

# **Product** Data Sheet

## **Doxorubicin**

 Cat. No.:
 HY-15142A

 CAS No.:
 23214-92-8

 Molecular Formula:
 C<sub>27</sub>H<sub>29</sub>NO<sub>11</sub>

Molecular Weight: 543.52

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

Bacterial; Antibiotic

Pathway: Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related; Autophagy;

Epigenetics; PI3K/Akt/mTOR; Apoptosis; Anti-infection

**Storage:** Please store the product under the recommended conditions in the Certificate of

Analysis.

### **BIOLOGICAL ACTIVITY**

Description

Doxorubicin (Hydroxydaunorubicin), a broad-spectrum anthracycline antibiotic with cytotoxic properties, is an anti-cancer chemotherapy agent. Doxorubicin has fluorescence properties. Doxorubicin inhibits topoisomerase II with an IC $_{50}$  of 2.67  $\mu$  M, thus stopping DNA replication. Doxorubicin reduces basal phosphorylation of AMPK and its downstream target acetyl-CoA carboxylase. Doxorubicin induces apoptosis and autophagy<sup>[1][2]</sup>. Doxorubicin inhibits human DNA topoisomerase I with an IC $_{50}$  of 0.8  $\mu$ M<sup>[3]</sup>.

IC <sub>50</sub> & Target	Topoisomerase I	Topoisomerase II	Daunorubicins/Doxorubicins	HIV-1
	0.8 μM (IC <sub>50</sub> )	2.67 μM (IC <sub>50</sub> )		

In Vitro

Combination of Doxorubicin (Hydroxydaunorubicin) and Simvastatin (HY-17502) in the highest tested concentrations (2  $\mu$ M and 10  $\mu$ M, respec-tively) kills 97% of the Hela cells<sup>[4]</sup>.

Doxorubicin can label neuron cells, and it is bright red under Rhodamine filter bag, and light red-orange under catecholamine filter bag $^{[8]}$ .

Doxorubicin (5  $\mu$ M; 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 ( $\lambda_{ex}$ ) and a maximum emission wavelength ( $\lambda_{em}$ ) of 470 nm and 560 nm, respectively<sup>[10]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Doxorubicin (4-8 mg/kg) can delay tumor growth and reduce the expression of c-FLIP in PC3 xenograft nude mice. Doxorubicin (Intraperitoneal injection; single dose (10 mg/kg) / once daily for 10 days (1 mg/kg) / once per week for 5 weeks (2 mg/kg)) has cardiotoxicity in Sprague-Dawley rats, but compared with a single dose of 10 mg/kg, cumulative dosing of 10 mg/kg over several days or weeks can increase the survival rate of rats. [6] Doxorubicin (4%-20%; Intrastriatal injection; Single dose) is neurotoxic in Sprague-Dawley rats [8].

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<sup>[9]</sup>.

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$ 

Animal Model:	Athymic male nude mice model of xenografts of PC3 prostate carcinoma cells <sup>[5]</sup>
Dosage:	2 mg/kg, 4 mg/kg, 8 mg/kg

Administration:	ntraperitoneal injection (i.p.); Single dose .After injected PC3 cells ( $4 \times 106$ ) subcutaneously into the flank of mice.	
Result:	A dose of 2 mg/ kg did not affect tumor growth while higher dosages (4 mg/kg, 8 mg/kg) delayed tumor growth initially.	
Animal Model:	Male Sprague-Dawley rats model <sup>[6]</sup>	
Dosage:	10 mg/kg (schedule 1), 1 mg/kg (schedule 2), 2 mg/kg (schedule 3)	
Administration:	Intraperitoneal injection (i.p.); Single dose (schedule 1).Intraperitoneal injection (i.p.); Once daily for 10 days (schedule 2).Intraperitoneal injection (i.p.); Once per week, for 5 weeks(schedule 3).	
Result:	In schedule 1, caused 30% of the rats to die at the end of week 2 and 80% by day 28.  In schedule 2, caused 55% of the rats to die at the end of week 13 and 80% by day 107.  In schedule 3, caused 42% of the rats to die at the end of week 13 and 80% by day 98.	
Animal Model:	Male Sprague-Dawley rats <sup>[8]</sup>	
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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#### **REFERENCES**

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- [2]. Mirza A Z, Shamshad H. Preparation and characterization of doxorubicin functionalized gold nanoparticles[J]. European journal of medicinal chemistry, 2011, 46(5): 1857-1860.
- [3]. 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.
- [4]. Nitiss JL, et al. Targeting DNA topoisomerase II in cancer chemotherapy. Nat Rev Cancer. 2009 May;9(5):338-50.

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- [5]. Rhee HK, et al. Synthesis, cytotoxicity, and DNA topoisomerase II inhibitory activity of benzofuroquinolinediones. Bioorg Med Chem. 2007 Feb 15;15(4):1651-8.
- [6]. Foglesong PD, et al. Doxorubicin inhibits human DNA topoisomerase I. Cancer Chemother Pharmacol. 1992;30(2):123-5.
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- [9]. Hayward R, et al. Doxorubicin cardiotoxicity in the rat: an in vivo characterization. J Am Assoc Lab Anim Sci. 2007 Jul;46(4):20-32.
- [10]. Johansson S, et al. Elimination of HIV-1 infection by treatment with a doxorubicin-conjugated anti-envelope antibody. AIDS. 2006;20(15):1911-1915.

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

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