

Product Data Sheet

Product Name: Thiamphenicol

Cat. No.: GC17076

Chemical Properties

Cas. No. 15318-45-3

Chemical Name 2,2-dichloro-N-[(1R,2R)-1,3-dihydroxy-1-(4-methylsulfonylphenyl)propan-2-yl]acetamide

SMILES CS(=O)(=O)C1=CC=C(C=C1)C(C(CO)NC(=O)C(Cl)Cl)O

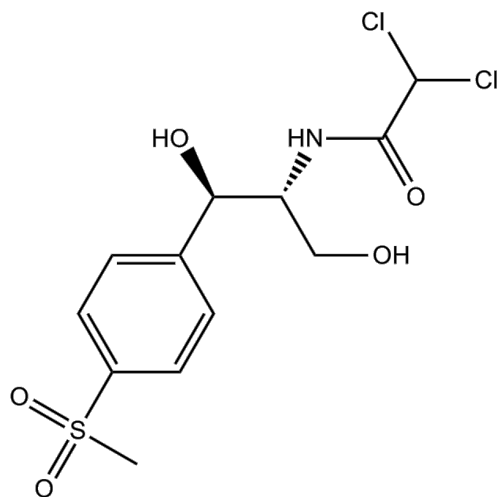
Formula $C_{12}H_{15}Cl_2NO_5S$ M.Wt 356.22

Solubility $\geq 15.55\text{mg/mL}$ in DMSO Storage Store at RT

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 mouse marrow cells

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

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Media were prepared as follows: Dulbecco's modified Eagle's powder medium 2.7g, NaHCO₃ 0.74g, penicillin-streptomycin (10,000U-10,000µg/ml) 0.1ml, fetal calf serum 22.4ml, horse serum 6ml, and double-distilled water 65.6ml (final volume 94.1ml). Medium thus prepared will be designated DME when serum is omitted and DME-S when serum is included. The final agar-medium mixture was prepared immediately before use as follows: double-strength DME-S 40ml, 3% trypticase soy broth in water 10ml, DEAE-dextran (50mg/ml) 0.15ml, L-asparagine (6.6mg/ml) 0.3ml, and 0.6% agar 50ml. To study CFC survival, mouse marrow cells were suspended in medium (1:1 mixture of double-strength

Preparation Method DME-S: water) at a concentration of 1.75×10^5 cells/ml. Two-milliliter aliquots were distributed in sterile culture tubes, and these were divided into three sets of six tubes each. One set received 0.05ml of BSS per tube, the second and third set received 0.05ml of CAP (final concentration 40µg/ml) and Thiamphenicol (final concentration 45µg/ml), respectively. The tubes were incubated under the same condition described above for agar plates. At times 0, 8, and 24 hr, two tubes from each set were centrifuged at 700g for 15 min and the cell pellet were washed twice in 1ml BSS. To each cell pellet was added 3.5ml of DME-S-agar mixture, and the suspension was mixed thoroughly and plated in 1ml aliquots in triplicate (100,000 cells per plate). Then colony counting were performed.

Reaction
Conditions 45µg/ml; 8 or 24h

Applications Thiamphenicol reversibly suppresses mouse bone marrow CFC proliferation and markedly reduces colony formation.

Animal experiment [2]:

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Animal models male ICR mice

Preparation Method Forty-eight male ICR mice weighing 18–22g were housed in an environment at 22±2°C with free access to food and water on a 12h light/dark cycle. All mice were randomly divided into 8 groups according to body weight: control group, LPS group, Thiamphenicol treatment group (2.67, 8, 24, 72, 216mg/kg) and Dexamethasone (DEX) treatment group (5mg/kg) for each group of 6 mice. One hour before LPS challenge (3 mg/kg, intratracheal instillation), Thiamphenicol or DEX was administered intraperitoneally. At 12h after ALI modeling, the right lung was separated from the thorax, and the surface mucus and blood were removed with filter paper. Then, the wet weight was determined. Subsequently, the lungs were dried at 60°C for 72h to a constant weight. Finally, the dry weight was measured and the lung wet-to-dry ratio was measured by dividing the wet weight by the dry weight.

Dosage form 2.67, 8, 24, 72, 216mg/kg; i.p.

Applications Thiamphenicol(216mg/kg) significantly decreased the lung wet-to-dry ratio in LPS-induced ALI mice.

References:

- [1] Ratzan RJ, Moore MA, Yunis AA. Effect of chloramphenicol and thiamphenicol on the in vitro colony-forming cell. *Blood*. 1974;43(3):363-369.
- [2] Zhang Z , Xie J , Shan N ,et al. Discovery of the specific inhibitory effect of thiamphenicol on LPS-induced acute lung injury (ALI) in mice through virtual screening and biological evaluation.*Journal of Molecular Structure*. 2022, 1257:132638.

Background

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Thiamphenicol, a methyl-sulfonyl derivative of Chloramphenicol, is a broad-spectrum antimicrobial antibiotic^[1]. Thiamphenicol acts by binding to the 50S ribosomal subunit, leading to inhibition of protein synthesis and bacteriostatic effect^[2]. Thiamphenicol exhibits inhibitory activity against Gram-positive bacteria, Gram-negative aerobes, and anaerobes, and is commonly employed in studies of bacterial infection mechanisms and the mode of action of antibacterial agents^{[3][4]}.

In vitro, in agar culture system, Thiamphenicol (36µg/mL; 8 or 24h) reversibly suppresses mouse bone marrow CFC proliferation and markedly reduces colony formation via inhibition of mitochondrial protein synthesis, while simultaneously skewing CFC differentiation toward macrophage colonies (97–100% versus 20–50% in controls) and reducing granulocytic colonies to <5%^[5].

In vivo, Thiamphenicol (216mg/kg; i.p.) administered 1h before LPS challenge and maintained for 12h significantly decreased the lung wet-to-dry ratio in LPS-induced ALI mice, reduced neutrophil and total cell counts in bronchoalveolar lavage fluid, suppressed IL-6 and IL-1β mRNA expression in lung tissue, and reversed LPS-induced ultrastructural damage to the alveolar–capillary barrier and degradation of VE-cadherin protein^[6]. Thiamphenicol (250mg/kg; subcutaneously implanted dialysis bag; 7 days) significantly reduced peripheral reticulocyte counts and caused a decline in total red blood cell numbers in normal rabbits; in phlebotomized anaemic rabbits, this dosage markedly suppressed the proliferation and differentiation of erythroid progenitor cells in the marrow, decreasing the erythroid precursor fraction from 75% to 0%, while also lowering reticulocyte haemoglobin synthesis rate and ribosomal content without affecting plasma erythropoietin levels^[7].

References:

- [1] Mariani L. Cloramfenicolo e tiamfenicolo [Chloramphenicol and thiamphenicol]. *Clin Ter.* 1978;86(3):195-218.
- [2] Marchese A, Debbia EA, Tonoli E, Gualco L, Schito AM. In vitro activity of thiamphenicol against multiresistant *Streptococcus pneumoniae*, *Haemophilus influenzae* and *Staphylococcus aureus* in Italy. *J Chemother.* 2002;14(6):554-561.
- [3] Dinos GP, Athanassopoulos CM, Missiri DA, et al. Chloramphenicol Derivatives as Antibacterial and Anticancer Agents: Historic Problems and Current Solutions. *Antibiotics (Basel).* 2016;5(2):20.
- [4] Raymond J, Boutros N, Bergeret M. Place du thiamphénicol dans le traitement des pneumopathies communautaires [Role of thiamphenicol in the treatment of community-acquired lung infections]. *Med Trop (Mars).* 2004;64(1):33-38.

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- [5] Ratzan RJ, Moore MA, Yunis AA. Effect of chloramphenicol and thiamphenicol on the in vitro colony-forming cell. *Blood*. 1974;43(3):363-369.
- [6] Zhang Z , Xie J , Shan N ,et al.Discovery of the specific inhibitory effect of thiamphenicol on LPS-induced acute lung injury (ALI) in mice through virtual screening and biological evaluation.*Journal of Molecular Structure*. 2022, 1257:132638.
- [7] Nijhof W, Wierenga PK, Kardaun S. The effect of thiamphenicol on the production of immature red blood cells under anaemic conditions. *Br J Haematol*. 1977;36(1):29-40.

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