Product Data Sheet

Chemical Properties

**Product Name:** NSC 74859

**Cas No.:** 501919-59-1

**M.Wt:** 365.36

**Formula:** C16H15NO7S

**Synonyms:** S3I-201; NSC74859; NSC-74859; S3I 201

**Chemical Name:** 2-hydroxy-4-[[2-(4-methylphenyl)sulfonyloxyacetyl]amino]benzoic acid

**Canonical SMILES:** CC1=CC=C(C=C1)S(=O)(=O)OCC(=O)NC2=CC(=C(C=C2)C(=O)O)O

**Solubility:** Soluble in DMSO > 10 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.

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

Biological Activity

**Targets:** STAT

**Pathways:** JAK/STAT Signaling >> STAT

**Description:**
S3I-201 is a selective inhibitor of Stat3 with IC50 value of 86 μM [1].
In the in vitro Stat3 DNA-binding assay, S3I-201 showed potent inhibition of the Stat3 DNA-binding activity with an average IC50 of 86 μM. In the EMSA assay, S3I-201 selectively
inhibited Stat3 DNA-binding activity over that of Stat1 and Stat5. It suppressed the complex formation of Stat1-Stat3 and Stat1-Stat1 with IC50 values of 160 and > 300 μM, respectively. Besides that, the unphosphorylated, inactive Stat3 monomer was found to restore the Stat3 DNA-binding activity inhibited by S3I-201, suggesting that the inhibition was independent on the activation status. In NIH 3T3/v-Src fibroblasts, S3I-201 inhibited the constitutive activation of Stat3 and reduced the pTyr-705 Stat3 levels. Moreover, S3I-201 was found to significantly induce apoptosis in cells with constitutively active Stat3 at concentration of 30–100 μM. S3I-201 also reduced the expression of cyclin D1, Bcl-xL and surviving in these cells [1].

Reference:

Protocol

Cell experiment:

Cell lines NIH 3T3/v-Src cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions 100 μM, 24 hours

Applications Viral Src transformed (NIH 3T3/v-Src) mouse fibroblasts stably expressing Stat3-YFP were transiently transfected with Flag-Stat3, treated with NSC-74859, and then subjected to pull-down assay and SDS/PAGE. Western blot analysis for FLAG of whole-cell lysates shows equal expression of the FLAG-ST3 protein in the lysates in the transiently transfected cells in both the control and NSC-74859-treated cells. Western blot analysis probing with anti-FLAG antibody showed no detectable level of FLAG-ST3 protein in the Stat3-YFP immunoprecipitates from NSC-74859-treated cells, suggesting the disruption by NSC-74859 of the complex formation between Stat3-YFP and FLAG-ST3 proteins.

Animal experiment [3]:

Animal models Female athymic nude mice injected with MDA-MB-231 cells

Dosage form Intravenous injection, 5 mg/kg every 2 or 3 days for 2 weeks

Applications Compared with control (vehicle-treated) tumors, which continued to
grow, human breast tumors in mice that received NSC-74859 displayed strong growth inhibition. Continued evaluation of treated mice on termination of treatment showed no resumption of tumor growth, suggesting potentially a long-lasting effect of NSC-74859 on tumor growth.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Validation

Treatment of NSC 75859 inhibits cell growth
Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.