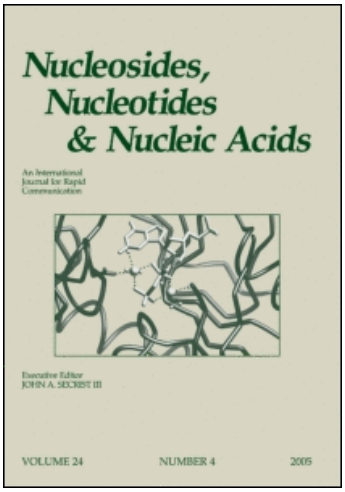

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E. S. H. El Ashry[a]; L. F. Awad[a]; H. M. Abdel Hamid[a]; A. I. Atta[b]
[a] Chemistry Department, Faculty of Science, Alexandria University, Alexandria, Egypt [b] Faculty of Medical Sciences, Al-Hodiedah University, Hodiedah, Yemen

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MICROWAVE IRRADIATION FOR ACCELERATING THE SYNTHESIS OF ACYCLONUCLEOSIDES OF 1,2,4-TRIAZOLE

E. S. H. El Ashry, L. F. Awad, and H. M. Abdel Hamid ▫ *Chemistry Department, Faculty of Science, Alexandria University, Alexandria, Egypt*

A. I. Atta ▫ *Faculty of Medical Sciences, Al-Hodiedah University, Hodiedah, Yemen*

▫ *The 3-(D-alditol-1-yl)-4-amino-5-mercapto-1,2,4-triazoles **4** and **5** can be successfully prepared using microwave irradiation. Condensation of **4** and **5** with p-nitrobenzaldehyde afforded Schiff bases **6** and **7**, respectively. Reaction **4** and **5** with ethylchloroacetate gave the corresponding alkylated products **10** and **11**. Better yields and much less time were the characteristic features of using the microwave heating over the conventional one. The structure of the prepared compounds was confirmed by ^{1}H-NMR, 2D-NMR and mass spectra.*

Keywords Microwave Irradiation, Triazoles, Schiff Bases, C-nucleosides

INTRODUCTION

Recently, the use of microwave irradiation (MWI) in accelerating organic reactions is rapidly increasing because it induces short reaction times, and it improves economic as well as environmental and operational aspects. In our laboratory, a wide range of organic reactions has been achieved using MWI.[1–3] Therefore, in the present work we have used MWI to accelerate the synthesis of acyclo C-nucleosides of the 4-amino-5-mercapto-1,2,4-triazoles.[4–8]

Refluxing equimolar amounts of D-glucono- and D-galactono-1,5-lactones (**1** and **2**) and thiocarbohydrazide (**3**) in pyridine for 2 h gave the respective 4-amino-3-(D-gluco- and D-galacto-pentitol-1-yl)-5-mercapto-1,2,4-triazoles (**4** and **5**) in 81 and 68% yield.[5] However, under MWI compounds **4** and **5** were obtained with improved yields (88 and 80% yield) and reaction times (5–6 min) (Scheme 1).

The Schiff bases **6** and **7** were obtained in moderate yield (61–63%) by condensation of **4** and **5** with *p*-nitrobenzaldehyde and catalytic amount of *p*-toluenesulfonic acid under conventional heating for 8 h. On the other hand,

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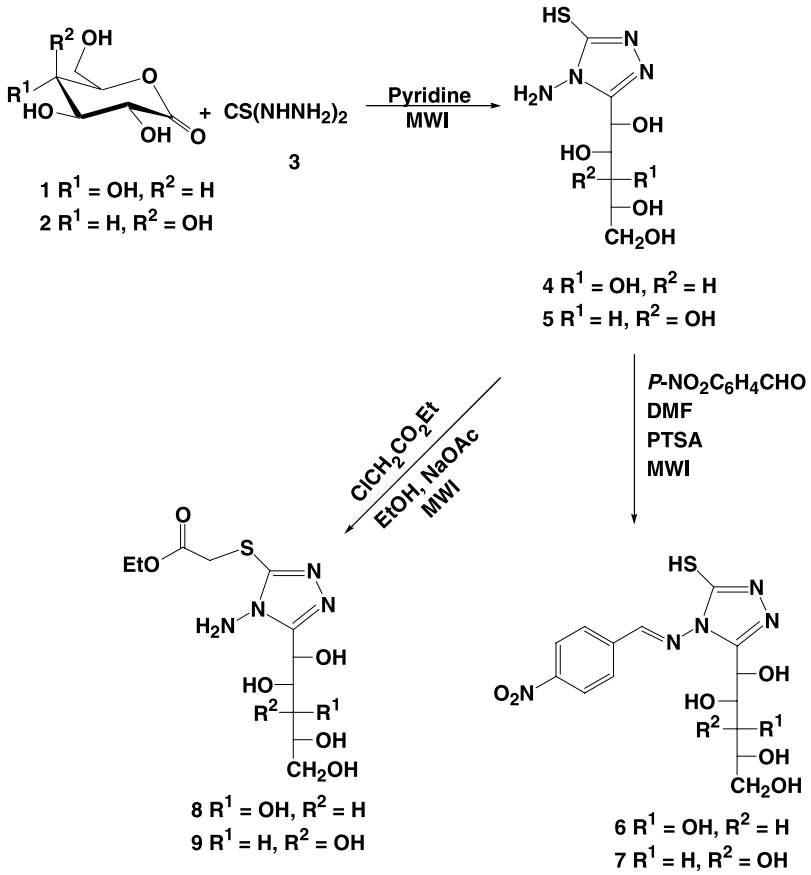
Address correspondence to E. S. H. El Ashry, Chemistry Department, Faculty of Science, Alexandria University, Alexandria, Egypt; E-mail: eelashry60@link.net



SCHEME 1

microwave irradiation of the above reaction mixture in DMF as energy transfer medium resulted in the formation of **6** and **7** in only 4 minutes and in much better yield (80 and 82%).

Treatment of compounds **4** and **5** with ethyl chloroacetate in absolute ethanol and in the presence of sodium acetate under reflux for 18 h afforded the uncyclized esters **8** and **9** in 51 and 53%, respectively. Alternatively, under microwave irradiation compounds **8** and **9** were obtained within 8 min in 61–63% yield. The assigned structures were based on spectral analysis; IR, ^{1}H-NMR, 2D-NMR, and mass spectra.

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