Mitoxantrone

Cat. No.: HY-13502

CAS No.: 65271-80-9

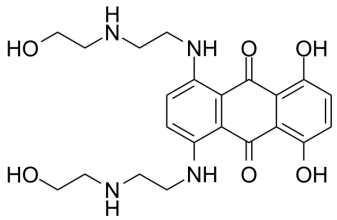
Molecular Formula: $C_{22}H_{28}N_4O_6$

Molecular Weight: 444.48

Target: Topoisomerase; PKC; Endogenous Metabolite; Apoptosis; Orthopoxvirus

Pathway: Cell Cycle/DNA Damage; Epigenetics; TGF-beta/Smad; Metabolic Enzyme/Protease; Apoptosis; Anti-infection

Storage: -20°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (140.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2498 mL	11.2491 mL	22.4982 mL
	5 mM	0.4500 mL	2.2498 mL	4.4996 mL
	10 mM	0.2250 mL	1.1249 mL	2.2498 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mitoxantrone is a potent topoisomerase II inhibitor. Mitoxantrone also inhibits protein kinase C (PKC) activity with an IC_{50} of 8.5 μM. Mitoxantrone induces apoptosis of B-CLL (B-chronic lymphocytic leukaemia) cells. Mitoxantrone shows antitumor activity[1][2][3][4]. Mitoxantrone also has anti-orthopoxvirus activity with EC_{50}s of 0.25 μM and and 0.8 μM for cowpox and monkeypox, respectively[5].

IC_{50} & Target

PKC	Topoisomerase II
8.5 μM (IC_{50})	

In Vitro

Mitoxantrone inhibits PKC in a competitive manner with respect to histone H1, and its K_i value is 6.3 μM and in a non-competitive manner with respect to phosphatidylserine and ATP[1].
Mitoxantrone (0.5 μg/mL, 48 h) induces a decrease in B-CLL cells. Mitoxantrone induces DNA fragmentation and the

	proteolytic cleavage of poly(ADP-ribose) polymerase (PARP), demonstrating that the cytotoxic effect of Mitoxantrone is due to induction of apoptosis[2]. Mitoxantrone shows cytotoxicity to human breast carcinoma cell lines MDA-MB-231 and MCF-7 with IC_{50} values of 18 and 196 nM, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mitoxantrone (IP, 0-3.2 mg/kg/day) produces a statistically significant number of 60-day survivors at 1.6 mg/kg in mice with IP implanted L1210 leukemia[4]. Mitoxantrone (IV, 0-3.2 mg/kg/day) shows effective antitumor activities and produces a 60% ILS (increase in lifespan) at 3.2 mg/kg in SC implanted Lewis lung carcinoma[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]	The human breast carcinoma cell lines MDA-MB-231 and MCF-7 are seeded in standard 96-well plates. One day after seeding, the culture medium is changed and replaced by medium containing different concentration of Mitoxantrone (10^{-5} to 5 μM) with or without DHA (30 μM) during 7 days. Viability of cells are measured as a whole by the tetrazolium salt assay[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Mice: Mitoxantrone is tested for antitumor activity against experimental tumors in mice and the results are compared with those of seven antitumor antibiotics. The drugs are given IP or IV, in general on days 1, 5, and 9 following tumor inoculation. Mitoxantrone is given IP at the optimal dose (1.6 mg/kg/day; as a free base)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2020 Apr 14;11(1):1792.
- J Am Chem Soc. 2022 Jun 15;144(23):10407-10416.
- Cell Rep Med. 2024 Feb 20;5(2):101388.
- Int J Biol Sci. 2022 Mar 21;18(6):2568-2582.
- Acta Pharmacol Sin. 2022 Aug 31.

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REFERENCES

[1]. Sharon E Altmann, et al. Inhibition of cowpox virus and monkeypox virus infection by mitoxantrone. Antiviral Res. 2012 Feb;93(2):305-308.

[2]. Takeuchi N, et al. Inhibitory effect of mitoxantrone on activity of protein kinase C and growth of HL60 cells. J Biochem. 1992 Dec;112(6):762-7.

[3]. Bellosillo B, et al. Mitoxantrone, a topoisomerase II inhibitor, induces apoptosis of B-chronic lymphocytic leukaemia cells. Br J Haematol. 1998 Jan;100(1):142-6.

[4]. Vibet S, et al. Differential subcellular distribution of mitoxantrone in relation to chemosensitization in two human breast cancer cell lines. Drug Metab Dispos. 2007 May;35(5):822-8.

[5]. Fujimoto S, et al. Antitumor activity of mitoxantrone against murine experimental tumors: comparative analysis against various antitumor antibiotics. Cancer Chemother Pharmacol. 1982;8(2):157-62.

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

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