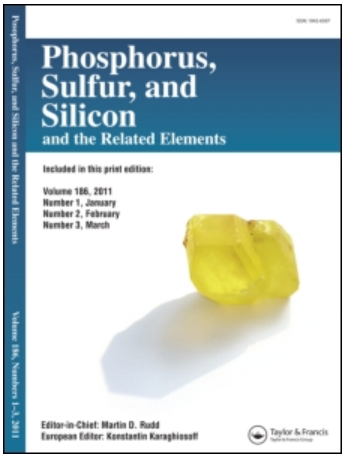

Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713618290

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

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To cite this Article Zhe, Wang and Yu-Fen, Zhao(2008) 'Microwave-Assisted Syntheses of 2',3'-**O**-isopropylidene ribonucleoside 5'-monophosphates', Phosphorus, Sulfur, and Silicon and the Related Elements, 183: 2, 735 — 736
To link to this Article: DOI: 10.1080/10426500701807707
URL: http://dx.doi.org/10.1080/10426500701807707

Phosphorus, Sulfur, and Silicon, 183:735–736, 2008

ISSN: 1042-6507 print / 1563-5325 online
DOI: 10.1080/10426500701807707

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

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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.

This work is supported by National Natural Science Foundation of China (No. 20132020, No. 20572061).

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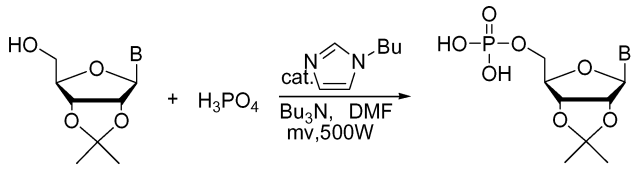
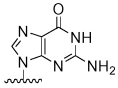


B		Microwave irradiation		Conventional heating [a]	
		Time	Yield[b]	Time	Yield
	**1**	4 min	86%	6 h	87%
	**2**	4 min	86%	6 h	88%
	**3**	5 min	71%	8 h	70%
	**4**	5 min	83%	8 h	84%

The table shows some synthesized compounds by two methods.
[a]Reported by Sakakura, A et[2]; [b]Analysis by HPLC.

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