

Product Data Sheet

Product Name: Spironolactone

Cat. No.: GC12516

Chemical Properties

Cas. No. 52-01-7

Chemical Name S-[(7R,8R,9S,10R,13S,14S,17R)-10,13-dimethyl-3,5'-dioxospiro[2,6,7,8,9,11,12,14,15,16-decahydro-1H-cyclopenta[a]phenanthrene-17,2'-oxolane]-7-yl] ethanethioate

SMILES CC(=O)SC1CC2=CC(=O)CCC2(C3C1C4CCC5(C4(CC3)C)CCC(=O)O5)C

Formula $C_{24}H_{32}O_4S$

M.Wt 416.57

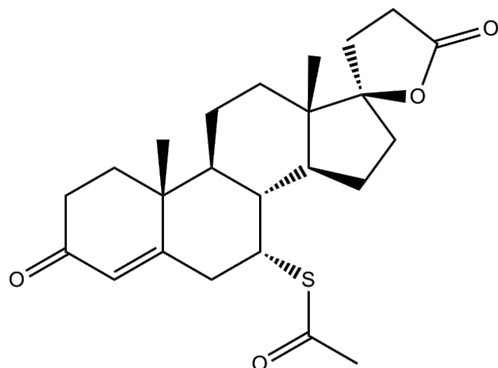
Solubility $\geq 18\text{mg/mL}$ in DMSO

Storage Store at RT, protect from light

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 MC3T3-E1 cells (mouse osteoblastic cell line)

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Preparation Method MC3T3-E1 cells were cultured in α -minimal essential medium (α -MEM) supplemented with 10% fetal bovine serum (FBS) at 37°C, 5% CO₂. Cells were pretreated with Spironolactone (0.01–1 μ M) for 1 hour, followed by co-treatment with Methylglyoxal (MG; 400 μ M) for 48 hours.

Reaction Conditions 0.01–1 μ M; 48 hours.

Applications Spironolactone significantly prevented MG-induced cytotoxicity and apoptosis in MC3T3-E1 cells. Spironolactone restored osteoblast differentiation markers, including collagen synthesis, alkaline phosphatase (ALP) activity, and mineralization. Spironolactone reduced MG-induced endoplasmic reticulum stress, intracellular reactive oxygen species (ROS), mitochondrial superoxide production, and cardiolipin peroxidation.

Animal experiment [2]:

Animal models NOD-SCID mice (for tumor growth assessment) and BALB/c mice (for EAM model)

Preparation Method Mice were injected with transformed cells to generate tumors. After 3 weeks of tumor development, Spironolactone (50mg/kg) was administered intraperitoneally twice weekly for three consecutive weeks.

Dosage form 50mg/kg; i.p.; twice weekly for three consecutive weeks.

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Applications Spironolactone significantly inhibited tumor growth and reduced cancer stem cell (CSC) content in NOD-SCID mice.

References:

- [1] Park SY, Suh KS, Jung WW, et al. Spironolactone Attenuates Methylglyoxal-induced Cellular Dysfunction in MC3T3-E1 Osteoblastic Cells. J Korean Med Sci. 2021 Oct 4;36(38):e265.
- [2] Gold A, Eini L, Nissim-Rafinia M, et al. Spironolactone inhibits the growth of cancer stem cells by impairing DNA damage response. Oncogene. 2019 Apr;38(17):3103-3118.

Background

Spironolactone is an orally active dual antagonist of the mineralocorticoid receptor (MR; $IC_{50}=24nM$) and androgen receptor (AR; $IC_{50}=77nM$)^[1-2]. Spironolactone exerts potassium-sparing diuretic effects by antagonizing aldosterone and modulates hormone-related diseases through Spironolactone anti-androgenic activity. Spironolactone is applicable in research areas such as heart failure, cancer, hypertension, and female acne and androgenetic alopecia^[3-4].

In vitro, pretreatment of MC3T3-E1 osteoblasts with Spironolactone (0.01–1 μ M) for 1 hour, followed by stimulation with Methylglyoxal (400 μ M) for 48 hours, Spironolactone significantly inhibited Methylglyoxal-induced cell death and apoptosis, and improved bone formation activity. Spironolactone reduced Methylglyoxal-induced endoplasmic reticulum stress, intracellular reactive oxygen species generation, mitochondrial superoxide levels, and cardiolipin peroxidation, while also suppressing the secretion of inflammatory cytokines^[5]. Treatment of H295R adrenocortical cells with Spironolactone (0.1–30 μ M) for 24 hours, Spironolactone significantly inhibited basal cortisol and aldosterone production. Spironolactone inhibits the activity of steroidogenic enzymes^[6].

In vivo, intraperitoneal administration of Spironolactone (50mg/kg body weight/day) to tumor-bearing (CSCL or SLGC cells) NOD-SCID mice (starting from day 21 post-cell inoculation, twice a week for three weeks), Spironolactone significantly inhibited tumor growth and reduced the proportion of cancer stem cells within the tumor, while inducing apoptosis in cancer cells and cancer stem cells by impairing the DNA damage response^[7]. Oral gavage administration of Spironolactone (50mg/kg body weight/day) to BALB/c mice with experimental autoimmune myocarditis (starting from day 7

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post-immunization, once daily for four weeks), Spironolactone significantly improved myocardial hypertrophy and diastolic function, reduced inflammatory cytokine levels, and decreased collagen deposition and myocardial fibrosis by inhibiting the TGF- β 1/Smad-2/3/Ets-1 signaling pathway^[8].

References:

- [1] Struthers A, Krum H, Williams GH. A comparison of the aldosterone-blocking agents eplerenone and spironolactone. Clin Cardiol. 2008 Apr;31(4):153-8.
- [2] Karim A. Spironolactone: disposition, metabolism, pharmacodynamics, and bioavailability. Drug Metab Rev. 1978;8(1):151-88.
- [3] Ershadi S, Barbieri JS. Spironolactone for Acne: Practical Strategies for Optimal Clinical Outcomes. Cutis. 2025 Jul;116(1):26-31.
- [4] Heymann WR. Spironolactone and breast cancer: Fear not! J Am Acad Dermatol. 2020 Oct;83(4):1008-1009.
- [5] Park SY, Suh KS, Jung WW, et al. Spironolactone Attenuates Methylglyoxal-induced Cellular Dysfunction in MC3T3-E1 Osteoblastic Cells. J Korean Med Sci. 2021 Oct 4;36(38):e265.
- [6] Ye P, Yamashita T, Pollock DM, et al. Contrasting effects of eplerenone and spironolactone on adrenal cell steroidogenesis. Horm Metab Res. 2009 Jan;41(1):35-9.
- [7] Gold A, Eini L, Nissim-Rafinia M, et al. Spironolactone inhibits the growth of cancer stem cells by impairing DNA damage response. Oncogene. 2019 Apr;38(17):3103-3118.
- [8] Wang WK, Wang B, Cao XH, et al. Spironolactone alleviates myocardial fibrosis via inhibition of Ets-1 in mice with experimental autoimmune myocarditis. Exp Ther Med. 2022 Jun;23(6):369.

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