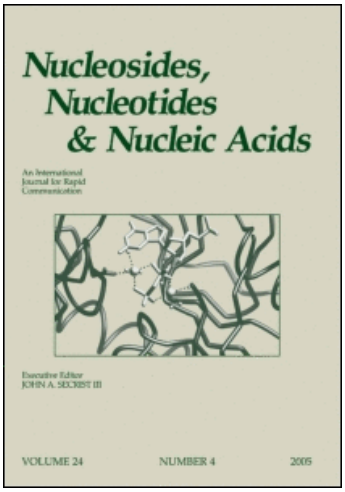

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An Unusual Demethylation of 3-Methylguanine

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AN UNUSUAL DEMETHYLATION OF 3-METHYLGUANINE

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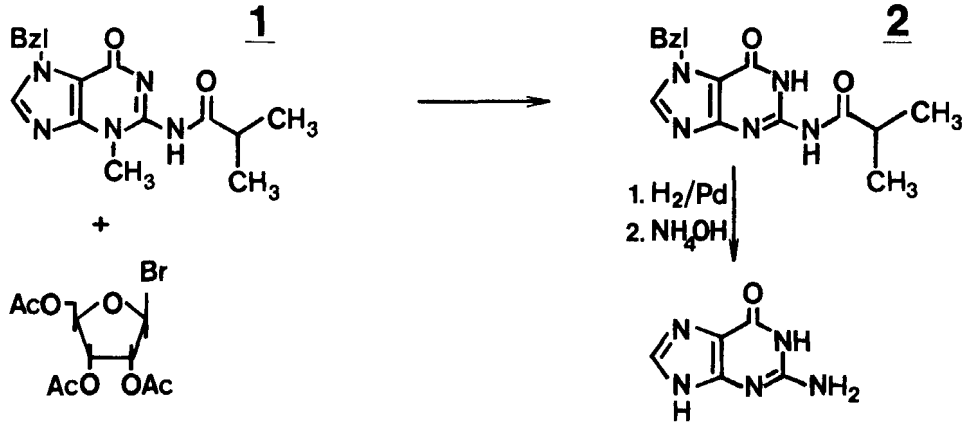
Summary. 7-Benzyl-N^2-isobutyryl-3-methylguanine undergoes N-3 demethylation when heated in toluene in the presence of 2,3,5-tri-O-acetyl-D-ribofuranosyl bromide.

3-Methylguanosine is a key synthetic intermediate towards naturally occuring fluorescent the so called Y nucleosides, being its 1-N^2-isopropeno derivatives. So far it has been obtained only indirectly[1,2].

We approached the synthesis of 3-methylguanosine by direct ribosylations of protected 3-methylguanine. However, all attempts resulted in the substitution at N-7 instead of N-9, or in degradations. Upon one of such reactions 7-benzyl-N^2-isobutyryl-3-methylguanine 1 subjected to the action of 2,3,5-tri-O-acetyl-D-ribofuranosyl bromide in refluxing toluene was demethylated to give 2. The structure of 2 as 7-benzyl-N^2-isobutyrylguanine was established on the spectral basis and by comparison of the product of deblocking with an authentic sample of guanine.



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