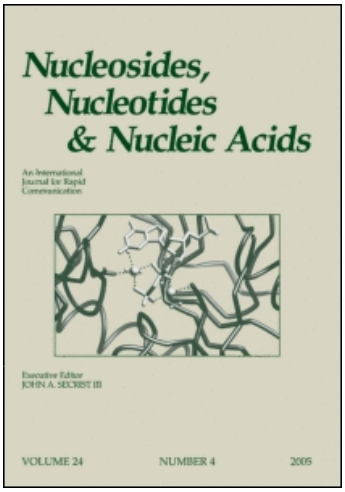

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A Convenient Synthesis of 9-(5'-DEOXY-β-D-Allofuranosyl)-Adenine (5'-Homoadenosine)

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A CONVENIENT SYNTHESIS OF 9-(5'-DEOXY-β-*D*-ALLOFURANOSYL)-ADENINE (5'-HOMOADENOSINE)

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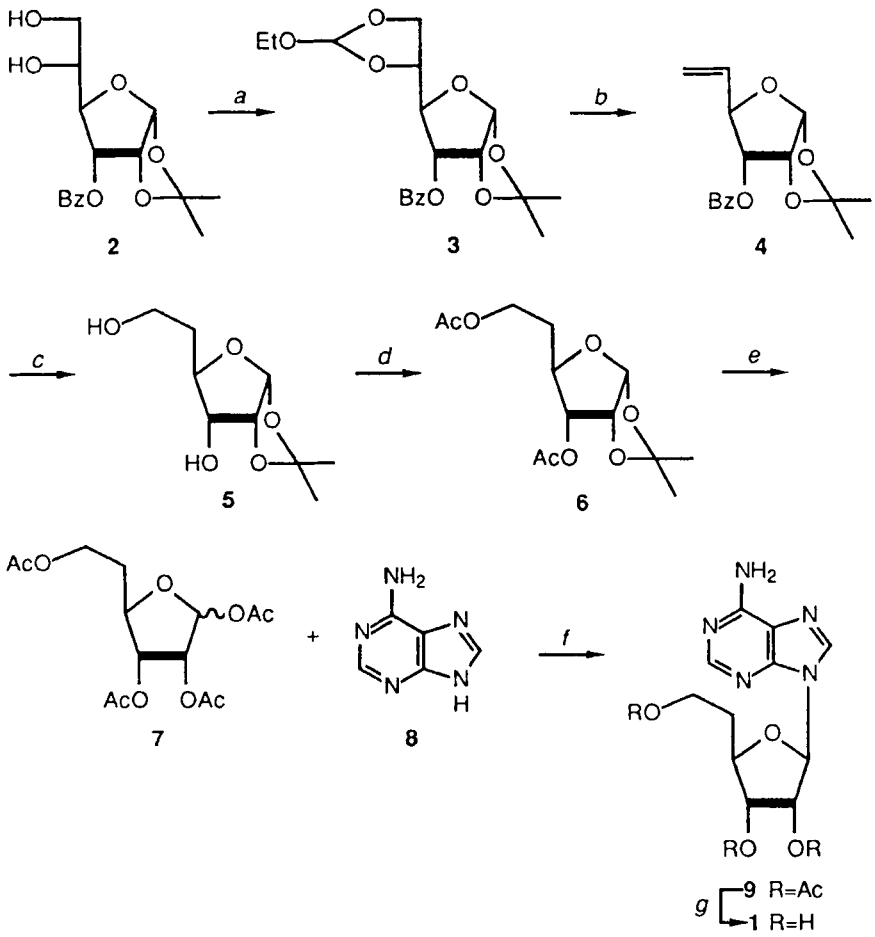
Abstract: The synthesis of 5'-homoadenosine, a chain extended analogue of adenosine, has been developed by coupling the appropriately protected deoxyallofuranose derivative with adenine.

Little work has appeared in the literature on the biological effects of extending the 5'-hydroxyl group of nucleosides by a methylene group (that is, 5'-homonucleosides.)[1, 2] Efforts are underway in this laboratory to uncover convenient synthetic routes to 5'-homonucleosides for biological purposes. In this direction, 9-(5'-deoxy-β-D-allofuranosyl)-adenine (5'-homoadenosine, **1**) has been synthesized by coupling the appropriately protected allofuranose derivative with adenine.

The synthesis of the requisite carbohydrate unit began with 3-O-benzoyl-1,2-O-isopropylidene-α-D-allofuranoside[3] **2**, whose 5,6-diol was protected as the orthoester **3**[4] (colorless oil; 97%). Treatment of **3** with triphenylacetic acid led to the alkene **4** (colorless needles; mp 82-84°C; 75 %), which upon hydroboration resulted in the homosugar **5** (colorless oil; 81 %). Acetylation of **5** with acetic anhydride in pyridine gave the diacetate **6** (colorless oil; 75 %). Compound **5** was first converted into the fully protected deoxyallofuranose **7** (colorless oil; 78 %) following reaction with sulfuric acid, and then acetic acid and acetic anhydride. The coupling of **7** with adenine (**8**) using stannic chloride in dry acetonitrile[5] gave the triacetate of 5'-homoadenosine (**9**, colorless oil; 70 %). Deprotection of **9** with methanolic ammonia gave the desired 9-(5'-deoxy-β-D-allofuranosyl)-adenine (5'-homoadenosine, **1**) (60 % , mp 297-298 °C (lit.[1] mp 300 °C with decomposition)).



Reagents: *a*) $CH(OEt)_3$, AcOH; *b*) Ph_3CCO_2H; *c*) (*i*) BH_3 in THF; (*ii*) NaOH, H_2O_2; *d*) Ac_2O, pyridine; *e*) (*i*) H_2SO_4; (*ii*) AcOH, Ac_2O; *f*) $SnCl_4$, CH_3CN; *g*) NH_3, MeOH

Scheme

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