[PD1-3] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis of novel compounds for the inhibition of TNF-α production

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Tumor necrosis factor-α (TNF-α) is an important cytokine produced by activated monocytes/macrophages. If TNF-α is produced in excess and not regulated properly, many diseases like Crohn's disease, toxic shock syndrome, cachexia or rheumatoid arthritis occur. There is a widely accepted belief that inhibition or modification of TNF-α overproduction in different inflammatory diseases would be of benefit in the treatment of some of these conditions. Therefore, inhibitor on TNF-α production is now being studied extensively for therapeutics against the above diseases. This study describes the synthesis, in vitro evaluation and molecular modeling study of novel compounds for the inhibition of TNF-α production. Among these compounds, 2-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-isoindolinone was selected as a lead compound and 3-methyl and 3-azido-2-(3-Cyclopentyloxy-4-methoxy-phenyl)-2,3-dihydro-isoindol-1-one derivatives had comparable inhibitory activity of TNF-α production.

[PD1-4] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Efficient Synthetic Methods for Macrocyclization of polypeptide

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We can see that there are many spotlights on peptide drugs by examining the recent trend in drug development. For example, drugs like cyclosporin shows striking activity as an autoimmune suppressor. Especially, cyclic peptides stands out among all other peptides as a good drug. That is why we are trying to develop more effective cyclization process.
There are three ways to cyclize certain sequences of amino acids such as Gly-Met-Ile-Phe-Gly. First is head-to-tail cyclization method, linking between N-terminal and C-terminal. Second method utilizes amino acid side chain such as thiol functional group in Cys, making a thioether bond. The last one includes an application of resin-substituted amino acids in solid phase reaction. Among the three methods, solid phase reaction showed the greatest yield.

[PD1-5] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Regioselective Synthesis of Allyl Carbamates from Allyl Ethers

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Allylamines are fundamental building blocks in organic chemistry and their synthesis is an important industrial and synthetic goal. The allylamine fragment can be encountered in natural product. Thus allylamines have been used as starting materials for the synthesis of numerous compounds such as