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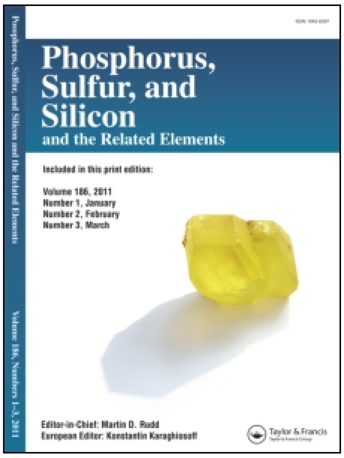

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Biocatalytical Kinetic Resolution of Hydroxyalkanephosphonates

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BIOCATALYTICAL KINETIC RESOLUTION OF HYDROXYALKANEPHOSPHONATES

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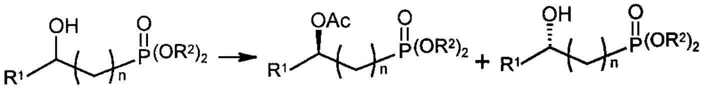
Chiral hydroxyalkanephosphonic acids have received significant attention in recent years due to their potential biological activities and applications as chiral synthon in natural products synthesis. As found by us, a large number of keto- and diketo-phosphonates could be converted easily by baker's yeast to chiral hydroxyalkanephosphonates in good yield and ee value with excellent regio- and stereoselectivity depending on the nature of substituents located closed to carbonyl group. Unfortunately, 1-oxyalkanephosphonates resisted to such bioreductive system. In this article, a biocatalytical kinetic resolution of 1-hydroxyalkanephosphonates by *Candida antartica* lipase B (CALB) was reported.

Our experimental data demonstrated that 1-hydroxyethanephosphonate underwent catalytic acylation with vinyl acetate as acyl donor in organic solvent using CALB as catalyst provided both (S)acylhydroxyethanephosphonate and (R)hydroxyethanephosphonate with 87–98% ee value. Under optimized conditions, (S)hydroxy- component was exclusively acylated almost in quantitative yield.



(a) n=0, (b) n=1, (c) n=2

R^1=Me, Et, CH=CH_2; R^2=Me, Et, i-Pr

SCHEME 1

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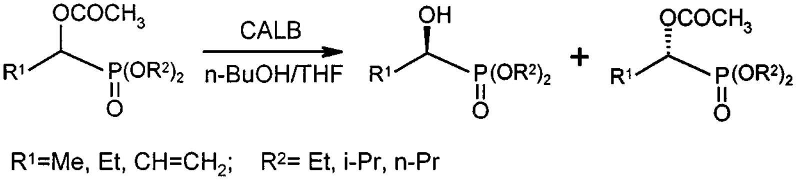
In order to investigate the influence of R^1 and n on this resolution process, the following reactions were studied.

The reaction rate of (b)(n = 1) was greater than that of (a)(n = 0), while its selectivity in acylation was decreased slightly. When 3-hydroxybutanephosphonate (c)(n = 2) was subjected to CALB catalyzed acylation, reaction underwent so fast that both (R) and (S) enantiomers were converted to acetates.

We also found that 1-hydroxyalkanephosphonates can be efficiently resolved via CALB catalyzed alcoholysis of their acetates.



SCHEME 2