[*Heterocycles*, **53**, 1479-1483 (2000)] [Lab. of Pharm. Synthetic Chemistry]

β-Lactam Synthesis by Diastereoselective Condensation of Chiral 3-(*p*-Tolylsulfinyl)-2-Furaldimine and Ester Enolates.

Yoshitsugu ARAI,* Shinya YONEDA, Tsutomu MASUDA and Yukio MASAKI

Highly diastereoselective condensation of chiral sulfinyl-substituted furaldimine with lithium ester enolates has been achieved, affording (3*R*)-*syn*-β-lactams and/or (3*R*)-*syn*-β-amino esters, as the major adducts.

[*Org. Lett.*, **2**, 2455-2457 (2000)] [Lab. of Pharm. Synthetic Chemistry]

Photooxidation of Arylmethyl Bromides with Mesoporous Silica FSM-16.

Akichika ITOH,* Tomohiro KODAMA, Shinji INAGAKI and Yukio MASAKI

A mesoporous silica FSM-16 was found to be a recyclable oxidizing promoter of arylmethyl bromides to provide the corresponding carboxylic acids, aldehydes, or ketones under photo-irradiation conditions.

[*Chem. Lett.*, 1180-1181 (2000)] [Lab. of Pharm. Synthetic Chemistry]

Facile Synthesis of Optically Acitive Tertiary Alcohol Building Blocks by Stereospecific C-H Insertion Reaction of Dichlorocarbene with Secondary Alcohol Derivatives.

Yukio MASAKI,* Hideki ARASAKI and Motoo SHIRO

Stereospecific C-H insertion of dichlorocarbene generated from a system $CHCl_3$/50%NaOH/cetyltrimethylammonium chloride (as a PTC) proceeded at the carbinol carbon in the reaction of chiral secondary alcohol derivatives to provide α-dichloromethylated tertiary alcohol derivatives with complete retention of configuration.

[*Chem. Pharm. Bull.*, **48**, 1882-1885 (2000)] [Lab. of Pharm.Physical Chemistry]

Mechanochemical Solid-State Polymerization (X): The Influence of Copolymer Structure in Copolymeric Prodrugs on the Nature of Drug Release.

Shin-ichi KONDO, Isao HATAKEYAMA, Shouichi HOSAKA and Masayuki KUZUYA*

From the standpoint of the mechanism of mechanochemical polymerization, two kinds of copolymeric prodrug, whose monomer sequence distribution (MSD) is different from each other, can be prepared by this polymerization under appropriate operational conditions: one is random copolymer abundant in the longer block consisting of the same repeating units (multi-block copolymer), and the other is a block copolymer. The difference of MSD of these copolymer was confirmed by ^{13}C-NMR spectra. We prepared three kinds of copolymeric prodrug consisting of Acrylamide and vinyl monomer of 5-fluorouracil, whose MSD is different from one another. The rate of drug release was the highest with the random copolymer prepared by radical-initiated solution polymerization, followed by the mechanochemically produced multi-block copolymer and the block copolymer. This result suggests that the rate of drug release depends on MSD of copolymeric prodrugs. These results are useful as they give a fundamental insight into the synthesis of copolymeric prodrugs having the desired rate of drug release.