

Product Specification Sheet

Product Name: PP242

Catalog Number: C7724

Technical information:

Chemical Formula: $C_{16}H_{16}N_6O$

CAS #: 1092351-67-1

Molecular Weight: 308.34

Purity: > 98%

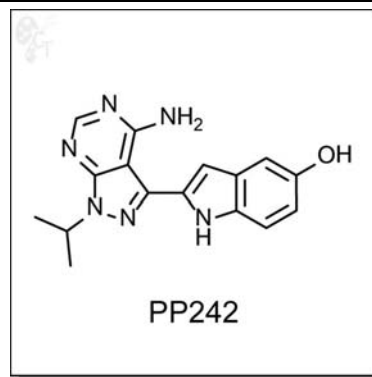
Appearance: Greyish Purple solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 2-(4-amino-1-isopropyl-1H-pyrazolo[3,4-d]pyrimidin-3-yl)-1H-indol-5-ol

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.324 mL of DMSO for each mg of PP242.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: PP242 is an ATP-competitive, pyrazolopyrimidine-based inhibitor of mTOR at an IC₅₀ of 8 nM. It is highly selective against the PI3K family of kinases, with all but one isoform (p110g IC₅₀ = 102 nM) registering with IC₅₀s > 1 μM. In a broad kinase panel, PP242 showed modest activity only in PKCa and JAK2 at IC₅₀s of 49 and 110 nM, respectively. [1] PP242 inhibits insulin-stimulated phosphorylation of Akt at S473, as well as phosphorylation at T308.

PP242 inhibits TORC1 and TORC2 function and inhibits phosphorylation (S473) in studies where Rapamycin has been shown to be less effective. Moreover, phosphorylation studies on S2481, a marker for TORC2 activity, showed that PP242 inhibition was significant, whereas rapamycin had little effect. [2] Cell-cycle analysis showed that PP242 induced both arrest and apoptosis, whereas rapamycin was cytostatic. [3]

- Reference:**
1. Feldman et al., Active-site inhibitors of mTOR target rapamycin-resistant outputs of mTORC1 and mTORC2. PLoS Biol. 2009, 7(2), e1000038. Pubmed ID: 19209957
 2. Hoang et al., Targeting TORC2 in multiple myeloma with a new mTOR kinase inhibitor. Blood, 2010, 116(22), 4560-4568. Pubmed ID: 20686120
 3. Janes et al., Effective and selective targeting of leukemia cells using a TORC1/2 kinase inhibitor. Nat. Med. 2010, 16, 205-213. Pubmed ID: 20072130

To reorder: <http://www.cellagentech.com/PP242/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.