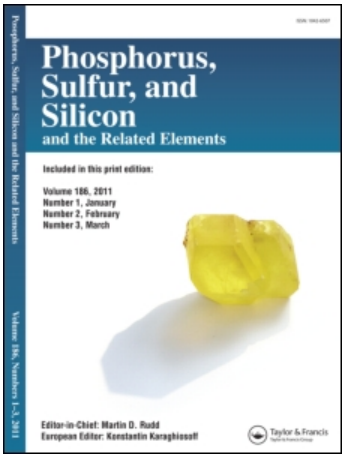

Phosphorus, Sulfur, and Silicon and the Related Elements

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

The Approach to Difluoromethylene Platelet Activating Factor Analogues

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To cite this Article Martynov, B. I. , Lermontov, S. A. , Sukhozhenko, I. I. and Kuryleva, N. V.(1999) 'The Approach to Difluoromethylene Platelet Activating Factor Analogues', Phosphorus, Sulfur, and Silicon and the Related Elements, 147: 1, 243

To link to this Article: DOI: 10.1080/10426509908053602

URL: http://dx.doi.org/10.1080/10426509908053602

Phosphorus, Sulfur and Silicon, 1999, Vol. 147, pp. 243-243
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The Approach to Difluoromethylene Platelet Activating Factor Analogues

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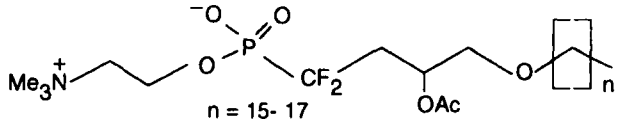
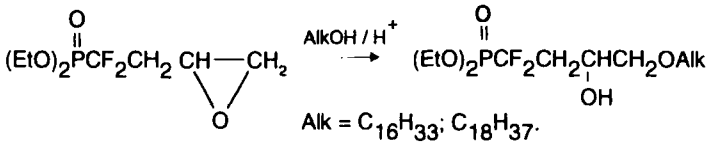
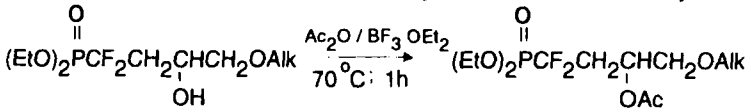
It is well known that phospholipids have an important role in the metabolic regulation or perturbation of living organisms. For instance, the Bioregulator-Platelet Activating Factor has been shown to initiate platelet aggregation, to produce anti-hypertensic action, and to take part in allergic responses. These glycerophosphates exhibit selective cytotoxicity against leukemia and sarcoma cells. On the other hand difluoromethylenephosphonates have, and are currently being widely explored as phosphatase stable phosphate mimics for biological systems. From this point of view it was interesting to make structural modifications of PAF by replacement of oxygen atom by CF_2-group in phosphoglycerol branch. This modification may lead to novel biological properties and high pharmacological activity of these substances.



Ring cleavage of epoxy 4,4-difluorobutenylphosphonate by alcohols at the presence of HCl allows to result corresponding cellosolve:



Conversion of resulted oxyester to acetate may be carried out in acetic anhydride:



The appropriate phosphonic acid have been prepared usual way via dealkylation of diethylphosphonic esters by bromotrimethylsilane with the following hydrolisis of the produced sililated products. Condensation of choline with resulted phosphonic acids at the presence of CCl_3CN in pyridine leads to the target phospholipids.