〔Nucleosides & Nucleotides, **11**, 1731-1738 (1992)〕 [Lab. of Medicinal Chemistry]

Synthesis of Thymidine Derivatives 3'-Modified with a Polar Three-atom Group as Potential Anti-HIV-1 Agents.

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3'-Modified thymidine analogs, such as 3'-*O*-[(methylthio)thiocarbonyl]thymidine, 3'-*O*-thiocarbamoylthymidine, and *N*-(3'-deoxythymidin-3'-yl) phosphoramidates, were synthesized from thymidine and 3'-azido-3'-deoxythymidine (AZT) derivatives as potential anti-human immunodeficiency virus type 1 agents. No significant activity against HIV-1 was, however, observed with any of these compounds.

〔J. Org. Chem., **57**, 5268-5270 (1992)〕 [Lab. of Medicinal Chemistry]

Convenient Method for the Synthesis of *C*-Alkylated Purine Nucleosides: Palladium-Catalyzed Cross-Coupling Reaction of Halogenopurine Nucleosides with Trialkylaluminums.

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We have found that trialkylaluminums smoothly coupled with halogenopurine nucleosides. Thus, cross-coupling of 8-bromoadenosine protected with trimethylsilyl groups with trialkylaluminums in the presence of palladium catalyst, followed by deprotection, afforded the corresponding 8-alkyladenosines. This convenient method was applied to the synthesis of several *C*-alkylated purine nucleosides.

〔J. Chem. Soc., Chem. Commun., **1992**, 15-16〕 [Lab. of harm. Synthetic Chemistry]

Ipso Selectivity in the Reductive Iodonio-Claisen Rearrangement of Allenyl (p-methoxyaryl) iodinanes.

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Allenyl (aryl) iodinanes, generated from p-methoxy (diacetoxyiodo) arenes by the reaction with propyn-2-yl (trimethyl) silanes in the presence of BF_3-Et_2O in dichloromethane, undergo reductive ipso iodonio-Claisen rearrangement selectively at −20 ℃ yielding ipso-substituted propynylarenes.