

Product Data Sheet

Product Name: 10058-F4

Cat. No.: GC17295

Chemical Properties

Cas. No. 403811-55-2

Chemical Name (5E)-5-[(4-ethylphenyl)methylidene]-2-sulfanylidene-1,3-thiazolidin-4-one

SMILES CCC1=CC=C(C=C1)C=C2C(=O)NC(=S)S2

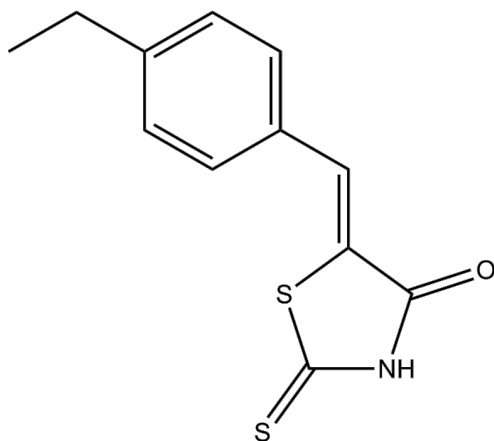
Formula $C_{12}H_{11}NOS_2$ M.Wt 249.35

Solubility $\geq 24.9\text{mg/mL}$ 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 SKOV3, Hey cells

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

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Preparation Method SKOV3 and Hey cells were cultured for 24h and treated with 0-200μM 10058-F4 for 72h. Cell proliferation was assessed using the MTT assay.

Reaction Conditions 0-200μM; 72h

Applications 10058-F4 inhibited the growth of both cell lines in a concentration-dependent manner, with IC₅₀ values of 4.4μM for SKOV3 cells and 3.2μM for Hey cells.

Animal experiment [2]:

Animal models C57BL/ 6 mice

Preparation Method Colitis was induced in 7-week-old male and female C57BL/ 6 mice by administering 2.5 % DSS in their drinking water for five days. Following DSS treatment, the animals were returned to normal drinking water and allowed to recover for up to four days. For lithium treatments, animals received a single 200μL intraperitoneal injection of 4mg LiCl. For experiments involving MYC inhibition, animals were given 25mg/kg 10058-F4 intraperitoneal injection daily. At each day during recovery, mice were weighed and disease activity index (DAI) scores were assessed. Colonic tissue samples were also collected during each day of the recovery period, fixed in formaldehyde and paraffin-embeded or stored in a -80 C freezer until analysis.

Dosage form 25mg/kg/day; i.p.

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Applications 10058-F4 inhibited c-MYC transcription factor (MYC) function in lithium-treated mice, reducing the beneficial effects of lithium treatment. Mice that received 10058-F4 alone had severe damage to the colonic epithelium, accompanied by widespread inflammation.

References:

[1]Wang J, Ma X, Jones H M, et al. Evaluation of the antitumor effects of c-Myc-Max heterodimerization inhibitor 100258-F4 in ovarian cancer cells[J]. Journal of translational medicine, 2014, 12: 1-11.

[2]Raup-Konsavage W M, Cooper T K, Yochum G S. A role for MYC in lithium-stimulated repair of the colonic epithelium after DSS-induced damage in mice[J]. Digestive diseases and sciences, 2016, 61: 410-422.

Background

10058-F4 is a c-Myc inhibitor that inhibits c-Myc-Max dimerization and prevents transcriptional activation of c-Myc target gene expression^[1]. 10058-F4 can promote caspase-3-dependent apoptosis and regulate autophagy^[2]. 10058-F4 has anti-leukemia effects^[3].

In vitro, 10058-F4 (0-200 μ M) treatment of ovarian cancer cell lines (SKOV3 and Hey cells) for 72h inhibited the proliferation of both cell lines in a dose-dependent manner, with IC₅₀ values of 4.4 μ M and 3.2 μ ML for SKOV3 and Hey cells, respectively, induced cell cycle arrest and apoptosis, and reduced reactive oxygen species (ROS) and ATP generation^[4]. 10058-F4 (60 μ M) treatment of NALM6 and CEM cells for 24h significantly enhanced dexamethasone (DXM)-induced cell growth inhibition, G0/G1 phase arrest and apoptosis^[5]. 10058-F4 (0-150 μ M) treatment of leukemia cells (HL-60, U937 and NB4 cells) for 72h inhibited the proliferation of all three cell types in a dose-dependent manner, induced cell cycle arrest and apoptosis, and myeloid differentiation^[6].

In vivo, 10058-F4 (25mg/kg/day) was administered intraperitoneally to mice with acute colitis, which weakened the LiCl-induced colon regeneration effect and reduced the expression of Ccnd2 and

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Cad^[7]. 10058-F4 (15mg/kg) was administered intraperitoneally to mice with PANC-1 cell xenografts for 30 days, 10058-F4 alone had no significant effect on tumorigenesis, but when used in combination with gemcitabine, it significantly attenuated tumorigenesis and reduced PCNA-positive cells and TUNEL-positive cells^[8].

References:

- [1] Lin C P, Liu J D, Chow J M, et al. Small-molecule c-Myc inhibitor, 10058-F4, inhibits proliferation, downregulates human telomerase reverse transcriptase and enhances chemosensitivity in human hepatocellular carcinoma cells[J]. *Anti-cancer drugs*, 2007, 18(2): 161-170.
- [2] Sheikh-Zeineddini N, Bashash D, Safaroghli-Azar A, et al. Suppression of c-Myc using 10058-F4 exerts caspase-3-dependent apoptosis and intensifies the antileukemic effect of vincristine in pre-B acute lymphoblastic leukemia cells[J]. *Journal of cellular biochemistry*, 2019, 120(8): 14004-14016.
- [3] Zehtabcheh S, Sheikh-Zeineddini N, Yousefi A M, et al. Anti-Leukemic Effects of Small Molecule Inhibitor of c-Myc (10058-F4) on Chronic Myeloid Leukemia Cells[J]. *Asian Pacific Journal of Cancer Prevention*, 2024, 25(6): 1959-1967.
- [4] Wang J, Ma X, Jones H M, et al. Evaluation of the antitumor effects of c-Myc-Max heterodimerization inhibitor 100258-F4 in ovarian cancer cells[J]. *Journal of translational medicine*, 2014, 12: 1-11.
- [5] Lv M, Wang Y, Wu W, et al. CMyc inhibitor 10058F4 increases the efficacy of dexamethasone on acute lymphoblastic leukaemia cells[J]. *Molecular Medicine Reports*, 2018, 18(1): 421-428.
- [6] Huang M J, Cheng Y, Liu C R, et al. A small-molecule c-Myc inhibitor, 10058-F4, induces cell-cycle arrest, apoptosis, and myeloid differentiation of human acute myeloid leukemia[J]. *Experimental hematology*, 2006, 34(11): 1480-1489.
- [7] Raup-Konsavage W M, Cooper T K, Yochum G S. A role for MYC in lithium-stimulated repair of the colonic epithelium after DSS-induced damage in mice[J]. *Digestive diseases and sciences*, 2016, 61: 410-422.
- [8] Zhang M, Fan H Y, Li S C. Inhibition of c-Myc by 10058-F4 induces growth arrest and chemosensitivity in pancreatic ductal adenocarcinoma[J]. *Biomedicine & pharmacotherapy*, 2015, 73: 123-128.

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