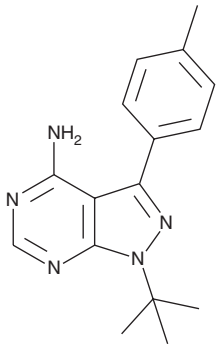
PRODUCT INFORMATION

PP1 (Src Inhibitor)

Item No. 14244

CAS Registry No.:	172889-26-8
Formal Name:	1-(1,1-dimethylethyl)-3-(4-methylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine
Synonyms:	AGL 1872, EI 275
MF:	$C_{16}H_{19}N_5$
FW:	281.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 254, 284 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

PP1 is supplied as a crystalline solid. A stock solution may be made by dissolving the PP1 in the solvent of choice, which should be purged with an inert gas. PP1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PP1 in these solvents is approximately 0.15, 1, and 3 mg/ml, respectively.

PP1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PP1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PP1 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PP1 is a potent, reversible, ATP-competitive, and selective inhibitor of the Src family of protein tyrosine kinases. It inhibits p56lck (IC_{50} = 5 nM), p59fynT (IC_{50} = 6 nM), Hck (IC_{50} = 20 nM), and Src (IC_{50} = 170 nM) without significantly affecting the activity of EGFR kinase (IC_{50} = 250 nM), JAK2 (IC_{50} = 50 µM), or ZAP-70 (IC_{50} ≥ 0.6 µM).[1] PP1 inhibits anti-CD3-induced tyrosine phosphorylation of human T cells with an IC_{50} value of 600 nM.[1] It exhibits antitumor activity by antagonizing both proliferation and the inhibition of apoptosis mediated by a stem cell factor/mast cell growth factor in hematopoietic and small cell lung cancer cell lines.[2,3] PP1 also blocks TGF-β-mediated cellular responses by directly inhibiting type I TGF-β receptors (IC_{50} = 50 nM) in a manner unrelated to Src signaling.[4]

References

1. Hanke, J.H., Gardner, J.P., Dow, R.L., *et al.* Discovery of a novel, potent, and Src family-selective tyrosine kinase inhibitor. Study of Lck- and FynT-dependent T cell activation. *J. Biol. Chem.* **271(2)**, 695-701 (1996).
2. Krystal, G.W., DeBerry, C.S., Linnekin, D., *et al.* Lck associates with and is activated by kit in a small cell lung cancer cell line: Inhibition of SCF-mediated growth by the Src family kinase inhibitor PP1. *Cancer Res.* **58(20)**, 4660-4666 (1998).
3. Tatton, L., Morley, G.M., Chopra, R., *et al.* The Src-selective kinase inhibitor PP1 also inhibits kit and Bcr-Abl tyrosine kinases. *J. Biol. Chem.* **278(7)**, 4847-4853 (2003).
4. Ungefroren, H., Sebens, S., Groth, S., *et al.* The Src family kinase inhibitors PP2 and PP1 block TGF-β1-mediated cellular responses by direct and differential inhibition of type I and type II TGF-β receptors. *Curr. Cancer Drug Targets* **11(4)**, 524-535 (2011).

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