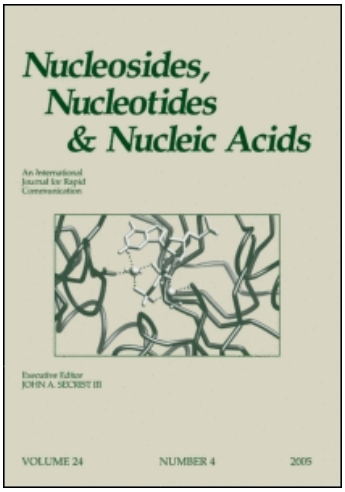

Nucleosides, Nucleotides and Nucleic Acids
Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713597286

One-Step Protection of the Guanine Moiety in 2'-Deoxyguanosine and Guanosine

A. M. A. Pistorius[a]; C. A. A. Claesen[a]; F. J. B. Kremer[a]; E. A. V. Rijk[a]; G. I. Tesser[a]
[a] Laboratory for Organic Chemistry, University of Nijmegen, ED Nijmegen, The Netherlands

To cite this Article Pistorius, A. M. A. , Claesen, C. A. A. , Kremer, F. J. B. , Rijk, E. A. V. and Tesser, G. I.(1987) 'One-Step Protection of the Guanine Moiety in 2'-Deoxyguanosine and Guanosine', Nucleosides, Nucleotides and Nucleic Acids, 6: 1, 389 — 390
To link to this Article: DOI: 10.1080/07328318708056231
URL: http://dx.doi.org/10.1080/07328318708056231

NUCLEOSIDES & NUCLEOTIDES, 6(1&2), 389-390 (1987)

ONE-STEP PROTECTION OF THE GUANINE MOIETY IN 2'-DEOXYGUANOSINE AND GUANOSINE

A.M.A. Pistorius, C.A.A. Claesen, F.J.B. Kremer,
E.A.V. Rijk* and G.I. Tesser
Laboratory for Organic Chemistry, University of Nijmegen,
Toernooiveld, 6525 ED Nijmegen, The Netherlands

Abstract. 2'-Deoxyguanosine reacts with 4-nitrophenylsulphonylethene to give a protected nucleoside derivative. Deprotection can be achieved by treatment with concentrated aqueous ammonia. The applicability of the protective group is shown by the synthesis of dT_4G.

In an investigation, concerning the application of β-elimination to the chemical synthesis of oligonucleotides, we found that an addition of the Michael-type could be used for the protection of O^4 of the base residue in thymidine and uridine in a one-step reaction (1). The method was devised to prevent the occurrence of side reactions during the synthesis of oligo(deoxy)nucleotides. We now describe the protection of the more sensitive guanine containing nucleosides.

Despite the limited solubility of the latter in organic solvents, they react well with 4-nitrophenylsulphonylethene (1) in a base-catalyzed nucleophilic addition implying the hydroxyl group at C^6 as shown in Figure 1 for unprotected 2'-deoxyguanosine.

O^6-[2-(4-nitrophenylsulphonyl)ethyl]-2'-deoxyguanosine can be used without protection of the N^2-position in the synthesis of oligonucleotide dT_4G, employing 3 in the phosphoromorpholidite method (2) (Figure 2).

The fully deprotected pentanucleotide was obtained by treatment of 4 with concentrated aqueous ammonia during sixteen hours at ambient temperature. This operation caused deprotection of the phosphate moiety, the terminal 3'-hydroxylic- and the guanine-O^6-position, respectively.

Further investigations, concerning the use of the 2-(4-nitrophenylsulphonyl)ethyl group in the synthesis of DNA fragments, are in progress.

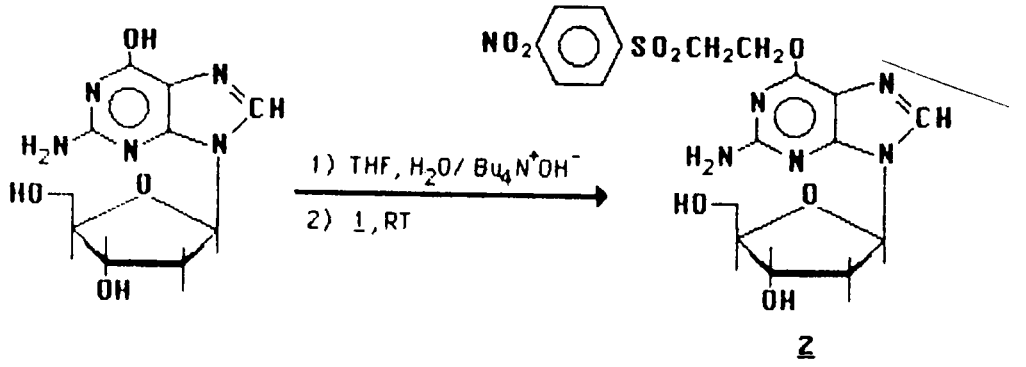

FIGURE 1: One-step protection of the amidic carbonyl moiety of 2'-deoxyguanosine.

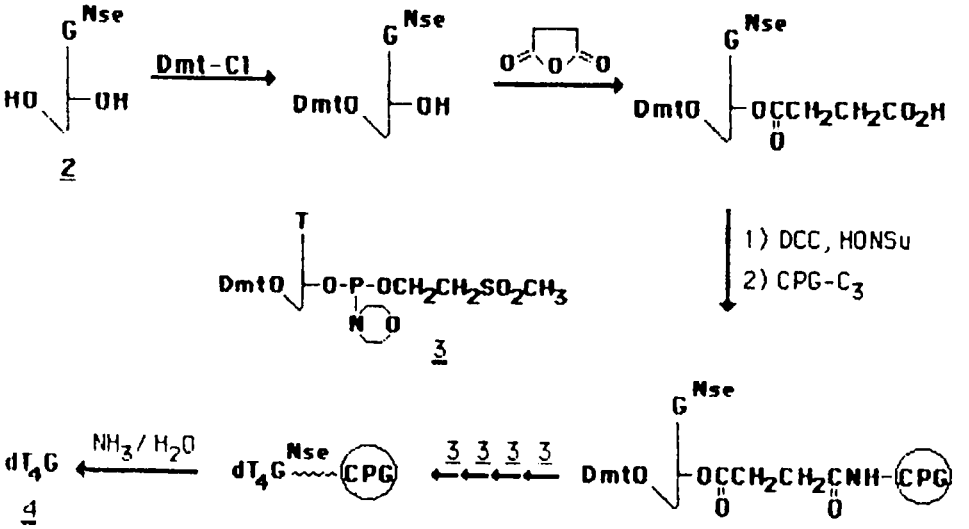

FIGURE 2: Synthesis of dT_4G

REFERENCES

(1) C.A.A. Claesen, A.M.A. Pistorius and G.I. Tesser, Tetrahedron Lett. 26, 3859 (1985).
(2) C.A.A. Claesen, G.I. Tesser, C.E. Dreef, J.E. Marugg, G.A. van de Marel and J.H. van Boom, Tetrahedron Lett. 25, 1307 (1984).