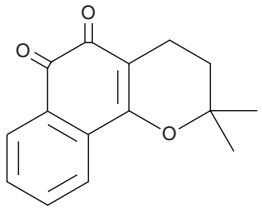
PRODUCT INFORMATION

β-Lapachone

Item No. 15021

CAS Registry No.:	4707-32-8
Formal Name:	3,4-dihydro-2,2-dimethyl-2H-naphtho[1,2-b]pyran-5,6-dione
Synonyms:	ARQ 501, NSC 26326, NSC 629749, SL 11001
MF:	$C_{15}H_{14}O_3$
FW:	242.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 213, 256, 263, 279 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

β-Lapachone is supplied as a crystalline solid. A stock solution may be made by dissolving the β-lapachone in the solvent of choice, which should be purged with an inert gas. β-Lapachone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of β-lapachone in these solvents is approximately 3.3, 5, and 10 mg/ml, respectively.

β-Lapachone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, β-lapachone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. β-Lapachone has a solubility of approximately 0.50 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

β-Lapachone is a natural quinone with diverse anti-cancer and anti-inflammatory effects. It inhibits topoisomerase I at 25 µM and transglutaminase (IC_{50} = 5 µM).[1,2] In cancer cells which overexpress NAD(P)H:quinone oxidoreductase, β-lapachone is reduced to β-lapachone hydroquinone, which generates reactive oxygen species during its reversion to β-lapachone.[3] This process sensitizes cancer cells to radiation, suppresses NF-κB activation, and drives apoptosis.[3-5]

References

1. Li, C.J., Averboukh, L., and Pardee, A.B. b-Lapachone, a novel DNA topoisomerase I inhibitor with a mode of action different from camptothecin. *J. Biol. Chem.* **268(30)**, 22463-22468 (1993).
2. Lai, T.-S., Liu, Y., Tucker, T., *et al.* Identification of chemical inhibitors to human tissue transglutaminase by screening existing drug libraries. *Chem. Biol.* **15(9)**, 969-978 (2008).
3. Siegel, D., Yan, C., and Ross, D. NAD(P)H:quinone oxidoreductase 1 (NQO1) in the sensitivity and resistance to antitumor quinones. *Biochem. Pharmacol.* **83(8)**, 1033-1040 (2012).
4. Dong, G.-Z., Oh, E.-T., Lee, H., *et al.* b-Lapachone suppresses radiation-induced activation of nuclear factor-kB. *Exp. Mol. Med.* **42(5)**, 327-334 (2010).
5. Li, L.S., Bey, E.A., Dong, Y., *et al.* Modulating endogenous NQO1 levels identifies key regulatory mechanisms of action of b-lapachone for pancreatic cancer therapy. *Clin. Cancer Res.* **17**, 275-285 (2011).

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