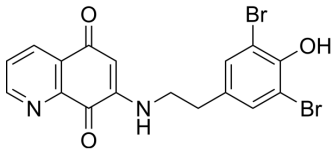
NSC668394

Cat. No.:	HY-115492
CAS No.:	382605-72-3
Molecular Formula:	$C_{17}H_{12}Br_2N_2O_3$
Molecular Weight:	452.1
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
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 : 50 mg/mL (110.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2119 mL	11.0595 mL	22.1190 mL
	5 mM	0.4424 mL	2.2119 mL	4.4238 mL
	10 mM	0.2212 mL	1.1059 mL	2.2119 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: ≥ 2.5 mg/mL (5.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NSC668394 is a potent ezrin (Thr567) phosphorylation inhibitor, with a K_d of 12.59 μM. NSC668394 inhibit ezrin T567 phosphorylation caused by PKCI primarily via their binding to ezrin. NSC668394 can be used to prevent tumor metastasis[1][2][3].

In Vitro

NSC668394 (10 μM; pretreated for 15 min) inhibits ezrin T567 phosphorylation (IC_{50}=8.1 μM) and actin binding in vitro[1].
NSC668394 (1-10 μM; 2-6 h) inhibits ezrin-mediated invasion by K7M2 osteosarcoma (OS) cells on the HUVEC monolayer[1].
NSC668394 (20 μM) causes significant decrease in growth in JM1 and JM2 rat hepatoma cell lines[2].
NSC668394 (10 μM) reduces cell motility phenotypes in zebrafish[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	K7M2 OS cells
Concentration:	10 μM
Incubation Time:	6 hours
Result:	Inhibited T567 phosphorylation and actin binding of endogenous ezrin without altering cellular ezrin levels.

In Vivo

NSC668394 (0.226 mg/kg/day; i.p. 5-days a week) inhibits ezrin-dependent in vivo OS metastatic growth in mouse lung[1].

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

Animal Model:	Female BALB/c and SCID/Beige mice were injected with K7M2 or MNNG-HOS tumor cells[1]
Dosage:	0.226 mg/kg/day
Administration:	I.p. 5-days a week for 66 days
Result:	Showed an increase in survival. Decreased the number of the green fluorescent protein (GFP)-expressing metastatic foci in the lung tissues.

CUSTOMER VALIDATION

- Research Square Preprint. 2021 Oct.

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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]. Xue Y, et, al. Phosphorylated Ezrin (Thr567) Regulates Hippo Pathway and Yes-Associated Protein (Yap) in Liver. Am J Pathol. 2020 Jul;190(7):1427-1437.

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

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