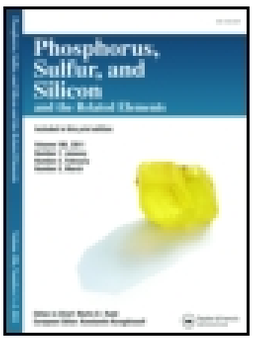

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COMPARISON OF PHOSPHORAMIDITES IN PHOSPHATIDYLINOSITOL SYNTHESIS

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We reported xylylene *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.

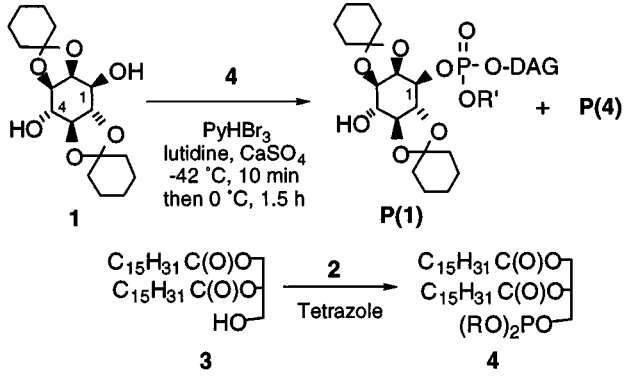

FIGURE 1

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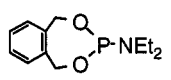
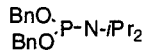
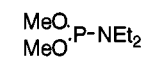
TABLE I Phosphorylation of **1**

2		**4**, equiv	R'	Yield, % **P(1)**	**P(4)**
	**a**	1.4		84	6
	**b**	2.5	$PhCH_2$-	64	7
	**c**	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**.

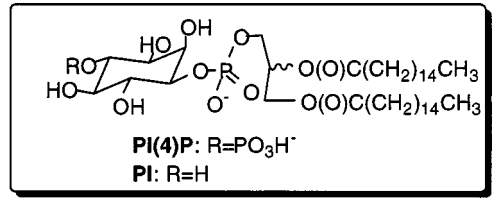


FIGURE 2