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Microwave-Assisted Syntheses of 2',3'-*O*-isopropylidene ribonucleoside 5'-monophosphates

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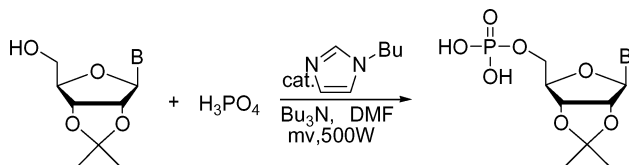
The Key Laboratory of Bioorganic Phosphorus Chemistry, Ministry of Education, Department of Chemistry, School of Life Sciences and Engineering, Tsinghua University, Beijing


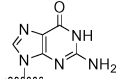


Phosphate monoesters are some of the most important substances in medicinal chemistry, materials chemistry, and so on.¹ Many methods for preparing Nucleoside 5'-monophosphates have been reported.² The application of microwaves as an efficient heating source for organic reactions was recognized in the 1986.³ Since then, numerous successful reactions with dramatically enhanced reaction rates have been disclosed.^{4–6} Very high yields and clean reactions have been obtained using only small amounts of energy.

We synthesize 5'-monophosphate of ribonucleosides without the protection of amino groups of nucleobases. Since secondary hydroxy groups of ribonucleosides also react with phosphoric acid, 2',3'-*O*-isopropylidene ribonucleosides were used as substrates. The reactions of 2',3'-*O*-isopropylidene uridine (**1**), adenosine (**2**), cytidine (**3**), and guanosine (**4**) with 2 equivalents of phosphoric acid gave their 5'-monophosphates selectively in respective yields of 86%, 86%, 71%, and 83%. In contrast, that same yields were obtained in Dehydrative Condensation reactions performed under microwave irradiation can be completed within 4–5 min whereas 6–8 h is required with conventional heating. It is also likely that the widespread acceptance of this technique, as an important tool for the development of laboratory-scale environmentally conscious chemistry, will result in microwave synthesizer becoming an integral part of every modern organic laboratory.

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B	Microwave irradiation		Conventional heating ^a	
	Time	Yield ^b	Time	Yield
 1	4 min	86%	6 h	87%
 2	4 min	86%	6 h	88%
 3	5 min	71%	8 h	70%
 4	5 min	83%	8 h	84%

The table shows some synthesized compounds by two methods.

^aReported by Sakakura, A et²; ^bAnalysis by HPLC.

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