synthetic method for 1,2-amino alcohol, through the reaction of di- and tribenzyl ethers with CSI, and invetigated its mechanism.
The regioselectivity of dibenzyl ethers with CSI depends on the stability of carbocation intermediate. The diastereoselectivity of dibenzyl ethers with CSI is as follows: The treatment of anti-1,2-dibenzyl ether in toluene afforded the anti-N-benzylcarbamate with the highest diastereoselectivity (46:1, 98%ds). The anti-selectivity can be explained by the modified Felkin-Ahn model. On the other hand, the treatment of syn-1,2-dibenzyl ether with CSI in hexane afforded the syn-N-benzylcarbamate with high diastereoselectivity (25:1, 96%ds). This syn-selectivity can be explained by the neighboring group effect.
This new synthetic strategy involving our regioselective and diastereoselective CSI reaction can be widely applicable to the total synthesis of other alkaloidal sugar mimics(Azasugars) with a nitrogen in the ring.

[PD1-21] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Synthesis of Novel 1-(4-Halophenyl)-5-arylhydantoins as Selective COX-2 Inhibitors

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Nonsteriodal antiinflammatory drugs(NSAIDs) are widely used to treat pain, fever, and inflammatory conditions including osteoarthritis. However, gastrointestinal (GI) and renal toxicity were related to common NSAIDs limits their usefulness because NSAIDs inhibit not only COX-2 associated with anti-inflammatory activity, but also COX-1 accompanied with side effects in the stomach and kidney. 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. Therefore, in this study, we designed a new hydantoin derivatives via the reaction of methyl α-(p-methoxyanilino)-(p-halo) phenylacetates as selective COX-2 inhibitor candidates. These compounds were prepared through esterification, bromination, α-substitution and cyclization from commercially available (p-halo)phenylacetic acid. Especially, N-aralkylgroups could be introduced in 3-position of hydantoin ring by one-pot reaction of methyl α-(p-methoxyanilino)-(p-halo)phenylacetates with aralkyl isocynate.

[PD1-22] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

A Model Study toward the Synthesis of Xestoquinone and Halenaquinone

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A strategy for synthesis of the furan-fused tetracyclic core of
xestoquinone and halenaquinone was explored through a model study.
Methyl 8-oxo-4-methyl-4-phenyl-2,7-nonadiynoate was prepared from hydratroponitrile and 3-butyn-1-ol as starting materials.
The intramolecular cycloaddition of this intermediate as a key step will be involved.