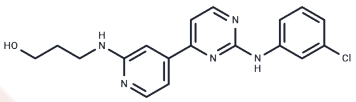
Data Sheet (Cat.No.T14943)

TargetMol

CGP60474

Chemical Properties



CAS No. : 164658-13-3

Formula: C18H18ClN5O

Molecular Weight: 355.82

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	CGP60474 is an inhibitor of VEGFR-2 (IC50 = 84 nM) and an inhibitor of ATP-competitive PKC. CGP60474 is also a highly potent anti-endotoxemic agent and inhibits cyclin-dependent kinase (CDK) potently.
Targets(IC50)	CDK,PKC,VEGFR
In vitro	The IC50 values are 26, 3, 4, 216, 10, 200 and 13 nM for CDK1/CyclinB, CDK2/CyclinE, CDK2/CyclinA, CDK5/p25, CDK7/CyclinH, CDK4/CyclinD and CDK9/cycT, respectively[4].
In vivo	In the LPS endotoxemia model, CGP60474 (10?mg/kg; i.p.) inhibits the IL-6 level and increases the survival rate[3].

Solubility Information

Solubility	DMSO: 117.5 mg/mL (330.22 mM),Sonication is recommended. H2O: < 0.1 mg/mL (insoluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.62 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8104 mL	14.052 mL	28.1041 mL
5 mM	0.5621 mL	2.8104 mL	5.6208 mL
10 mM	0.281 mL	1.4052 mL	2.8104 mL
50 mM	0.0562 mL	0.281 mL	0.5621 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

Kuo GH, et al. Synthesis and identification of [1,3,5]triazine-pyridine biheteroaryl as a novel series of potent cyclin-dependent kinase inhibitors. J Med Chem. 2005 Jul 14;48(14):4535-46.
Stanetty P, et al. Novel and efficient access to phenylamino-pyrimidine type protein kinase C inhibitors utilizing a Negishi cross-coupling strategy. J Org Chem. 2005 Jun 24;70(13):5215-20.
Han HW, et al. LINCS L1000 dataset-based repositioning of CGP-60474 as a highly potent anti-endotoxemic agent. Sci Rep. 2018;8(1):14969. Published 2018 Oct 8.
Jorda R, et al. How Selective Are Pharmacological Inhibitors of Cell-Cycle-Regulating Cyclin-Dependent Kinases?. J Med Chem. 2018;61(20):9105-9120.