New Anticancer Agents: Structure-Activity Relationships

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Polynuclear Pt(II) complexes of biogenic polyamines, as well as phenolic acid derivatives, were tested for their cytotoxic and cell growth inhibition properties, in different human cancer cell lines. Once this antitumour activity is prone to be strongly dependant on the conformational behaviour of the drugs, the present work was meant as an interactive study, the structural characteristics of the compounds investigated being determined through both vibrational spectroscopy and theoretical techniques and their synthesis being adapted according to the results of their cytotoxicity and antiproliferative evaluation [1]. The understanding of these structure-activity relationships is, indeed, of the utmost importance and may hopefully contribute to the wider goal of developing new anticancer drugs, with a higher (and perhaps selective) effect, as well as an optimized therapeutic efficacy (namely in cell lines displaying resistance to the clinically used compounds).

Some of the compounds studied showed to have significant cytotoxic and antiproliferative properties on the cancer cell lines investigated, along with a certain degree of specificity (Fig. 1).

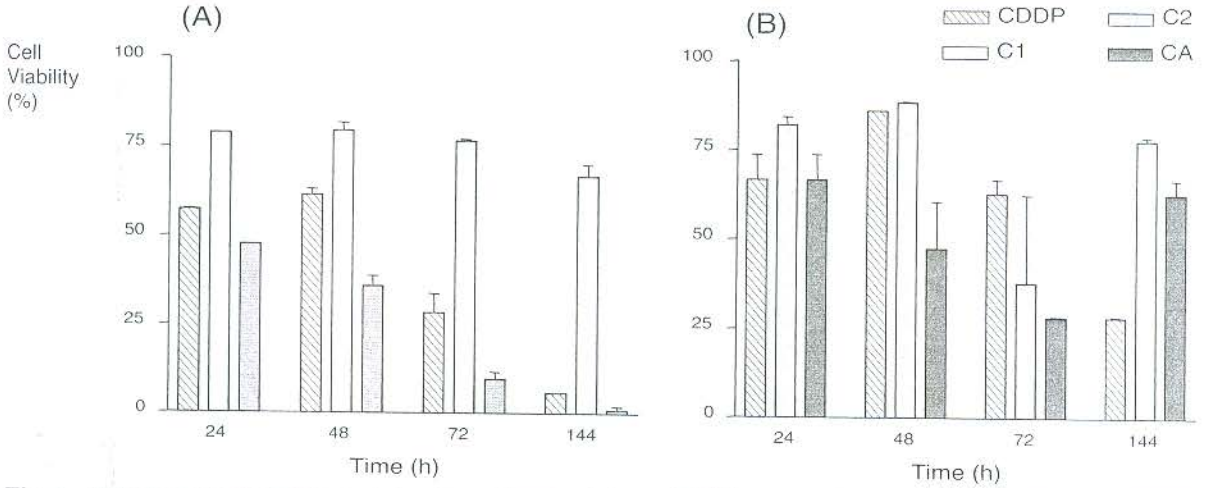

Fig.1. Time-dependent cytotoxic effect of two Pt(II) complexes – C1 $(PtCl_2)_3(spd)_2$ and C2 $(PtCl_2)_2(sp)$) - and caffeic acid (CA) on the leukemia cell lines MOLT-3 (A) and THP-1 (B), for a drug concentration of 100 μM. The drug was removed 72 h after seeding and the cell viability was assessed following a further incubation of 72 h. (spd=spermidine; sp=spermine. Values for cisplatin (CDDP) are included for comparison).

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