

This article was downloaded by:

On: 28 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



## 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>

## Comparison of Phosphoramidites in Phosphatidylinositol Synthesis

Yutaka Watanabe<sup>a</sup>; Hirofumi Munetsugu<sup>a</sup>; Keita Mizobuchi<sup>a</sup>; Minoru Hayashi<sup>a</sup>

<sup>a</sup> Ehime University, Japan

Online publication date: 27 October 2010

**To cite this Article** Watanabe, Yutaka , Munetsugu, Hirofumi , Mizobuchi, Keita and Hayashi, Minoru(2002) 'Comparison of Phosphoramidites in Phosphatidylinositol Synthesis', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 177: 8, 2103 – 2104

**To link to this Article:** DOI: 10.1080/10426500213329

**URL:** <http://dx.doi.org/10.1080/10426500213329>

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.

## COMPARISON OF PHOSPHORAMIDITES IN PHOSPHATIDYLINOSITOL SYNTHESIS

*Yutaka Watanabe, Hirofumi Munetsugu, Keita Mizobuchi,  
 and Minoru Hayashi  
 Ehime University, Japan*

(Received July 29, 2001; accepted December 25, 2001)

We reported xylene *N,N*-diethylphosphoramidite (XEPA, **2a**) as a promising phosphitylating agent. The diisopropyl version was also prepared by Chapleur et al. Preparation and purification of XEPA are easier than those of an acyclic analog, dibenzyl *N,N*-diisopropylphosphoramidite **2b**, and both reagents have an identical reactivity and are commercially available, although the latter has been more widely used than the former. We describe here usefulness of XEPA by demonstrating selective phosphorylation of diol **1** via the phosphite-pyridinium tribromide method using **4a** derived from phosphoramidite **2a** and transforming the resultant 1-*O*-phosphate **P(1)** to phosphatidylinositol and phosphatidylinositol 4-phosphate.

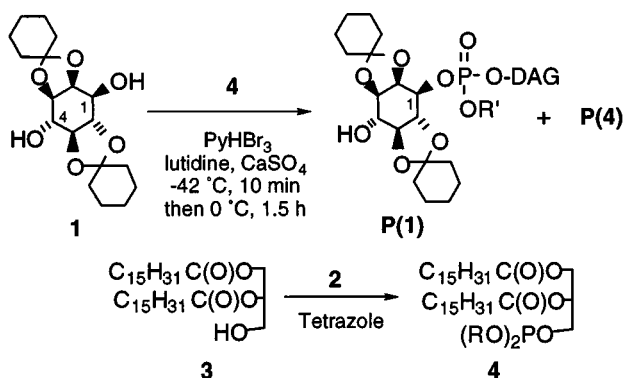
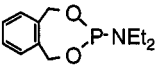



FIGURE 1

Address correspondence to Yutaka Watanabe, Department of Applied Chemistry, Faculty of Engineering, Ehime University, Matsuyama 790-8577, Japan.

TABLE I Phosphorylation of **1**

<b>2</b>	<b>4</b> , equiv	R'	Yield, %	
			<b>P(1)</b>	<b>P(4)</b>
 <b>a</b>	1.4		84	6
$\text{BnO}-\text{P}(\text{N-}i\text{Pr}_2)-\text{OBn}$ <b>b</b>	2.5	PhCH <sub>2</sub> -	64	7
$\text{MeO}-\text{P}(\text{NEt}_2)-\text{OMe}$ <b>c</b>	1.4	Me-	54	37

The regioselective phosphorylation of **1** was examined in the presence of pyridinium tribromide, 2,6-lutidine, and calcium sulfate using three glyceryl phosphites **4** that were prepared by the reaction of the corresponding phosphoramidite **2** with racemic 1,2-di-*O*-palmitoylglycerol **3** in the presence of tetrazole. Cyclic phosphite **4a** showed the best result, giving selectively the desired 1-*O*-phosphate **P(1)** in good yield. In the case of phosphite **4b** derived from **2b**, a larger quantity of the phosphite was required, and the selectivity and yield were lower.

Phosphate **P(1)** thus obtained was deprotected by the reaction with pyridinium poly(hydrogen fluoride) followed by catalytic hydrogenolysis to give PI. On the other hand, phosphitylation with **2a** and oxidation of **P(1)** followed by deprotection afforded PI(4)**P**.

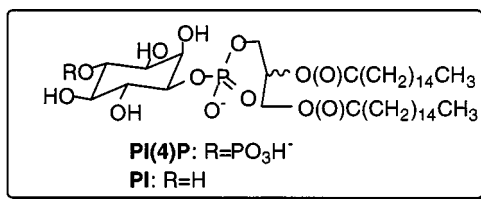


FIGURE 2