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## Phosphorus, Sulfur, and Silicon and the Related Elements

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### Synthesis of Novel Bicycli Caged Phosphate Derivatives

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## Synthesis of Novel Bicycli Caged Phosphate Derivatives

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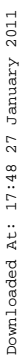
*A series of bicycli caged phosphates were synthesized, and biological activity test showed that the title compounds exhibited moderate herbicidal activity.*

**Keywords** Bicycli caged phosphates; herbicidal activity; synthesis

The symmetrical caged bicyclic phosphate **2** has been synthesized by Verkade many years ago,<sup>1</sup> of which the derivatives possessed good biological activities, and were particularly useful as herbicides and fungicides.<sup>2–4</sup> Herein we synthesized a series of novel bicycle caged phosphate derivatives containing  $\alpha$ -hydroxy alkyl phosphonates. The precursors of  $\alpha$ -hydroxy alkyl phosphonates **1** were generated by dimethylphosphite's addition toward aldehydes with ice bath (0–5°C) using triethylamine or  $\text{KF}/\text{Al}_2\text{O}_3$  (quantity ratio is 1:1) as catalyst. Pentaerythritol was reacted with  $\text{POCl}_3$  and led to preferable formation (87–95%) of 1-hydroxymethyl-4-phospho-3,5,8-trioxabicyclo[2,2,2]octane **2**, which afforded the corresponding acid **3** via oxidation by nitric acid using  $\text{NH}_4\text{VO}_3$  as catalyst.<sup>5–8</sup> And **3** was easily acylated and reacted with  $\alpha$ -hydroxyalkyl phosphonates **1** to give title compounds **4** with moderate to good yields. The preliminary bioassay indicated that the compounds exhibited moderate herbicidal activity.

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