Flavopiridol

Cat. No.: HY-10005

CAS No.: 146426-40-6

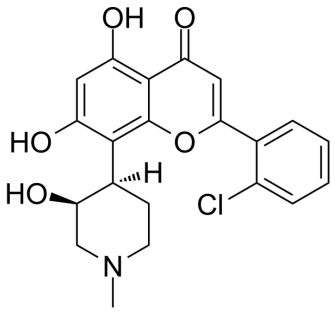
Molecular Formula: $C_{21}H_{20}ClNO_5$

Molecular Weight: 401.84

Target: CDK; Autophagy; HIV; Apoptosis

Pathway: Cell Cycle/DNA Damage; Autophagy; Anti-infection; Apoptosis

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 2 years; -20°C, 1 year (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (82.94 mM; Need ultrasonic)
DMSO : 1 mg/mL (2.49 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.4886 mL	12.4428 mL	24.8855 mL
5 mM	0.4977 mL	2.4886 mL	4.9771 mL
10 mM	0.2489 mL	1.2443 mL	2.4886 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flavopiridol (Alvocidib) is a broad spectrum and competitive inhibitor of CDKs, inhibiting CDK1, CDK2, CDK4 with IC_{50}s of 30, 170, 100 nM, respectively.

IC_{50} & Target

CDK1/Cyc B1 30 nM (IC_{50})	CDK2/Cyc E 170 nM (IC_{50})	CDK4/Cyc D1 100 nM (IC_{50})	MAP 19000 nM (IC_{50})
PKC 14000 nM (IC_{50})	EGFR 22000 nM (IC_{50})		

In Vitro

Flavopiridol (2 μM) robustly induces a distinct pattern of ER stress in CLL cells that contributes to cell death through IRE1-

mediated activation of ASK1 and possibly downstream caspases[1]. Flavopiridol results in potent upregulation of a number of PRGs in treatments lasting 4-24 h. Flavopiridol has and immediate and long-term effect on the expression of several PRGs. In serum starved cells re-stimulated with serum, flavopiridol also inhibits the expression of these genes, but subsequently, JUNB, GADD45B and EGR1 are upregulated in the presence of flavopiridol[2].
Flavopiridol (Alvocidib) also inhibits cyclin E1 and induces apoptosis[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

The cells treated with flavopiridol are washed after 4 hours with PBS and resuspended in regular growth medium (RPMI 1640) supplemented with 10% human serum and antibiotics for the remainder of the incubation time. In the case of flavopiridol/NSC-187208 samples, NSC-187208 is re-added in the fresh media after flavopiridol is washed at 4 hours. For all the other conditions, cells are incubated with the respective drugs for 24 hours continuously.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2021 Apr 15;184(8):2167-2182.e22.
- Cancer Discov. 2021 Oct 6;candisc.1848.2020.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Acta Pharm Sin B. 26 February 2022.
- Biomaterials. 2014 Aug;35(24):6585-94.

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REFERENCES

[1]. Mahoney E, et al. ER stress and autophagy: new discoveries in the mechanism of action and drug resistance of the cyclin-dependent kinase inhibitor flavopiridol.Blood. 2012 Aug 9;120(6):1262-1273.

[2]. Keskin H, et al. Complex effects of flavopiridol on the expression of primary response genes. Cell Div. 2012 Mar 29;7:11.

[3]. Kim KS, et al.Thio- and oxoflavopiridols, cyclin-dependent kinase 1-selective inhibitors: synthesis and biological effects. J Med Chem. 2000 Nov 2;43(22):4126-34.

[4]. Jianliang Xu, et al. Inhibition of cyclin E1 sensitizes hepatocellular carcinoma cells to regorafenib by mcl-1 suppression. Cell Commun Signal. 2019 Jul 26;17(1):85.

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