PCI 29732

Cat. No.: HY-18010

CAS No.: 330786-25-9

Molecular Formula: $C_{22}H_{21}N_5O$

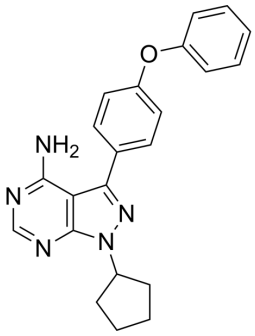
Molecular Weight: 371.44

Target: Btk; BCRP

Pathway: Protein Tyrosine Kinase/RTK; Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 53 mg/mL (142.69 mM)

Ethanol : 10 mg/mL (26.92 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6922 mL	13.4611 mL	26.9222 mL
5 mM	0.5384 mL	2.6922 mL	5.3844 mL
10 mM	0.2692 mL	1.3461 mL	2.6922 mL

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

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.69 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.69 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PCI 29732 is a potent, orally active, reversible BTK inhibitor with K_i^{app} values of 8.2, 4.6, and 2.5 nM for BTK, Lck and Lyn, respectively. PCI 29732 shows only modest inhibitory activity against Itk, another Tec family kinase. PCI 29732 inhibits the function of ABCG2 by competitively binding to the ATP-binding site of ABCG2[1][2].

In Vitro

PCI29732 shows cytotoxicity in different cells. The IC_{50} values are 7.94 μM for S1, 7.79 μM for S1-MI-80, 6.55 μM for H460, 6.34

	μM for H460/MX20, 6.14 μM for KB, 6.02 μM for KBv200, 12.45 μM for HEK293/pcDNA3, 14.58 μM for HEK293-ABCG2-482-R2, and 13.24 μM for HEK293-ABCG2-482-T7 cells[2]. PCI-29732 blocks the transcriptional up-regulation of a panel of B-cell activation genes in human CD20+ B cells stimulated at the B-cell antigen receptor (BCR)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PCI 29732 inhibits the function of ABCG2 by competitively binding to the ATP-binding site of ABCG2 and enhances the anti-tumor efficacy of substrate chemotherapeutic agents[2]. PCI 29732 (20 mg/kg; p.o.; every 3 d × 5 times) enhances the anticancer efficacy of Topotecan in the H460/MX20 cell xenograft nude mice model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	5-6 weeks old athymic nude mice (bearing H460/MX20 cells)[2]
Dosage:	20 mg/kg (combination with Topotecan; every 3 d × 5 times, i.p., 3 mg/kg; topotecan was given 1 h after PCI29732 administration)
Administration:	P.o.; every 3 d × 5 times
Result:	Significant reductions in tumor weight and volume were observed in the group treated with PCI29732 in combination with Topotecan.

CUSTOMER VALIDATION

- Br J Pharmacol. 2014 Dec;171(24):5845-57.
- J Biomol Screen. 2015 Aug;20(7):876-86.
- Cell Physiol Biochem. 2018;48(6):2302-2317.

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REFERENCES

[1]. Pan Z, et al. Discovery of selective irreversible inhibitors for Bruton's tyrosine kinase. ChemMedChem. 2007 Jan;2(1):58-61.

[2]. Ge C, et al. PCI29732, a Bruton's Tyrosine Kinase Inhibitor, Enhanced the Efficacy of Conventional Chemotherapeutic Agents in ABCG2-Overexpressing Cancer Cells. Cell Physiol Biochem. 2018;48(6):2302-2317.

[3]. Honigberg LA, et al. The Bruton tyrosine kinase inhibitor PCI-32765 blocks B-cell activation and is efficacious in models of autoimmune disease and B-cell malignancy. Proc Natl Acad Sci U S A. 2010;107(29):13075-13080.

Caution: Product has not been fully validated for medical applications. For research use only.

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