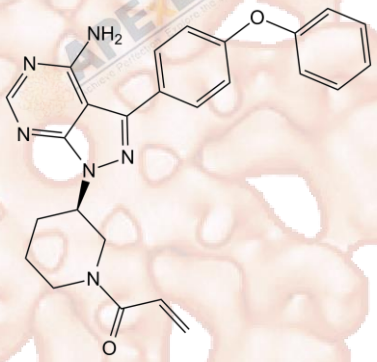
Product Data Sheet

PCI-32765 (Ibrutinib)

Cat. No.:	A3001
CAS No.:	936563-96-1
Formula:	C25H24N6O2
M.Wt:	440.5
Synonyms:	PCI-32765,Ibrutinib,CRA-032765
Target:	Angiogenesis
Pathway:	BTK
Storage:	Desiccate at -20°C



Solvent & Solubility

In Vitro

⩾22.02 mg/mL in DMSO; insoluble in H2O; ⩾10.4 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.2701 mL	11.3507 mL	22.7015 mL
5 mM	0.4540 mL	2.2701 mL	4.5403 mL
10 mM	0.2270 mL	1.1351 mL	2.2701 mL

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

Biological Activity

Shortsummary	Bruton's tyrosine kinase (BTK) inhibitor
IC_{50} & Target	0.5 nM (Btk)

In Vitro

Cell Viability Assay

Cell Line:	CLL cell lines
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	24 h,48 h and 72 h; 1 μM.

	Applications:	Anti-IgM–supported CLL cell viability was reduced in the presence of PCI-32765 from 69% to 33% at 24 hours, and to 31% and 29% after 48 and 72 hours, respectively. Anti-IgM stimulation induced an average 27%±12% increase in viability after 24 hours compared with unstimulated controls. Preincubation with 1 μM PCI-32765 before anti-IgM stimulation significantly reduced CLL cell viability to 98%±8% of unstimulated controls. Survival signals from NLCs were also effectively inhibited by PCI-32765.
In Vivo	**Animal experiment**	
	Animal models:	CB17 SCID mice and Eμ-TCL1 transgenic (Tg) mice on a C3H/BL6 background
	Dosage form:	Suboptimal (2.5 mg/kg/d); optimal (25 mg/kg/d)
	Applications:	In the adoptive transfer TCL1 mouse model, animals treated PCI-32765 at 2 weeks post cell transfer with the suboptimal (2.5 mg/kg/d) and optimal (25 mg/kg/d) doses exhibited a transient lymphocytosis at day 4, with an average of 7- and 10-fold increases in circulating TCL1 leukemia cells, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Alhakeem SS, McKenna MK, et al. "Chronic Lymphocytic Leukemia-Derived IL-10 Suppresses Antitumor Immunity." J Immunol. 2018 Jun15;200(12):4180-4189.PMID:29712773

2. Schroeder JT, Bieneman AP. "Activation of Human Basophils by A549 Lung Epithelial Cells Reveals a Novel IgE-Dependent Response Independent of Allergen." J Immunol. 2017 Aug 1;199(3):855-865.PMID:28652400

3. Kosowicz JG, Lee J, et al. "Drug modulators of B cell signaling pathways and Epstein-Barr virus lytic activation." J Virol. 2017 May 31. pii: JVI.00747-17.PMID:28566383

4.Lee DD, Muskaj I, et al. "Platelet proteins cause basophil histamine releasethrough an immunoglobulin-dependent mechanism. Transfusion." 2017 May 4.PMID:28470742

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References

[1] Ponader S, Chen S S, Buggy J J, et al. The Bruton tyrosine kinase inhibitor PCI-32765 thwarts chronic lymphocytic leukemia cell survival and tissue homing in vitro and in vivo[J]. Blood, 2012, 119(5): 1182-1189.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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