In vitro Antitumour Activity, Genotoxicity, and Antiproliferative Effects of Aminophosphonic Acid Diesters and their Synthetic Precursors

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The Schiff bases *N*-furfurylidene-*p*-toluidine and *N*-(4-dimethylaminobenzilidene)-*p*-toluidine, and the recently synthesized aminophosphonic acid diesters *p*-[*N*-methyl-(diethoxyphosphonyl)-(2-furyl)]toluidine and *p*-[*N*-methyl(diethoxyphosphonyl)-(4-dimethylaminophenyl)]toluidine were tested for *in vitro* antitumour activity on six human epithelial cancer cell lines. The genotoxicity and antiproliferative activity of these compounds were tested in mice. The aminophosphonates showed high *in vitro* antitumour activity towards the breast cancer-derived cell lines (MCF-7 and MDA-MB-231), the cervical carcinoma cell line (HeLa), and the human colon adenocarcinoma cell line (HT-29). In addition, the Schiff base *N*-furfurylidene-*p*-toluidine significantly inhibited the growth of bladder carcinoma cells (647-V) and the hepatocellular carcinoma line HepG2, and U-shaped dose-response curves were observed after treatment of 647-V and MCF-7 cells. All studied compounds had a moderate genotoxic and antiproliferative activity *in vivo*.

Key words: Aminophosphonates, Cancer Cell Lines, Genotoxicity