For Research Use Only

-Lapachone

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Catalog Number: CM00593

产品信息

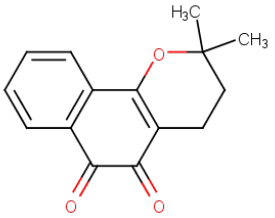
Catalog Number:
CM00593

CAS号:
4707-32-8

分子式:
$C_{15}H_{14}O_3$

分子量:
242.27

溶解度:
Ethanol:12.1 mg/mL (50 mM),DMSO:24.2 mg/mL (100 mM)



主要靶点:
Apoptosis|Autophagy|IDO|Topoisomerase

主要通路:
凋亡|自噬|DNA损伤和修复|代谢

靶点活性

IDO1:0.44 μM

体外活性

Beta-Lapachone inhibits DNA relaxation induced by DNA topoisomerase I in a dose-dependent manner. [1] Treatment of beta-lapachone (100 nM or greater) results in >95% inhibition of Topo I DNA unwinding activity compared to the DMSO control. beta-lapachone (1-5 μM) causes a block in G0/G1 of the cell cycle and induces apoptosis by locking Topo I onto DNA and blocking replication fork movement in HL-60 and three human prostate cancer (DU-145, PC-3, and LNCaP) cells. [2] Beta-Lapachone facilitates the migration of mouse 3T3 fibroblasts and human endothelial EAhy926 cells through different MAPK signaling pathways, and thus accelerates scrape-wound healing in vitro. [3] In addition, beta-Lapachone inhibits purified recombinant IDO1 activity through uncompetitive inhibition with IC50 of 0.44 μM, and beta-lapachone also exhibits superior retention of intracellular IDO1 inhibitory activity with an IC50 of 1.0 μM, partially dependent on biotransformation by NQO1. [4] Beta-lapachone induces programmed necrosis of NQO1+ cancer cells by NQO1-dependent reactive oxygen species (ROS) formation and PARP1 hyperactivation. [5]

体内活性

Beta-lapachone treatment (50 mg/kg) leads to potent inhibition of in vivo tumor growth in a xenograft mouse model of human ovarian cancer, and the combination of beta-lapachone and taxol produces a synergistic induction of apoptosis. [6] In normal and diabetic (db/db) mice, treatment of beta-lapachone results in a faster healing process than vehicle only. [3]

细胞实验

IC50 calculations for each cell line are determined by DNA amount (IS) and anchorage-dependent colony formation (CF) assays. For the CF assay, cells are seeded at 500 viable cells/well in 6-well plates and incubated overnight, then treated with equal volumes of media containing beta-lapachone at final concentrations ranging from 0.005 to 50 μM in half-log increments (controls were treated with 0.25% DMSO, equivalent to the highest dose of beta-lapachonc used) for 4 hour or for continuous 12-hour exposures. Plates (3 wells/condition) are stained with crystal violet, and colonies of >50 normal-appearing cells are enumerated. IC50 values for various cells are calculated using drug doses with numbers of colonies surrounding 50% of control. For DNA assays, plates are harvested for IC50 determinations 8 days after treatment using a CytoFluor 2350 fluorescence measurement system. Six-well samplings are included in the calculation of DNA fluor units for each dose. A graph of beta-lapachone dose versus percentage control DNA in fluor units is used to calculate each IC50. All experiments are repeated at least twice, each in duplicate. (Only for Reference)

描述

β-Lapachone (ARQ-501) is a specific DNA topoisomerase I inhibitor, and no inhibitory activities against DNA topoisomerase II or ligase.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years