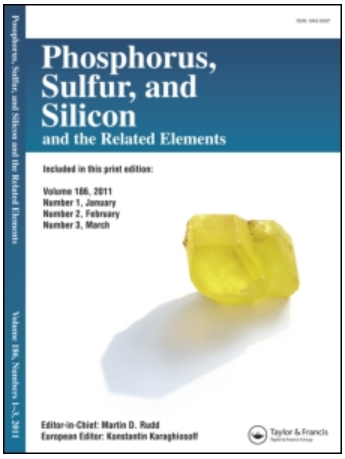

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A New Asymmetric Synthesis of β-Aminophosphonic Acids

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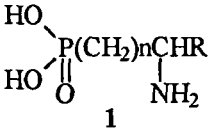
Addition of α-phosphonate carbanions to (S)-sulfinimines **2** gives N-sulfinyl-β-aminophosphonates **3** with a high diastereoselectivity (up to 10:1). The major diastereomer of **3** (R=Ph) was converted into (+)-(R)-β-amino-β-phenylethanephosphonic acid **5**.

KEY WORDS: β-aminophosphonic acids, chiral sulfinimines, X-ray analysis.

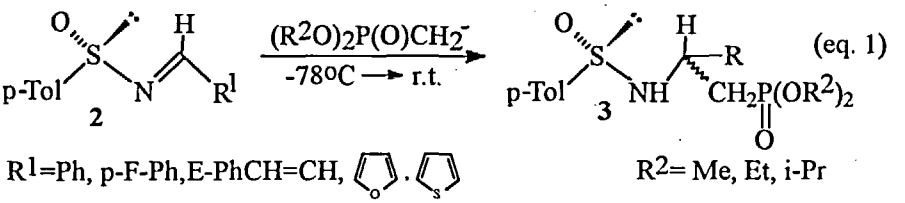
Aminophosphonic acids of general structure **1** are phosphorus analogues of naturally occuring amino acids. They exhibit interesting biological properties (antibacterial, antibiotic, antiviral, pesticidal, insecticidal and herbicidal) and have, therefore, found diverse industrial applications.



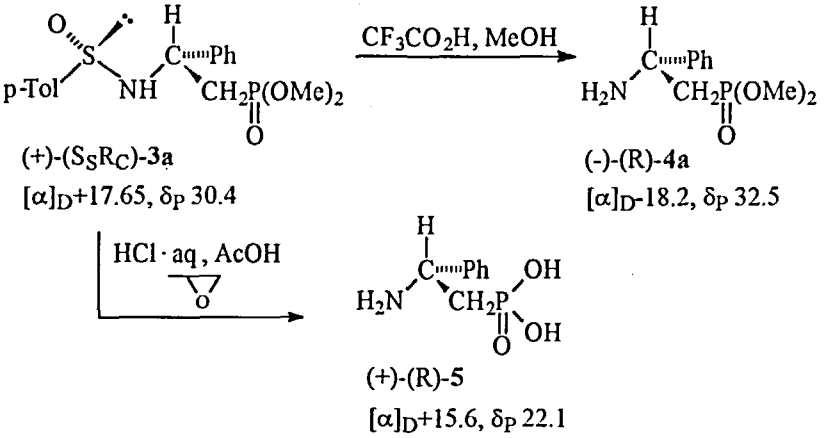
1

It has been demonstrated that the biological activity of aminophosphonic acids strongly depends on the chirality of the stereogenic carbon atom bearing the amino group. For this reason there has been considerable interest in devising new approaches to chiral, non-racemic aminophosphonic acids.

In this paper we report a new, asymmetric synthesis of β-aminophosphonic acids **1** (n=1) via addition of α-phosphonate carbanions to chiral, enantiopure sulfinimines **2** (eq, 1).



The addition reaction was found to give a mixture of two diastereomeric adducts in a ratio from 5:1 to 10:1. The major diastereomer of N-sulfinyloaminophosphonate **3a** was isolated and converted into the corresponding β-aminophosphonate **4a** and β-amino-β-phenylethanephosphonic acid **5** as shown below.



The absolute configuration of the acid (+)-**5** was established as (R) by X-ray crystallography. Hence, it was possible to to assign the (S_SR_C) and (R) configuration to (+)-**3a** and (-)-**4a**, respectively. The preferential formation of (+)-(S_SR_C)-**3a** in the addition may be rationalized by assuming the nucleophilic attack of α-phosphonate carbanion on the *s-cis* conformation of the sulfilimine **2a** *anti* to the p-tolyl group.