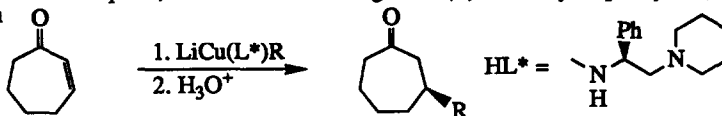


*Tetrahedron*, 1993, 49, 965

**Enantioselective Conjugate Addition to Cyclic Enones with Scalemic Lithium Organo(amido)cuprates, Part IV. Relationship Between Ligand Structure and Enantioselectivity**

Bryant E. Rossiter,\* Masakatsu Eguchi, Guobin Miao, Nicole M. Swingle, Amelia E. Hernández, Denise Vickers, Ezdan Fluckiger, R. Greg Patterson, and K. Vásavi Reddy  
Department of Chemistry, Brigham Young University, Provo, Utah 84602

Scalemic lithium amides derived from primary and secondary amines react with organocopper compounds in ether or dimethyl sulfide to form lithium organo(amido)cuprates capable of enantioselective conjugate addition to 2-cycloalkenones. The most successful heterocuprate, in which the chiral ligand is (*S*)-*N*-methyl-1-phenyl-2-(1-piperidiny)ethanamine, reacts with cyclic enones to form products  $\leq 97\%$  ee.



*Tetrahedron*, 1993, 49, 987

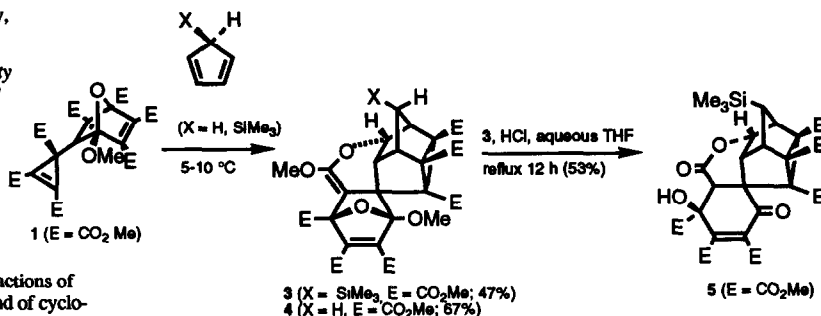
**DIELS-ALDER CYCLOADDITIONS OF CYCLOPENTADIENES TO DMAD TETRAMER**

Alan P. Marchand\*, S. Pulla Reddy, Rajiv Sharma, and Vijay R. Gadgil

Department of Chemistry University of North Texas, Denton, TX 76203

William H. Watson\* and Ram P. Kashyap

Department of Chemistry, Texas Christian University, Box 32908, Fort Worth, TX 76129



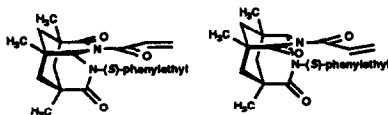
The results of studies of thermal reactions of 5-(trimethylsilyl)cyclopentadiene and of cyclopentadiene with DMAD tetramer are reported.

*Tetrahedron*, 1993, 49, 995

**New Bis-Lactam Chiral Auxiliaries for Nitrile Oxide Cycloadditions**

Jeffrey A. Stack, Timothy A. Heffner, Steven V. Geib, and Dennis P. Curran\*  
Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA

Two "pseudoeantiomeric" bis lactam chiral auxiliaries are introduced for use in asymmetric nitrile oxide cycloaddition reactions with derived acrylamides. Outstanding selectivities in the nitrile oxide cycloadditions (99/1) are not duplicated in other related reactions.

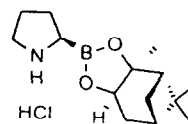


### The Efficient Synthesis and Simple Resolution of a Prolineboronate Ester Suitable for Enzyme-Inhibition Studies

Terence A. Kelly\*, Victor U. Fuchs, Clark W. Perry and Roger J. Snow

Department of Medicinal Chemistry, Boehringer Ingelheim Pharmaceuticals Inc  
900 Ridgebury Road / P.O. Box 368, Ridgefield, Connecticut 06877 USA

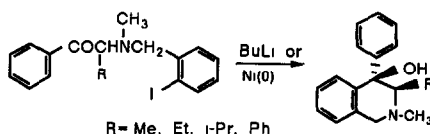
**Abstract:** A method for the preparation and resolution of the pinanediol ester of prolineboronic acid (7a) is described. The method takes advantage of the ease of both the lithiation and the reduction of boc-pyrrole to generate the desired compound rapidly and in high yield.



7a

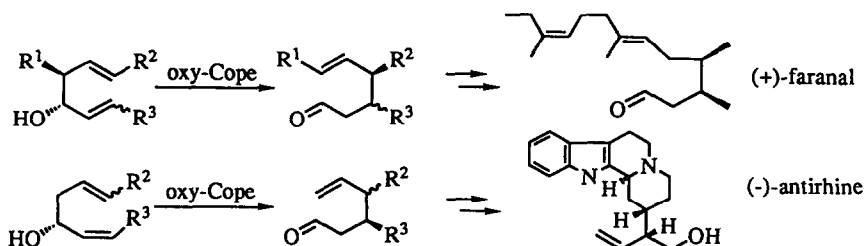
### DIASTEREOSELECTIVE SYNTHESIS AND OPTICAL RESOLUTION OF 3,4-SUBSTITUTED TETRAHYDROISOQUINOLIN-4-OLS

Masaru Kihara,\*Motoki Ikeuchi,  
Kaori Jinno, Minoru Kashimoto,  
Yoshimaro Kobayashi, and Yoshimitsu  
Nagao: Faculty of Pharmaceutical  
Sciences, The University of Tokushima,  
Sho-machi, Tokushima 770, Japan



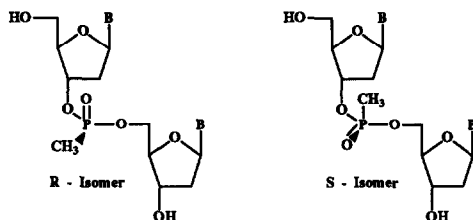
### Acyclic Diastereocontrol and Asymmetric Transmission via Anionic Oxy-Cope Rearrangement. Synthesis of Key Precursors of (+)-Faranal and (-)-Antirrhine

Shih-Yi Wei, Katsuhiko Tomooka, and Takeshi Nakai\*  
Department of Chemical Technology, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, Japan



### DETERMINATION AND PREDICTION OF THE ABSOLUTE CONFIGURATION OF DINUCLEOSIDE ALKYLPHOSPHONATES

A.V. Lebedev, A. Frauendorf\*, E.V. Vyazovkina, and J.W. Engels\*  
Russian Academy of Sciences (GUS), J.W.Goethe Universität (FRG)

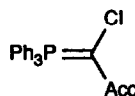


A new method is presented to predict the stereochemistry of all 16 methylphosphonate diastereomers using conformational analysis and multivariate statistics.

### Eine einfache Methode zur Herstellung von acceptorsubstituierten $\alpha$ -Chlormethylenphosphanen

Bernd Schäfer

BASF AG, Hauptlaboratorium, Carl-Bosch-Straße 38, D-6700 Ludwigshafen

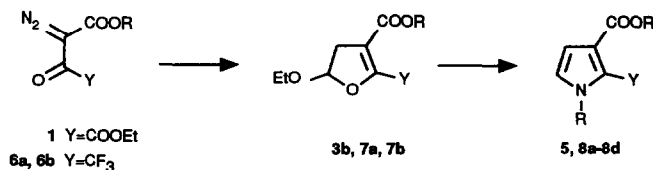


$\alpha$ -Chlorphosphanes can be easily prepared by the reaction of the corresponding phosphonium salts with bleaching powder in protic solvents.

### A NEW AND EFFICIENT SYNTHESIS OF 2-TRIFLUOROMETHYL SUBSTITUTED PYRROLES AND ETHYL-2,3-BIS(ETHOXYCARBONYL)-1H-PYRROLE-1-PROPIONATE

Michael G. Hoffmann\*, Pflanzenschutzforschung Chemie, Hoechst AG, D-6230 Frankfurt 80, Germany  
Ernest Wenkert, University of California - San Diego, La Jolla, California 92093, U S A

2,3-Disubstituted pyrroles (5, 8a-8d) have been synthesized in a simple two step procedure via dihydrofuroates (3b, 7a, 7b) starting from readily available diazoacetates (1, 6a, 6b).

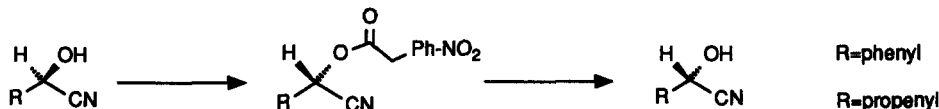


**INVERSION OF THE CONFIGURATION OF CYANOHYDRINS  
BY A MITSUNOBU ESTERIFICATION REACTION.**

E.G.J.C. Warmerdam<sup>1</sup>, J. Brussee<sup>2\*</sup>, C.G. Kruse<sup>2</sup> and A. van der Gen<sup>1</sup>

1) Dept of Chemistry, Gorlaeus Laboratories, Leiden University, P.O. Box 9502, 2300 RA Leiden, The Netherlands.

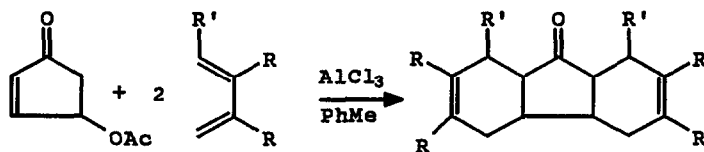
2) Solvay Duphar B.V., P.O. Box 900, 1380 DA Weesp, The Netherlands.



Optically active (*R*)-cyanohydrins have been transformed into cyanohydrin esters of opposite configuration under Mitsunobu conditions and subsequently solvolysed to (*S*)-cyanohydrins in high chemical and optical yield.

**TANDEM DIELS-ALDER REACTION OF 4-OXO-2-CYCLOPENTENYL  
ACETATE. A FACILE ONE-POT SYNTHESIS OF HYDROFLUORENONES<sup>1</sup>.**

Lucio Minuti<sup>a</sup>, Roberta Selvaggi<sup>a</sup>, Peter Sandor<sup>b</sup>, Aldo Taticchi<sup>a\*</sup>; <sup>a</sup>Dipartimento di Chimica, Università di Perugia, 06100 Perugia (Italy); <sup>b</sup>Varian NMR Department, 6100 Darmstadt 11 (Germany)

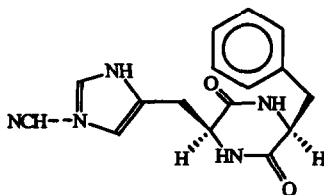


Tandem Diels-Alder Reaction of 4-oxo-2-cyclopentenyl acetate with cyclic and acyclic dienes under  $\text{AlCl}_3$  catalysis, has been studied. This methodology offers a straightforward route to hydrofluorenones.

**MECHANISTIC STUDIES ON THE ASYMMETRIC ADDITION OF HCN  
TO ALDEHYDES CATALYSED BY CYCLO[(S)-HIS-(S)-PHE].**

David J.P. Hogg and Michael North\*

Department of Chemistry, University of Wales, Bangor, Gwynedd, LL57 2UW

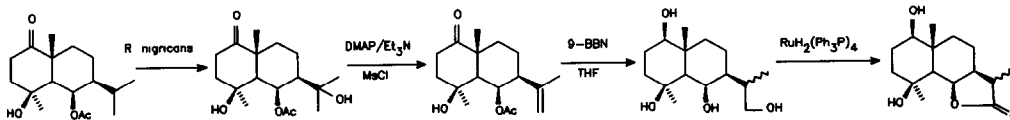


The nature of the interaction between cyclo[(S)-His-(S)-Phe] and HCN is investigated by nmr and molecular mechanics techniques.

**CHEMICAL-MICROBIOLOGICAL SYNTHESIS OF 6 $\beta$ -EUDESMANOLIDES FROM 11-HYDROXYL DERIVATIVES OBTAINED BY *RHIZOPUS NIGRICANS* CULTURES: SYNTHESIS OF 6 $\beta$ -DENDROSERINS**

A. García-Granados\*, A. Martínez, A. Parra, F. Rivas, M.E. Onorato and J.M. Arias  
Departamento de Química Orgánica, Facultad de Ciencias, Universidad de Granada, 18071 GRANADA (Spain)

A study of the relationship between the structures of different eudesmanes and the action of the fungus *Rhizopus nigricans* in order to obtain, in the highest possible yield, 11-hydroxyl derivatives to synthesize the 6 $\beta$ -eudesmanolides 11-R and 11-S-6-*epi*-dendroserin.

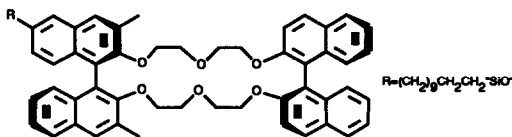


**MONOFUNCTIONAL CHIRAL CROWNS. PART 1**

Brian Brisdon,<sup>a</sup> Richard England,<sup>b</sup> Khalid Reza,<sup>a</sup>  
and Malcolm Sainsbury<sup>a</sup>

<sup>a</sup>School of Chemistry, <sup>b</sup>School of Chemical Engineering University of Bath, Bath BA2 7AY, U.K.

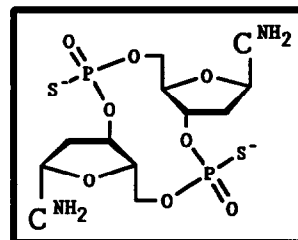
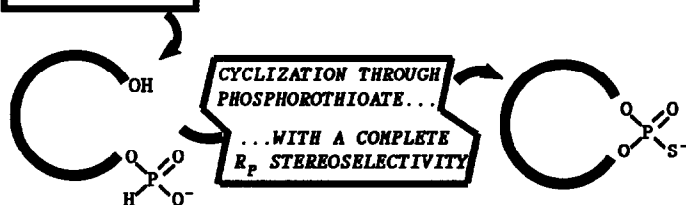
A siloxane oligomer bearing a chiral crown with high affinity for  $\alpha$ -amino acids has been synthesised. The host is a modified coronand first prepared by Cram *et al.*



**STEREOSELECTIVE SYNTHESIS OF CYCLIC  
DINUCLEOTIDE PHOSPHOROTHIOATES**

Carlo Battistini\*, Silvia Fustinoni, Maria Gabriella Brasca, and Daniela Borghi  
FARMITALIA CARLO ERBA - R&D - Via Giovanni XXIII, 23 - I 20014 Nerviano (Mi) - Italy.

PROTECTED  
DINUCLEOTIDES



**SYNTHESIS OF PEPTIDES CONTAINING A SULFINAMIDE OR  
A SULFONAMIDE TRANSITION-STATE ISOSTERE**

Wilna J. Moree, Liesbeth C. van Gent, Gijs A. van der Marel and Rob M.J. Liskamp\*  
Gorlaeus Laboratories, University of Leiden, P.O. Box 9502, 2300 RA Leiden, The Netherlands

Peptides incorporating the sulfinamide or sulfonamide transition-state isostere of Gly-Xxx are easily accessible starting from cysteamine; subsequent  $\alpha$ -alkylation of the sulfonamide gives the transition-state analogues of other amino acids than Gly.

