

Diastereoselective synthesis of α -aminoalkylphosphonic acid derivatives of Betti base

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Abstract

© 2014 Springer Science+Business Media New York. A reaction of triethyl phosphite with 3-alkyl-1-phenylnaphthoxazines in the presence of halotrimethylsilanes with subsequent removal of the trimethylsilyl group by hydrolysis furnished diastereomeric α -aminoalkylphosphonic derivatives of Betti base. The highest diastereomeric excess was observed in the reaction with bromotrimethylsilane at low temperature. In the case of 3-isobutyl-1-phenylnaphthoxazine, a major diastereomer was isolated from the reaction mixture by crystallization. X-ray diffraction analysis was used to establish relative configuration of its chiral centers. This method can be also used for the preparation of α -aminobenzylphosphonic derivatives, which was shown using 1,3-diphenylnaphthoxazine as an example. Major diastereomers of α -aminobenzyl- and α -aminoalkylphosphonic acid derivatives of Betti base obtained according to this procedure have different relative configurations of their chiral centers.

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Keywords

3-alkyl-1-phenylnaphthoxazines, asymmetric induction, Betti base, halosilanes, triethyl phosphite, α -aminoalkylphosphonates