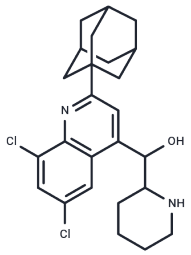
Data Sheet (Cat.No.T12263)

TargetMol

NSC305787

Chemical Properties



CAS No. : 785718-37-8

Formula: C25H30Cl2N2O

Molecular Weight: 445.42

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	NSC305787 is an ezrin inhibitor with antitumor activity, inhibits PKCI-induced ezrin phosphorylation, reduces β2AR expression in the cell membrane, and induces intracellular vesicular or punctate expression of ezrin and β2AR, and can be used to study pancreatic cancer.
Targets(IC50)	Others
In vitro	NSC305787 is a small molecule inhibitor that specifically targets ezrin with a binding dissociation constant (Kd) of 5.85 μM and shows significant anti-tumor effects. The compound exhibited different inhibitory activities by inhibiting the phosphorylation of ezrin, moesin, radixin, and MBP by PKCI with IC50 values of 8.3 μM, 9.4 μM, 55 μM, and 58.9 μM, respectively.Although NSC305787 was also bound to PKCI (Kd=172.4 μM), it inhibited ezrin mainly through direct binding to ezrin. Although NSC305787 also binds to PKCI (Kd=172.4 μM), it mainly inhibits the phosphorylation of ezrin T567 by directly binding to ezrin, rather than by blocking PKCI kinase activity. In cellular assays, NSC305787 significantly inhibited the ezrin-mediated invasion of K7M2 osteosarcoma cells at concentrations of 1 μM and 10 μM. In addition, NSC305787 was able to reduce the cell motility phenotype in zebrafish at a concentration of 10 μM and effectively blocked the metastatic growth of osteosarcoma in lung organ culture. [1]
In vivo	In in vivo experiments, NSC305787 (0.240 mg/kg/day, intraperitoneal injection) significantly inhibited the growth of ezrin-dependent osteosarcoma metastases in the lungs of mice. [1] In a transgenic osteosarcoma mouse model (Osx-Cre+p53fl/flpRBfl/fl), NSC305787 (240 μg/kg, intraperitoneal injection) also significantly inhibited lung metastasis while demonstrating superior pharmacokinetic properties to NSC305787. [2]

Solubility Information

Solubility	DMSO: 4 mg/mL (8.98 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2451 mL	11.2254 mL	22.4507 mL
5 mM	0.449 mL	2.2451 mL	4.4901 mL
10 mM	0.2245 mL	1.1225 mL	2.2451 mL
50 mM	0.0449 mL	0.2245 mL	0.449 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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

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