Product Data Sheet

Product Name: PCI-32765 Racemate
Cat. No.: GC12921

Chemical Properties

Cas. No.	936563-87-0		
Chemical Name	1-[3-[4-amino-3-(4-phenoxyphenyl)pyrazolo[3,4-d]pyrimidin-1-yl]piperidin-1-yl]prop-2-en-1-one		
SMILES	C=CC(=O)N1CCCC(C1)N2C3=C(C(=N2)C4=CC=C(C=C4)OC5=CC=CC=C5)C(=NC=N3)N		
Formula	$C_{25}H_{24}N_6O_2$	M.Wt	440.5
Solubility	Soluble in DMSO	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PCI-32765 Racemate		

Background

PCI-32765 is an inhibitor of Bruton tyrosine kinase (BTK) with IC50 value of 0.5nM [1].

PCI-32765 is a covalent and irreversible inhibitor of BTK through bonding to Cys-481 in the ATP binding domain. PCI-32765 inhibits phosphorylation of BTK in a B cells (IC50 of 11nM) as well as the downstream substrates phosphoinositide phospholipase Cγ (PLC γ) and ERK in cell assays. PCI-32765 has in vivo efficacy in B cell lymphoma. In CLL cells, PCI-32765 induces cells apoptosis through inhibiting the expression of BCR-dependent UDP-glucose ceramide glucosyltransferase [1].

PCI-32765 is oral effective in vivo. It induces lymphocytosis during the first weeks of therapy in patients with CLL. It is also efficacious in autoimmune disease. In the MRL-Fas lupus model, PCI-32765 inhibits collagen-induced arthritis as well as autoantibody production and development of kidney disease. It also diminished FcγRIII-induced production of pro-inflammatory cytokines [1].

References:
[1] Burger JA, Buggy JJ. Bruton tyrosine kinase inhibitor ibrutinib (PCI-32765). Leuk Lymphoma. 2013 Nov;54(11):2385-91.

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