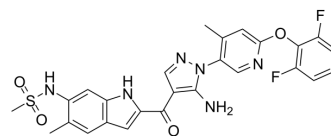


CH6953755

Cat. No.:	HY-135299		
CAS No.:	2055918-71-1		
Molecular Formula:	C ₂₆ H ₂₂ F ₂ N ₆ O ₄ S		
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)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		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	<ol style="list-style-type: none"> 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 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 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	IC ₅₀ : 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 μ M) 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 μ M
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 μ M
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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