(Rac)-NSC305787 hydrochloride

Cat. No.: HY-18931A

CAS No.: 53868-26-1

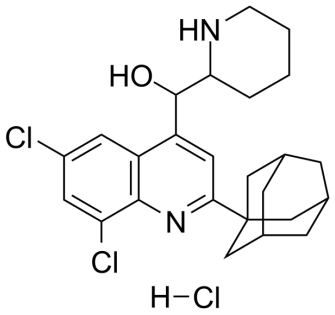
Molecular Formula: $C_{25}H_{31}Cl_3N_2O$

Molecular Weight: 481.89

Target: Others

Pathway: Others

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8 mg/mL (16.60 mM; Need ultrasonic and warming)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0752 mL	10.3758 mL	20.7516 mL
5 mM	0.4150 mL	2.0752 mL	4.1503 mL
10 mM	0.2075 mL	1.0376 mL	2.0752 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: ≥ 1.25 mg/mL (2.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NSC305787 hydrochloride 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.

IC_{50} & Target

Kd: 5.85 μM (ezrin)[1]
IC50: 8.3 μM (ezrin)[1]

In Vitro

NSC305787 hydrochloride 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 IC_{50}s 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 × 10^4/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 × 10^4 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 = (Rt – R0)/F, where Rt 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.
- J Cell Mol Med. 2022 Feb 11.
- 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.

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