IACS-13909

Cat. No.: HY-137092

CAS No.: 2160546-07-4

Molecular Formula: $C_{17}H_{18}Cl_2N_6$

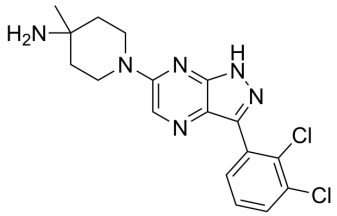
Molecular Weight: 377.27

Target: Phosphatase; SHP2

Pathway: Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (26.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6506 mL	13.2531 mL	26.5062 mL
	5 mM	0.5301 mL	2.6506 mL	5.3012 mL
	10 mM	0.2651 mL	1.3253 mL	2.6506 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IACS-13909 is a selective, potent and orally active SHP2 allosteric inhibitor with an IC_{50} of 15.7 nM and a K_d of 32 nM. IACS-13909 is more selective for SHP2 than other phosphatases (including SHP1). IACS-13909 has antitumor activities and suppresses MAPK pathway signaling in receptor tyrosine kinases (RTK)-dependent cancers[1].

IC_{50} & Target

IC50: 15.7 nM (SHP2)[1]
Kd: 32 nM (SHP2)[1]

In Vitro

IACS-13909 (10 nM-10 μM; 14 days) treatment potently suppresses the proliferation of wild-type SHP2 and KYSE-520 cells[1].
IACS-13909 (1-5 μM; 2 hours) treatment potently suppresses pERK and pMEK levels in wild-type SHP2 and KYSE-520 cells[1].
IACS-13909 potently suppresses the proliferation of both the parental cells and NCI-H1975 CS cells in a dose-dependent manner, with similar potency (GI50 ~1 μM). IACS-13909 (0.041-3.3 μM) suppresses pERK in NCI-H1975 CS cells in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Wild-type SHP2 and KYSE-520 cells
Concentration:	10 nM, 100 nM, 1 μM, 10 μM
Incubation Time:	14 days
Result:	Potently suppressed the cell proliferation.

Western Blot Analysis[1]

Cell Line:	Wild-type SHP2 and KYSE-520 cells
Concentration:	1 μM, 5 μM
Incubation Time:	2 hours
Result:	Potently suppressed pERK and pMEK levels.

In Vivo

IACS-13909 (70 mg/kg; oral administration; daily; for 21 days) treatment potently suppresses tumor growth in mice, with 100% tumor growth inhibition (TGI) observed following 21 days of dosing[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSG mice (20-28 g) injected with KYSE-520 cells[1]
Dosage:	70 mg/kg
Administration:	Oral administration; daily; for 21 days
Result:	Potently suppressed tumor growth in mice.

CUSTOMER VALIDATION

- Front Immunol. 2022 Jun 10:13:865503.

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REFERENCES

[1]. Yuting Sun, et al. Allosteric SHP2 Inhibitor, IACS-13909, Overcomes EGFR-Dependent and EGFR-Independent Resistance Mechanisms toward Osimertinib. Cancer Res. 2020 Nov 1;80(21):4840-4853.

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