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Phosphonylation of 1,3-Diaryl-2,3-dihydro1*H*-naphth[1,2-*e*][1,3]oxazine by Dialkyl and Diaryl Phosphonates

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Phosphonylation of 1,3-Diaryl-2,3-dihydro-1*H*-naphth[1,2-*e*][1,3]oxazine by Dialkyl and Diaryl Phosphonates

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The possibility of using of easily available 1-(α -aminobenzyl)-2-naphthols as chiral auxiliaries in the synthesis of non-racemic α -aminophosphonates has been shown.

Keywords 1-(α -aminobenzyl)-2-naphthol; α -aminophosphonates; chiral

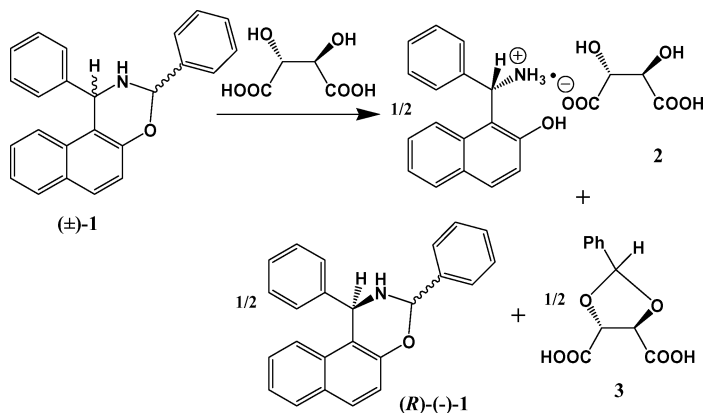
Chiral 1-(α -aminobenzyl)-2-naphthols, known as Betti bases, are available from the condensation of β -naphthol with benzaldehydes and ammonia or amines. These compounds are of interest to chemists who work on asymmetric syntheses and are looking for enantiopure chiral inducers or chiral precursors. Recently, Hu et al.¹ reported the resolution of isolated Betti base as an *L*-(+)-tartaric acid salt. We report here the resolution of the Betti base condensation product **1** (formed in situ from 2-naphthol, ammonia and benzaldehyde) using *L*-(+)-tartaric acid. The oxazine **1** exists in CDCl₃ solution as a mixture of the tautomeric

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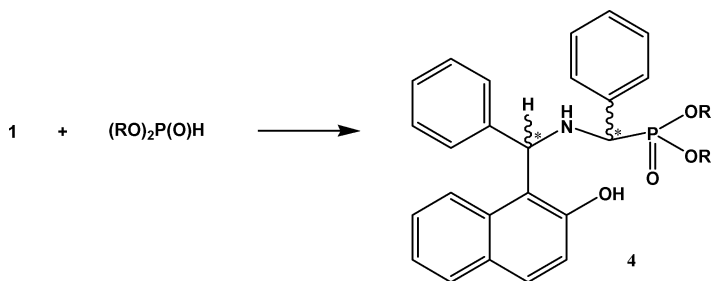
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oxazine and imine forms, resonances of all forms being present in the ^1H NMR spectrum.

The products of this reaction are (S) -(+)-1-(α -aminobenzyl)-2-naphthol tartrate **2**, the acetal of benzaldehyde and tartaric acid **3** and (R) -(-)-1,3-diaryl-2,3-dihydro-1*H*-naphth[1,2-*e*][1,3]oxazine, which can be easily separated by crystallization. The addition reactions of dialkyl- and diphenylphosphite with racemic and enantiopure **1** and its 4-arylsubstituted derivatives have also been studied. The reactions proceed diastereoselectively with formation of aminophosphonates **4**.



REFERENCE

- [1] Y. Dong, R. Li, J. Lu, X. Xu, X. Wang, and Y. Hu, *J. Org. Chem.*, **70**, 8617 (2005).