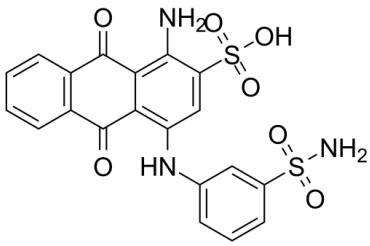
Data Sheet

Product Name:	NSC117079
Cat. No.:	CS-0016845
CAS No.:	500363-63-3
Molecular Formula:	C20H15N3O7S2
Molecular Weight:	473.48
Target:	Others
Pathway:	Others
Solubility:	DMSO : 50 mg/mL (105.60 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

NSC117079 is a novel **PHLPP** inhibitor. IC50 & Target: PHLPP[1] **In Vitro:** NSC-117079 at 30 μM induces neutrophil adhesion to plated fibrinogen from 9.0±2.4% to 27.0±8.0% and enhanced neutrophil adhesion caused by 50 ng/mL GM-CSF from 22.9±6.0% to 47.6±10.9%. Neutrophil adhesion is followed by neutrophil transendothelial migration. Results suggest that PHLPP inhibitor NSC-117079 is effective in preventing Akt from dephosphorylation in neutrophils, and Akt phosphatase PHLPP serves to attenuate neutrophil adhesion but not migration[2]. **In Vivo:** A single intraarticular injection of the Phlpp inhibitor NSC117079 attenuates mechanical allodynia and slows articular cartilage degradation in joints with a destabilized meniscus. Animals treated with the Phlpp inhibitor seven weeks after injury maintain normal activity levels, while those in the control group travel shorter distances and are less active three months after the joint injury. NSC117079 also increases production of cartilage extracellular matrix components (glycosaminoglycans and aggrecan) in over 90% of human articular cartilage explants from osteoarthritis patients and increased phosphorylation of Phlpp1 substrates (AKT2, ERK1/2 and PKC) in human articular chondrocytes[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: NSC117079 is prepared in normal saline[1].[1]Mice[1]

Posttraumatic osteoarthritis is induced in **male C57Bl/6 mice** by surgically destabilizing the meniscus. Seven weeks after surgery, mice receive a single intra-articular injection of the PHLPP inhibitor **NSC117079 (8 μM)** or saline. Mechanical allodynia is measured with von Frey assays, mobility is tracked in an open field system, and cartilage damage is assessed histologically[1].

References:

[1]. Jackson TC, et al. Pharmacological inhibition of pleckstrin homology domain leucine-rich repeat protein phosphatase is neuroprotective: differential effects on astrocytes. J Pharmacol Exp Ther. 2013 Nov;347(2):516-28.

[2]. Zhu X, et al. Regulation Of Neutrophil Adhesion And Migration By Ph Domain And Leucine Rich Repeat Protein Phosphatase. A35 RECENT ADVANCES IN PHAGOCYTE BIOLOGY / Thematic Poster Session / Sunday, May 20/8:15 AM-4:30 PM / Area G (Hall D, North Building, Lower Level), Moscone Center

CAIndexNames:

2-Anthracenesulfonic acid, 1-amino-4-[[3-(aminosulfonyl)phenyl]amino]-9,10-dihydro-9,10-dioxo-

SMILES:

O=S(C(C(N)=C1C2=O)=CC(NC3=CC=CC(S(=O)(N)=O)=C3)=C1C(C4=C2C=CC=C4)=O)(O)=O

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