SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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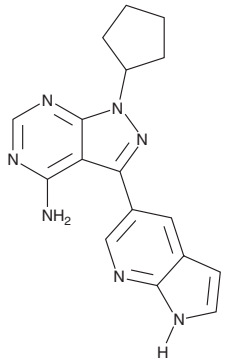
PRODUCT INFORMATION

PP121

Item No. 21196



CAS Registry No.:	1092788-83-4
Formal Name:	1-cyclopentyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine
MF:	$C_{17}H_{17}N_7$
FW:	319.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 214, 252, 291 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years

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

Laboratory Procedures

PP121 is supplied as a crystalline solid. A stock solution may be made by dissolving the PP121 in the solvent of choice. PP121 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PP121 in these solvents is approximately 0.5, 10, and 20 mg/ml, respectively.

PP121 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PP121 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PP121 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PP121 is a potent dual inhibitor of tyrosine and phosphoinositide kinases with IC_{50} values ranging from 2 to 60 nM for p110α, mTOR, Src, Abl, and VEGFR.[1] It demonstrates >90% inhibition of tyrosine kinases and <50% inhibition of 135 serine/threonine kinases at a concentration of 1 µM in a panel of 200 kinases. PP121 directly inhibits mTOR, PI3K, v-Src, and Bcr-Abl in tumor cells and inhibits proliferation of TT thyroid carcinoma cells (IC_{50} = 50 nM) *in vitro*. It blocks VEGF-driven human umbilical vein endothelial cell (HUVEC) tube formation (IC_{50} = 0.31 nM), an *in vitro* model of tumor angiogenesis. PP121 also inhibits MEKK2/MAP3K2 (IC_{50} = 31 nM), a kinase important for tumor growth and metastasis.[2]

References

1. Apsel, B., Blair, J.A., Gonzalez, B., *et al.* Targeted polypharmacology: Discovery of dual inhibitors of tyrosine and phosphoinositide kinases. *Nat. Chem. Biol.* **4(11)**, 691-699 (2008).
2. Ahmad, S., Johnson, G.L., and Scott, J.E. Identification of ponatinib and other known kinase inhibitors with potent MEKK2 inhibitory activity. *Biochem. Biophys. Res. Commun.* **463(4)**, 888-893 (2015).

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