β-Lapachone

Cat. No.: HY-13555

CAS No.: 4707-32-8

Molecular Formula: $C_{15}H_{14}O_3$

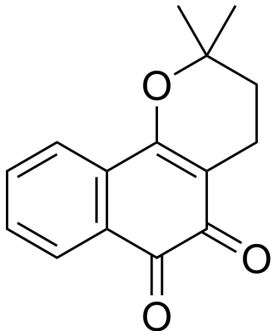
Molecular Weight: 242.27

Target: Topoisomerase; Autophagy; Apoptosis

Pathway: Cell Cycle/DNA Damage; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (103.19 mM; Need ultrasonic)
Ethanol : 8.33 mg/mL (34.38 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.1276 mL	20.6381 mL	41.2763 mL
5 mM	0.8255 mL	4.1276 mL	8.2553 mL
10 mM	0.4128 mL	2.0638 mL	4.1276 mL

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

In Vivo

1. Add each solvent one by one: 20% SBE-β-CD in saline
   Solubility: 2.86 mg/mL (11.81 mM); Clear solution; Need ultrasonic and warming
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.32 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

β-Lapachone (ARQ-501;NSC-26326) is a naturally occurring O-naphthoquinone, acts as a topoisomerase I inhibitor, and induces apoptosis by inhibiting cell cycle progression.

IC_{50} & Target

Topoisomerase I

In Vitro	β-Lapachone is a topoisomerase I inhibitor. β-Lapachone (25 μM) inhibits camptothecin-induced DNA cleavage[1]. β-Lapachone (10-40 μM) significantly reduces the colony-forming ability of CHO cells, and is cytotoxic in S phase. β-Lapachone at above 10 μM, causes a heavy DNA-strand breaks in CHO cells[2]. β-Lapachone (10 μM) suppresses JCPyV replication in IMR-32 cells. β-Lapachone (1.0?μM) potently affects JCPyV propagation in JCI cells. β-Lapachone (0.01-0.1 μM) inhibits VP1 production in JCI cells[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	β-Lapachone (0.066%) ameliorates cisplatin-induced renal injury and when in combination with cisplatin, the affect is more significant in mice. β-Lapachone increases Mre11-Rad50-Nbs1 (MRN) complex expression in mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	DNA topoisomerase I is incubated in the presence or absence of drugs (including β-Lapachone), in 20 μL of relaxation buffer (50 mM Tris (pH 7.5). 50 mM KCl, 10 mM $MgCl_2$, 0.5 mM dithiothreitol, 0.5 mM EDTA, 30 μg/mL bovine serum albumin) for 30 min at 37°C. Reactions are stopped by adding 1% SDS and proteinase K (50 μg/mL). After an additional 1-h incubation at 37°C, the products are separated by electrophoresis in 1% agarose gel in TAE buffer (0.04 M tris acetate, 0.001 M EDTA). The gel is stained with ethidium bromide after electrophoresis. The photographic negative is scanned with an NIH image analysis system[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [4]	Cytotoxicity is measured by an MTT assay. IMR-32 and JCI cells are plated in 96-well microtiter plates at a concentration of 5.0×10^4 (topotecan) or 2.5×10^4 (β-lapachone) cells/well/100 μL medium 24 hr prior to addition of various concentrations of topotecan or β-lapachone. The cells are then incubated for 72 hr at 37°C in a CO_2 incubator. Cell proliferation is assessed using a Cell Proliferation Kit I. Experiments are performed using four independent cultures[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Male Balb/c mice are provided a commercial pellet diet and water ad libitum. After 1 week of acclimation, the mice are randomly allocated to one of the following groups (5 per group): control, β-lapachone, cisplatin (18 mg/kg, ip), and β-lapachone + cisplatin (18 mg/kg, ip). The β-lapachone groups are fed a diet containing the drug (0.066) for 2 weeks prior to cisplatin injection. All mice are sacrificed under carbon dioxide anesthesia 3 days after cisplatin injection. The blood samples are subjected to serum BUN and CRE analyses. Half of the kidney is quickly removed for histopathological and immunohistochemical (IHC) studies. The other half is stored at −70°C until western blot assay[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Small. 2023 Apr 22;e2301497.
- J Control Release. 2022 May 31;347:632-648.
- J Nanobiotechnology. 2021 Sep 4;19(1):261.
- Acta Biomater. 2023 Apr 16;S1742-7061(23)00207-6.
- ACS Appl Mater Interfaces. 2019 Aug 28;11(34):30551-30565.

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REFERENCES

[1]. Li CJ, et al. beta-Lapachone, a novel DNA topoisomerase I inhibitor with a mode of action different from camptothecin. J Biol Chem. 1993 Oct 25;268(30):22463-8.

[2]. Vanni A, et al. DNA damage and cytotoxicity induced by beta-lapachone: relation to poly(ADP-ribose) polymerase inhibition. Mutat Res. 1998 Jun 5;401(1-2):55-63.

[3]. Kim TW, et al. β-Lapachone enhances Mre11-Rad50-Nbs1 complex expression in cisplatin-induced nephrotoxicity. Pharmacol Rep. 2016 Feb;68(1):27-31.

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