DNA-BINDING PROPERTIES AND CYTOTOXICITY OF EXTENDED AROMATIC BISAMIDINES IN BREAST CANCER MCF-7 CELLS

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The DNA binding properties of three novel extended aromatic bisamidines (1–3) possessing different dicationic terminal side chains were studied. Data from the ethidium displacement assay showed that bisamidines 1–3 have significant affinity for DNA. We studied the cytotoxic activity of bisamidines 1–3 and Hoechst 33258 in the cultured breast cancer MCF-7 cells. These data show that in broad terms the cytotoxic potency of bisamidines 1–3 in the cultured breast cancer MCF-7 cells decreases with the size of the alkyl group substituent (cyclopropyl > isopropyl > cyclopentyl), in accord with their increases in DNA affinity, as shown by the binding constant values. The bisamidines 1–3 showed comparable antitumor activity to Hoechst 33258.

Key words: bisamidines, DNA binding, breast cancer MCF-7 cells, cytotoxicity

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