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Publication details, including instructions for authors and subscription information:

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## Chemoenzymatic Synthesis of $\alpha$ -Aminophosphonic Acids

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**To cite this Article** Wuggenig, Frank and Hammerschmidt, Friedrich(1999) 'Chemoenzymatic Synthesis of  $\alpha$ -Aminophosphonic Acids', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 147: 1, 439

**To link to this Article:** DOI: 10.1080/10426509908053699

**URL:** <http://dx.doi.org/10.1080/10426509908053699>

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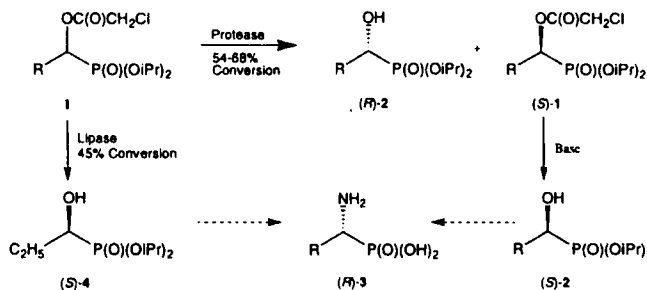
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## Chemoenzymatic Synthesis of $\alpha$ -Aminophosphonic Acids

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Racemic  $\alpha$ -chloroacetoxyphosphonates **1** were kinetically resolved using protease Chirazyme® P-2 or lipase SP 524 in a well stirred biphasic system keeping the pH constant at 7.0 by automatic addition of 0.5 M NaOH. Lipase SP 524 hydrolyses preferentially the (*S*)-ester **1a** (*R* = C<sub>2</sub>H<sub>5</sub>), protease Chirazyme® P-2 the (*R*)-esters **1**. The chiral, non-racemic esters (*S*)-**1**, isolated after stopping the reactions at appropriate



conversions, were hydrolysed with MeOH/NEt<sub>3</sub> and then transformed to the corresponding 1-azidophosphonates using Ph<sub>3</sub>P/DEAD/HN<sub>3</sub>. The azides were reduced with H<sub>2</sub>/Pd/C deprotected with refluxing 6 M HCl to give phosphonic acids (*R*)-**3** [1,2].

In this way (*R*)-1-aminopropylphosphonic acid and the phosphonic acid analogues of valine, leucine, isoleucine and methionine could be prepared (e.e. 92-98%).

### References

- [1] G. Eidenhammer, F. Hammerschmidt, *Synthesis*, 1996, 748.
- [2] F. Wuggenig, F. Hammerschmidt, *Monatsh. Chem.*, 1998, 129, 423.