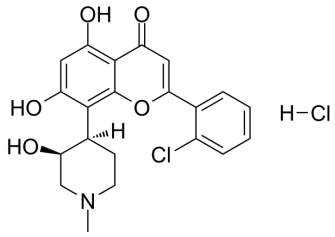
Flavopiridol Hydrochloride

Cat. No.:	HY-10006
CAS No.:	131740-09-5
Molecular Formula:	$C_{21}H_{21}Cl_2NO_5$
Molecular Weight:	438.3
Target:	CDK; Autophagy; HIV
Pathway:	Cell Cycle/DNA Damage; Autophagy; Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 1 years; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (228.15 mM; Need ultrasonic and warming)
H_2O : ≥ 20 mg/mL (45.63 mM)
H_2O : 12 mg/mL (27.38 mM; Need ultrasonic and warming)
H_2O : 12 mg/mL (27.38 mM; ultrasonic and warming and adjust pH toMSwithMSO)
DMF : 7.69 mg/mL (17.55 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
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

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: ≥ 0.67 mg/mL (1.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.67 mg/mL (1.53 mM); Clear solution
3. Add each solvent one by one: 5%DMSO >> 95%(10%Tween80 in saline)
   Solubility: mg/mL; null solution; Need ultrasonic and warming and adjust pH toMSwithMSO

BIOLOGICAL ACTIVITY

Description

Flavopiridol Hydrochloride (Alvocidib Hydrochloride) is a broad inhibitor of CDK, competing with ATP to inhibit CDKs including CDK1, CDK2, CDK4 with IC_{50}s of 30, 170, 100 nM, respectively.

IC_{50} & Target	CDK1/Cyc B1	CDK2/Cyc E	CDK4/Cyc D1	MAP

	30 nM (IC_{50})	170 nM (IC_{50})	100 nM (IC_{50})	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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

Briefly, lysates containing approximately 3×10^6 cells are incubated with 50 μM LEVD-AFC (caspase 4 substrate) or LETD-AFC (caspase 8 substrate) containing 10 mM dithiothretiol (DTT). Caspase 4 activity is measured one hour after addition of substrate and caspase 8 activity is measured 30 minutes after addition of substrate. Release of free AFC is measured with a Beckman-Coulter DTX 880 multimode detector.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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/chloroquine samples, chloroquine 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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