

This article was downloaded by:

On: 27 January 2011

Access details: *Access Details: Free Access*

Publisher *Taylor & Francis*

Informa Ltd Registered in England and Wales Registered Number: 1072954 Registered office: Mortimer House, 37-41 Mortimer Street, London W1T 3JH, UK



Nucleosides, Nucleotides and Nucleic Acids

Publication details, including instructions for authors and subscription information:

<http://www.informaworld.com/smpp/title~content=t713597286>

Transglycosylation of Guanine Nucleosides

Jeratzy Boryski^a; Bozena Golankiewicz^a

^a Institute of Bioorganic Chemistry; Polish Academy of Sciences, Poznani, Poland

To cite this Article Boryski, Jeratzy and Golankiewicz, Bozena(1987) 'Transglycosylation of Guanine Nucleosides', *Nucleosides, Nucleotides and Nucleic Acids*, 6: 1, 385 — 386

To link to this Article: DOI: 10.1080/07328318708056229

URL: <http://dx.doi.org/10.1080/07328318708056229>

PLEASE SCROLL DOWN FOR ARTICLE

Full terms and conditions of use: <http://www.informaworld.com/terms-and-conditions-of-access.pdf>

This article may be used for research, teaching and private study purposes. Any substantial or systematic reproduction, re-distribution, re-selling, loan or sub-licensing, systematic supply or distribution in any form to anyone is expressly forbidden.

The publisher does not give any warranty express or implied or make any representation that the contents will be complete or accurate or up to date. The accuracy of any instructions, formulae and drug doses should be independently verified with primary sources. The publisher shall not be liable for any loss, actions, claims, proceedings, demand or costs or damages whatsoever or howsoever caused arising directly or indirectly in connection with or arising out of the use of this material.

TRANSGLYCOSYLATION OF GUANINE NUCLEOSIDES

Jerzy Boryski and Bożenna Golankiewicz⁺

Institute of Bioorganic Chemistry; Polish Academy of Sciences,
61-704 Poznań, Poland

Abstract: Tetraacetylguanosine was converted into fully acetylated derivatives of acyclovir and 9- β -D-xylofuranosyl guanine in a novel transglycosylation reaction.

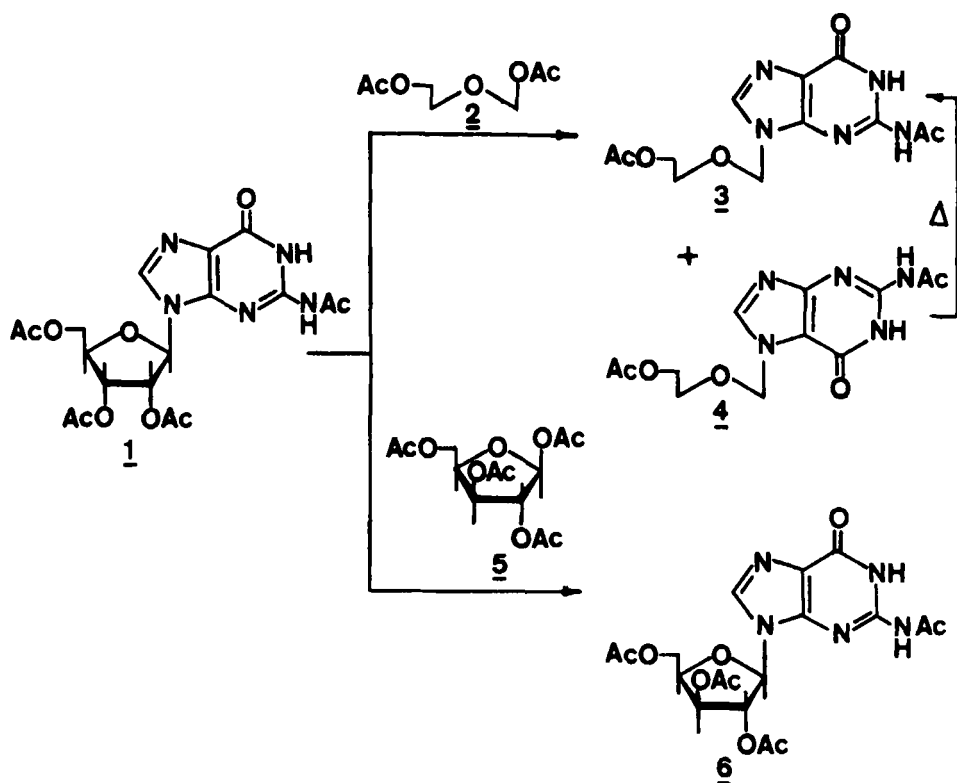
Recent progress in application of nucleoside analogues as antiviral, antibacterial or antitumor agents creates the need for a simple and more general approach to synthesis of new nucleosides with a modified sugar portion. One of the possible solutions is the chemical transglycosylation of relatively accessible nucleosides, as it is exemplified here in the guanine series.

Refluxing of tetraacetylguanosine¹ /1/ and 2-acetoxyethylacetoxymethyl ether² /2, 3 eqs./ in chlorobenzene in the presence of p-toluenesulfonic acid /0.05 eqs./ yielded the diacetyl derivative /3, 38% of acyclovir, a potent antiherpetic drug³. The second product of this reaction was the respective 7-isomer /4, 36%/, so far useless in further synthesis.

The latter, however, could be transformed into the corresponding 9-isomer /3/ in the thermal 7 \rightleftharpoons 9 transglycosylation reaction. Heating of 4 at 200^o for 10 min resulted in the mixture of 3 and 4 / 1 : 1, approximately/, from which the desired 9-isomer /3/ was isolated in the yield of 43%.

In the similar manner, reaction of 1 and 1,2,3,5-tetra-O-acetyl- β -D-xylofuranose⁴ /5/ allowed to obtain the tetraacetate 6 of 9- β -D-xylofuranosylguanine; a guanosine analogue of antiviral and cytostatic activity⁵.

Study on application of this convenient transglycosylation method for synthesis of other biologically active guanosine analogues is in progress.



REFERENCES

1. C.B.Reese and R.Safhill, *J. Chem. Soc., Perkin Trans. II*, 2937 /1972/
2. A.Rosowsky, S.H.Kim, and M.Wick, *J. Med. Chem.*, **24** /1981/
3. H.J.Schaeffer, L.Beauchamp, P. de Miranda, G.B.Elion, D.J.Bauer, and P.Collins, *Nature /London/* **272**, 583 /1978/
4. B.L.Kam, J.L.Barascut, and J.L.Imbach, *Carbohydr. Res.*, **69**, 135 /1979/
5. J. De Rudder, J.Leclerc, and M.Mercier, *Nucleosides and Nucleotides*, **4**, 221 /1985/