[PC1-5] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Antitumor activity of carboxyethylgermanium sesquioxide on cancer cell lines in vitro

Hue JeongSim°, kim AnKeun

college of pharmacy, Sookmyung Women's University.

The purpose of this study was to investigate the antitumor effect of Ge-132, on organo germanium with several cancer cell lines (SK-Mel-2,B16-F10,SK-N-MC,Hep-G2 and Human normal skin fibroblast CCD-986sk) in vitro. It was examined by MTT assay. Also, combination of Ge-132 with antioxidants were studied by MTT assay. As a result, Ge-132 produced a dose-related (0.85mg/ml, 0.41mg/ml, 0.2mg/ml, 0.1mg/ml) reduction of viability on each cancer cell lines.Ge-132,significantly inhibited proliferation under the conc.of 0.85mg/ml but it was not toxic on human normal fibroblast cell, In addition, we were used an antioxidant as Vit.E ,Vit C, Glutathione, L-Cysteine. L-Cysteine with Ge-132 complex was significantly inhibited cell viability.

[PC1-6] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Docking of thiosemicarbazone derivatives into dihydrofolate reductase using FlexiDock

Ahn Mihyun °, Kim Choonmi

College of Pharmacy, Ewha Womans University, Seoul, Korea

Dihydrofolate reductase(DHFR) is a successful drug target for anticancer and antibacterial treatments bacause of its important role in the synthesis of DNA. N4-(2-Acetoxyethoxymethyl)-2-acetylpyridine thiosemicarbazone(AATSC) has been reported to have inhibitory activity against bovine DHFR. In this experiment, three thiosemicarbazone derivatives including AATSC were docked into DHFR of three different species using FlexiDock. Human, Escherichia coli, Candida albicans DHFR were used as target proteins. The ligands were docked into DHFR alone, DHFR-NADPH binary complex, and DHFR-inhibitor binary complex to find out the exact location where the ligands bind to the enzyme. As the results, all three derivatives were docked sucessfully into DHFRs with different binding spaces implying that the ligands can either bind to the coenzyme site or substrate site. The results also show that each ligand has different binding modes to the enzymes of the same species and the same ligand demonstrates specific binding modes to the enzymes of different species.

[PC1-7] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Docking of 1,1-dioxo-6-(substituted) methylene penicillanic acid into Enterobacter cloacae β-lactamase with QXP.

Choi InHee°, Park HeaYoung, Kim ChoonMi

College of Pharmacy, Ewha Womans University, Seoul, Korea

Bacterial β-lactamases provide resistance to β-lactams by hydrolyzing the β-lactam bond. Many β-lactamase inhibitors have been clinically used usually in combination with β-lactams. Developing therapeutically effective β-lactamase inhibitors has been an importance to the antibiotic therapy. Recently, a series of 1,1-dioxo-6-(substituted) methylene penicillanic acid have been synthesized as

potential β-lactamase inhibitors. Among them 1,1-Dioxo-6-bromo-6-[(2-bromo, 3-phenyl) allylidene] penicillanic acid effectively inhibited Enterobacter cloacae β-lactamase(IC50 = 0.007mM/ml).
In this study, three inhibitors from this series were docked into Enterobacter cloacae β-lactamase with computer docking program, QXP. The docking results demonstrated that a new inhibitor with high biological activity proven experimentally docked well into the active site of the enzyme but the inhibitors with no activities were not docked. It provided potential binding modes for the new inhibitor to the target enzyme. The docking results of E and Z isomers of 1,1-Dioxo-6-bromo-6-[(2-bromo, 3-phenyl) allylidene] penicillanic acid showed that more prevalent Z isomer docked well into the active site, while the E isomer did not. This result suggests that the biologically active stereoisomers may be selected by the docking study.

[PC1-8] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Properties of Polyphenoloxidase and Antioxidant Enzyme in the Leaves of Erechitites hieracifolia

Kim An-Keun, Lee Sang-Eun°*, Kim Kuk-Hwan**, Kwon Young-Ee*

Collage of Pharmacy, Sookmyung Women's University, New Drug R&D Institute, STC Life Science Center*, Collage of Pharmacy, Dongduk Women's University**

Polyphenoloxidase activity in the leaves of Erechitites hieracifolia was estimated by Warburg's manometric method. The enzyme was most reactive toward chlorogenic acid followed by caffeic acid. Diethydithiocarbamate and potassium cyanide were shown powerful inhibition rate to the polyphenoloxidase from the leaves of Erechitites hieracifolia. Electrophoretic isoenzyme banding pattern of SOD, POD and CAT were observed by native PAGE. We confirmed antioxidant activity of its methanol extract by DPPH radical scavenging method.

[PC1-9] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Inhibitory effect of some natural product on tyrosinase activity in vitro

Kim An-Keun and Cha Eun-Jung*
College of Pharmarcy, Sookmyung Women's University, Seoul, Korea

To indentify inhibitors of melanogenesis, we compared the effect of 4 natural products on mushroom tyrosinase, human melanocytic tyrosinase activity and melanin content. The cytotoxicity of the component were also tested on cultured mouse melanoma cells.
Each extract significantly inhibited tyrosinase activity in vitro and B 16 melanoma cell lines. In B 16 cell lines, watermelon's inner shell extract inhibited tyrosinase activity as strong as kojic acid at 0.105g/ml concentration. Each extract were strong inhibitors of tyrosinase activity in B 16 mouse melanoma cell lines at less than 0.1g/ml concentration.
These result show that extract of watermelon's inner shell,lettuce,morning glory's seed,ginko could be developed as skin whitening component of cosmetics.

[PC1-10] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Inhibitory Effects of Isoquinoline Alkaloids on Proinflammatory Cytokines.

Hwang Dong Hyuck°, Min Kyung Rak, Lee Myung Koo, Kim Youngsoo

College of Pharmacy, Chungbuk National University