



### NSC305787 hydrochloride

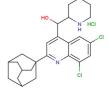
## **Chemical Properties**

CAS No.: 53868-26-1

Formula: C25H31Cl3N2O

Molecular Weight: 481.89
Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



# **Biological Description**

| Description                | NSC305787 hydrochloride is an inhibitor of ezrin (Kd: $5.85~\mu$ M). NSC305787 hydrochloride inhibits the phosphorylation of ezrin caused by PKCI (IC50: $8.3~\mu$ M). It has an antitumor activity.   |  |  |
|----------------------------|--|--|--|
| Targets(IC <sub>50</sub> ) | ezrin: 8.3 μM(kd)  |  |  |
| In vitro                   | NSC305787 binds to PKCI with a Kd value of 172.4 $\mu$ M, and inhibits ezrin T567 phosphorylation primarily via its binding to ezrin and not through inhibition of PKCI kinase activity. NSC305787 inhibits PKCI phosphorylation of Ezrin, Moesin, Radixin, MBP (IC50s: 8.3, 9.4, 55, 58.9 $\mu$ M, respectively). NSC305787 (10 $\mu$ M) decreases cell motility phenotypes in zebrafish and blocks OS metastatic growth in lung organ culture. NSC305787 (1, 10 $\mu$ M) displays inhibitory activity against the ezrin-mediated invasion of K7M2 osteosarcoma (OS) cells [1]. |  |  |
| In vivo                    | In a transgenic mouse model of osteosarcoma (Osx-Cre+p53fl/flpRBfl/fl), NSC305787 (240 µg/kg, i.p.) dramatically inhibits pulmonary metastasis and shows a more favorable pharmacokinetic profile compared with NSC668394 in the mouse model. NSC305787 (0.240 mg/kg/day, i.p.) inhibits ezrin-dependent osteosarcoma metastatic growth in mouse lung[1][2].   |  |  |

# Solubility Information

| Solubility | DMSO: 8 mg/mL (16.60 mM)<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---|
|            |   |

#### **Preparing Stock Solutions**

|       | 1mg      | 5mg       | 10mg      |
|-------|----------|-----------|-----------|
| 1 mM  | 2.075 mL | 10.376 mL | 20.752 mL |
| 5 mM  | 0.415 mL | 2.075 mL  | 4.15 mL   |
| 10 mM | 0.208 mL | 1.038 mL  | 2.075 mL  |
| 50 mM | 0.042 mL | 0.208 mL  | 0.415 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Page 1 of 2 www.targetmol.com

#### Reference

- 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.

### Inhibitors · Natural Compounds · Compound Libraries

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Page 2 of 2 www.targetmol.com