

Answer 1:

Bibliographic Information

Anti-tumour effects of HL-37, a novel anthracene derivative, in-vivo and in-vitro. Xie, Song-Qiang; Hu, Guo-Qiang; Zhang, Zhong-Quan; Xu, Mei; Ji, Bian-Sheng. Institute of Pharmacy, Henan University, Kaifeng, Peop. Rep. China. *Journal of Pharmacy and Pharmacology* (2008), 60(2), 213-219. Publisher: Pharmaceutical Press, CODEN: JPPMAB ISSN: 0022-3573. Journal written in English. CAN 148:369672 AN 2008:157840 CAPLUS (Copyright (C) 2008 ACS on SciFinder (R))

Abstract

Many anthracene derivs. possess excellent anti-tumor activity and are extensively used clin. as anti-tumor agents. However, their clin. use is frequently limited by emergence of multidrug resistance (MDR) in tumor cells. Therefore, new agents with the ability to overcome MDR are needed for cancer treatment. HL-37, a novel anthracene deriv., exhibited potent anti-cancer activity in both drug-sensitive (K562) and multidrug-resistant (K562/DOX) leukemia cells. Mechanistically, we found that HL-37 was neither a substrate nor an inhibitor of P-glycoprotein (P-gp) and could overcome apoptotic resistance via up-regulation of p53 protein and down-regulation of Bcl-xL protein. In addn., HL-37 also induced K562/DOX cell apoptosis and a decrease in G0/G1 phase. Moreover, redn. of mitochondrial membrane potential, release of cytochrome c and an increased expression of cleaved protein fragment of caspase-3, caspase-9 and caspase-8 were also obsd. Importantly, HL-37 was found to be better tolerated and more effective at inhibiting tumor growth than bisantrene in a xenograft mouse model.