Autophagy
In vitro	Intravenous administration of 7.5 mg/kg Flavopiridol in mice with the SUDHL-4 subcutaneously injected lymphoma model resulted in significant tumor reduction, with the majority (3/4) or complete disappearance (1/2) of tumors. Notably, two animals remained symptom-free for over 60 days, achieving an overall tumor growth delay of 73.2%. When administered orally at the maximum tolerable dose of 10 mg/kg Flavopiridol daily during days 1-4 and 7-11, the treatment contributed to tumor regression in PRXF1337 and sustained tumor stasis for four weeks in PRXF1369. Furthermore, continuous intravenous or intraperitoneal injection of 7.5 mg/kg Flavopiridol over five days led to complete tumor regression in 11 out of 12 late-stage subcutaneous (sc) human HL-60 xenografted mice, with the treated mice remaining disease-free for several months post-treatment.
In vivo	Flavopiridol induces G1 phase arrest and inhibits CDK2 and CDK4 in human breast cancer cells in a time- and concentration-dependent manner. A short-term treatment of approximately 12 hours with Flavopiridol triggers apoptosis in hematopoietic cell lines, including SUDHL4, SUDHL6 (B-cell lines), Jurkat, MOLT4 (T-cell lines), and HL60 (myeloid). Additionally, Flavopiridol treatment induces significant AKT-Ser473 phosphorylation in the human glioblastoma T98G cell line. In clonogenic assays, Flavopiridol demonstrates potent cytotoxicity across 23 human tumor models, with an average IC70 of 8 ng/mL.
Kinase Assay	Recombinant CDKs Kinase Reactions: CDKs activities are determined in microtiter plates as follows. Forty μg Gst-Rb are mixed with different amounts of Flavopiridol and unlabeled ATP. Reactions are then started by the addition of an ammonium sulfate cut of the S100 fraction obtained from insect cells expressing recombinant human CDKs. The final reaction conditions are 10 mM MgCl2, 50 mM Tris-HCl (pH 7.5), and 1 mM DTT. The final concentration of ATP is adjusted accordingly. Radiolabeled ATP is used as a phosphoryl donor. The reaction is carried out for 2.5 minutes at 30 °C after addition of

Kinase Assay	enzyme and then terminated with the addition of EDTA. The Gst-Rb is then captured with glutathione-Sepharose and the incorporated radioactivity is determined by liquid scintillation counting.
Cell Research	Cells grown at a density of 1 × 106 cells/mL are exposed to Flavopiridol for different concentrations and time periods. DNA is extracted. Briefly, cells are washed once with cold phosphate-buffered saline (PBS) and lysed with 3 mL lysis buffer (5 mM Tris-HCL [pH 7.5]; 20 mM EDTA; 0.5% Triton X-100) for 15 minutes at 4 °C. The chromatin of the cell lysates is isolated by centrifugation (20 minutes at 26,000 g, 4 °C). The supernatants containing small DNA fragments are extracted sequentially with phenol, phenol: chloroform (1:1), and chloroform. Nucleic acids are precipitated in 0.5 M NaCl, 90% ethanol at -20 °C overnight. RNA is then digested by bovine RNAaseA (60 μg/mL). After sequential reextraction and reprecipitation, DNA is dissolved in 10 mM Tris-HCL (pH 7.5), 1 mM EDTA, 0.5% sodium dodecyl sulfate (SDS) before electrophoresis on 1.6% agarose gel. (Only for Reference)

Solubility Information

Solubility	H2O: 43.8 mg/mL (99.93 mM),Sonication is recommended. DMSO: 120 mg/mL (273.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (2.28 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2815 mL	11.4077 mL	22.8154 mL
5 mM	0.4563 mL	2.2815 mL	4.5631 mL
10 mM	0.2282 mL	1.1408 mL	2.2815 mL
50 mM	0.0456 mL	0.2282 mL	0.4563 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Senderowicz AM, Oncologist, 2002, 7 Suppl 3:12-9.
Carlson BA, et al, Cancer Res, 1996, 56(13), 2973-2978.
Parker BW, et al, Blood, 1998, 91(2), 458-465.
Drees M, et al, Clin Cancer Res, 1997, 3(2), 273-279.
Caracciolo V, et al, Cell Cycle, 2012, 11(6), 1202-1216.

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