

hydroxyl moiety but also the stability of carbocation intermediate affect the diastereoselectivity and established that our CSI reaction is a competitive reaction of  $S_N1$  and  $S_N2$  reaction according to the stability of carbocation intermediate. Namely, the less stable the carbocation intermediate, the greater is the proportion of  $S_N2$  reaction (retention of configuration). And, the more stable the carbocation intermediate, the proportion of the  $S_N1$  reaction (racemisation) increases.

[PD1-28] [ 04/18/2003 (Fri) 13:30 – 16:30 / Hall P ]

**A new synthesis route to nucleoside: Two-directional synthesis of carbocyclic nucleoside using double [3,3]-sigmatropic rearrangement and double RCM**

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Extensive efforts in the search of therapeutically useful carbocyclic nucleosides have resulted in a wealth of their synthetic methodologies in racemic and optically active forms. The classical one-directional methods such as linear synthesis and convergent synthesis are the approaches most frequently seen in the literature for the preparation of carbocyclic nucleosides, and their advantages and limitations are well known. The other strategy, two-directional synthesis by simultaneously homologation, has received considerable attention over the last few years. When applied to appropriate target molecules, namely those with a significant element of symmetry, this strategy offers a highly efficient synthetic route to stereochemically pure products in relatively few steps, compared with the one-directional strategy. Although several efficient synthetic procedures for nucleosides have been developed on the basis of one-directional strategy, no attempt has been made for the preparation of nucleosides using more efficient two-directional strategy thus far. In this conference, we would like to disclose the pioneering synthetic example of carbocyclic nucleoside with use of the two-directional synthetic strategy by simultaneous homologation starting from C2-symmetric chiral template.

[PD1-29] [ 04/18/2003 (Fri) 13:30 – 16:30 / Hall P ]

**The Structure-Activity Relationship of Mansonone F, a Potent Anti-MRSA Sesquiterpenoid Quinone: Insights into Minimum Structural Requirements and SAR of C3 position**

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The resistances to multiple antibiotics of strains of Gram-positive Staphylococci, methicillin-resistant Staphylococcus aureus (MRSA), are now significant clinical problem. One of the major efforts of our laboratory has been the search and design and synthesis of novel lead compound for the purpose of obtaining highly potent anti-MRSA drug. Towards this end, we have recently reported the isolation of a potent anti-MRSA sesquiterpenoid ortho-quinone, mansonone F, from the Korean medicinal plant which has traditionally been used to treat infectious diseases. It has been shown to have antibacterial activities against Gram-positive bacteria and, in particular, MRSA (with an MIC<sub>90</sub> of 2 mg/ml in vitro), comparable to vancomycin. For the identification of pharmacophore and our systemic investigation into the relationship between the structures of quinoid compounds based on the natural product mansonone F and