
Product Data Sheet

Product Name: Epirubicin HCl

Cat. No.: GC10686

Chemical Properties

Cas. No. 56390-09-1

Chemical Name (7S,9S)-7-[(2R,4S,5R,6S)-4-amino-5-hydroxy-6-methyloxan-2-yl]oxy-6,9,11-trihydroxy-9-(2-hydroxyacetyl)-4-methoxy-8,10-dihydro-7H-tetracene-5,12-dione;hydrochloride

SMILES CC1C(C(CC(O1)OC2CC(CC3=C(C4=C(C(=C23)O)C(=O)C5=C(C4=O)C=CC=C5OC)O)(C(=O)CO)O)N)O.Cl

Formula $C_{27}H_{29}NO_{11}.HCl$ M.Wt 579.98

Solubility ≥ 55.6 mg/mL in DMSO, ≥ 10.12 mg/mL in EtOH with ultrasonic and warming, ≥ 40.33 mg/mL in Water with gentle warming
 Storage Store at -20°C, 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 human glioma cell line U-87

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

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Preparation Method	<p>The human glioma cell line U-87 cells were cultured in a standard tissue culture incubator (37°C; 5% CO₂; 95% air; 100% humidity). Cells were regularly passaged by treatment with trypsin (0.05%) and were grown in Eagle's minimum essential medium (EMEM) supplemented with 10% fetal bovine serum (FBS), penicillin and streptomycin, non-essential amino acids, 1mg/ml glucose and 1mM pyruvate. The U-87 and neuronal cells were plated on 96well flatbottomed microplates at a density of 1×10⁴cells/well in 100μl complete growth medium. Prior to drug treatment, the growth medium was substituted with fresh medium containing 2% FBS. The U-87 and neuronal cells were exposed to Epirubicin HCl at concentrations of 0.5-100μM. After 48h of incubation at 37°C in a humidified atmosphere of 5% CO₂, the cytotoxic effect of Epirubicin HCl was estimated using an MTT assay. DNA synthesis in proliferating cells was evaluated by measuring Bromodeoxyuridine (BRDU) incorporation using a commercial Cell Proliferation ELISA System. MMP-9 levels in the conditioned media of the U-87 cells were determined using a commercial human MMP-9 immunoassay kit. Tumor cell migration was assessed using the wound healing assay.</p>
Reaction Conditions	0.5-100μM; 48h
Applications	Epirubicin HCl dose-dependently suppressed U-87 glioma cell proliferation, reduced MMP-9 secretion, and inhibited cell migration.
Animal experiment [2]:	
Animal models	Female BALB/c mice

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Preparation Method	<p>Female BALB/c mice (8 weeks, weighting 18 to 22g) were housed in a specified chamber with controlled air conditions (temperature 20-25°C, humidity 50-65%) and free access to sterile food and water. A 4T1 cell suspension (100µL, 5×10^6 cells/mL) was injected subcutaneously into the right side of the fourth mammary gland of each mouse. The tumor length (L) and width (W) were measured every other day, and the tumor volume was calculated by using the following formula: $V \text{ (mm}^3\text{)} = (L \times W^2) / 2$. On day 8 of 4T1 cells injection, the size of tumors was approximately 100 mm³. Mice were randomly divided into two groups and accepted treatments every other day for eight times: Control group (Cont, n=8): mice received intragastrically administered (i.g.) and a tail intravenous injection of saline. Epirubicin HCl group (n=8): mice received i.g. saline and a tail intravenous injection of Epirubicin HCl (2.5mg/kg). The mice weights were recorded every other day. Blood was collected and the mice were sacrificed after 16 days. The tumors, hearts, and other tissues were removed rapidly and weighed. Several tissues were fixed in formalin for HE staining, TdT-mediated dUTP nick end labeling, and immunohistochemistry, whereas others were rapidly frozen in liquid nitrogen and stored in -80°C for Western blotting analysis.</p>
Dosage form	2.5mg/kg; every other day for 16 days; i.v.
Applications	Epirubicin HCl reduced tumor volume and increased tumor cell apoptosis in 4T1 breast cancer-bearing BALB/c mice.

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References:

[1] Wang XF, Zhao ZF, Chen MH, Yuan QH, Li YL, Jiang CL.

Epirubicin inhibits growth and alters the malignant phenotype of the U87 glioma cell line. *Mol Med Rep.* 2015;12(4):5917-5923.

[2] Wu J, Xue X, Zhang B, et al.

Enhanced antitumor activity and attenuated cardiotoxicity of Epirubicin combined with Paeonol against breast cancer.

Tumour Biol. 2016;37(9):12301-12313.

Background

Epirubicin HCl is an orally active, specific inhibitor of DNA topoisomerase II with an IC_{50} of $12\mu M$ ^[1]. Epirubicin HCl forms a complex with DNA, blocks the re-ligation of DNA double strands, causes DNA damage, and interferes with DNA, RNA, and protein synthesis, thereby exerting broad-spectrum antitumor activity^[2]. Epirubicin HCl is commonly used in research on solid tumors such as breast, liver, and gastric cancers, as well as malignant lymphomas^[3].

In vitro, Epirubicin HCl (0.5-100 μM ; 48h) dose-dependently suppressed U-87 glioma cell

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proliferation, reduced MMP-9 secretion, lowered VEGF release, and inhibited cell migration^[4]. Treatment of HCT116 and SW620 colorectal cancer cells with Epirubicin HCl (125nM; 48h) significantly upregulated TRAIL and enhanced TRAIL-induced apoptosis^[5].

In vivo, Epirubicin HCl (2.5mg/kg; every other day for 16 days; i.v.) reduced tumor volume and increased tumor cell apoptosis in 4T1 breast cancer-bearing BALB/c mice^[6]. Epirubicin HCl (7mg/kg; every 4 days ×3; i.v.) reduced tumor mass in R-27 human breast carcinoma-bearing nude mice without increasing toxic death^[7].

References:

- [1] Cersosimo RJ, Hong WK. Epirubicin: a review of the pharmacology, clinical activity, and adverse effects of an adriamycin analogue. *J Clin Oncol*. 1986;4(3):425-439.
- [2] Luiz MT, Dutra JAP, Di Filippo LD, et al. Epirubicin: Biological Properties, Analytical Methods, and Drug Delivery Nanosystems. *Crit Rev Anal Chem*. 2023;53(5):1080-1093.
- [3] Robert J. Clinical pharmacokinetics of epirubicin. *Clin Pharmacokinet*. 1994;26(6):428-438.
- [4] Wang XF, Zhao ZF, Chen MH, Yuan QH, Li YL, Jiang CL. Epirubicin inhibits growth and alters the malignant phenotype of the U87 glioma cell line. *Mol Med Rep*. 2015;12(4):5917-5923.
- [5] Caldiran F, Berkel C, Yilmaz E, et al. Combination treatment of bortezomib and epirubicin increases the expression of TNFRSF10 A/B, and induces TRAIL-mediated cell death in colorectal cancer cells. *Biochem Biophys Res Commun*. 2023;675:33-40.
- [6] Wu J, Xue X, Zhang B, et al. Enhanced antitumor activity and attenuated cardiotoxicity of Epirubicin combined with Paeonol against breast cancer. *Tumour Biol*. 2016;37(9):12301-12313.
- [7] Asanuma F, Yamada Y, Kawamura E, et al. Antitumor activity of paclitaxel and epirubicin in human breast carcinoma, R-27. *Folia Microbiol (Praha)*. 1998;43(5):473-474.

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