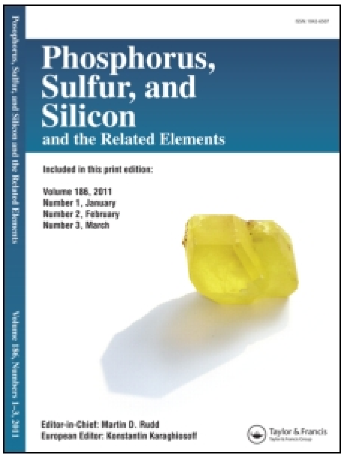

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Regio- and Stereoselective Synthesis, Solution Conformations of 2-Amino-1-hydroxy-2-arylethylphosphonic Esters and Acids

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REGIO- AND STEREOSELECTIVE SYNTHESIS, SOLUTION CONFORMATIONS OF 2-AMINO-1-HYDROXY-2-ARYLETHYLPHOSPHONIC ESTERS AND ACIDS

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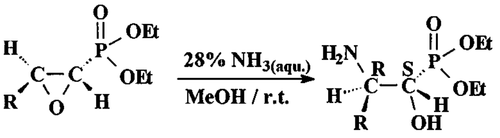
Phosphonic acids with heteroatoms in the α and/or β positions have attracted considerable interests because of their use as inhibitors of proteolytic enzymes or agents affecting the growth of plants.

According to this fact, we have developed new, highly regio- and diastereoselective way of synthesis of 2-amino-1-hydroxy-2-arylethylphosphonic esters[1] and acids by opening of *trans* 1,2-epoxy-2-arylethylphosphonic esters with 28% $NH_{3(aqu.)}$ in methanol.

Concerning the diastereoselectivity, the obtained esters and acids are probably the unlike diastereoisomers. Conformational studies (NMR, IR) confirm S_N2 mechanism and show the formation of hydrogen bond between $(NH_2)\ldots(OH)$ moieties in case of esters, whereas for acids formation of hydrogen bond between $(NH_2)\ldots(P{=}O)$ predominate.[2]



(±) *trans* (±) *unlike*

SCHEME 1

In the case of trisubstitued epoxyphosphonates we observe rearrangement reaction, instead of expected S_N2 reaction.[3]

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REFERENCES

[1] H. J. Cristau, J. L. Pirat, M. Drag, and P. Kafarski, *Tetrahedron Lett.*, **41,** 9781–9785 (2000).

[2] R. Latajka, M. Drag, R. Gancarz, and P. Kafarski, *Journal of Molecular Structure*, **605,** 79–84 (2002).

[3] M. Drag, P. Kafarski, J.-L. Pirat, and H. J. Cristau, *Phosphorus, Sulfur and Silicon* **177,** 1153–1156 (2002).