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Enzymatic Apporoach to the Synthesis of Non-Racemic, P-Chiral Hydroxymethylphosphonates and Phosphinates

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Enzymatic Approach to the Synthesis of Non-Racemic, P-Chiral Hydroxymethylphosphonates and Phosphinates

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α-Hydroxyalkanephosphonates and phosphinates exhibit interesting biological activity which is highly dependent on their absolute configuration. Therefore, a search for efficient and general methods of the synthesis of enantiopure title compounds continues. As part of our studies on the use of enzymes in preparation of non-racemic heteroorganic compounds, we have developed a lipase-mediated kinetic resolution of racemic hydroxymethylphosphonates and phosphinates, which is based on either acetylation of the substrates 1, or hydrolysis of their O-acetyl derivatives 2. The absolute configuration of the products has been determined by means of CD and chemical correlation.

R¹	R²	Lipase	Proc.	1*			2*		
				Yield	ee	Abs.	Yield	ee	Abs.
				[%]	[%]	conf.	[%]	[%]	conf.
Ph	OMe	AMANO PS	A	34	92	R	34	86	S
Ph	OEt	PFL	A	37	48	R	30	53	S
Ph	OPri	PFL	Α	36	80	R	47	21	S
OPr	OMe	PFL	В	55	16	S	45	34	R

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