[PD1-26] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Synthesis of New 3-Arylisoquinolinamines: Effect on Topoisomerase I Inhibition and Cytotoxicity

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Eukaryotic DNA topoisomerase I (top I) is an essential enzyme that act to relax supercoiled DNA during the transcription, replication and mitosis. Intracellular levels of top I are elevated in a number of human solid tumors, relative to the respective normal tissues, suggesting that controlling the topI level is important to treat cancer. Top I poisons show their antitumor activities by stabilizing the cleavable ternary complex consisting of top I enzyme, DNA, and drug. Thus, top I is a promising target for the development of new cancer chemotherapeutics against a number of solid tumors. Camptothecin is a representative top I inhibitor and its derivatives, topotecan and irinotecan, have been launched as clinically used drugs.To investigate the structure-activity relationships of 3-arylisoquinolines, diverse substituted 3-aryisoquinolinamines were synthesized and tested in vitro antitumor activity against four tumor cell lines. Some of the compounds showed potent topoisomerase I inhibitory activity. Docking study of 7d with topoisomerase I-DNA complex was also performed.

[PD1-27] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Docking Study of the Cystein Protease Cathepsin K Inhibitors : A Target for the Treatment of Osteoporosis

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Cathepsin K, a cysteine protease of the papain superfamily, is predominantly expressed in osteoclasts and has been postulated as a target for the treatment of osteoporosis. Crystallographic and structure-activity studies on a series of azepanone-based diamino and acyclic ketone derivative inhibitors of cathepsin K have led to the design and identification. X-ray structure of the cysteine protease cathepsin K (1NL6) co-crystalized with an inhibitor with 2.8Å resolution was used to predict the protein-ligand interactions and to estimate the binding affinity from the docking score by FlexX module. FlexX molecular modeling studies have attempted to identify enzyme inhibitor interactions. We also performed virtual screening with Leadquest chemical library database to identify novel inhibitors of Cathepsin K.

[PD1-28] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Studies on the stability examination of biological products - BCG vaccine

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This study was carried out to examine stability of biological products(BCG vaccine), freeze-dried BCG vaccine for percutaneous use and for interdermal use.1. pH tests of freeze-dried BCG vaccine for percutaneous use and for interdermal use vaccine was suited to basic range with variable temperature. freeze-dried BCG vaccine for percutaneous use was resulted with 6.2-6.7 and for interdermal use was resulted with 6.2-6.7.2. Bacterial concentration test of freeze-dried BCG vaccine for percutaneous use and for interdermal use vaccine was suited to basic range with variable temperature. freeze-dried BCG vaccine for percutaneous use was resulted with 0.17-0.19 and for interdermal use was resulted with 0.09-0.15.3. Heat stability test of freeze-dried BCG vaccine for percutaneous use and for interdermal use vaccine was suited to basic range with variable temperature. freeze-dried BCG vaccine for percutaneous use was resulted with 44.5% and for interdermal use was resulted with 92.6%.4. Viability test of freeze-dried BCG vaccine for percutaneous use and for interdermal use vaccine was suited to basic range with refrigeration. freeze-dried BCG vaccine for percutaneous use was resulted with 3.2-4.2 (X106/ml BCG)and for interdermal use was resulted with 1.0-3.6(CFUX106/mg BCG).