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New Catalysts for the Asymmetric Hydrophosphonylation of Aldehydes¹

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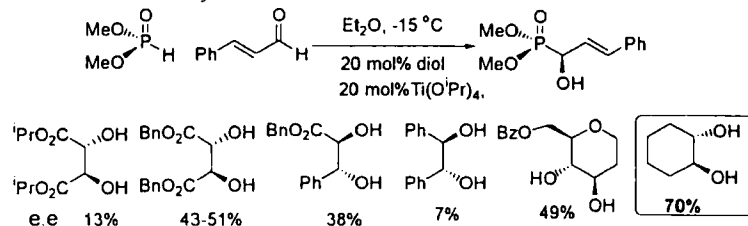
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New Catalysts for the Asymmetric Hydrophosphonylation of Aldehydes¹

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Chiral α -hydroxyphosphonates are biologically active² and are flexible precursors for other α and γ substituted phosphonates.³ The fact that the biological activity is often dependent on the absolute configuration of the α -position has resulted in a growing interest in methods for the asymmetric synthesis of hydroxyphosphonates.¹ Potentially, the most efficient and economic route to these compounds involves enantioselective catalysis.



A series of homochiral diol ligands were prepared to probe structural requirements for efficient enantioselective catalysis in the phosphonylation of aldehydes. Several ligands were examined, but the titanium complex of cyclohexanediol gave the best enantioselectivity, and was subsequently employed in the reaction of a range of aldehydes giving products with enantiomeric excesses of between 42 and 70%.

References

- [1] We are grateful to the National Science Foundation (CHE 9628820) for financial support of this project.
- [2] For a recent review see; D.F. Wiemer, *Tetrahedron* **53**, 16609 (1997).
- [3] E. Ohler and S. Kotzinger, *Synthesis* 497 (1993); H. Shabany and C.D. Spilling, *Tetrahedron Lett.* **39**, 1465 (1998); E. Ohler and S. Kanzler, *Liebigs Ann.* 1437 (1997).