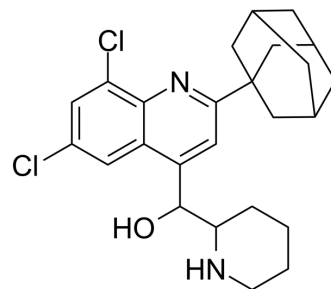


NSC305787

Cat. No.:	HY-18931		
CAS No.:	785718-37-8		
Molecular Formula:	C ₂₅ H ₃₀ Cl ₂ N ₂ O		
Molecular Weight:	445.42		
Target:	Others		
Pathway:	Others		
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 : 6 mg/mL (13.47 mM; Need ultrasonic and warming)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.2451 mL	11.2254 mL	22.4507 mL
		5 mM	0.4490 mL	2.2451 mL	4.4901 mL
10 mM		0.2245 mL	1.1225 mL	2.2451 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: ≥ 0.6 mg/mL (1.35 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.6 mg/mL (1.35 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.6 mg/mL (1.35 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	NSC305787 is an inhibitor of ezrin with a K _d of 5.85 μM, inhibits the phosphorylation of ezrin caused by PKCI with an IC ₅₀ of 8.3 μM, has antitumor activity.
IC₅₀ & Target	Kd: 5.85 μM (ezrin) ^[1] IC50: 8.3 μM (ezrin) ^[1]
In Vitro	NSC305787 is an inhibitor of ezrin with a K _d of 5.85 μM, and has antitumor activity. NSC305787 inhibits PKCI phosphorylation

of Ezrin, Moesin, Radixin, MBP, with IC₅₀s of 8.3, 9.4, 55, 58.9 μM, respectively. NSC305787 binds to PKCI with a K_d value of 172.4 μM, and inhibits ezrin T567 phosphorylation primarily via its binding to ezrin and not through inhibition of PKCI kinase activity. NSC305787 (1, 10 μM) shows inhibitory activity against ezrin-mediated invasion of K7M2 osteosarcoma (OS) cells. Moreover, NSC305787 (10 μM) reduces cell motility phenotypes in zebrafish and blocks OS metastatic growth in lung organ culture^[1].

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

In Vivo

NSC305787 (0.240 mg/kg/day, i.p.) suppresses ezrin-dependent osteosarcoma metastatic growth in mouse lung^[1]. NSC305787 (240 μg/kg, i.p.) dramatically inhibits pulmonary metastasis in a transgenic mouse model of osteosarcoma (Osx-Cre⁺p53^{fl/fl}pRB^{fl/fl}) and shows a more favorable pharmacokinetic profile compared with NSC668394 in the mouse model^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

Human umbilical vein endothelial cells (HUVECs; 2.5 × 10⁴/well) are seeded in a 96-well plate in endothelial growth media-2. Following formation of a confluent HUVEC monolayer (-32 h), endothelial growth media-2 is aspirated and a layer of osteosarcoma (OS) cells (1.0 × 10⁴ cells/well) is added to Dulbecco's modified Eagle medium containing NSC305787. This specific time point is accepted as 0 h of treatment, and invasion is monitored during the subsequent 5 h by measuring changes in resistance at the cell-electrode interphase. The cell index is calculated according to the following formula: cell index = (R_t - R₀)/F, where R_t is resistance at time point t, R₀ is background resistance (measured with media alone, no cells) and F is frequency at which the measurement is taken (10 kHz)^[1].

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

Animal Administration ^[2]

Mice^[2]

The Osx-Cre⁺p53^{fl/fl}pRB^{fl/fl} transgenic mouse model of osteosarcoma is used in the assay. Mice are treated with 240 μg/kg/day NSC305787, 226 μg/kg/day NSC668394, or vehicle (DMSO, 1%) once daily, five times a week by i.p. injection in a volume of 100 μL. At the end of the study, lung and tumor samples are isolated upon necropsy. Half of each sample is flash frozen immediately in liquid nitrogen, and the other half is fixed in 10% formalin for 18-24 h, transferred to 70% ethanol, and stored at room temperature^[2].

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

CUSTOMER VALIDATION

- PLoS Pathog. 2019 May 9;15(5):e1007737.
- Front Cell Dev Biol. 2021 Sep 3;9:663207.
- Life Sci. 2020 Aug 1;254:117681.

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REFERENCES

[1]. Bulut G, et al. Small molecule inhibitors of ezrin inhibit the invasive phenotype of osteosarcoma cells. *Oncogene*. 2012 Jan 19;31(3):269-81.

[2]. Çelik H, et al. Ezrin Inhibition Up-regulates Stress Response Gene Expression. *J Biol Chem*. 2016 Jun 17;291(25):13257-70.

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

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