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产品名称: NSC305787 (hydrochloride)

产品别名: NSC305787 hydrochloride

生物活性:				
Description	NSC305787 hydrochloride is an inhibitor of ezrin with a K_d of 5.85 μ M, inhibits the phosphorylation of ezrin caused by PKCI with an IC_{50} of 8.3 μ M, has antitumor activity.			
IC_{50} & Target	Kd: 5.85 μ M (ezrin)[1] IC_{50} : 8.3 μ M (ezrin)[1]			
In Vitro	NSC305787 hydrochloride is an inhibitor of ezrin with a K_d of 5.85 μ M, 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 μ M, respectively. NSC305787 binds to PKCI with a K_d value of 172.4 μ M, and inhibits ezrin T567 phosphorylation primarily via its binding to ezrin and not through inhibition of PKCI kinase activity. NSC305787 (1, 10 μ M) shows inhibitory activity against ezrin-mediated invasion of K7M2 osteosarcoma (OS) cells. Moreover, NSC305787 (10 μ M) reduces cell motility phenotypes in zebrafish and blocks OS metastatic growth in lung organ culture[1].			
In Vivo	NSC305787 (0.240 mg/kg/day, i.p.) suppresses ezrin-dependent osteosarcoma metastatic growth in mouse lung[1]. NSC305787 (240 μ g/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].			
Solvent&Solubility	In Vitro: DMSO : 8 mg/mL (16.60 mM; Need ultrasonic and warming)			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	2.0752 mL	10.3758 mL
		5 mM	0.4150 mL	2.0752 mL
		10 mM	0.2075 mL	1.0376 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: $\geq$ 1.25 mg/mL (2.59 mM); Clear solution 此方案可获得 $\geq$ 1.25 mg/mL (2.59 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 12.5 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。			



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	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.25 mg/mL (2.59 mM); Clear solution 此方案可获得 ≥ 1.25 mg/mL (2.59 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 1.25 mg/mL (2.59 mM); Clear solution 此方案可获得 ≥ 1.25 mg/mL (2.59 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Bulut G, et al. Small molecule inhibitors of ezrin inhibit the invasive phenotype of osteosarcoma cells. <i>Oncogene</i>. 2012 Jan 19;31(3):269-81. [2]. Çelik H, et al. Ezrin Inhibition Up-regulates Stress Response Gene Expression. <i>J Biol Chem</i>. 2016 Jun 17;291(25):13257-70.
实验参考:	
Cell Assay	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 = $(R_t - R_0)/F$, where R_t is resistance at time point t, R_0 is background resistance (measured with media alone, no cells) and F is frequency at which the measurement is taken (10 kHz)[1].
Animal Administration	Mice[2] The <i>Osx-Cre^{fl}p53^{fl}pRB^{fl}</i> 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].
References	[1]. Bulut G, et al. Small molecule inhibitors of ezrin inhibit the invasive phenotype of osteosarcoma cells. <i>Oncogene</i>. 2012 Jan 19;31(3):269-81. [2]. Çelik H, et al. Ezrin Inhibition Up-regulates Stress Response Gene Expression. <i>J Biol Chem</i>. 2016 Jun 17;291(25):13257-70.