Doxorubicin

Cat. No.: HY-15142A

CAS No.: 23214-92-8

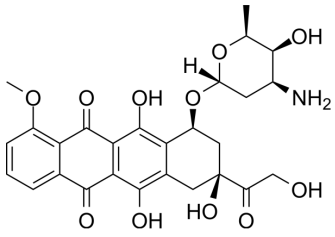
Molecular Formula: $C_{27}H_{29}NO_{11}$

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 μM, thus stopping DNA replication. Doxorubicin reduces basal phosphorylation of AMPK and its downstream target acetyl-CoA carboxylase. Doxorubicin induces apoptosis and autophagy[1][2]. Doxorubicin inhibits human DNA topoisomerase I with an IC_{50} of 0.8 μM[3].

IC_{50} & Target

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

In Vitro

Combination of Doxorubicin (Hydroxydaunorubicin) and Simvastatin (HY-17502) in the highest tested concentrations (2 μM and 10 μM, respec-tively) kills 97% of the Hela cells[4].

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 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[10].

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[9].

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[5]
Dosage:	2 mg/kg, 4 mg/kg, 8 mg/kg

Administration:	ntraperitoneal injection (i.p.); Single dose .After injected PC3 cells (4 × 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[6]
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[8]
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.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Koda LY, Van der Kooy D. Doxorubicin: a fluorescent neurotoxin retrogradely transported in the central nervous system. Neurosci Lett. 1983 Mar 28;36(1):1-8. doi: 10.1016/0304-3940(83)90476-7. PMID: 6190113. 9

[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.

[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.

[7]. Sadeghi-Aliabadi H, et al. Cytotoxic evaluation of doxorubicin in combination with simvastatin against human cancer cells. Res Pharm Sci. 2010 Jul;5(2):127-33.

[8]. El-Zawahry A, et al. Doxorubicin increases the effectiveness of Apo2L/TRAIL for tumor growth inhibition of prostate cancerxenografts. BMC Cancer. 2005 Jan 7;5:2.

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA