rearrangement, Grubbs' ring closing metathesis, and Trost's allylic alkylation. The reiterative three-step sequence (i.e. sigmatropic rearrangement, ring closing methathesis, and allylic alkylation) can also provide acess to further synthesis of structually complicated novel carbocyclic nucleosides.

[PD1-20] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Synthesis of Novel 1,5-diarylhydantoins as Selective COX-2 Inhibitors

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The most common side-effects of NSAIDs are generally gastro-intestinal disturbances such as discomfort, nausea, peptic ulcer and severe bleeding. It has been proposed that NSAIDs act through inhibition of cyclooxygenase-1(COX-1) and cyclooxygenase-2(COX-2) and that inhibition of COX-1 is associated with adverse gastro-intestinal effects while inhibition of COX-2 is associated with anti-inflammatory activity. On the basis of this fact, specific COX-2 inhibitors such as celecoxib and rofecoxib are introduced in the drug market. The distinguished feature of these drugs is that the 5-membered heterocycle ring is substituted with two aryl groups. This study reports on synthesis of novel 1,5-diarylhydantoin derivatives, candidates for selective COX-2 inhibitors. These compounds were synthesized through esterification, bromination, α-substitution and cyclization from commercially available phenylacetic aicd.

[PD1-21] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Novel Dimeric Cinchona Alkaloid Ammonium Salts with 2,7-Naphthalene Ligand: Highly Enantioselective and Practical Phase-Transfer Catalysts for the Synthesis of alpha-Amino acids

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Phase-transfer catalysis (PTC) is one of the most useful methodologies for the practical syntheses because of the operational simplicity and mild reaction conditions, which enable this method to be applied to the industrial process. Recently, PTC has been extensively applied for the asymmetric synthesis by using chiral quaternary ammonium salts. Chiral phase-tranfer catalysts derived from the cinchona alkaloids have been developed and successfully applied to various useful organic reactions. Based on the fact that the introduction of a bulky subunit at the N(1)-position of cinchona alkaloids leads to enhance the enantioselectivity, we recently reported the efficient dimeric and trimeric catalyst by using benzene as a ligand. The enhancement of stereoselectivity is due to the screening effect of each two Cinchona unit, which can make the substrate approach to only one direction. As part of our program to develop practical catalyst which can be used in industrial process, we further investigate the more optimal dimeric catalyst by modifying ligand instead of benzene ligand. In this poster, we report the preparation of new symmetrical dimeric cinchona alkaloid-derived catalysts having naphthalene moiety as a new optimal ligand and their application to the catalytic enantioselective phase-transfer alkylation of N-(diphenylmethylene)glycine tert-butyl ester.

[PD1-22] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

The Cyclobutyl Intermediate for Synthesis of Novel Carbocyclic Nucleosides , Potential Antiviral Agents

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