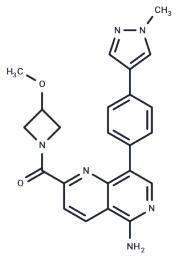
Data Sheet (Cat.No.T22633)

TargetMol

CDK8/19-IN-51

Chemical Properties



CAS No. : 1860885-61-5

Formula: C23H22N6O2

Molecular Weight: 414.46

Storage: Keep away from moisture
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	CDK8/19-IN-51 is an orally active and highly effective dual inhibitor of CDK8 and CDK19, with anticancer activity. Its IC50 values for CDK8 and CDK19 are 5.1 nM and 5.6 nM, respectively. It is used in studies of colorectal and gastric cancers.
Targets(IC50)	CDK
In vitro	In SW620 human colorectal carcinoma cells harboring an activating APC mutation, CDK8/19-IN-51 inhibited phospho-STAT1^Ser727 with an IC50 of 17.9 nM, which serves as a biomarker of CDK8 inhibition [1].
In vivo	In in vivo pharmacokinetic (PK) studies in mice and rats, CDK8/19-IN-51 exhibited moderate in vivo clearance and volume of distribution (Vd) but showed low bioavailability in mice. In an SW620 human colorectal carcinoma xenograft model, oral administration of CDK8/19-IN-51 (5 mg/kg) inhibited phospho-STAT1^Ser727 in a time-dependent manner. CDK8/19-IN-51 serves as an advanced chemical tool for further investigation of the efficacy, safety, and tolerability of dual CDK8/19 inhibitors [1].

Solubility Information

Solubility	DMSO: 8 mg/mL (19.3 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4128 mL	12.0639 mL	24.1278 mL
5 mM	0.4826 mL	2.4128 mL	4.8256 mL
10 mM	0.2413 mL	1.2064 mL	2.4128 mL
50 mM	0.0483 mL	0.2413 mL	0.4826 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

Mallinger A, et al. 2,8-Disubstituted-1,6-Naphthyridines and 4,6-Disubstituted-Isoquinolines with Potent, Selective Affinity for CDK8/19. ACS Med Chem Lett. 2016 Mar 28;7(6):573-8.