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List of contents and authors:

Preface. How Biotechnology Developed at University College London by E. M. Crook. *The Future of Biotechnology* by P. Dunnill. *Carbohydrate Transformations by Immobilized Cells* by C. Bucke. *Biological Halogenation and Epoxidation* by S. L. Neidleman & J. Geigert. *High-Productivity Alcohol Fermentations using Zymomonas mobilis* by M. L. Skotnicki, R. G. Warr, A. E. Goodman, K. J. Lee & P. L. Rogers. *The Problem of Lignin Biodegradation* by L. Wallace, A. Paterson, A. McCarthy, U. Raeder, L. Ramsey, M. MacDonald, R. Haylock & P. Broda. *Special Bacterial Polysaccharides and Polysaccharases* by T. Harada. *A New Era of Exploitation of Microbial Metabolites* by A. L. Demain. *Industrial Prospects for Thermophiles and Thermophilic Enzymes* by B. S. Hartley & M. A. Payton. *Anaerobic Fermentations – Some New Possibilities* by J. G. Morris. *Xenobiotic Degradation in Industrial Sewage: Haloaromatics as Target Substrates* by H. J. Knackmuss. *Genetic Analysis and Manipulation of Catabolic Pathways in Pseudomonas* by P. R. Lehrbach & K. N. Timmis. *Plant Cell Cloning and Culture Products* by L. H. Jones. *A Hybrid Promoter and Portable Shine-Dalgarno Regions of Escherichia coli* by H. A. De Boer, L. J. Comstock, A. Hui, E. Wong & M. Vasser. *Subject Index.*

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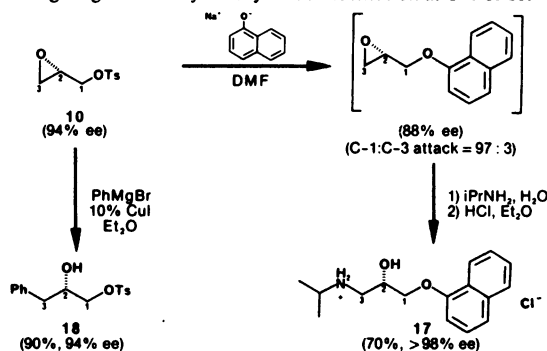


Chiral Building Blocks

The Sharpless group at MIT recently discovered that the presence of 3Å or 4Å molecular sieves renders the *Sharpless Asymmetric Epoxidation* truly catalytic.¹

Hundreds of applications,² most of them using full equivalents of the titanium-tartrate catalyst, have been reported since the Sharpless Epoxidation's discovery in 1980.¹ The latest Sharpless breakthrough enables most allylic alcohols to be quickly epoxidized using 5% catalyst.³ Moreover, product isolation is simplified, yields are improved and large-scale applications are much easier to perform. Both enantiomers are available, and at the same price, since a unique characteristic of the Sharpless Epoxidation is the ability to make one enantiomer as easily as the other.

Glycidyl tosylate (10), with its simple structure and double activation, is likely to prove the most versatile epoxy alcohol derivative. The tosylate is the foundation of one of the most efficacious routes to homochiral β-blockers, a one-pot, two-step sequence devised at MIT⁴ and already used to make the eutomers⁵ (active enantiomers) of penbutolol and propranolol (17). Unlike Grignard reagents under copper catalysis which attack A with high selectivity at C-3 (i.e., 10-18),⁶ these β-blocker syntheses hang on the high regioselectivity for aryloxy substitution at C-1 of 10.



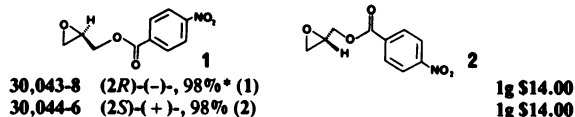
The three 4-nitrobenzoate derivatives are proving⁴ operationally equivalent (as expected) to the parent epoxy alcohols for Payne rearrangement-opening applications, such as those described in references 2a and 2d. Note that, by its very nature, this rearrangement does not racemize glycidyl or 2-methylglycidyl (soon to be offered as the 4-nitrobenzoate).

References:

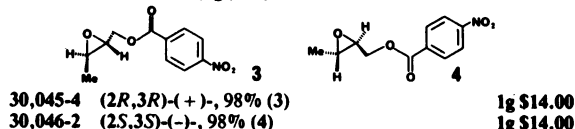
- 1) Hanson, R.M.; Ko, S.Y.; Chong, J.M.; Sharpless, K.B., unpublished results.
- 2) a) Sharpless, K.B.; Behrens, C.H.; Katsuki, T.; Lee, A.W.M.; Martin, V.S.; Takatani, M.; Viti, S.M.; Walker, F.J.; Woodward, S.S. *Pure Appl. Chem.* 1983, 55, 589; b) Rossiter, B.E. In "Asymmetric Synthesis"; Morrison, J.D., Ed.; Academic Press: New York, 1985; Vol. 5, Chapter 7; c) Finn, M.G.; Sharpless, K.B. *ibid.* Vol. 5, Chapter 8; d) Behrens, C.H.; Sharpless, K.B. *Aldrichim. Acta* 1983, 16(4), 67; e) Caron, M.; Sharpless, K.B. *J. Org. Chem.* 1985, 50, 1557; f) Chong, J.M.; Sharpless, K.B. *ibid.* 1985, 50, 1560; g) Chong, J.M.; Sharpless, K.B. *Tetrahedron Lett.* 1985, 26, 4683.
- 3) Katsuki, T.; Sharpless, K.B. *J. Am. Chem. Soc.* 1980, 102, 5974; US Patent 4,471,130.
- 4) Klunder, J.M.; Sharpless, K.B., unpublished results.
- 5) Ariens, E.J. *Eur. J. Clin. Pharmacol.* 1984, 26, 663.
- 6) Ko, S.Y.; Masamune, H.; Sharpless, K.B., unpublished results.

*Only chemical purities are indicated here. The enantiomeric purities for 1, 2, 9 and 10 are 90-94% ee, while the rest are 98+ % ee. These products are licensed under U.S. Patent 4,471,130.

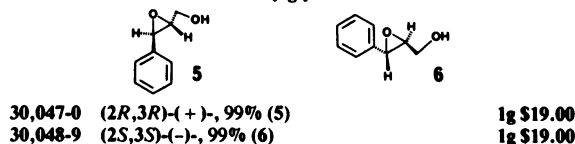
Glycidyl 4-Nitrobenzoates



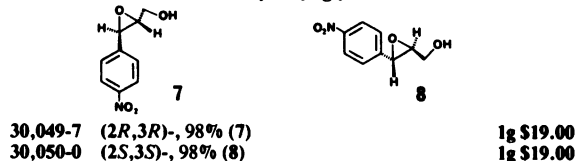
3-Methylglycidyl 4-Nitrobenzoates



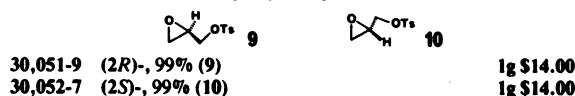
3-Phenylglycidols



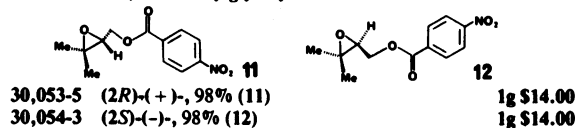
3-(4-Nitrophenyl)glycidols



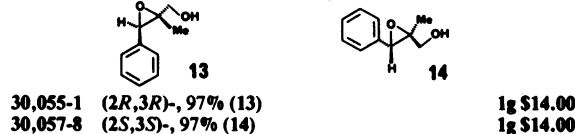
Glycidyl Tosylates



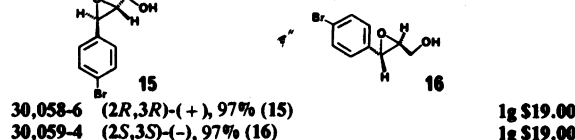
3,3-Dimethylglycidyl 4-Nitrobenzoates



2-Methyl-3-phenylglycidols



3-(4-Bromophenyl)glycidols



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