

**STUDIES ON THE KINETIC RESOLUTION OF  
1-(N-ACYLAMINO)ALKYLOPHOSPHONIC,  
1-(N-ACYLAMINO)ALKYLOPHOSPHINIC ACIDS AND THEIR ESTERS USING  
PENICILLIN G ACYLASE IN NATIVE AND IMMOBILIZED FORM**

**Abstract**

$\alpha$ -Aminophosphonic and  $\alpha$ -aminophosphinic acids as phosphorus analogues and mimetics of  $\alpha$ -amino acids exhibit wide and diversified biological activity. These compounds and their derivatives might be used as bioregulators, pharmaceuticals and also as agrochemicals, which makes synthesis of abovementioned compounds in optically pure form is a problem of great importance.

The main purpose of this work was to develop effective methods for enzymatic kinetic resolution of phosphorus analogues of  $\alpha$ -amino acids using penicillin G Acylase, both in the native form and immobilized in mesoporous silicas.

During this research synthesis of series of 1-(N-acylamino)alkylphosphonic, 1-(N-acylamino)alkylphosphinic acids and their esters has been performed. Immobilization of penicillin G acylase on two types of mesoporous silicas and siliceous monolith was carried out. Studies on the methodology of the kinetic resolution investigation of phosphorus analogs of  $\alpha$ -amino acids was carried out, in particular, methods of the conducting and stopping the enzymatic hydrolysis reaction, methods of determination of the conversion rate and determination enantiomeric excess was elaborated. The initial hydrolytic rate of all substrates has been determined and the effectiveness of acyl group, substrate, size and chemical character of C $\alpha$  substituent or phosphorus substituent was determined. The successful experiment of the kinetic resolution in a flow system using the PGA immobilized form has been performed.

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