

Product Data Sheet

Product Name: SR-9243

Cat. No.: GC13680

Chemical Properties

Cas. No. 1613028-81-1

SMILES CC(C=C(C)C=C1C)=C1S(N(CC2=CC=C(C3=CC(C)=CC=C3)C=C2)CCC4=CC=CC(Br)=C4)(=O)=O.O.O=S=O

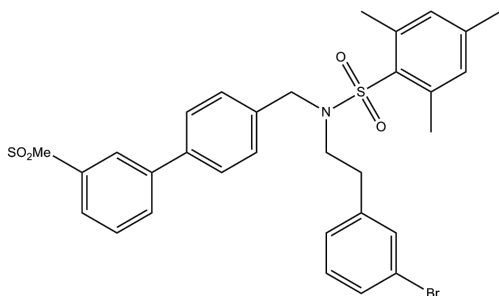
Formula $C_{31}H_{32}BrNO_4S_2$ M.Wt 626.62

Solubility ≥ 25.2 mg/mL in DMSO 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 [1]:

Cell lines SW620, HT-29, DU-145, PC3, NCI-H23 and HOP-62 cancer cells

Preparation method This compound is soluble in DMSO. 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.

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

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Reacting condition 100 nM; 96 hrs

Applications In a variety of cancer cell lines, SR-9243 reduced cancer cell viability, with IC50 values ranging from 15 ~ 104 nM. In addition, SR-9243 induced apoptotic cell death and sensitized cancer cells to chemotherapeutic treatments.

Animal experiment [1]:

Animal models Athymic mice bearing SW620 colon cancer xenografts

Dosage form 30 or 60 mg/kg; i.p.; q.d.

Applications In athymic mice bearing SW620 colon cancer xenografts, SR-9243 substantially reduced the growth of colon cancer xenografts in a dose-dependent manner. Meanwhile, SR-9243-treated tumor-bearing mice did not show any weight loss.

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.

References:

[1]. Flaveny CA, Griffett K, El-Gendy Bel-D et al. Broad Anti-tumor Activity of a Small Molecule that Selectively Targets the Warburg Effect and Lipogenesis. Cancer Cell. 2015 Jul 13;28(1):42-56.

Background

SR9243 is a liver-X-receptor (LXR) inverse agonist that induces LXR-corepressor interaction. It potently reduces cancer cell viability (IC50=15–104 nM) in MTT reduction assays in prostate (PC3 and DU-145), colorectal (SW620 and HT29), and lung (HOP-62 and NCI-H23) cancer cell lines. [1]

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LXR (liver X receptor) is a member of the nuclear receptor family of transcription factors. It is an important regulator of glycolysis and lipogenesis enzyme expression.

In SW620 cells, 1 mM SR9243 treatment for 12 hours induces cell death with a robust increase in caspase 3/7 activation. In addition, SR9243 disrupts the Warburg effect, suppresses lipogenesis gene expression and lipid production in cancer cells without effecting normal cells. [1]

In colon tumor xenograft, SR9243 substantially and dose-dependently reduces tumor growth, glycolytic (GCK1, PFK2, PFK1 and LDH) and lipogenic (SCD1, FASN, and SREBP1c) enzyme expression without promoting weight loss. SR9243 also blocks tumor growth without causing immune or hepatic toxicity in vivo. [1]

Reference:

1. Flaveny CA, Griffett K, El-Gendy Bel-D et al. Broad Anti-tumor Activity of a Small Molecule that Selectively Targets the Warburg Effect and Lipogenesis. Cancer Cell. 2015 Jul 13;28(1):42-56.

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