CH6953755

Cat. No.: HY-135299

CAS No.: 2055918-71-1

Molecular Formula: $C_{26}H_{22}F_2N_6O_4S$

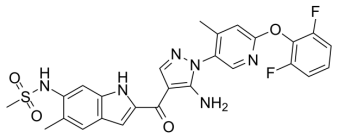
Molecular Weight: 552.55

Target: Src

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (226.22 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8098 mL	9.0490 mL	18.0979 mL
	5 mM	0.3620 mL	1.8098 mL	3.6196 mL
	10 mM	0.1810 mL	0.9049 mL	1.8098 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: ≥ 2.08 mg/mL (3.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CH6953755 is a potent, orally active and selective YES1 kinase (a member of the SRC family) inhibitor with an IC_{50} of 1.8 nM. CH6953755 inhibits YES1 kinase, leading to antitumor activity against YES1 Gene -amplified cancers in vitro and in vivo[1].

IC_{50} & Target

IC50: 1.8 nM (YES1)[1]

In Vitro

CH6953755 (0.001-1 μM; for 4 days) inhibits the cell growth of YES1-amplified cancer cell lines[1].
CH6953755 (0.001-1 μM; for 2 hours) prevents the autophosphorylation at Tyr426 of YES1 that upregulates enzymatic activity

in KYSE70 cells harboring YES1 amplification[1].
CH6953755 (0.1, 0.3, 1, 3 μM) suppresses TEAD luciferase reporter activity in YES1-amplified KYSE70 and RERF-LC-AI[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	YES1-amplified cancer cell lines KYSE70 and OACP4 C, and non-YES1–amplified cancer cell line K562 expressing YES1-WT or YES1-GK
Concentration:	0.001, 0.01, 0.1, 1 μM
Incubation Time:	4 days
Result:	Inhibited the cell growth of YES1-amplified cancer cell lines.

Western Blot Analysis[1]

Cell Line:	KYSE70 cell line
Concentration:	0.001, 0.003, 0.01, 0.03, 0.1, 0.3, 1 μM
Incubation Time:	2 hours
Result:	Prevented the autophosphorylation at Tyr426 of YES1 that upregulates enzymatic activity in KYSE70 cells harboring YES1 amplification

In Vivo

CH6953755 (oral; 60 mg/kg/day; for 10 days) shows selective antitumor activity accompanied with phospho-Tyr426 YES1 suppression in xenograft tumors[1].
CH6953755 (oral; 7.5, 15, 30, 60 mg/kg) suppresses phospho-Tyr426 YES1 in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c-nu/nu mice with Rat-2_YES1 xenograft[1]
Dosage:	60 mg/kg
Administration:	Oral; daily; for 10 days
Result:	Showed selective antitumor activity accompanied with phospho-Tyr426 YES1 suppression in xenograft tumors.

CUSTOMER VALIDATION

- Front Pharmacol. 2021 Mar 8;12:644342.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hamanaka N, et al. YES1 Is a Targetable Oncogene in Cancers Harboring YES1 Gene Amplification. Cancer Res. 2019 Nov 15;79(22):5734-5745.

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

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