

[PD1-53] [10/17/2002 (Thr) 09:30 – 12:30 / Hall C]

Cytotoxic activity of 1-phenyl-2-substituted thiourea derivatives

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The 20 thiourea derivatives had been investigated for their cytotoxic activity using MTT assay. Cytotoxic activity was strongly influenced by the substituted alkyl chain length, but not by configuration of C1 and C2. The optimal alkyl chain length for cytotoxicity was C12. The 9 thiourea derivatives showed stronger activity than reference compound, B13. Some of them gave 2–3 times stronger activity than B13.

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In vitro Antitumor Activity and Nephrotoxicity of Pt(II) Complexes Containing Diaminocyclohexane

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Platinum(II) coordination complex(cisplatin) has been currently used as one of the most effective compounds in the treatment of various solid tumors. However, its use has been limited by severe side effects such as renal toxicity. Our platinum-based drug discovery program has been aimed at developing drugs capable of diminishing toxicity and improving selective cytotoxicity. We recently synthesized new platinum(II) complex analogs(PC) containing trans-1 & cis-diaminocyclohexane(DACH) as carrier ligand and DL-2-hydroxy-3-methylbutyrate(HMB) as a leaving group. A new series of Pt(II)(trans-1/cis-DACH)(HMB):PCs were evaluated its cytotoxic activity on cancer cells and normal kidney tissues. The new platinum complexes demonstrated high efficacy in the cytotoxicity on the various human cancer cell-lines. The cytotoxicities of PCs were found quite less than those of cisplatin in normal kidney using MTT assay and [³H]-thymidine uptake tests. Based on the result, Pt(II)(trans-1/cis-DACH)(HMB) were considered as a better valuable lead compounds for improving antitumor activity with low nephrotoxicities in the development of the new clinically available anticancer chemotherapeutic agents.

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Selective Cytotoxicity of Novel Platinum(II) Complexes on Gastric Cancer Cell-Lines and Normal Kidney Cells

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We recently synthesized new platinum(II) complex analogs containing trans-1 and cis-1,2-diaminocyclohexane (DACH) as carrier ligands and L-3-phenyllactic acid(PLA) as a leaving group. Our platinum-based drug discovery program has been aimed at developing drugs capable of diminishing toxicity and improving selective cytotoxicity. These platinum(II) complexes [Pt(II)(trans-1-DACH)(PLA):PC-1]/[Pt(II)(cis-DACH)(PLA):PC-2] are synthesized and characterized by its high performance liquid chromatography, elemental analysis and various spectroscopic techniques(IR, NMR). PC-1 and PC-2 showed acceptable and significant *in vitro* antitumor activity against MKN-45/P, MKN-45/ADM, and MKN-45/CDDP human ovarian cancer cells as compared with that of cisplatin. The cytotoxicity of PC-1 and PC-2 against primary cultured proximal tubular cells of rabbit kidney determined using the MTT assaying techniques and thymidine uptake tests were found to be quite less than those of cisplatin. Based on the these results, these novel platinum complexes appear to be a valuable lead compound with high efficacy and low nephrotoxicity.

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