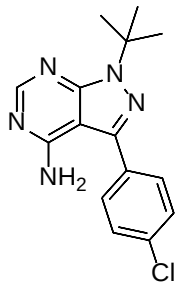
Product data sheet

MedKoo Cat#: 401706 Name: PP2 CAS: 172889-27-9 Chemical Formula: $C_{15}H_{16}ClN_5$ Exact Mass: 301.1094 Molecular Weight: 301.778	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PP2, also known as AG 1879, is a substance that has frequently been used in cancer research as a "selective" inhibitor for Src-family kinases. It strongly inhibits the kinases Lck (IC50=4 nM), Fyn (5 nM) and Hck (5 nM), shows weaker inhibition of EGFR (480 nM) and practically no inhibition of ZAP-70 (100 ÂµM) and JAK2 (50 ÂµM). Despite its extensive use as a Src-selective inhibitor, recent research has shown that PP2 is non-selective and inhibits many other kinases with similar affinities.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	3.0	9.94
DMF:PBS (pH 7.2) (1:9)	0.1	0.33
DMSO	37.13	123.05
Ethanol	1.08	3.56

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.31 mL	16.57 mL	33.14 mL
5 mM	0.66 mL	3.31 mL	6.63 mL
10 mM	0.33 mL	1.66 mL	3.31 mL
50 mM	0.07 mL	0.33 mL	0.66 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kong L, Deng Z, Shen H, Zhang Y. Src family kinase inhibitor PP2 efficiently inhibits cervical cancer cell proliferation through down-regulating phospho-Src-Y416 and phospho-EGFR-Y1173. Mol Cell Biochem. 2011 Feb;348(1-2):11-9. doi: 10.1007/s11010-010-0632-1. Epub 2010 Nov 4. PMID: 21052789.
2. Nam JS, Ino Y, Sakamoto M, Hirohashi S. Src family kinase inhibitor PP2 restores the E-cadherin/catenin cell adhesion system in human cancer cells and reduces cancer metastasis. Clin Cancer Res. 2002 Jul;8(7):2430-6. PMID: 12114449.

In vivo study

1. Li P, Maitra D, Kuo N, Kwan R, Song Y, Tang W, Chen L, Xie Q, Liu L, Omary MB. PP2 protects from keratin mutation-associated liver injury and filament disruption via SRC kinase inhibition in male but not female mice. Hepatology. 2023 Jan 1;77(1):144-158. doi: 10.1002/hep.32574. Epub 2022 Jun 13. PMID: 35586977.

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2. Lennmyr F, Ericsson A, Gerwins P, Akterin S, Ahlström H, Terént A. Src family kinase-inhibitor PP2 reduces focal ischemic brain injury. Acta Neurol Scand. 2004 Sep;110(3):175-9. doi: 10.1111/j.1600-0404.2004.00306.x. PMID: 15285775.

7. Bioactivity

Biological target:

PP2 is a reversible and ATP-competitive Src family kinases inhibitor with IC50s of 4 and 5 nM for Lck and Fyn.

In vitro activity

In this regard, the study's aims are to explore the mechanism of PP2 on cervical cancer cell growth inhibition by investigating the suppressive divergence among PP1, PP2, and a negative control compound PP3. MTT results showed that three compounds had different inhibitory effects on proliferation of two cervical cancer cells, HeLa and SiHa, and PP2 was most efficient in a time- and dose-dependent manner. Moreover, this study found 10 μM PP2 down-regulated pSrc-Y416 ($P < 0.05$), pEGFR-Y845 ($P < 0.05$), and -Y1173 ($P < 0.05$) expression levels, while 10 μM PP1 down-regulated pSrc-Y416 ($P < 0.05$) and pEGFR-Y845 ($P < 0.05$), but not pEGFR-Y1173; 10 μM PP3 down-regulated only pEGFR-Y1173 ($P < 0.05$).

Reference: Mol Cell Biochem. 2011 Feb;348(1-2):11-9. https://pubmed.ncbi.nlm.nih.gov/21052789/

In vivo activity

PP2-treated rats showed approximately 50% reduction of infarct size on T2-weighted MRI and in TTC staining compared with controls ($P < 0.05$). Moreover, the neurological score was better in the PP2 group than controls ($P < 0.05$). PP2 is a potential neuroprotective agent in cerebral ischemia-reperfusion. The interference of PP2 with SFKs and/or other pathways remains to be elucidated.

Reference: Acta Neurol Scand. 2004 Sep;110(3):175-9. https://pubmed.ncbi.nlm.nih.gov/15285775/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.