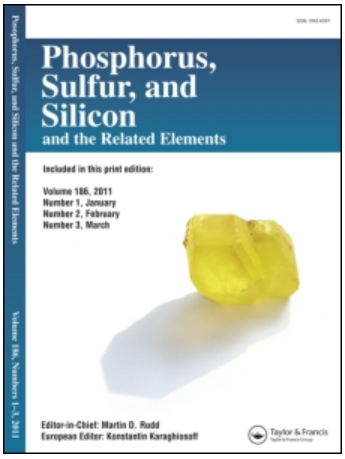

Phosphorus, Sulfur, and Silicon and the Related Elements

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

Synthesis of Novel Bisphosphono-Pyrimidinediones Via Pentacovalent Organophosphorus Methodology

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To cite this Article McClure, Cynthiak K. , Hausel, Robert C. , Hansen, Karl B. , Grote, Christopher W. and Jung, Kang-Yeoun(1996) 'Synthesis of Novel Bisphosphono-Pyrimidinediones Via Pentacovalent Organophosphorus Methodology', Phosphorus, Sulfur, and Silicon and the Related Elements, 111: 1, 63
To link to this Article: DOI: 10.1080/10426509608054692
URL: http://dx.doi.org/10.1080/10426509608054692

Phosphorus, Sulfur, and Silicon, 1996, Vol. 111, p. 63
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SYNTHESES OF NOVEL BISPHOSPHONO-PYRIMIDINEDIONES VIA PENTACOVALENT ORGANOPHOSPHORUS METHODOLOGY

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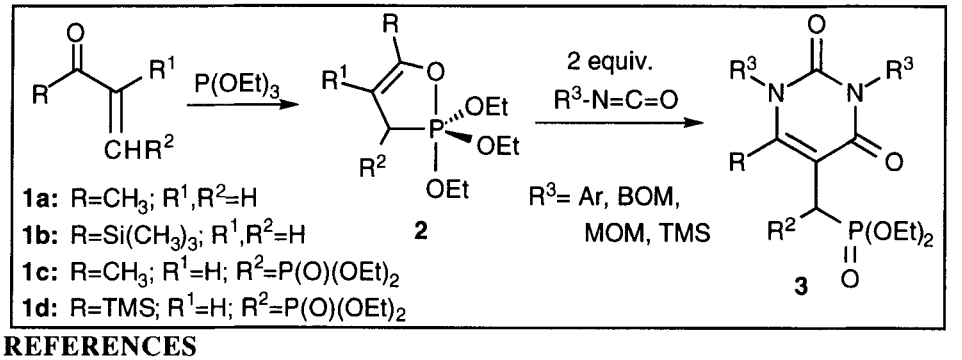
Abstract The synthesis of bisphosphono-pyrimidinediones from the condensations of pentacovalent oxaphospholenes with isocyanates is discussed.

Geminal bisphosphonic acids and their salts are known to be effective inhibitors of bone resorption and mineralization, symptoms of diseases such as osteoporosis and Paget's disease.[1] The active antiresorptive compounds are composed of a "bone hook" portion and a "bioactive moiety". Compounds with a nitrogen or nitrogen-based heterocycle in the "bioactive moiety" are among the more potent antiresorptives.[2] Using our pentacovalent organophospholene methodology, we can readily access mono- and bis-phosphono-pyrimidinediones, **3a-d** in moderate to excellent yields.[3] Molecular modeling has indicated that the deprotected bisphosphonates **3c,d**, could have antiresorptive activity.[4] These compounds are curently being synthesized, and the deprotected heterocycles will be tested for antiresorptive activity.



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