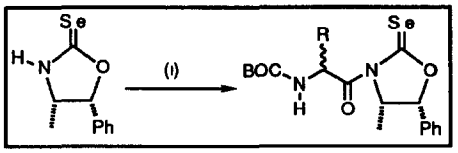
GRAPHICAL ABSTRACTS

Tetrahedron Asymmetry **1994,** *5,* 1627

Use of a Selone Chiral Derivatizing Agent for the Absolute Configurational Assignment of Stereogenic Centers

Jie Peng, Jerome D Odom, R. Bruce Dunlap, and Louis A. Silks III
Los Alamos National Laboratory, Biochemistry and Spectroscopy Section, CST-14 MS C-345, Los Alamos, NM 87545 and Department of Chemistry and Biochemistry, University of South Carolina, Columbia, SC 29208



(i) DCC mediated coupling of 7 (D or L)N-Boc-amino acids (ala, val, leu, ile, met, phe, pro)

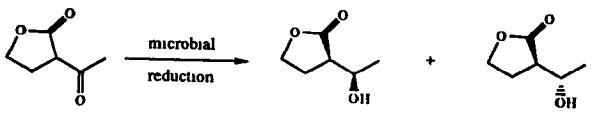
Configurational assignment of the parent amino acid can be assessed using ^{77}Se NMR, TLC, UV, and CD

Tetrahedron Asymmetry **1994,** *5,* 1631

SYNTHESIS OF HOMOCHIRAL *syn* AND *anti*-α-(HYDROXYETHYL) -γ-BUTYROLACTONES *via* MICROBIAL REDUCTION

G Fantin, M Fogagnolo, P Giovannini A Medici, E Pagnotta, P Pedrini A Trincone, Dipartimento di Chimica Università di Ferrara, Italy , Istituto per la Chimica di Molecole di Interesse Biologico, CNR Arco Felice (Naples), Italy

syn- and *anti*-α-(Hydroxyethyl)-γ-butyrolactones enantiomerically pure are obtained *via* microbial reduction

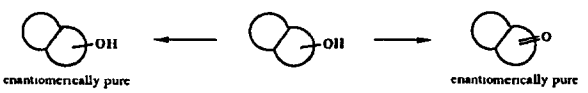


Tetrahedron Asymmetry **1994,** *5,* 1635

KINETIC RESOUTION *via* OXIDATION OF *endo*-BICYCLIC OCTEN- AND HEPTENOLS WITH *Bacillus stearothermophilus*

G Fantin, M Fogagnolo, A Medici, P Pedrini G Rosini Dipartimento di Chimica, Università di Ferrara Ferrara, Italy , Dipartimento di Chimica Organica A Mangini , Università di Bologna Bologna, Italy

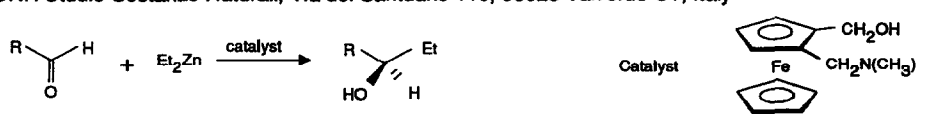
Kinetic resolution of the title alcohols is described Enantiomerically pure ketones and alcohols are obtained



Tetrahedron Asymmetry **1994,** *5,* 1639

Enantiopure 1-Hydroxymethyl-2-dimethylaminomethylferrocene as Efficient Catalyst in the Enantioselective Addition of Diethylzinc to Aldehydes

Giovanni Nicolosi*, Angela Patti, Raffaele Morrone and Mario Piattelli
Istituto CNR Studio Sostanze Naturali, Via del Santuario 110, 95028 Valverde CT, Italy

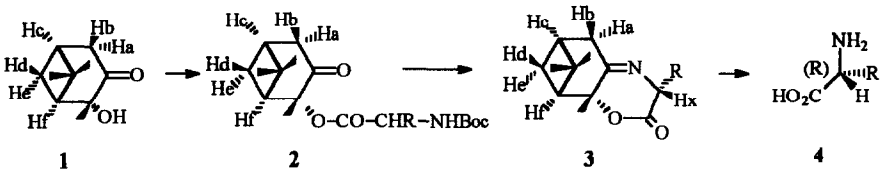


Enantioselective addition of diethylzinc to several aldehydes in the presence of enantiopure 1-hydroxymethyl- 2-dimethylaminomethylferrocene as catalyst afforded the corresponding sec -alcohols in high yields and good optical purity

Tetrahedron Asymmetry **1994,** *5,* 1643

Diastereoselective Cyclisation of 2-Hydroxypinan-3-onyl Amino Esters

M Calmes J Daunis, F Escale, R. Jacquier, M L Roumestant



1 **2** **3** **4**

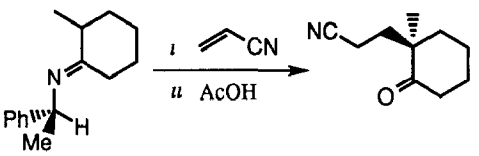
a R=CH_3 **b** R=$CH(CH_3)_2$ **c** R= $CH_2C_6H_5$ **d** R=$CH_2CH(CH_3)_2$

Tetrahedron Asymmetry **1994,** *5,* 1645

The Asymmetric Michael Reaction Involving Chiral Imines: Use of Acrylonitrile as Acceptor and Subsequent Functionalization of the Adducts

Didier Desmaële, Fatima Zouhiri, Jean d'Angelo
Laboratoire de Chimie Organique associé au CNRS, Centre d'Etudes Pharmaceutiques, 5 rue J -B Clément, 92296 Châtenay-Malabry (France)

Condensation of imine **1** with acrylonitrile led to adduct **6** (75 %, e e ≥ 95 %) This adduct has been converted into various chiral synthons by olefination or carbonyl homologation

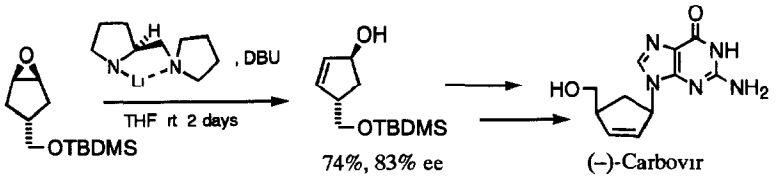


1 **6**

Tetrahedron Asymmetry **1994,** *5,* 1649

An Asymmetric Synthesis of (–)-Carbovir

Masatoshi Asami,* Jun Takahashi, and Seiichi Inoue
Department of Synthetic Chemistry, Faculty of Engineering, Yokohama National University, Tokiwadai, Hodogaya-ku, Yokohama, 240 Japan



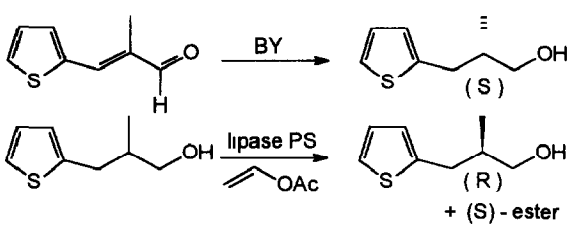
74%, 83% ee (–)-Carbovir

Tetrahedron Asymmetry **1994,** *5,* 1653

A Biocatalytic Approach to Nonracemic 2-Methyl-3-(2-thiophene)-1-propanols as Chiral Building Blocks for the Synthesis of Pyridine Alkaloids

Franz Bracher and Thomas Papke
Inst Pharm Chem , Techn Univ Braunschweig, Germany

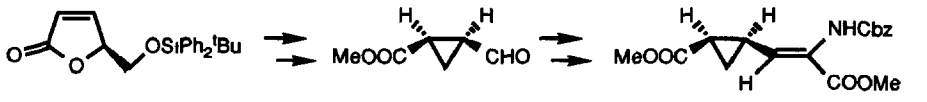
Asymmetric synthesis of (S) - (-) - and (R)-(+)-2-methyl-3-(2-thiophene)-1-propanols with improved yeast reduction and kinetic resolution with lipase



Tetrahedron Asymmetry **1994,** *5,* 1657

STEREOSELECTIVE SYNTHESIS OF ENANTIOPURE CYCLOPROPANE DIDEHYDROAMINO ACID DERIVATIVES. (+)-(Z)-2-BENZYLOXYCARBONYLAMINO-4,5-CYCLOPROPANE-2-HEXENODIOIC ACID DIMETHYL ESTER

Neuh Hanafi and Rosa M Ortuño *
Departament de Química, Universitat Autònoma de Barcelona, 08193 Bellaterra, Spain

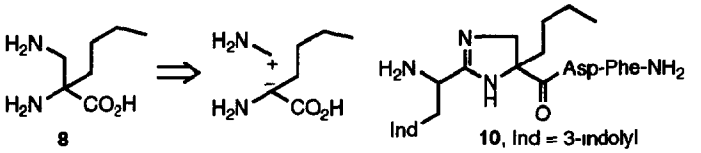


Tetrahedron Asymmetry **1994,** *5,* 1661

SYNTHESIS OF A HOMOCHIRAL α,α-DISUBSTITUTED α,β-DIAMINO-ACID

Raymond C F Jones *[a], Alan K Crockett,[a] David C Rees[b] and Ian H Gilbert[b]
([a]Chemistry Department, Nottingham University, Nottingham NG7 2RD, UK,
[b]Parke-Davis Research Unit, Addenbrookes Hospital Site, Hills Road, Cambridge CB2 2QB)

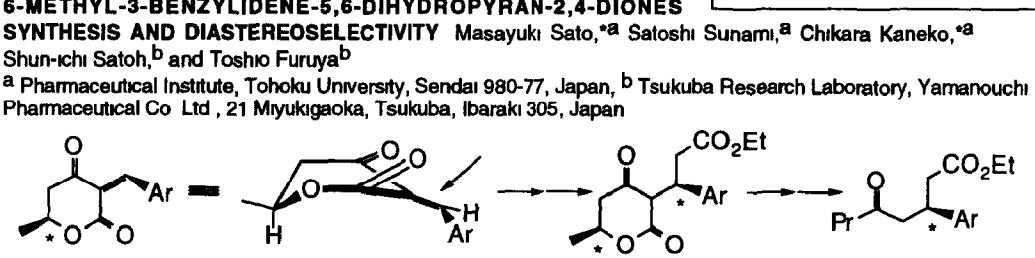
Synthesis of both enantiomers of the α,α-disubstituted α,β-diamino-acid **8** is described, with an application to the synthesis of a homochiral peptide mimetic **10** of CCK-4



Tetrahedron Asymmetry **1994,** *5,* 1665

6-METHYL-3-BENZYLIDENE-5,6-DIHYDROPYRAN-2,4-DIONES SYNTHESIS AND DIASTEREOSELECTIVITY

Masayuki Sato,*[a] Satoshi Sunami,[a] Chikara Kaneko,*[a] Shun-ichi Satoh,[b] and Toshio Furuya[b]
[a] Pharmaceutical Institute, Tohoku University, Sendai 980-77, Japan, [b] Tsukuba Research Laboratory, Yamanouchi Pharmaceutical Co Ltd , 21 Miyukigaoka, Tsukuba, Ibaraki 305, Japan

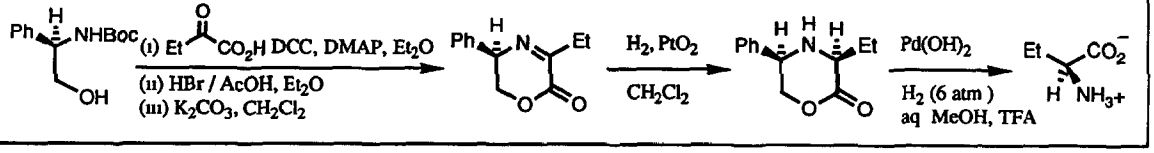


Tetrahedron Asymmetry **1994,** *5,* 1669

Synthesis of Homochiral α–Amino Acids by Reductive Amination of α–Ketoacids *via* 3–Substituted 5–Phenyl–3,4–dehydromorpholinones: Synthesis of (*S*)– and (*R*)– 2–Aminobutanoic acid.

Geoffrey G Cox, Laurence M Harwood, Dyson Perrins Laboratory, University of Oxford, South Parks Road, OXFORD, OX1 3QY, U K

2–Oxobutanoic acid has been converted to (*R*)– or (*S*)–2–aminobutanoic acid *via* highly diastereocontrolled hydrogenation of the corresponding homochiral 3–ethyl–5–phenyl–3,4–dehydromorpholin–2–one derivatives



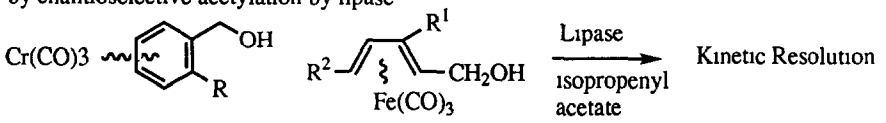
Tetrahedron Asymmetry **1994,** *5,* 1673

Kinetic Resolution of Hydroxymethyl Substituted (Arene)$Cr(CO)_3$ and (Diene)$Fe(CO)_3$ by Lipase

M Uemura[a,*], H Nishimura[a], S Yamada[a], Y Hayashi[a], K Nakamura[b], K Ishihara[b], A. Ohno[b]

[a] Faculty of Science, Osaka City University, Sugimoto, Sumiyoshi, Osaka 558, Japan
[b] Institute for Chemical Research, Kyoto University, Uji, Kyoto 611, Japan

Hydoxymethyl substituted (arene)chromium and (diene)iron complexes have been resolved by enantioselective acetylation by lipase



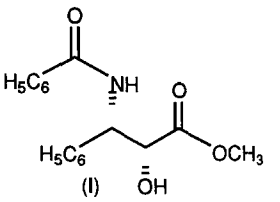
Tetrahedron Asymmetry **1994,** *5,* 1683

N-Benzoyl-(2*R*,3*S*)-3-Phenylisoserine Methyl Ester; A Facile and Convenient Synthesis and Resolution by Entrainment

Ranjan P Srivastava[a], Jordan K. Zjawiony[a,b], John R Peterson[a,b,c] and James D McChesney[a,b,*]

[a] Research Institute of Pharmaceutical Sciences and [b] Department of Pharmacognosy, School of Pharmacy, The University of Mississippi, University, MS 38677,
[c] Panlabs, Inc , 11804 North Creek Parkway South, Bothell, WA 98011

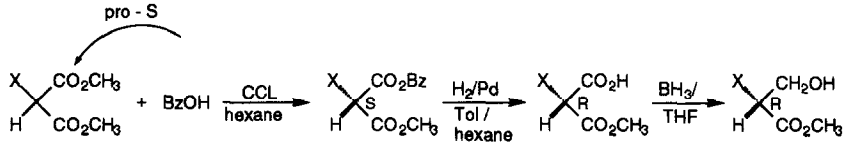
A highly practical and inexpensive synthesis of *N*-Benzoyl-(2*R*,3*S*)-3-phenylisoserine Methyl Ester (I), also known as the "taxol side chain methyl ester", has been developed via entrainment based on the observation that compound I exhibits conglomerate crystal structure



Tetrahedron Asymmetry **1994,** *5,* 1689

ENZYMATYC SYNTHESIS OF CHIRAL MONOSUBSTITUTED MALONATES IN ORGANIC SOLVENTS

Michal Shapira and Arie L Gutman*
Department of Chemistry, Technion - Israel Institute of Technology Haifa 32000, Israel



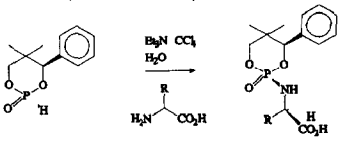
Prochiral stereospecificity of enzymes in organic solvents was used for the formation of heretofore unknown chiral monosubstituted malonate diesters

Tetrahedron Asymmetry **1994,** *5,* 1701

(*S*)-2H-2-oxo-5,5-dimethyl-4(*R*)-phenyl-1,3,2-dioxaphosphorinane, a New Reagent for the Enantiomeric Excess Determination of Unprotected Amino Acids using ^{31}P NMR

R Hulst[#], R W J Zijlstra[#], N K de Vries[##] and B L Feringa[#,*]
[#]Department of Organic and Molecular Inorganic Chemistry, Groningen Center for Catalysis and Synthesis, University of Groningen, Nijenborgh 4 9747 AG Groningen The Netherlands [##]DSM Research Base Chemicals and Hydrocarbons DSM Geleen P O Box 18, 6160 MD Geleen, The Netherlands

Title compound was used in the enantiomeric excess determination of unprotected amino acids using ^{31}P NMR spectroscopy



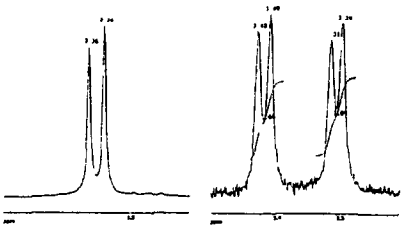
Tetrahedron Asymmetry **1994,** *5,* 1711

Determination of Enantiomeric Composition of 2-Phenyl-2-(2-piperidyl)acetamide. A Routine Method for Evaluation of Enantiomeric Purity of Primary Amides

Branko S Jursic*, Zoran Zdravkovski and Miljenko Zuanic

Department of Chemistry, University of New Orleans
New Orleans Louisiana 70148, USA

Several chiral resolving agents induce signal separation in the ^{1}NMR of the title compound



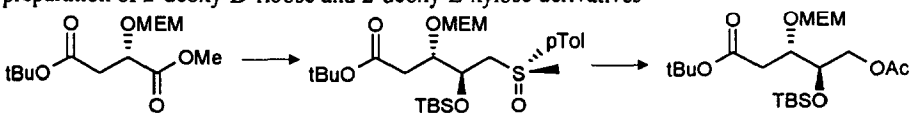
Tetrahedron Asymmetry **1994,** *5,* 1717

Asymmetric synthesis induced by chiral sulfoxides 2-deoxy-sugars from β-ketoesters via malic acid

Guy Solladie*, Antonio Almario

Laboratoire de Stereochimie associe au CNRS, Ecole Europeenne des Hautes des Industries chimiques, 1, rue Blaise Pascal, 67008 Strasbourg, France

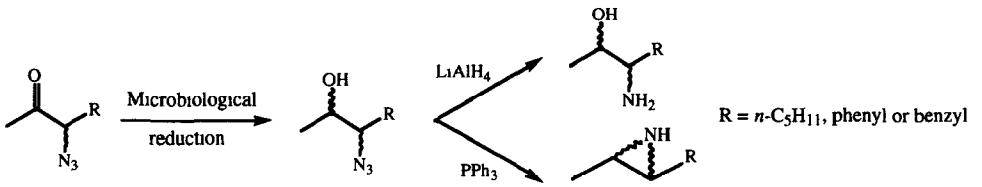
The transformation of (S) malic esters, via the reduction of β-keto-γ-alkoxy-sulfoxides was applied to the preparation of 2-deoxy-D-ribose and 2-deoxy-L-xylose derivatives



Tetrahedron Asymmetry **1994,** *5,* 1727

Chemoenzymatic Synthesis of Chiral β-Azidoalcohols.
Application to the Preparation of Chiral Aziridines and Aminoalcohols

P Besse[1], H Veschambre[1], R Chênevert[2] and M Dickman[2]
1-URA 485 du CNRS, Université Blaise Pascal, 63177 Aubière Cedex, France, 2-Université Laval, Québec, Canada, G1K 7P4

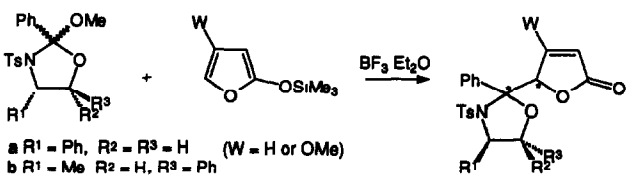


Tetrahedron Asymmetry **1994,** *5,* 1745

ASYMMETRIC SYNTHESIS OF BUTENOLIDE AND BUTYROLACTONE DERIVATIVES

By Andrew Pelter Robert S Ward and Abdulkadir Sirit
(Chemistry Department, University of Wales, Swansea, Singleton Park Swansea SA2 8PP, U K)

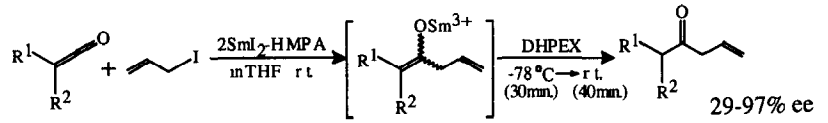
Chiral ortho-esters and oxazolidines react with 2-trimethylsiloxyfurans to give 2(5 *H*) furanone derivatives which are potential substrates for asymmetric conjugate addition reactions



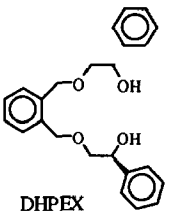
Tetrahedron Asymmetry **1994,** *5,* 1763

Enantioselective Protonation of Samarium Enolates by a C_2-Symmetric Chiral Diol.

Seiji Takeuchi,* Akiko Ohira, Norikazu Miyoshi, Hajime Mashio, and Yoshiaki Ohgo
Niigata College of Pharmacy, 5-13-2 Kamishin'ei cho, Niigata 950-21, Japan



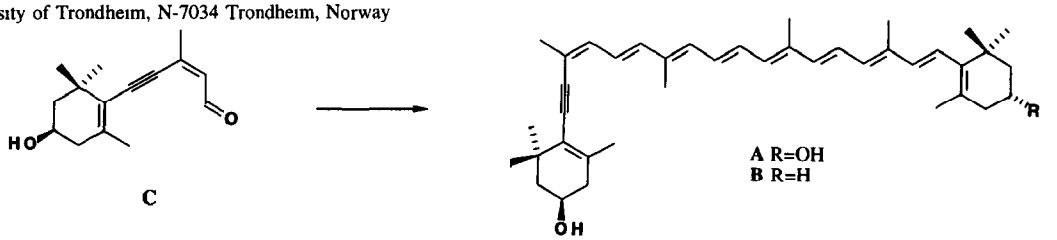
R^1=Ph, $PhC(CH_3)_2$, $PhCH_2C(CH_3)_2$, t-Bu, $BuC(CH_3)_2$, 4-Cl-C_6H_4, $PhCH_2$, 2,6-Cl_2-C_6H_3,
R^2=Me, Et, i-Pr, R^1,R^2=1'-(1',2',3',4'-tetrahydronaphthylidene)



Tetrahedron Asymmetry **1994,** *5,* 1781

Total synthesis of acetylenic carotenoids 3
First total synthesis of optically active 9-*Z*-(3*R*,3'*R*)-diatoxanthin and 9-*Z*-(3*R*)-7,8-didehydrocryptoxanthin

J A Haugan and S Liaaen-Jensen, Organic Chemistry Laboratories Norwegian Institute of Technology
University of Trondheim, N-7034 Trondheim, Norway

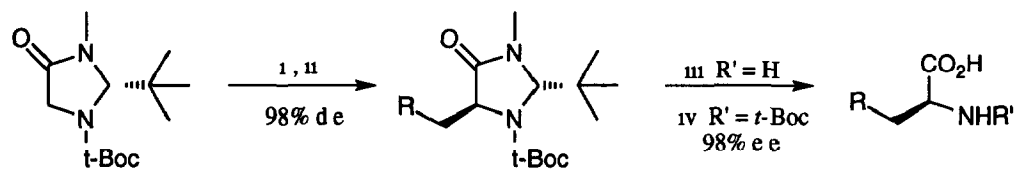


Tetrahedron Asymmetry **1994,** *5,* 1793

Intercalator Amino Acids: Synthesis of Heteroaryl alanines

Guy Y Knippner and Margaret M Harding*
Department of Organic Chemistry, University of Sydney, N S W , 2006, Australia

R = 2-quinolyl
R = 2-quinoxolyl
R = 5-phenanthrolyl

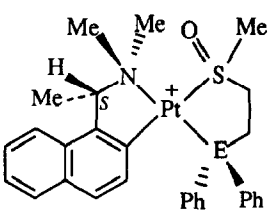


Tetrahedron Asymmetry **1994,** *5,* 1805

Stereoelectronic Effects on the Chelating Properites of [2-(Methylsulfinyl)ethyl]diphenylarsine and its Phosphorus Analogue

Simon Y M Chooi, John D Ranford, Pak-Hing Leung* and K F Mok*
Department of Chemistry, National University of Singapore, Singapore 0511

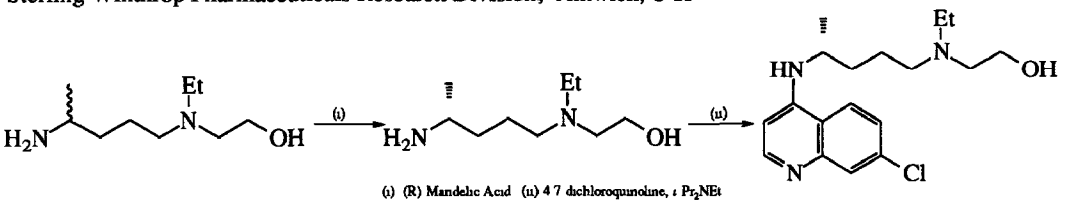
Internally diastereomeric complex cations were prepared by the reactions of the ortho-metalated (*S*)-[1-[1-(dimethylamino)ethyl]-2-naphthalenyl-*C*,*N*]-platinum(II) unit and the appropriate $Ph_2ECH_2CH_2S(O)Me$ (E = As, P) ligands X-ray structural analysis and solution NMR studies showed the exclusive E-S coordination mode for both E-S(O) chelates that contrasts the E-O bonding for the palladium(II) analogue



Tetrahedron Asymmetry **1994,** *5,* 1815

A Practical Synthesis of the Enantiomers of Hydroxychloroquine

Paul M Blaney, Stephen J Byard, Glynis Carr, George J Ellames, John M Herbert,* James E Peace, David I Smith, William F Michne and Mark S Sanner
Sterling Winthrop Pharmaceuticals Research Division, Alnwick, U K

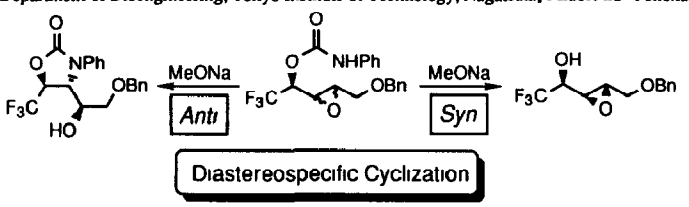


(i) (R) Mandelic Acid (ii) 4 7 dichloroquinoline, i Pr_2NEt

Tetrahedron Asymmetry **1994,** *5,* 1823

Diastereospecific Cyclization of Optically Active Trifluoromethylated Epoxycarbamates

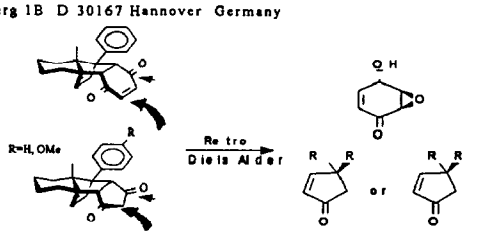
Takashi Yamazaki,* Hitoshi Iwatsubo and Tomoya Kitazume*
Department of Bioengineering, Tokyo Institute of Technology, Nagatsuta, Midori-ku Yokohama 227 Japan



Tetrahedron Asymmetry **1994,** *5,* 1831

PURE ENANTIOMERS FROM SIMPLE, SYMMETRIC DIENOPHILES

Pierre Riviere, Antony Mauvais and Ekkehard Winterfeldt*
Institut für Organische Chemie der Universität Hannover
Schneiderberg 1B D 30167 Hannover Germany



Starting from p-benzoquinone or 2-cyclopentene-1 4-dione as dienophiles and the enantiomerically pure diene 1 high pressure cycloadditions led to chiral adducts These were transformed in a regioselective manner

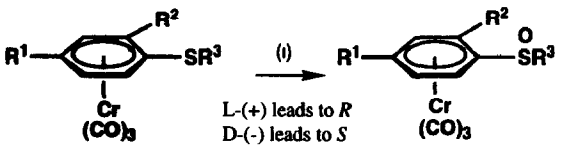
Tetrahedron Asymmetry **1994,** *5,* 1847

Alkylthio Substituted Tricarbonyl(arene)chromium(0) Complexes as Substrates for Asymmetric Oxidation

Siân L Griffiths, Stéphane Perrio and Susan E Thomas*
Department of Chemistry, Imperial College, South Kensington, London, U K

(i) $Ti(OPr^i)_4$ / L-(+)- or D-(-)-DET / H_2O / cumene hydroperoxide (2 4 2 1 3 or 0 55)

R^1 = H, Me, MeO, R^2 = H, Me, R^3 = Me, Et, Pr^i, Bu^t, Ph



L-(+) leads to *R*
D-(-) leads to *S*