

NSC 74859

Catalog No: tcsc0512



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

501919-59-1

Formula:

$C_{16}H_{15}NO_7S$

Pathway:

JAK/STAT Signaling;Stem Cell/Wnt

Target:

STAT;STAT

Purity / Grade:

>98%

Solubility:

DMSO : 25 mg/mL (68.43 mM; Need ultrasonic and warming)

Alternative Names:

S3I-201

Observed Molecular Weight:

365.36

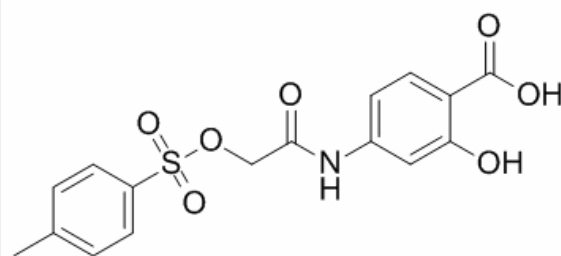
Product Description

NSC 74859 is a chemical probe inhibitor of **Stat3** activity, selectively inhibits Stat3 DNA-binding activity in vitro with **IC₅₀** of 86 μ M.

IC50 & Target: IC50: 86 μ M (STAT3)^[1]

In Vitro: NSC 74859 (S3I-201) preferentially inhibits Stat3 DNA-binding activity over that of Stat1 (IC₅₀ values, Stat3•Stat3, 86±33 μ M; Stat1•Stat3, 160±43 μ M; and Stat1•Stat1, >300 μ M) and inhibits that of Stat5 with IC₅₀ of 166±17 μ M). NSC 74859 significantly reduces viable cell numbers and inhibits growth of transformed mouse fibroblasts NIH 3T3/v-Src and breast carcinoma cell lines (MDA-MB-231, MDA-MB-435, and MDA-MB-468). At 30-100 μ M, NSC 74859 induces significant apoptosis in the representative human breast carcinoma cell line MDA-MB-435 and NIH 3T3/v-Src, both of which harbor constitutively active Stat3. The breast carcinoma MDA-MB-435 cell line is more sensitive to 30 μ M NSC 74859. By contrast, the human breast cancer MDA-MB-453 cells and the normal mouse fibroblasts (NIH 3T3), which do not contain abnormal Stat3 activity, are less sensitive to NSC 74859 at 100 μ M or less. At 300 μ M or higher, NSC 74859 induced general, nonspecific cytotoxicity independent of Stat3 activation status^[1]. Huh-7 cells do not express β 2SP or TBGFR2 and are sensitive to STAT3 inhibition, with an IC₅₀ of 100 μ M for NSC 74859, regardless of CD133⁺ status. The IC₅₀ of NSC 74859 is 150 μ M for Huh-7 and SNU-398 cells, 15 μ M for SNU-475 cells and 200 μ M for SNU-182 cells. NSC 74859 inhibits breast carcinoma MDA-MB-435, MDA-MB-453 and MDA-MB-231 cell lines with an IC₅₀ close to 100 μ M^[2].

In Vivo: Human breast (MDA-MB-231) tumor-bearing mice are given an i.v. injection of NSC 74859 (S3I-201) or vehicle every 2 or every 3 days for 2 weeks, and tumor measurements are taken every 2-3 days. Compared with control (vehicle-treated) tumors, which continued to grow, human breast tumors in mice that received S3I-201 display strong growth inhibition. Continued evaluation of treated mice on termination of treatment shows no resumption of tumor growth, suggesting potentially a long-lasting effect of S3I-201 on tumor growth^[1]. Compared with vehicle-treated control tumors (n=15), which continued to grow, S3I-201 treatment of somatotroph tumor xenografts (n=15) significantly attenuated tumor growth for the duration of the experiment. Tumors derived from NSC 74859-treated rats are significantly smaller than those from the untreated group (220±16 mm³ vs. 287±16 mm³, P3 vs. 708±83 mm³, P[3].



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