

Product Data Sheet

Product Name: NSC117079

Cat. No.: GC36774

Chemical Properties

Cas. No. 500363-63-3

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

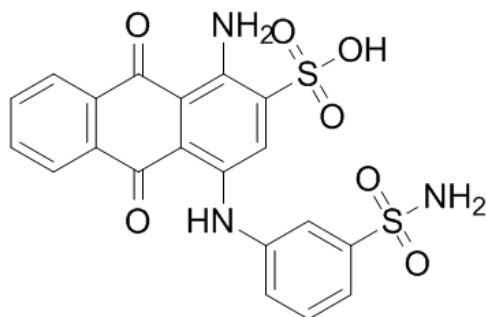
Formula $C_{20}H_{15}N_3O_7S_2$ M.Wt 473.48

Solubility DMSO: 50 mg/mL (105.60 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: 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 μ M) 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

Background

NSC117079 is a novel PHLPP inhibitor. PHLPP[1]

NSC-117079 at 30 μ M induces neutrophil adhesion to plated fibrinogen from $9.0 \pm 2.4\%$ to $27.0 \pm 8.0\%$ and enhanced neutrophil adhesion caused by 50 ng/mL GM-CSF from $22.9 \pm 6.0\%$ to $47.6 \pm 10.9\%$. 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].

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].

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