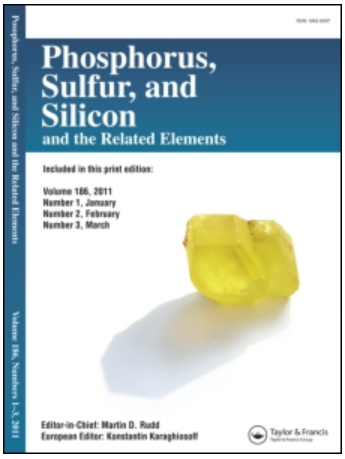

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Enzymatic Resolution of α-Amino Phosphonous Acids -A Kinetic Comparison with Other α-Amino Acids Using ^{19}F and ^{31}P NMR Analysis

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ENZYMATIC RESOLUTION OF α-AMINO PHOSPHONOUS ACIDS - A KINETIC COMPARISON WITH OTHER α-AMINO ACIDS USING ^{19}F AND ^{31}P NMR ANALYSIS

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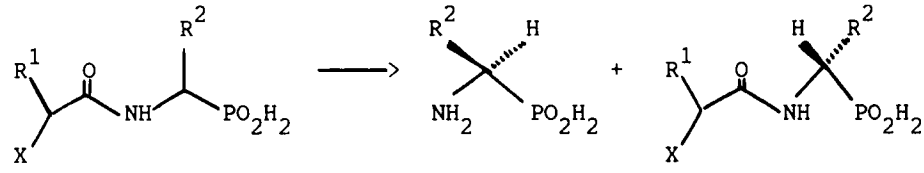
We have previously reported (1,) the synthesis of a range of α-aminophosphonous acids and found them to be mimics of the naturally occurring amino acids. They inhibit the protein synthesis of E.Coli B (2) and other synthetases (3). We have now found that such compounds can be conveniently resolved via their N-acyl derivatives by enzymatic methods. Using penicillin-G-amidase from E.Coli (EC 3.5.1.11) the R-enantiomer is cleanly hydrolysed leaving the S-enantiomer intact.



R^1 = Ph X = H or F

The products are separated by ion-exchange chromatography. Interestingly the N-α-fluorophenylacetyl derivative is also a substrate for this enzyme and introduction of this chiral derivative allows spectroscopic monitoring of the resolution process. Using this technique the rate of hydrolysis has been compared with those of the corresponding α-amino-carboxylic acids and other phosphorus acids, e.g. α-aminophosphonic acids etc.

1. E.K. Baylis et al. J.Chem.Soc. Perkin Trans.I, 1984, 2845-53
2. J. Regos, Unpublished Results
3. T.I. Osipova et al., Febs Lett., 1978, 91, 246