

Product Data Sheet

Product Name: PCI 29732

Cat. No.: GC36861

Chemical Properties

Cas. No. 330786-25-9

SMILES NC1=NC=NC2=C1C(C3=CC=C(OC4=CC=CC=C4)C=C3)=NN2C5CCCC5

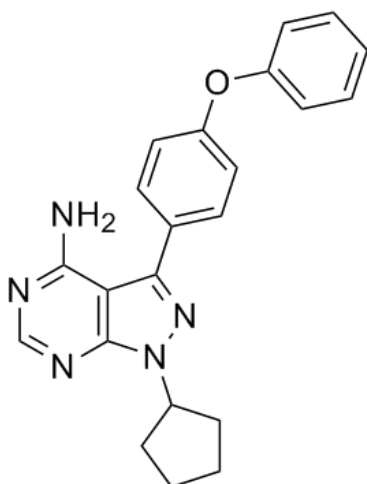
Formula $C_{22}H_{21}N_5O$ M.Wt 371.44

Solubility DMSO: ≥ 53 mg/mL (142.69 mM); Storage Store at -20°C
Ethanol: 10 mg/mL (26.92 mM)

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

PCI 29732 is a selective and irreversible Btk inhibitor with IC_{50} of 8.2 nM in a FRET based biochemical enzymology assay. IC_{50} value: 8.2 nM [1] Target: Btk kinase PCI 29732 (compound 1) has a 8.2 nM potency against Btk in a FRET based biochemical enzymology assay. PCI 29732 shows only modest inhibitory activity against Itk, another Tec family kinase, probably due to the difference at the "gatekeeper" residue [1]. In human CD20+ B cells stimulated at the BCR, PCI-29732 blocked the

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

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transcriptional up-regulation of a panel of B-cell activation genes that occurs within 6 h of stimulation. Pulse exposure to the reversible inhibitor PCI-29732 did not result in BCR inhibition [2].

[1]. Pan Z, et al. Discovery of selective irreversible inhibitors for Bruton's tyrosine kinase. ChemMedChem. 2007 Jan;2(1):58-61. [2]. 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 Jul 20;107(29):13075-80.

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