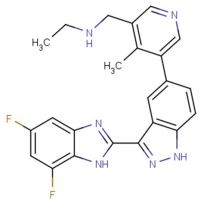
Data Sheet (Cat.No.T14136)

AG-024322

Chemical Properties



CAS No.:	837364-57-5
Formula:	C23H20F2N6
Molecular Weight:	418.44
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	AG-024322 is a potent ATP-competitive inhibitor of pan-CDK against cell cycle kinases CDK1, CDK2, and CDK4(Ki values in the 1-3 nM range)
Targets(IC_{50})	CDK-1: 2.3 nM (ki) CDK-2: 3 nM (ki) CDK-4: 2.9 nM (ki)
In vitro	AG-024322 (0.1-30 μM; 24 hours) is less toxic at concentrations below 3 μM. The viability of human PBMCs as measured by ATP content with a TC50 value of 1.4 μM for human PBMCs[2]. It is slightly less potent in the functional cellular assay with an IC50 of 120 nM[2]. AG-024322 (0-120 nM) exhibits growth inhibition effects on HCT-116 cells.
In vivo	AG-024322 (intravenous infusion; 2, 6, and 10 mg/kg; 5 days) exhibits no-adverse-effect at 2 mg/kg with mean plasma AUC (0-24.5) of 2.11 g.h/mL. At 6 mg/kg produces pancytic bone marrow hypocellularity, lymphoid depletion. And vascular injury at the injection site renal tubular degeneration occurs at 10 mg/kg[1]. AG-024322 (20 mg/kg) causes a 65% TGI in the MV522 tumor model. It results a 52% TGI at 1/2 of the maximum tolerated dose (MTD) and only slight anti-tumor activity at 1/4 of the MTD[3]. AG-024322 (20 mg/kg) inhibits the growth of established human tumor xenografts of different origins with tumor growth inhibition (TGI) ranging from 32% to 86.4% and it also exhibits anti-tumor effects as a dose-pdependent manner[3].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.39 mL	11.949 mL	23.898 mL
5 mM	0.478 mL	2.39 mL	4.78 mL
10 mM	0.239 mL	1.195 mL	2.39 mL
50 mM	0.048 mL	0.239 mL	0.478 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Brown AP, et al. Toxicity and toxicokinetics of the cyclin-dependent kinase inhibitor AG-024322 in cynomolgus monkeys following intravenous infusion.Cancer Chemother Pharmacol. 2008 Nov;62(6):1091-101.

2. Jessen BA,et al. Peripheral white blood cell toxicity induced by broad spectrum cyclin-dependent kinase inhibitors.J Appl Toxicol. 2007 Mar-Apr;27(2):133-42.

3. Cathy C. Zhang, et al. AG-024322 is a multi-targeted CDK inhibitor with potent antitumor activity in vivo. Cellular and Molecular Biology 53: Cell Cycle Control and Cancer 1

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