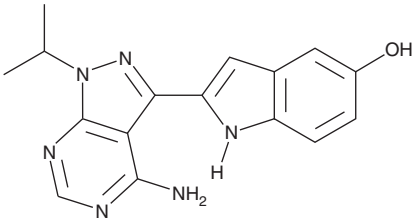
PRODUCT INFORMATION

PP242

Item No. 13643

CAS Registry No.:	1092351-67-1
Formal Name:	2-[4-amino-1-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-1H-indol-5-ol
MF:	$C_{16}H_{16}N_6O$
FW:	308.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 206, 262, 324 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

PP242 is supplied as a crystalline solid. A stock solution may be made by dissolving the PP242 in the solvent of choice, which should be purged with an inert gas. PP242 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PP242 in these solvents is approximately 0.5, 10, and 20 mg/ml, respectively.

PP242 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PP242 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PP242 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

The mammalian target of rapamycin (mTOR) is a serine-threonine kinase that is central to two protein complexes, mTORC1 and mTORC2. These complexes are differentially regulated (*e.g.*, only mTORC1 is sensitive to rapamycin) and regulate different pathways. PP242 is an inhibitor of the active site of mTOR kinase in both mTORC1 and mTORC2 (IC_{50} = 8 nM).[1,2] It less effectively inhibits PKCα, PI3-kinase subunit p110γ, JAK2, PKCβI, and PKCβII (IC_{50} = 49, 102, 110, 198, and 185, respectively).[2,3] PP242 has been shown to cause the death of certain human and murine leukemia cells more potently than rapamycin and, *in vivo*, delays leukemia onset and augments the effects of tyrosine kinase inhibitors in suppressing leukemic expansion and extending survival.[4]

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. Feldman, M.E., Apsel, B., Uotila, A., *et al.* Active-site inhibitors of mTOR target rapamycin-resistant outputs of mTORC1 and mTORC2. *PLoS Biol.* **7(2)**, 0371-83 (2009).
3. Kojima, F., Kapoor, M., Kawai, S., *et al.* Prostaglandin E_2 activates Rap1 via EP2/EP4 receptors and cAMP-signaling in rheumatoid synovial fibroblasts: Involvement of Epac1 and PKA. *Prostaglandins Other Lipid Mediat.* **89(1-2)**, 26-33 (2009).
4. Janes, M.R., Limon, J.J., So, L., *et al.* Effective and selective targeting of leukemia cells using a TORC1/2 kinase inhibitor. *Nat. Med.* **16(2)**, 205-213 (2010).

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