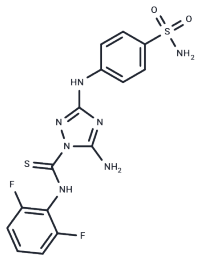
Data Sheet (Cat.No.T21950)

TargetMol

K 00546

Chemical Properties



CAS No. : 443798-47-8

Formula: C15H13F2N7O2S2

Molecular Weight: 425.44

Storage: Store at low temperature
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	K 00546 is a potent inhibitor of cellular cyclin kinases (CDK1 and CDK2) and CDC2-like kinases (CLK1 and CLK3) used in the study of cancer and immune-related diseases.
Targets(IC50)	CDK,GSK-3,VEGFR
In vitro	K 00546 binds to the SLK ATP binding site and forms three hydrogen bonds with the kinase hinge residues E109 and C111. In addition, the aminosulfonyl portion of K 00546 interacts with the backbone of L40. [1] K 00546 also inhibits a number of kinases, including PKA, casein kinase-1, MAP kinase (ERK-2), calmodulin kinase, VEGF-R2, GSK-3, and PDGF-Rβ, with IC50 values of 5.2 μM, 2.8 μM, 1.0 μM, 8.9 μM, 0.032 μM, 0.14 μM, and 1.6 μM, respectively. K 00546 is a potent CDK1 and CDK2 inhibitor with IC50 values of 0.6 nM for CDK1/cyclin B and 0.5 nM for CDK2/cyclin A. [2] K 00546 has also been shown to be a potent CDC2-like kinase 1 (CLK1) and CLK3 inhibitor with IC50 values of 8.9 nM and 29.2 nM, respectively. [3]

Solubility Information

Solubility	DMSO: 80 mg/mL (188.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3505 mL	11.7525 mL	23.5051 mL
5 mM	0.4701 mL	2.3505 mL	4.701 mL
10 mM	0.2351 mL	1.1753 mL	2.3505 mL
50 mM	0.047 mL	0.2351 mL	0.4701 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

Pike AC, et al. Activation segment dimerization: a mechanism for kinase autophosphorylation of non-consensus sites. EMBO J. 2008 Feb 20;27(4):704-14.
Lin R, et al. 1-Acyl-1H-[1,2,4]triazole-3,5-diamine analogues as novel and potent anticancer cyclin-dependent kinase inhibitors: synthesis and evaluation of biological activities. J Med Chem. 2005 Jun 30;48(13):4208-11.
Fedorov O, et al. Specific CLK inhibitors from a novel chemotype for regulation of alternative splicing. Chem Biol. 2011 Jan 28;18(1):67-76.

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