

Product Data Sheet

Product Name: LF3
Cat. No.: GC32763

Chemical Properties

Cas. No. 664969-54-4

SMILES S=C(N1CCN(C/C=C/C2=CC=CC=C2)CC1)NC3=CC=C(S(=O)(N)=O)C=C3

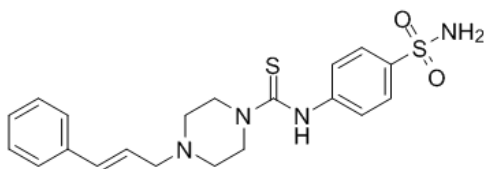
Formula $C_{20}H_{24}N_4O_2S_2$ M.Wt 416.56

Solubility DMSO : ≥ 32 mg/mL (76.82 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

Cell experiment: LF3 is dissolved in DMSO to a concentration of 50 mM and diluted with culture medium. Two colon cancer cell lines (HCT116 and HT29) and a breast cancer cell line (MCF7) are treated with LF3 (0, 30, 60 μM) for 24 hours and labeled with BrdUrd for 4 to 5 hours to detect proliferating cells[1].

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

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Animal experiment: Mice: Unsorted GFPlow and GFP^{high} SW480 cells are subcutaneously injected into the back skin of NOD/SCID mice. Tumor growth is monitored over a period of 45 days. For therapy, LF3 is administered i.v. at 50 mg/kg body weight for three rounds over 5 consecutive days, with 2-day breaks[1].

References:

[1]. Fang L, et al. A Small-Molecule Antagonist of the β -Catenin/TCF4 Interaction Blocks the Self-Renewal of Cancer Stem Cells and Suppresses Tumorigenesis. Cancer Res. 2016 Feb 15;76(4):891-901.

Background

LF3 is an antagonist of the β -Catenin/TCF4 interaction with antitumor activity; has an IC₅₀ of 1.65 μ M.

LF3 inhibits Wnt/ β -catenin signals in cells with exogenous reporters and in colon cancer cells with endogenously high Wnt activity. LF3 also suppresses features of cancer cells related to Wnt signaling, including high cell motility, cell-cycle progression, and the overexpression of Wnt target genes. However, LF3 does not cause cell death or interfere with cadherin-mediated cell-cell adhesion. Remarkably, the self-renewal capacity of cancer stem cells is blocked by LF3 in concentration-dependent manners[1].

LF3 reduces tumor growth and induces differentiation in a mouse xenograft model of colon cancer. Tumor growth is significantly reduced when mice with GFP^{high} cells are treated with LF3 at 50 mg/kg. LF3 treatment does not disturb the normal histology of the gut of mice[1].

[1]. Fang L, et al. A Small-Molecule Antagonist of the β -Catenin/TCF4 Interaction Blocks the Self-Renewal of Cancer Stem Cells and Suppresses Tumorigenesis. Cancer Res. 2016 Feb 15;76(4):891-901.

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