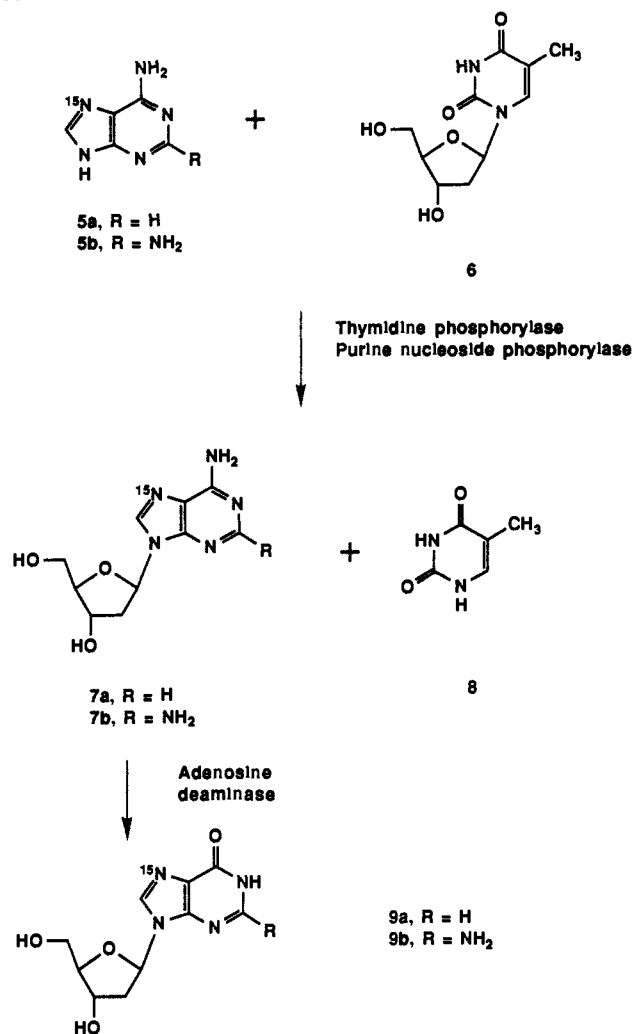


Scheme II



rylase generates 2-deoxy- α -D-ribose 1-phosphate (dRib-1-P) from the thymidine, and bacterial purine nucleoside phosphorylase then couples the dRib-1-P with the purine derivative **5a/b**.^{21,22} Using

(21) Krenitsky, T. A.; Koszalka, G. W.; Tuttle, J. V. *Biochemistry* **1981**, *20*, 3615-3621.

as little as a 5-10-fold excess of thymidine, we are able to get >95% conversion to **7a/b**. Since the product [7-¹⁵N]-labeled deoxynucleosides do not have protons with pK 's below 10, they are readily isolated from the enzymatic reaction mixture by using hydroxide form anion-exchange resin. All of the other components of the mixtures have sufficiently acidic protons that they are retained by the resin, while the product **7a/b** is eluted by using a simple water/methanol gradient.²³ This is therefore a highly efficient glycosylation procedure with regard to the [7-¹⁵N]-labeled material. Moreover, as both deoxyadenosine and 2-amino-deoxyadenosine are excellent substrates for deamination by adenosine deaminase,²⁴ conversion of **7a/b** to **9a/b** proceeds in quantitative yield.

The purine syntheses reported above emphasize efficient use of ¹⁵N, employ a minimal number of synthetic steps, and do not require complex isolation or purification procedures. The deoxynucleoside syntheses make use of high-yield transformations involving inexpensive and readily available enzymes. There are no protection or deprotection steps, and the only chromatography is a rapid, low-resolution ion-exchange column after the transglycosylation reaction step. These procedures, moreover, are applicable to the ribo series as well, simply by substituting uridine as a ribosyl donor along with uridine phosphorylase for the transglycosylation step.^{21,22} This represents, therefore, a general route to synthesis of [7-¹⁵N]-labeled nucleosides of the adenine and guanine families.

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Supplementary Material Available: A complete experimental section for compounds **3a/b-5a/b**, **7a/b**, and **9a/b** (3 pages). Ordering information is given on any current masthead page.

(22) Krenitsky, T. A.; Rideout, J. L.; Chao, E. Y.; Koszalka, G. W.; Gurney, F.; Crouch, R. C.; Cohn, N. K.; Wolberg, G.; Vinegar, R. J. *J. Med. Chem.* **1986**, *29*, 138-143.

(23) The products (**7a/b**) are contaminated with a small, variable amount of material apparently derived from elimination of 2-deoxy- α -D-ribose 1-phosphate on the strongly basic anion-exchange resin. Pure materials may be obtained by crystallization, either before or after deamination. Alternatively, the products may be used as obtained for protection for oligonucleotide synthesis.

(24) Baer, H.-P.; Drummond, G. I.; Duncan, E. L. *Mol. Pharmacol.* **1966**, *2*, 67-76.

Additions and Corrections

Stereostructure of Pimaricin [*J. Am. Chem. Soc.* **1990**, *112*, 4060-4061]. JEAN-MARC LANCELIN and JEAN-MARIE BEAU*

Page 4060: In Figure 1 R = Me for structure **2** should read R = Ac.

Page 4061, left column, line 3: The 7*R* and 9*S* configurations should be the 7*S* and 9*R* configurations.

Page 4061, right column, line 5: The 4*S* configuration should be the 4*R* configuration. This change does not affect structure **10** (Figure 2) for which the correct chiral centers have been drawn.