〔Chem. Pharm. Bull., **40**, 920-924 (1992)〕 [Lab. of Medicinal Chemistry]

Synthesis and Anti-human Immunodeficiency Virus Type 1 (HIV-1) Activity of 3-Substituted Derivatives of 3'-Azido-3'-deoxythymidine (AZT), and Inhibition of HIV-1 Reverse Transcriptase by Their 5'-Phosphates.

Yukio Kitade, Akiyuki Susuki, Kosaku Hirota*, Yoshifumi Maki, Hideo Nakane, Katsuhiko Ono, Masanori Baba, Shiro Shigeta

Various 3-substituted 3'-azido-3'-deoxythymidine analogs were prepared by the reaction of 3'-azido-3'-deoxythymidine (AZT) with *N*,*N*-dimethylformamide dialkylacetals or alkyl bromides in the presence of base and their activities against human-immunodeficiency virus type-1 (HIV-1) were evaluated. The corresponding 5'-triphosphate analogs were also synthesized in order to examine inhibition of HIV-1 reverse transcriptase activity. Among the compounds obtained, 3-allyl-AZT was the most active against HIV-1 replication in the MT-4 cells *in vitro* with an EC_{50} value of 0.9 μM.

〔Chem. Pharm. Bull., **40**, 2839-2841 (1992)〕 [Lab. of Medicinal Chemistry]

The Dimroth Rearrangement of 6-Aminouracil Derivatives.

Kosaku Hirota*, Pei-Zhou Ni, Akiyuki Susuki, Hideki Takasu, Yukio Kitade, Yoshifumi Maki

The reaction of 6-amino-5-formyl (or acetyl) uracils possessing a phenyl group at the 1-position with caustic alkali resulted in Dimroth rearrangement to give 6-anilino-5-formyl (or acetyl) uracils. This is the first example of Dimroth rearrangement observed in the uracil ring system. The presence of both the N_1-phenyl group and the 5-formyl (or acetyl) group on the uracil ring is requisite for the occurrence of the rearrangement.

〔Nucleosides & Nucleotides, **11**, 365-372 (1992)〕 [Lab. of Medicinal Chemistry]

Oxidative Cyclization of 2',3'-*O*-Isopropylideneadenosines into 5'-*O*,8-Cycloadenosines with Lead Tetraacetate : Remarkable Effect of N^6-Substituents of the Oxidation.

Yukio Kitade, Tohru Makio, Kosaku Hirota, Yoshifumi Maki*

Oxidation of 2',3'-*O*-isopropylideneadenosines with lead tetraacetate in dry benzene resulted in the formation of the corresponding 5'-*O*,8-cyclo-2',3'-*O*-isopropylideneadenosines, which has a new methodological implication for the chemical modification of adenosines. The occurrence of the oxidative cyclization was remarkably affected by the nature of N^6-substituents : N^6-benzoyl substitution prominently accelerated the oxidative cyclization in comparison with none and dimethyl substitutions. In the oxidation of N^6,N^6-dimethyladenosine, an intriguing oxidative demethylation was observed.