

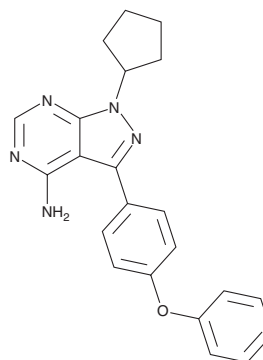
PRODUCT INFORMATION



PCI-29732

Item No. 29036

CAS Registry No.: 330786-25-9
Formal Name: 1-cyclopentyl-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine
MF: C₂₂H₂₁N₅O
FW: 371.4
Purity: ≥98%
UV/Vis.: λ_{max}: 262, 289 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PCI-29732 is supplied as a crystalline solid. A stock solution may be made by dissolving the PCI-29732 in the solvent of choice, which should be purged with an inert gas. PCI-29732 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PCI-29732 in these solvents is approximately 5, 10, and 20 mg/ml, respectively.

PCI-29732 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PCI-29732 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PCI-29732 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PCI-29732 is a multi-kinase inhibitor.¹ It inhibits the Tec family kinase BTK and the Src family kinases LCK and LYN (K_is = 8.2, 4.6, and 2.5 nM, respectively), as well as the activity of three receptor tyrosine kinases and seven non-receptor tyrosine kinases by greater than 90% in a panel of over 100 kinases at 10 μM. PCI-29732 inhibits calcium flux in Ramos B cells and phosphorylation of phospholipase Cγ1 (PLCγ1) with IC₅₀ values of 0.53 and 0.33 μM, respectively. It is cytotoxic to S1-MI-80, H460/MX20, and KBv200 cancer cells overexpressing the ATP-binding cassette transporter (IC₅₀s = 7.8, 6.3, and 6.02 μM, respectively).² PCI-29732 (20 mg/kg), in combination with the DNA topoisomerase I inhibitor topotecan (Item No. 14129), reduces tumor growth in an H460/MX20 mouse xenograft model.

References

1. Pan, Z., Scheerens, H., Li, S.J., *et al.* Discovery of selective irreversible inhibitors for Bruton's tyrosine kinase. *ChemMedChem* **2**(1), 58-61 (2007).
2. Ge, C., Wang, F., Ciu, 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.* **48**(6), 2302-2317 (2018).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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