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Data Sheet

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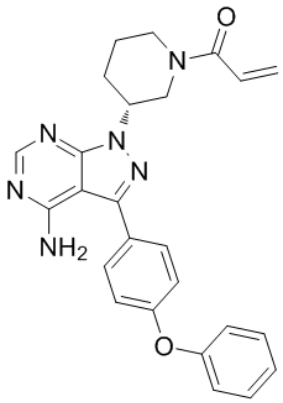
Product Name	:	Ibrutinib
Cat. No.	:	PC-42772
CAS No.	:	936563-96-1
Molecular Formula	:	$C_{25}H_{24}N_6O_2$
Molecular Weight	:	440.4971
Target	:	BTK
Solubility	:	DMSO: ≥ 52 mg/mL



Biological Activity

Ibrutinib (PCI-32765, PCI32765) is a potent and highly selective, covalent **BTK** inhibitor with IC50 of 0.5 nM.
Ibrutinib modestly potent to Bmx, CSK, FGR, BRK, HCK, less potent to EGFR, Yes, ErbB2, JAK3, etc.
Ibrutinib (PCI-32765) selectively inhibits B-cell signaling and activation. It inhibits autophosphorylation of Btk (IC50=11 nM), phosphorylation of Btk's physiological substrate PLCγ (IC50=29 nM).
Ibrutinib (PCI-32765) inhibits BCR-activated primary B cell proliferation (IC50=8 nM).
Following FcγR stimulation, Ibrutinib (PCI-32765) inhibits TNFα, IL-1β and IL-6 production in primary monocytes (IC50=2.6, 0.5, 3.9 nM, respectively).
Ibrutinib (PCI-32765) (3.125-50 mg/kg, p.o.) reduces the level of circulating autoantibodies and completely suppresses disease in mice with collagen-induced arthritis.
Ibrutinib (PCI-32765) (0.1 μM) inhibits activation-induced proliferation of CLL cells, induces selective cytotoxicity in B cells compared with T cells, but alters activation induced T-cell cytokine production.

References

Honigberg LA, et al. ***Proc Natl Acad Sci U S A.*** 2010 Jul 20;107(29):13075-80.

Herman SE, et al. ***Blood.*** 2014 May 22;123(21):3286-95.

Kohrt HE, et al. ***Blood.*** 2014 Mar 20;123(12):1957-60.

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