

Product Name: Teicoplanin
Cat. No.: GC11339

Cas. No. 61036-62-2

Formula $C_{77}H_{77}N_9O_{31}Cl_2 \cdot R$

M.Wt 1564.3-1907.7

Solubility	DMSO: 0.15 mg/ml,PBS (pH 7.2): 0.25 mg/ml	Storage	Store at 2-8°C,unstable in solution, ready to use.
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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.
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Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
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The figure shows the chemical structure of compound 1, a complex molecule with multiple fused and linked rings, including a central benzene ring with a chlorine atom. It features several hydroxyl groups, a chlorine atom, and a variety of functional groups including amides, esters, and a sugar moiety. To the right, the R groups are defined as four different alkyl chains: a branched alkyl chain, a straight-chain alkyl chain, a branched alkyl chain, and a branched alkyl chain.

Cell experiment [1]:

Cell lines	MCF-7 cells (human breast cancer cell line)
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MCF-7 cells were maintained in RPMI-1640 medium supplemented with 100 units/mL penicillin G, 100µg/mL streptomycin and 10% fetal bovine serum at 37°C, 5% CO₂. MCF-7 cells were treated with Teicoplanin at 130, 520 and 890µg/mL for 68h, then harvested for MTT assay and real-time PCR to detect mRNA expression of c-myc and c-fos (normalized to GAPDH).

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

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Reaction
Conditions 130, 520, 890µg/mL; 68h

Applications Teicoplanin treatment led to significant difference in MCF-7 cell proliferation rate compared with control groups. Teicoplanin significantly downregulated mRNA expression of c-myc and c-fos in MCF-7 cells at all three tested concentrations; the downregulation amplitude of c-myc at 890µg/mL was significantly lower than that at 130 and 520µg/mL, and the downregulation amplitude of c-fos at 520µg/mL was significantly stronger than that at 130µg/mL.

Animal experiment [2]:

Animal models Neutropenic male ddY mice (5-week-old); thigh infection models were established by inoculating methicillin-susceptible *Staphylococcus aureus* (MSSA) ATCC 29213 or methicillin-resistant *S. aureus* (MRSA) ATCC 43300

Preparation Method 5-week-old male ddY mice were rendered neutropenic via intraperitoneal cyclophosphamide administration at 150mg/kg 4 days prior to infection and 100mg/kg 1 day prior to infection. For thigh infection model, 100µL early-log-phase *S. aureus* suspension (3.75×10^6 CFU/mL) was intramuscularly injected into one posterior thigh muscle. Teicoplanin was administered intravenously 2h post-inoculation, with dosing regimens covering 1-120mg/kg at 4-, 6-, 8-, 12-, 24-h intervals (5 dose in total), mice were sacrificed 24h after initial dosing for thigh bacterial load enumeration via CFU counting.

Dosage form 1-120mg/kg; i.v.; 4/6/8/12/24h intervals; 5 dose in total

Applications Teicoplanin dose-dependently inhibited *S. aureus* thigh burden growth in neutropenic mice.

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References:

- [1] Ashouri S, Khujin MH, Kazemi M, et al. Effect of teicoplanin on the expression of c-myc and c-fos proto-oncogenes in MCF-7 breast cancer cell line. *Adv Biomed Res.* 2016 Nov 28;5:172.
- [2] Watanabe E, Matsumoto K, Ikawa K, et al. Pharmacokinetic/pharmacodynamic evaluation of teicoplanin against *Staphylococcus aureus* in a murine thigh infection model. *J Glob Antimicrob Resist.* 2021 Mar;24:83-87.

Background

Teicoplanin is a glycopeptide antibiotic of the vancomycin class obtained from fermentation by *Actinoplanes teichomyceticus*. Teicoplanin binds to the D-Ala-D-Ala terminus of peptidoglycan precursors to block cell wall cross-linking and inhibit cell wall synthesis of Gram-positive bacteria, and enhances antibacterial effects through its hydrophobic side chain interfering with lipid II and cell membrane permeability. Teicoplanin can be used in research on infective endocarditis, osteomyelitis, septicemia caused by drug-resistant Gram-positive bacteria such as MRSA, and *Clostridium difficile*-associated diarrhea^[1-4].

In vitro, Teicoplanin (1nM-100µM) treated Vero cells infected with EBOV Zaire GP/HIV core pseudovirus for 72h. Teicoplanin dose-dependently inhibited pEBOV infection with CC₅₀>125µM^[5]. Teicoplanin (130, 520, 890µg/mL) treated MCF-7 human breast cancer cells for 68h. Teicoplanin downregulated the mRNA expression of c-myc and c-fos in cells^[6].

In vivo, Teicoplanin (1-120mg/kg; 4, 6, 8, 12, 24h intervals; 5 doses total) was intravenously administered 2h post-inoculation to cyclophosphamide-induced neutropenic mice with thigh *Staphylococcus aureus* infection (MSSA ATCC 29213 or MRSA ATCC 43300) for 24h treatment. Teicoplanin inhibited *S. aureus* burden growth in mouse thigh tissues^[7]. Teicoplanin (100, 200, 500µg; single injection) was intracerebroventricularly injected (4µL/mouse; within 4min) into male ICR mice (5-6 weeks old; 25-30g). Teicoplanin induced behavioral seizures such as head twitching and forelimb clonus, accompanied by high-voltage polyspike waves in frontal cortex, hippocampus and amygdala^[8].

References:

- [1] Shea KW, Cunha BA. Teicoplanin. *Med Clin North Am.* 1995 Jul;79(4):833-44. doi:

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[3] Yushchuk O, Ostash B, Truman AW, et al. Teicoplanin biosynthesis: unraveling the interplay of structural, regulatory, and resistance genes. Appl Microbiol Biotechnol. 2020 Apr;104(8):3279-3291.

[4] Greenwood D. Microbiological properties of teicoplanin. J Antimicrob Chemother. 1988 Jan;21 Suppl A:1-13.

[5] Wang Y, Cui R, Li G, et al. Teicoplanin inhibits Ebola pseudovirus infection in cell culture. Antiviral Res. 2016 Jan;125:1-7.

[6] Ashouri S, Khujin MH, Kazemi M, et al. Effect of teicoplanin on the expression of c-myc and c-fos proto-oncogenes in MCF-7 breast cancer cell line. Adv Biomed Res. 2016 Nov 28;5:172.

[7] Watanabe E, Matsumoto K, Ikawa K, et al. Pharmacokinetic/pharmacodynamic evaluation of teicoplanin against Staphylococcus aureus in a murine thigh infection model. J Glob Antimicrob Resist. 2021 Mar;24:83-87.

[8] Takechi K, Ishikawa T, Kamei C. Epileptogenic activity induced by teicoplanin and effects of some antiepileptics in mice. J Pharmacol Sci. 2008 Aug;107(4):428-33.

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