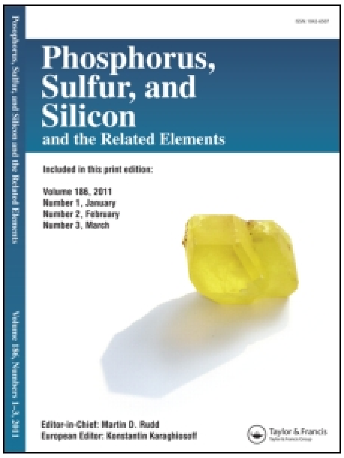

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Chemoenzymatic Synthesis of α-Aminophosphonic Acids

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Chemoenzymatic Synthesis of α-Aminophosphonic Acids

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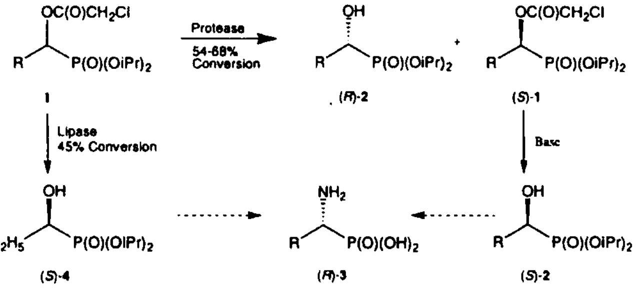
Racemic α-chloracetoxyphosphonates **1** were kinetically resolved using protease Chirazyme® P-2 or lipase SP 524 in a well stirred biphasic system keeping the pH constant at 7.0 by automatic addition of 0.5 M NaOH. Lipase SP 524 hydrolyses preferentially the (*S*)-ester **1a** (R = C_2H_5), protease 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_3 and then transformed to the corresponding 1-azidophosphonates using Ph_3P/DEAD/HN_3. The azides were reduced with H_2/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.