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Dimethyl(S)-2-Hydroxy-3-Chloropropylphosphonate—Accessible Chiron for the Asymmetric Synthesis of Hydroxyphosphonates

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Dimethyl(*S*)-2-Hydroxy-3-Chloropropylphosphonate— Accessible Chiron for the Asymmetric Synthesis of Hydroxyphosphonates

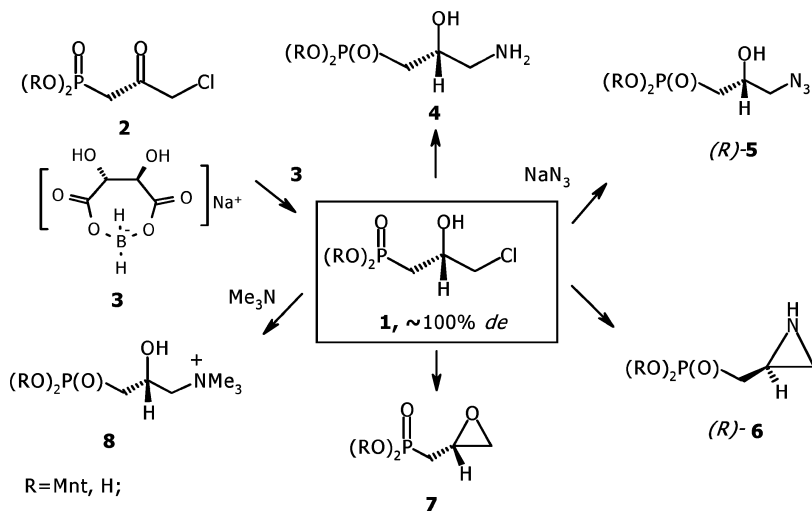
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*Dimethyl(*S*)-2-hydroxy-3-chloropropylphosphonate is accessible chiron, which was used for the preparation of a number of biologically important enantiomerically pure products, including phospho-GABOB, 2,3-aziridinopropylphosphonate, 2,3-epoxypropylphosphonate, phospho-carnitine, etc., in multigram scale.*

Keywords Asymmetric synthesis; chiral hydroxyphosphonates; stereoselectivity

Optically pure dimethyl (*S*)-2-hydroxy-3-chloropropylphosphonate **1** was synthesized by reaction of the di(*1R*, *2S*, *5R*)-menthylketo-phosphonate **2** with the chiral complex **3**.¹ The reduction of the



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ketophosphonate **2** by this complex proceeded under the control of double asymmetric induction² and resulted in the formation of the hydroxyphosphonate **1** with high optical and chemical yields. The compound **1** was isolated as a crystalline stereochemically pure substance with ~100% *de*. The phosphonate **1** is accessible chiron, which was used for the preparation of a number of biologically important enantiomerically pure products, including phospho-GABOB **4**, 2,3-aziridinopropylphosphonate **6**, 2,3-epoxypropylphosphonate **7**, phospho-carnitine **8**, etc in multigramme scale. The structure, chemical and optical purity, absolute configurations of all synthesized compounds were carefully investigated.^{3,4}

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