SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

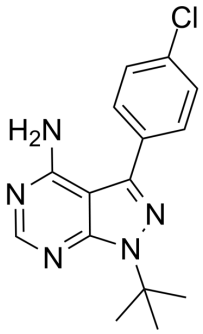
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

PP2

Cat. No.:	HY-13805
CAS No.:	172889-27-9
Molecular Formula:	$C_{15}H_{16}ClN_5$
Molecular Weight:	301.77
Target:	Src
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (165.69 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3138 mL	16.5689 mL	33.1378 mL
	5 mM	0.6628 mL	3.3138 mL	6.6276 mL
	10 mM	0.3314 mL	1.6569 mL	3.3138 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (9.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PP2 is a reversible and ATP-competitive Src family kinases inhibitor with IC_{50}s of 4 and 5 nM for Lck and Fyn, respectively.

IC_{50} & Target

IC50: 4 nM (Lck), 5 nM (Fyn)[1]

In Vitro

At 10 μM, the effect of PP2 on cellular proliferation is not significant, indicating that, at this low concentration, the effect of PP2 on Gemcitabine cytotoxicity does not simply reflect a direct antiproliferative effect, but rather a potentiation of Gemcitabine-induced cytotoxicity. Above 20 μM, growth is increasingly suppressed, a finding consistent with reports in other human cancer cell lines. Although 10 μM PP2 is used in our study, at higher concentrations PP2 is reported to inhibit other intracellular kinases[2]. PP2 is the most widely used commercially available Src family kinase inhibitor. PP2 inhibits Src family kinase activity with IC_{50} of ~5 nM in vitro, concentrations to 10 μM are often necessary to achieve complete Src family kinase inhibition in cell culture[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The tumor growth inhibition rate is 25% in the PP2 treatment group and 5% in the Gemcitabine treatment group (P>0.05). When administered in combination, PP2 and Gemcitabine produce a tumor growth inhibition rate of 98% (P<0.05). Hepatic metastasis occurred in 100% of control and Gemcitabine-treated groups; 88% of the PP2-treated group developed liver metastases. There are no detectable metastases in the group treated with PP2 and Gemcitabine in combination (P<0.05)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cell growth is determined by MTT assay and confirmed by cell counting. Results of the MTT assay have been shown to correlate well with [^{3}H]thymidine incorporation in pancreatic cancer cell lines. Logarithmically growing cells are plated at 5×10^3 cells/well in 96-well plates, allowed to adhere for 24 h, and cultured in the presence or absence of PP2 and Gemcitabine. Cell proliferation is determined after 96 h. Plates are read using a V_{max} microplate spectrophotometer at a wavelength of 570 nm corrected to 650 nm and normalized to controls. Each independent experiment is performed three times, with 10 determinations for each condition tested. The IC_{50} of Gemcitabine is calculated from these results. At identical time points, cells are trypsinized to form a single cell suspension. Intact cells, determined by trypan blue exclusion, are counted using a Neubauer hemocytometer, and the number of cells per mL is calculated and compared with the control group to confirm the MTT results[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Male athymic nu/nu mice (age, 5 weeks; weight, 20-22 g; specific pathogen free) are anesthetized with i.p. ketamine (200 mg/kg) and xylazine (10 mg/kg) and inoculated with 10^6 Gemcitabine-resistant PANC1^{GemRes} cells in 20 μL of PBS by surgical orthotopic implantation into the pancreas. After inoculation, mice are randomized to three treatment groups: (a) treatment group 1 (n=8) receive 2 mg/kg PP2 in 1% DMSO by i.p. injection three times a week; (b) treatment group 2 (n=8) receive Gemcitabine (100 mg/kg) in the same volume of 1% DMSO vehicle as received by group 1, three times a week; and (c) treatment group 3 (n=8) receive 2 mg/kg PP2 and 100 mg/kg Gemcitabine in the same volume of DMSO as groups 1 and 2, three times a week. The control group receive the same volume of 1% DMSO vehicle as the other groups, three times a week. Treatment is commenced 1 day after implantation, and necropsy is performed 4 weeks after implantation. Primary tumors are identified, weighed, and normalized to total body mass. Tumor growth inhibition rate is calculated using the following formula: tumor growth inhibition rate (%)=$(1-M_T/M_C)\times100$, where M_T and M_C are the mean normalized tumor masses of treatment and control groups, respectively. Liver metastases are counted and confirmed histologically. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2023 Feb 17;8(1):66.
- Nat Immunol. 2023 Nov;24(11):1813-1824.
- Sci Immunol. 2022 Jan 21;7(67):eabj5501.
- Cell Stem Cell. 2022 Jul 7;29(7):1119-1134.e7.
- ACS Nano. 2021 Sep 10.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hanke JH, et al. Discovery of a novel, potent, and Src family-selective tyrosine kinase inhibitor. Study of Lck-and FynT-dependentT cell activation. J Biol Chem. 1996 Jan 12;271(2):695-701.

[2]. Duxbury MS, et al. Inhibition of SRC tyrosine kinase impairs inherent and acquired Gemcitabine resistance in human pancreatic adenocarcinoma cells. Clin Cancer Res. 2004 Apr 1;10(7):2307-18

[3]. Summy JM, et al. AP23846, a novel and highly potent Src family kinase inhibitor, reduces vascular endothelial growth factor and interleukin-8 expression in human solid tumor cell lines and abrogates downstream angiogenic processes. Mol Cancer Ther. 2005 D

[4]. Inoue A, et al. Phosphorylation of NMDA receptor GluN2B subunit at Tyr1472 is important for trigeminal processing of itch. Eur J Neurosci. 2016 Oct;44(7):2474-2482.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA