CGP60474

Cat. No.: HY-11009

CAS No.: 164658-13-3

Molecular Formula: $C_{18}H_{18}ClN_5O$

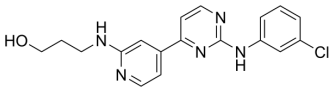
Molecular Weight: 355.82

Target: PKC; CDK

Pathway: Epigenetics; TGF-beta/Smad; Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (140.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8104 mL	14.0520 mL	28.1041 mL
	5 mM	0.5621 mL	2.8104 mL	5.6208 mL
	10 mM	0.2810 mL	1.4052 mL	2.8104 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CGP60474, a highly potent anti-endotoxemic agent, is a potent cyclin-dependent kinase (CDK) inhibitor (IC_{50} values are 26, 3, 4, 216, 10, 200 and 13 nM for CDK1/B, CDK2/E, CDK2/A, CDK4/D, CDK5/p25, CDK7/H and CDK9/T, respectively). CGP60474 is a selective and ATP-competitive PKC inhibitor[1][2][3].

IC_{50} & Target				
	CDK1-Cyclin B 26 nM (IC_{50})	CDK2/cyclinE 3 nM (IC_{50})	cdk2/cyclin A 4 nM (IC_{50})	CDK4/cyclin D 216 nM (IC_{50})
	Cdk5/p25 10 nM (IC_{50})	CDK7/cyclin H 200 nM (IC_{50})	CDK9/cycT 13 nM (IC_{50})	PKC

In Vitro	CGP60474 (Compound A) is a potent VEGFR-2 inhibitor, with an IC_{50} of 84 nM[1]. CGP60474 is also a PKC inhibitor, with competitive kinetics relative to ATP[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CGP-60474 (10?mg/kg; i.p.) inhibits the IL-6 level and increases the survival rate in the LPS endotoxemia model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57Bl/6 mice (LPS endotoxemia model)[2]
Dosage:	10 mg/kg
Administration:	I.p.
Result:	Had a higher survival rate.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2023 Mar 10;161:114486.
- Sci Rep. 2018 Oct 8;8(1):14969.
- Oncotarget. 2017 Nov 22;8(65):109135-109150.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Jorda R, et al. How Selective Are Pharmacological Inhibitors of Cell-Cycle-Regulating Cyclin-Dependent Kinases?. J Med Chem. 2018;61(20):9105-9120.

[2]. 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.

[3]. 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;70(13):5215-5220.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA