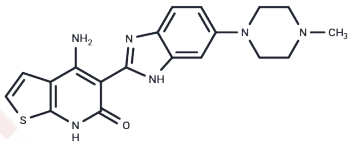
Data Sheet (Cat.No.T9017)

TargetMol

HPK1-IN-2

Chemical Properties



CAS No. : 2056122-11-1

Formula: C19H20N6OS

Molecular Weight: 380.47

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	HPK1-IN-2 (Thieno[2,3-b]pyridin-6(7H)-one, 4-amino-5-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-HPK1-IN-2) is a potent and orally active inhibitor of hematopoietic progenitor kinase-1 (HPK1; IC50<0.05 μM). It also inhibits Lck (0.05 μM<IC50<0.5 μM) and Flt3 (IC50<0.05 μM) kinase activities with antitumor activity.
Targets(IC50)	FLT,Src
In vitro	In a-CD3 stimulated Jurkat E6. 1 cells, HPK1-IN-2 inhibits SLP76 serine 376 phosphorylation and ERK1/2 T202/Y204 phosphorylation with IC50 values of 0.3-1 μM and >3μM, respectively.
In vivo	HPK1-IN-2 (75-150 mg/kg; oral gavage; daily; for 21 days) treatment shows a dose-dependently tumor growth inhibition, with 75 mg/kg and 150 mg/kg QD inhibiting tumour growth by 44% and 64%, respectively

Solubility Information

Solubility	H2O: 25 mg/mL (65.71 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6283 mL	13.1416 mL	26.2833 mL
5 mM	0.5257 mL	2.6283 mL	5.2567 mL
10 mM	0.2628 mL	1.3142 mL	2.6283 mL
50 mM	0.0526 mL	0.2628 mL	0.5257 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

Peter Brent Sampson, et al. Hpk1 inhibitors and methods of using same. WO2016205942A1.