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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

Asymmetric Synthesis of New 1-Aminophosphonic Acid Amphiphiles

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Online publication date: 27 October 2010

To cite this Article Dejugnat, C. , Vercruysse-Moreira, K. and Etemad-Moghadam, G.(2002) 'Asymmetric Synthesis of New 1-Aminophosphonic Acid Amphiphiles', Phosphorus, Sulfur, and Silicon and the Related Elements, 177: 8, 1961 — 1962

To link to this Article: DOI: 10.1080/10426500213388

URL: http://dx.doi.org/10.1080/10426500213388

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Phosphorus, Sulfur and Silicon, 2002, Vol. 177:1961–1962 Copyright © 2002 Taylor & Francis 1042-6507/02 \$12.00 + .00

DOI: 10.1080/10426500290094657



ASYMMETRIC SYNTHESIS OF NEW 1-AMINOPHOSPHONIC ACID AMPHIPHILES

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

Addition reaction between labile P—H bond spirophosphoranes and long-chain aldimines occurs instantaneously at room temperature in quantitative yields and high stereoselectivity. Further selective hydrolysis gives racemic α -aminophosphonates (monoesters or acids); simple hydrolysis (moisty solvents) leads to phosphonocarboxylic acids, whereas more drastic conditions (concentrated aqueous hydrochloric acid under reflux) afford free α -aminophosphonic acids in high yields:¹

SCHEME 1

The enantioselective version requires use of chiral spirophosphoranes and leads to chiral phosphonate monoesters. After separation of the two diastereomeric forms, acidic hydrolysis furnishes free α -aminoalkylphosphonic acids in both enantiomerically pure form. Effect of chirality on their supramolecular assemblies (chiral recognition) will be presented.

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