

116 colon cell line. 2) U0126, MEK inhibitor, decreased ERK and p53 response to K101, 3) ERK was up-stream regulator of the p53 sensitivity to K101. 4) in both U0126 and K101 treated cancer cells, cell death rate increased relative to U0126 untreated cells. These results suggest that novel Pt(IV) complex-induced apoptosis in colon cell line is mediated by Fas signalling system as well as ERK / p53 pathway.

[PD1-43] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

In vitro antitumor activity and nephrotoxicity of a new platinum(II) complex on cancer cell-lines and normal kidney cells

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Our platinum-based drug discovery program has been aimed at developing drugs capable of diminishing toxicity and improving selective cytotoxicity. We recently synthesize new platinum(II) complex analog containing trans-1,2-diaminocyclohexane(DACH) as a carrier ligand and glycolic acid(GA) as a leaving group. This platinum(II) coordination complex {Pt(II)(trans-1-DACH)(GA)} are synthesized and characterized by its high performance liquid chromatography, elemental analysis and various spectroscopic techniques (IR/NMR). PC shows acceptable and significant in vitro antitumor activity against cancer cell lines as compared with that of cisplatin. The cytotoxicity of this platinum(II) coordination complex against primary cultured proximal tubular cells of rabbit kidney and human renal cortical tissues was determined by MTT assay and the [3H]-thymidine uptake tests, and found to be quite less than those of cisplatin.

[PD1-44] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Preparation of 1,4-Oxaselenins from AgNO₃/LDA-Assisted Reaction of 3-Selena-4-pentyn-1-one as Potential Antitumor Agents

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1,4-Oxaselenins were synthesized from 3-selena-4-pentyn-1-ones by the use of AgNO₃ and LDA. Obtained 2-(4-chlorophenyl)-6-phenyl-1,4-oxaselenin indicated an inhibitory effect against the proliferation of human cancer cells revealing a typical apoptosis characteristics.

[PD1-45] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Successful Virtual Screening and Rational Design of New Drug Leads

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Virtual screening of chemical databases is a fast emerging technique and an effective alternative to