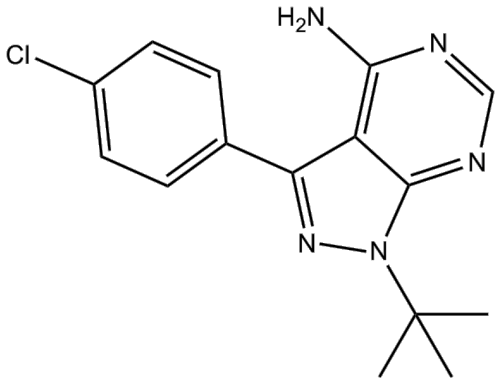
Product Data Sheet

Product Name: PP 2 (AG 1879)
Cat. No.: GC10344

Chemical Properties

Cas. No.	172889-27-9		
Chemical Name	1-tert-butyl-3-(4-chlorophenyl)pyrazolo[3,4-d]pyrimidin-4-amine		
SMILES	CC(C)(C)N1C2=C(C(=N1)C3=CC=C(C=C3)Cl)C(=NC=N2)N		
Formula	$C_{15}H_{16}ClN_5$	M.Wt	301.78
Solubility	≥ 15.1mg/mL in DMSO, ≥ 20.05 mg/mL in EtOH with ultrasonic	Storage	Desiccate at 4°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines YD9, YD15, YD10-B, SNU1076, SNU46, SNU899, and SNU1041

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Preparation Method	Cells were seeded into 96-well plates at a density of 5×10^3 cells/well and allowed to reach confluence. Cells were then exposed to PP 2 at the indicated concentrations (5, 10 or 20μM) for 24, 48 or 72 h. After exposure, cell viability was assessed using a cell counting kit-8 following the protocol provided with the kit. Briefly, CCK8 solution was added to the wells containing the cell suspension, and the plates were incubated for 1h. Subsequently, the optical density was measured using the Bio-Tek microplate reader. Data are presented as a percentage relative to the control cells.
Reaction Conditions	5, 10 or 20μM; 24, 48 or 72 h
Applications	PP 2 suppresses growth and induces apoptosis in HNSCC cell lines.

Animal experiment [2]:

Animal models	Four-to six-week-old F22 male and female mice

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Preparation Method	Four-to six-week-old F22 male and female mice on an FVB/N background expressing the human K18 R90C mutant were used. Mice received daily intraperitoneal injections of PP 2 (1mg/kg) or DMSO for 4 days. For immunofluorescence experiments, livers were collected after CO_2 euthanasia, embedded in optimal cutting temperature compound, and stored at -80°C. For Fas experiments, mice were treated with an intraperitoneal injection of Fas antibody (0.15μg/g). After 5h, mice were sacrificed, and blood and livers were collected. Livers were fixed in 10% formalin and analyzed by hematoxylin and eosin (H&E) staining or terminal deoxynucleotidyl transferase dUTP nick-end labeling (TUNEL) assay. Hemorrhage scores were calculated using QuPath (Quantitative Pathology & Bioimage Analysis) and ImageJ software. Apoptosis was estimated using the TUNEL assay detection kit (ApopTag Peroxidase In Situ Apoptosis). Fluorescence images were acquired with the same exposure time and used to count apoptotic nuclei. Serum alanine aminotransferase (ALT) levels were determined using the Liquid ALT Reagent Set. For acetaminophen (APAP) experiments, a 0-4 scoring system was used to determine the liver histopathology score.
Dosage form	1mg/kg; 4 days; i.p.
Applications	PP 2 protects from keratin mutation–associated liver injury and filament disruption via SRC kinase inhibition in male mice.

References:

[1] Lee S, Park S, Ryu JS, et al. c-Src inhibitor PP2 inhibits head and neck cancer progression through regulation of the epithelial-mesenchymal transition. Exp Biol Med (Maywood). 2023 Mar;248(6):492-500.
[2] Li P, Maitra D, Kuo N, et al. 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.

Background

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PP 2 (AG 1879) is a selective Src family kinase inhibitor [1]. The IC_{50} values of PP 2 for inhibiting Lck and Fyn are 0.004μM and 0.005μM, respectively[2].

In vitro, PP 2 (10μM; 30min) inhibits PrP106-126-induced iNOS activation mediated by CD36 in BV2 microglia[3]. PP 2 (5, 10 or 20μM; 24, 48 or 72 h) suppresses growth and induces apoptosis in HNSCC cell lines[4].

In vivo, PP 2 (2mg/kg; 8 weeks; i.p.) ameliorates renal fibrosis by regulating the NF-κB/COX-2 and PPAR γ/UCP2 pathway in diabetic mice[1]. PP 2 (1mg/kg; 4 days; i.p.) protects from keratin mutation–associated liver injury and filament disruption via SRC kinase inhibition in male mice[5].

References:
[1] Wei J, Deng X, Li Y, et al. PP2 Ameliorates Renal Fibrosis by Regulating the NF-κB/COX-2 and PPARγ/UCP2 Pathway in Diabetic Mice. Oxid Med Cell Longev. 2021 Sep 17;2021:7394344.
[2] Hanke JH, Gardner JP, Dow RL, 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. 1996 Jan 12;271(2):695-701.
[3] Zhang S, Yang L, Kouadir M, et al. PP2 and piceatannol inhibit PrP106-126-induced iNOS activation mediated by CD36 in BV2 microglia. Acta Biochim Biophys Sin (Shanghai). 2013 Sep;45(9):763-72.
[4] Lee S, Park S, Ryu JS, et al. c-Src inhibitor PP2 inhibits head and neck cancer progression through regulation of the epithelial-mesenchymal transition. Exp Biol Med (Maywood). 2023 Mar;248(6):492-500.
[5] Li P, Maitra D, Kuo N, et al. 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.

Caution: Product has not been fully validated for medical applications. For research use only.
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