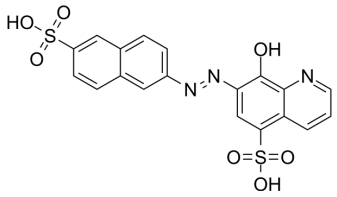
NSC-87877

Cat. No.:	HY-18756
CAS No.:	56990-57-9
Molecular Formula:	$C_{19}H_{13}N_3O_7S_2$
Molecular Weight:	459.45
Target:	Phosphatase; Apoptosis; SHP2
Pathway:	Metabolic Enzyme/Protease; Apoptosis; Protein Tyrosine Kinase/RTK
Storage:	-20°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

H_2O : 23.81 mg/mL (51.82 mM; ultrasonic and warming and heat to 60°C)
DMSO : 16.67 mg/mL (36.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1765 mL	10.8826 mL	21.7652 mL
5 mM	0.4353 mL	2.1765 mL	4.3530 mL
10 mM	0.2177 mL	1.0883 mL	2.1765 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 3.33 mg/mL (7.25 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (3.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NSC-87877 is a potent inhibitor of Shp2 and Shp1 protein tyrosine phosphatases (SH-PTP2 and SH-PTP1), with IC_{50} values of 0.318 μM, 0.355 μM shp2 and shp1, respectively[1]. NSC-87877 also inhibits dual-specificity phosphatase 26 (DUSP26)[2].

IC_{50} & Target

IC50: 0.318 μM (shp2), 0.355 μM (shp1)[1].

In Vitro

NSC-87877 (0-0.5 μM, 5 days) inhibits DUSP26 function in NB cell lines[3].
?NSC-87877 (0-0.5 μM, 5 days) results in increased p53 phosphorylation (Ser37 and Ser46) and activation[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay

Cell Line:	p53 wild-type neuroblastoma (NB) cell lines[2].
Concentration:	0, 0.25, 0.5 μM.
Incubation Time:	5 dsys.
Result:	Resulted in increased p53 phosphorylation (Ser37 and Ser46) and activation, increased activation of downstream p38 effector proteins (heat shock protein 27 (HSP27) and MAP kinase-activated protein kinase 2 (MAPKAPK2)) and poly ADP ribose polymerase/caspase-3 cleavage. Inhibited DUSP26 function in NB cell lines. Resulted in apoptosis in many cell lines at varying IC_{50} levels of 1.84 μM (IMR32), 6.35 μM (SK-N-SH), 8.69 μM (NB-19), 12.6 μM (SMS-KCN), 15.7 μM (SH-SY5Y), 15.8 μM (JF) and 19.0 μM (CHLA-225), respectively.

In Vivo

NSC-87877 (30?mg/kg, IP once daily for 15 days) possesses excellent anti- neuroblastoma activity[3].

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

Animal Model:	Intrarenal neuroblastoma (NB) tumor mouse model in female nude mice[3].
Dosage:	30 mg/kg.
Administration:	IP once daily for 15 days.
Result:	Significantly inhibited NB tumor growth.

REFERENCES

[1]. Chen L, et al. Discovery of a novel shp2 protein tyrosine phosphatase inhibitor. Mol Pharmacol. 2006 Aug;70(2):562-70.

[2]. Song M, et al. NSC-87877, inhibitor of SHP-1/2 PTPs, inhibits dual-specificity phosphatase 26 (DUSP26). Biochem Biophys Res Commun. 2009 Apr 17;381(4):491-5.

[3]. Y Shi, et al. NSC-87877 inhibits DUSP26 function in neuroblastoma resulting in p53-mediated apoptosis. Cell Death Dis. 2015 Aug 6;6(8):e1841.

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