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Effects of Anticancer Drugs, Metals and Antioxidants on Cytotoxic Activity of Benzothiepins/Benzoxepins

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Among 11 benzothiepins/benzoxepins, 4-chloro-3,4-dihydro-2- (2-oxo-2-phenylethyl) -1-benzothiepin-5 (2*H*) -one (1) showed the highest cytotoxicity against human oral squamous cell carcinoma HSC-2 cells. Popular antioxidants, such as *N*-acetyl-*L*-cysteine and sodium ascorbate significantly reduced the cytotoxic activity of (1). Compound (1) induced internucleosomal DNA fragmentation in human promyelocytic leukemic HL-60 cell line, but produced large DNA fragmentation in human oral tumor cell lines (HSC-2, HSG). Compound (1) and doxorubicin additively reduced the viable cell number of HSG-2 cells. These data, taken together with their tumor specification, demonstrate for the first time, the medicinal efficacy of benzothiepins/benzoxepins.