

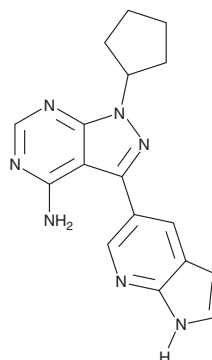
# PRODUCT INFORMATION



## 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<sub>17</sub>H<sub>17</sub>N<sub>7</sub>  
**FW:** 319.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 214, 252, 291 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

PP121 is supplied as a crystalline solid. A stock solution may be made by dissolving the PP121 in the solvent of choice, which should be purged with an inert gas. PP121 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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<sub>50</sub> values ranging from 2 to 60 nM for p110α, mTOR, Src, Abl, and VEGFR.<sup>1</sup> 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<sub>50</sub> = 50 nM) *in vitro*. It blocks VEGF-driven human umbilical vein endothelial cell (HUVEC) tube formation (IC<sub>50</sub> = 0.31 nM), an *in vitro* model of tumor angiogenesis. PP121 also inhibits MEKK2/MAP3K2 (IC<sub>50</sub> = 31 nM), a kinase important for tumor growth and metastasis.<sup>2</sup>

### 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).

#### 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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/17/2022

#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM