Doxorubicin hydrochloride

Cat. No.: HY-15142

CAS No.: 25316-40-9

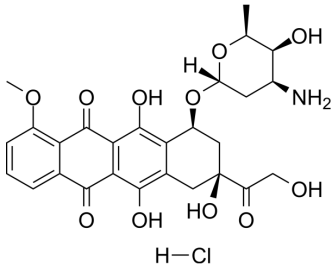
Molecular Formula: $C_{27}H_{30}ClNO_{11}$

Molecular Weight: 579.98

Target: ADC Cytotoxin; Autophagy; AMPK; Apoptosis; HBV; HIV; Mitophagy; Bacterial; Antibiotic; Topoisomerase

Pathway: Antibody-drug Conjugate/ADC Related; Autophagy; Epigenetics; PI3K/Akt/mTOR; Apoptosis; Anti-infection; Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (143.68 mM; Need ultrasonic)
H_2O : 50 mg/mL (86.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7242 mL	8.6210 mL	17.2420 mL
	5 mM	0.3448 mL	1.7242 mL	3.4484 mL
	10 mM	0.1724 mL	0.8621 mL	1.7242 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: Saline
   Solubility: 8.33 mg/mL (14.36 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.75 mg/mL (4.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.59 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Doxorubicin (Hydroxydaunorubicin) hydrochloride, a cytotoxic anthracycline antibiotic, is an anti-cancer chemotherapy agent. Doxorubicin hydrochloride is a potent human DNA topoisomerase I and topoisomerase II inhibitor with IC_{50}s of 0.8 μM and 2.67 μM, respectively. Doxorubicin hydrochloride reduces basal phosphorylation of AMPK and its downstream target

	acetyl-CoA carboxylase. Doxorubicin hydrochloride induces apoptosis and autophagy[1][2][3].

IC_{50} & Target				
	Topoisomerase I 0.8 μM (IC_{50})	Topoisomerase II 2.67 μM (IC_{50})	Daunorubicins/Doxorubicins	HIV-1

In Vitro

Doxorubicin hydrochloride (1-8 μM; 24 and 48 hours) decreases the viability of MCF-10F, MCF-7 and MDA-MB-231 cells in a time- and dose-dependent manner[4].

Doxorubicin hydrochloride (1 μM; 3 and 24 hours) results in Hct-116 human colon carcinoma cells reduction in G0/G1 phase and accumulation in G2 phase[5].

Doxorubicin hydrochloride (1 μM for MCF-10F and MDA-MB-231 cells, 4 μM for MCF-7 cells; 48 hours) induces apoptosis by upregulating Bax, caspase-8 and caspase-3 and downregulation of Bcl-2 protein expression[4].

Doxorubicin can label neuron cells, and it is bright red under Rhodamine filter bag, and light red-orange under catecholamine filter bag[7].

Doxorubicin (5 μM; 10-30 min) can be accumulated in B16-F10 melanoma cell line CRL-6475 in a time-dependent manner, and can be detected by green or red fluorescence (green fluorescence has higher detection sensitivity) with a maximum excitation wavelength (λ_{ex}) and a maximum emission wavelength (λ_{em}) of 470 nm and 560 nm, respectively[8].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

Cell Line:	Breast cancer cell lines MCF-10F, MCF-7 and MDA-MB-231
Concentration:	0, 1, 2, 4 and 8 μM
Incubation Time:	24 and 48 hours
Result:	IC_{50} was 1 μM for both MCF-10F and MDA-MB-231 cell lines. IC_{50} was 4 μM for MCF-7 cell line.

Cell Cycle Analysis[5]

Cell Line:	Hct-116 human colon carcinoma cells
Concentration:	1 μM
Incubation Time:	3 hours and 24 hours
Result:	Both, bolus (3 h) and continuous (24 h) incubation led to a significant reduction of cells in G0/G1 and accumulation in G2 phase.

Western Blot Analysis[4]

Cell Line:	Breast cancer cell lines MCF-10F, MCF-7 and MDA-MB-231
Concentration:	1 μM for MCF-10F and MDA-MB-231 cells, 4 μM for MCF-7 cells
Incubation Time:	48 hours
Result:	Bax protein expression was upregulated in MCF-10F and MDA-MB-231 cell lines but MCF-7 cells did not show any significant increase. Caspase-8 gene expression was upregulated in MCF-10F, but it was downregulated in MCF-7 and MDA-MB-231 cells.

In Vivo

Doxorubicin hydrochloride can be used in animal modeling to construct animal heart failure models.

Treatment with Doxorubicin (2 mg/kg) or Zoledronic acid (100 μg/kg) alone does not statistically significantly decrease final tumor volume compared with saline. Mice treated with Doxorubicin plus Zoledronic acid have statistically significantly

smaller final tumor volumes than those treated with Doxorubicin alone[6].Doxorubicin (4%-20%; Intrastriatal injection; Single dose) is neurotoxic in Sprague-Dawley mice[7].
Doxorubicin can be coupled to gold nanoparticles (Au NPs) by PH-sensitive bonding under acidic conditions, allowing it to pass through the blood-brain barrier with a maximum absorption wavelength of 528 nm[9].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female MF1 nu/nu mice bearing MDA-G8 breast tumor xenograft (6-week-old)[6]
Dosage:	Doxorubicin (2 mg/kg); Zoledronic acid (100 μg/kg)
Administration:	Intravenous injection; once a week; 6 weeks
Result:	Moderate inhibition of subcutaneous tumor growth in mice that were treated with 2 mg/kg Doxorubicin alone or with 100 μg/kg Zoledronic acid alone compared to the saline control. Mice treated with Zoledronic acid and Doxorubicin together had statistically significant smaller mean tumor volumes on day 42 than those treated with Doxorubicin alone.

Animal Model:	Male Sprague-Dawley rats[7]
Dosage:	1%, 2%, 4%, 5%, 6%, 10%, 20%
Administration:	Intrastriatal injection; Single dose
Result:	In doses of 4, 5, 6, 10 or 20% caused obvious loss of ipsilateral SNc and VTA neuronsz and doses of 1 or 2% failed to produce obvious neuron loss.

CUSTOMER VALIDATION

- Nat Med. 2016 May;22(5):547-56.
- Nature. 2023 Jun;618(7964):374-382.
- Cell Res. 2018 Dec;28(12):1171-1185.
- Signal Transduct Target Ther. 2023 Feb 3;8(1):51.
- Cell Metab. 2022 Feb 7;34(3):424-440.e7.

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[2]. Kauffman MK, Kauffman ME, Zhu H, Jia Z, Li YR. Fluorescence-Based Assays for Measuring Doxorubicin in Biological Systems. React Oxyg Species (Apex). 2016;2(6):432-439. doi: 10.20455/ros.2016.873. PMID: 29707647; PMCID: PMC5921830.

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[9]. Penelope D Ottewell, et al. Antitumor effects of doxorubicin followed by zoledronic acid in a mouse model of breast cancer. J Natl Cancer Inst. 2008 Aug 20;100(16):1167-78.

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