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[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.

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[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.

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[Lab. of harm. Synthetic Chemistry]

Ipsso Selectivity in the Reductive Iodonio-Claisen Rearrangement of Allenyl (*p*-methoxyaryl) iodinanenes.

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Allenyl (aryl) iodinanenes, generated from *p*-methoxy (diacetoxyiodo) arenes by the reaction with propyn-2-yl (trimethyl) silanes in the presence of BF₃-Et₂O in dichloromethane, undergo reductive ipso iodonio-Claisen rearrangement selectively at -20 °C yielding ipso-substituted propynylarenes.