

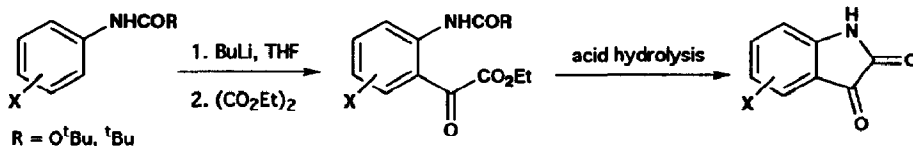
GRAPHICAL ABSTRACTS

*Tetrahedron Letters*, 1994, 35, 7303

**A General Method for the Synthesis of Isatins: Preparation of Regiospecifically Functionalized Isatins from Anilines**

Piyasena Hewawasam\* and Nicholas A. Meanwell

Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492

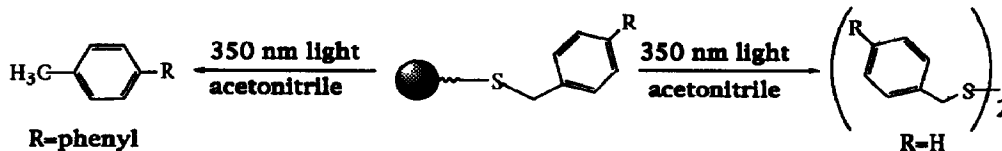


*Tetrahedron Letters*, 1994, 35, 7307

**SOLID-PHASE PHOTOCHEMICAL C-S BOND CLEAVAGE OF THIOETHERS-A NEW APPROACH TO THE SOLID-PHASE PRODUCTION OF NON-PEPTIDE MOLECULES.**

Irving Sucholeiki

Sphinx Pharmaceuticals, Cambridge, Massachusetts 02139

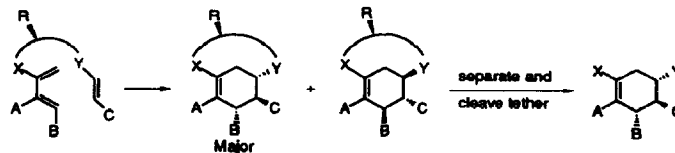


*Tetrahedron Letters*, 1994, 35, 7311

**Role of Chiral Auxiliaries in the Type 2 Intramolecular Diels-Alder Reaction. Influence on Diastereoselectivity.**

Kenneth J. Shea\* and Donald R. Gauthier, Jr.

Department of Chemistry, University of California, Irvine, California 92717-2025.



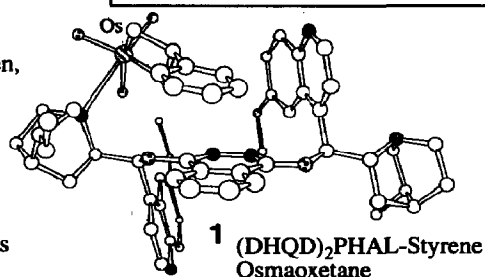
*Tetrahedron Letters*, 1994, 35, 7315

**Comparing Two Models for the Selectivity in the Asymmetric Dihydroxylation Reaction (AD)**

Heinrich Becker, Pui Tong Ho, Hartmuth C. Kolb, Stefan Loren, Per-Ola Norrby, and K. Barry Sharpless\*

Department of Chemistry, The Scripps Research Institute, 10666 N. Torrey Pines Road, La Jolla, CA 92037, U.S.A.

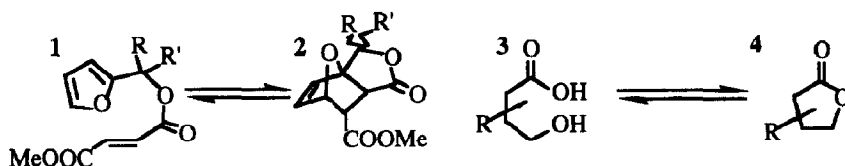
Two models of the transition state arrangement for the asymmetric dihydroxylation reaction (AD) with bis-cinchona alkaloid ligands are discussed. The calculated structure **1** seems to be a reasonable model of the AD Reaction.



**EVIDENCE AGAINST THE REACTIVE ROTAMER EXPLANATION OF THE GEM-DIALKYL EFFECT.** Abby L. Parrill and Daniel P. Dolata\*, Carl S. Marvel Laboratory, University of Arizona, Tucson, AZ 85721

*Tetrahedron Letters*, 1994, 35, 7319

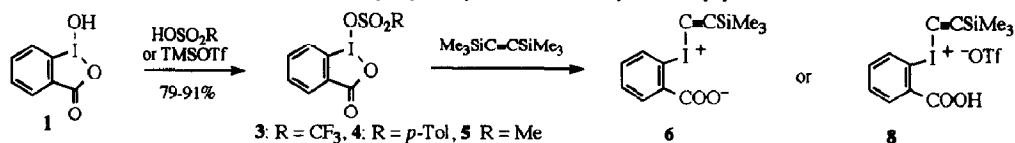
Evidence is presented against the Reactive Rotamer explanation of the *gem*-dialkyl effect and the Facilitated Transition explanation is proposed.



**PREPARATION AND CHEMISTRY OF 1-ORGANOSULFONYLOXY-1,2-BENZIODOXOL-3-(1H)-ONES: FIRST EXAMPLE OF A STABLE ADDUCT OF 2-IODOBENZOIC ACID WITH STRONG ACID.** Viktor V. Zhdankin\*, Chris J. Kuehl, Jason T. Bolz, Mark S. Formanek, Angela J. Simonsen  
Chemistry Department, University of Minnesota-Duluth, Duluth, Minnesota 55812 USA

*Tetrahedron Letters*, 1994, 35, 7323

Products (3-5) can be prepared in one step from 2-iodobenzoic acid (1) and the corresponding sulfonic acids or Me<sub>3</sub>SiOTf. Reactions of these compounds with bis(trimethylsilyl)acetylene afford the respective alkynyl iodonium salts 6 or 8.

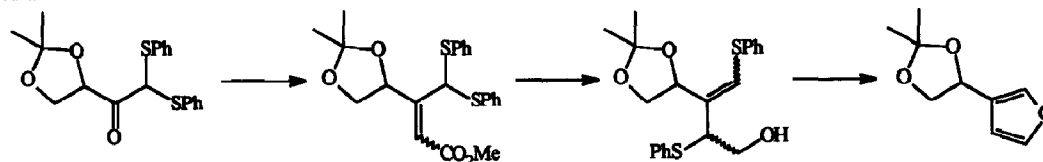


**SYNTHESIS OF 3-SUBSTITUTED FURANS.**

*Tetrahedron Letters*, 1994, 35, 7327

Gil V. J. da Silva, Marcelo M. M. Pelisson and Mauricio G. Constantino  
Departamento de Quimica, FFCLRP - Universidade de São Paulo, 14040-901 - Ribeirão Preto, SP - Brazil

Bis(phenylthio)metil ketone prepared from an ester and bis(phenylthio)methyl lithium is used for the preparation of 3-substituted furan.

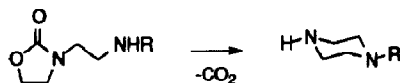


**USE OF 2-OXAZOLIDINONES AS LATENT AZIRIDINE**

*Tetrahedron Letters*, 1994, 35, 7331

**EQUIVALENTS. III. PREPARATION OF N-SUBSTITUTED PIPERAZINES.** Graham S. Poindexter\*, Marc A. Bruce, Karen L. LeBoulluec, and Ivo Monkovic, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut 06492-7660 USA.

A number of *N*-aryl and *N*-alkyl substituted piperazines were prepared from various *N*-aminoethyl substituted 2-oxazolidinone derivatives.



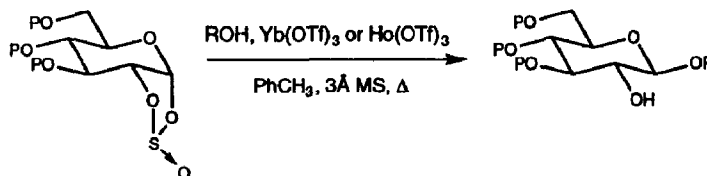
**Stereoselective, Lewis Acid-Catalyzed Glycosylation of Alcohols by Glucose 1,2-Cyclic Sulfites.**

*Tetrahedron Letters*, 1994, 35, 7335

William J. Sanders and Laura L. Kiessling\*

Department of Chemistry, University of Wisconsin-Madison, Madison WI 53706

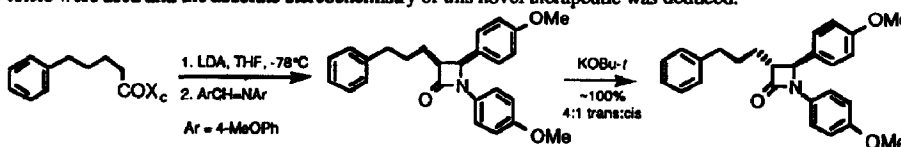
Carbohydrate 1,2-cyclic sulfites (*endo* and *exo*) stereoselectively glycosylate alcohols in the presence of catalytic lanthanide(III) triflates:



**Asymmetric Synthesis and Absolute Stereochemistry of Cholesterol Absorption Inhibitor, SCH 48461.** Duane A. Burnett, Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033-0539.

*Tetrahedron Letters*, 1994, 35, 7339

The title compound was prepared via asymmetric ester enolate - imine condensation followed by epimerization. Two pairs of chiral esters were used and the absolute stereochemistry of this novel therapeutic was deduced.

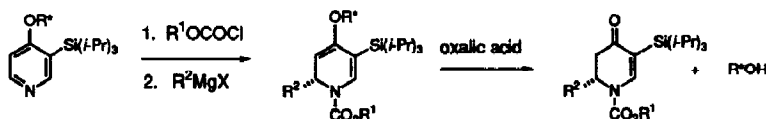


**GRIGNARD ADDITION TO 1-ACYL SALTS OF CHIRAL 4-ALKOXPYRIDINES. A NEW ENANTIOSELECTIVE PREPARATION OF 2-ALKYL-2,3-DIHYDRO-4-PYRIDONES.** Daniel L. Comins\* and Donald H. LaMunyon, Department of Chemistry, North Carolina State University, Raleigh, NC 27695-8204 USA

*Tetrahedron Letters*, 1994, 35, 7343

A new chiral auxiliary mediated asymmetric synthesis of 2-alkyl-2,3-dihydro-4-pyridones is described.

A new chiral auxiliary mediated asymmetric synthesis of 2-alkyl-2,3-dihydro-4-pyridones is described.

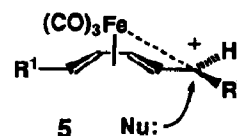


**HIGHLY STEREOSELECTIVE SUBSTITUTION REACTIONS OF  $\eta^4$ -[3(E),5(E)-HEPTADIEN-2-OL]IRON TRICARBONYL COMPLEXES**

*Tetrahedron Letters*, 1994, 35, 7347

W. R. Roush\* and Carol K. Wada, Department of Chemistry Indiana University, Bloomington, IN 47405

Substituted  $\eta^4$ -[3(E),5(E)-heptadien-2-ol]Fe(CO)<sub>3</sub> complexes or the corresponding acetate derivatives undergo highly diastereoselective Lewis acid mediated substitution reactions with R<sub>3</sub>Al reagents, ketene silyl acetals, allyltributylstannane, allyltrimethylsilane, and TMS-N<sub>3</sub>. Evidence is presented that these reactions proceed with retention of configuration via 5.

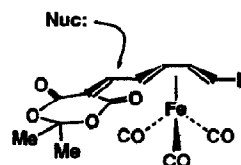


HIGHLY STEREOSELECTIVE 1,4-ADDITION REACTIONS OF  
ALKYLIDENE MALONATE SUBSTITUTED  $\eta^4$ -(1,3-BUTADIENYL)  
IRON(TRICARBONYL) COMPLEXES

*Tetrahedron Letters*, 1994, 35, 7351

Carol K. Wada and W. R. Roush,\* Department of Chemistry  
Indiana University, Bloomington, IN 47405

Alkylidene malonate substituted  $\eta^4$ -(1,3-butadienyl)Fe(CO)<sub>3</sub> complexes undergo highly diastereoselective 1,4-addition reactions with Grignard reagents, organolithium reagents, ketene silyl acetals and allyltributylstannane.

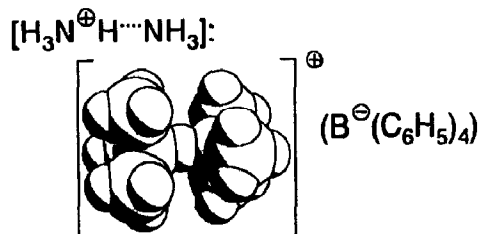


TRIALKYLAMMONIUM-TRIALKYLAMINE-  
TETRAPHENYLBORATES [R<sub>3</sub>N<sup>⊕</sup>-H...NR<sub>3</sub>][B<sup>⊖</sup>(C<sub>6</sub>H<sub>5</sub>)<sub>4</sub>]  
WITH PROTOTYPE N<sup>⊕</sup>-H...N HYDROGEN BRIDGES

*Tetrahedron Letters*, 1994, 35, 7355

Hans Bock<sup>†</sup>, Thorsten Vaupel, Holger Schödel, Uwe Koch  
and Ernst Egerl<sup>†</sup>

Department of Chemistry, University of Frankfurt  
Marie-Curie-Straße 11, 60439 Frankfurt/Main, Germany

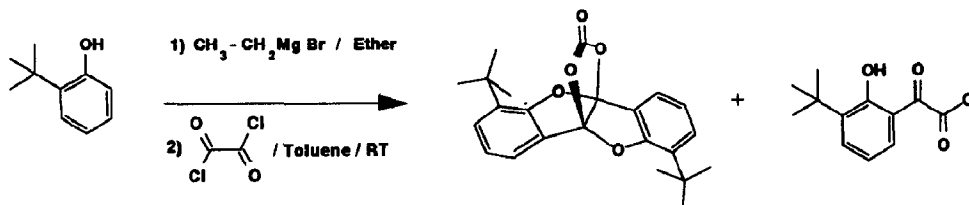


OXALYL CHLORIDE AS A ONE AND TWO CARBON SYNTHON.  
A CYCLIC CARBONATE WITH A TETRAOXA[3.3]PROPELLANE SKELETON.

*Tetrahedron Letters*, 1994, 35, 7359

Jean-Louis Grieneisen and Jean-Luc Birbaum, Ciba-Geigy AG, P.O. Box 64, CH-1723 Marly, Switzerland

Bromomagnesium-2-tert-butylphenolate reacts with oxalyl chloride to yield a cyclic carbonate along with the expected chloride.



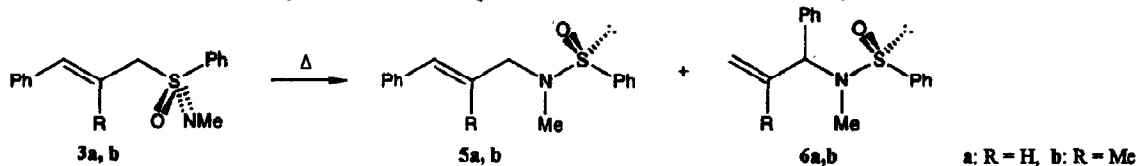
REARRANGEMENT OF ALLYLIC SULFOXIMINES TO ALLYLIC SULFINAMIDES

*Tetrahedron Letters*, 1994, 35, 7361

Hans-Joachim Gais,\* Matthias Scommoda, and Dirk Lenz

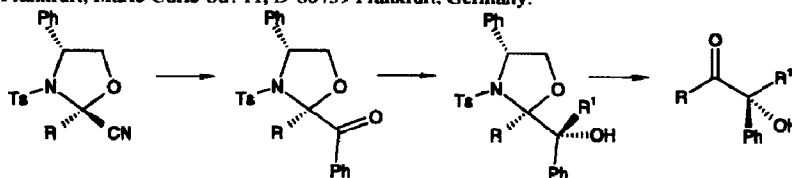
Institut für Organische Chemie der RWTH Aachen, Prof.-Pirlet-Straße 1, 52056 Aachen, Germany

Thermolysis of the enantiomerically pure allylic sulfoximines **3a, b** leads to their partial rearrangement to the allylic sulfinamides **5a, b** and **6a, b**, respectively, with complete retention of configuration at the S-atom. Racemization of **3a, b** does not occur.



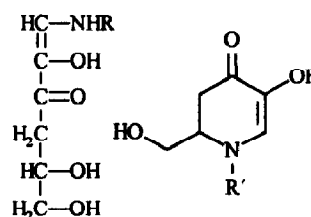
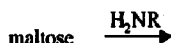
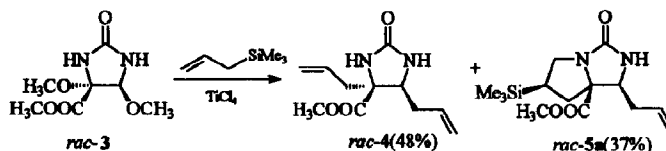
**ENANTIOSELECTIVE SYNTHESIS OF  $\alpha$ -BRANCHED  $\alpha$ -HYDROXY KETONES VIA CHIRAL *N*-SULFONYL-2-ALKYL-2-CYANO-1,3-OXAZOLIDINES<sup>#</sup>**Timm Harder,<sup>a)</sup> Thorsten Löhrl,<sup>a)</sup> Michael Bolte,<sup>b)</sup> Kerstin Wagner,<sup>b)</sup> Dieter Hoppe<sup>\*a)</sup>

a) Organisch-Chemisches Institut, Universität Münster, Corrensstraße 40, D-48149 Münster, Germany. b) Institut für Organische Chemie, Universität Frankfurt, Marie-Curie-Str. 11, D-60439 Frankfurt, Germany.

**Formation of Aminoreductones from Maltose**Christiane Schoetter, Monika Pischetsrieder, Holger Lerche and Theodor Severin<sup>\*</sup>

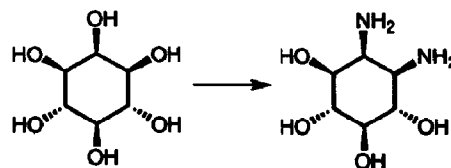
Institut für Pharmazie und Lebensmittelchemie, 80333 München, Germany

Reaction of maltose with primary amines leads to the formation of an open chain C<sub>6</sub>-aminoreductone and a 3-hydroxy-5,6-dihydropyridone.

**Diastereoselective [3+2] Cycloaddition of Allyltrialkylsilanes to Intermediate *N*-Acyliminoesters Obtained from Methyl 4-Methoxy-2-Imidazolidinone- and 2-Oxazolidinone-4-carboxylates.**Annette Stahl<sup>a</sup>, Eberhard Steckhan<sup>\*a</sup>, and Martin Nieger<sup>b</sup>, a) Institut für Organische Chemie und Biochemie der Universität Bonn, b) Anorganisch-Chemisches Institut der Universität Bonn, Gerhard-Domagk-Str. 1, D-53121 Bonn**SYNTHESIS OF ( $\pm$ ) 1,2-DIDEOXY-1,2-DIAMINO-MYO-INOSITOL.**

P. Guédat, B. Spiess and G. Schlewer. Laboratoire de Pharmacochimie Moléculaire du CNRS, Faculté de Pharmacie, Université Louis Pasteur, 74 route du Rhin, 67401 Illkirch, France.

The key step of the synthesis of a diaminated isostere of *myo*-inositol was the simultaneous inversion of the dimesylate in positions 1 and 2 leading to the global retention of the *myo*-inositol configuration.

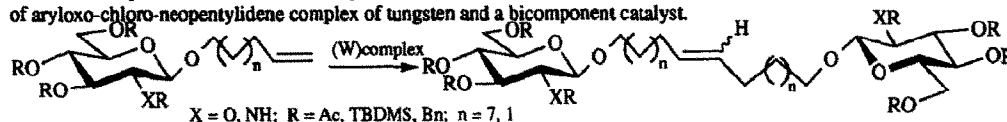


*Tetrahedron Letters*, 1994, 35, 7379

**METATHESIS OF  $\Omega$ -UNSATURATED GLUCOSIDES WITH CHLORO ARYLOXIDE COMPLEXES OF TUNGSTEN AS A NEW WAY LEADING TO UNSATURATED BOLAAMPHIPHILES.**

G rard Descotes and Jan Ramza; Universit  Claude Bernard; Laboratoire Chimie Organique II; 43, Bd. du 11 Novembre 1918; 69622 Villeurbanne. Jean-Marie Basset, Salvatore Pagano; Laboratoire de Chimie Organom tallique de Surface; 2, rue Albert Einstein, 69626 Villeurbanne, France.

The first example of metathesis of carbohydrate derivatives:  $\omega$ -unsaturated glucosides give metathesis products in the presence of aryloxo-chloro-neopentylidene complex of tungsten and a bicomponent catalyst.

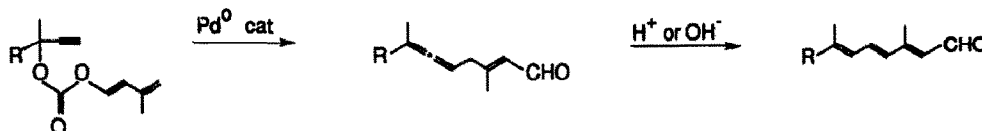


*Tetrahedron Letters*, 1994, 35, 7383

**Efficiency of Organometallic Catalysis in a New "Ecological" Synthesis of Retinal.**

Hugues Bienaym , Rh ne-Poulenc Ind., CRIT-Cari res, BP62, 69192, Saint-Fons, France.

A new synthesis of isoprenoid aldehydes (retinal) starting from readily available propargylic alcohols is presented.

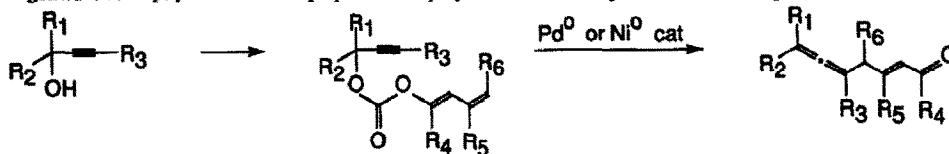


*Tetrahedron Letters*, 1994, 35, 7387

**A New Synthesis of Polyunsaturated Allenic Carbonyls.**

Hugues Bienaym , Rh ne-Poulenc Ind., CRIT-Cari res, BP 62, 69192 Saint-Fons, France.

A general two steps protocol for the preparation of polyunsaturated aldehydes and ketones is presented.

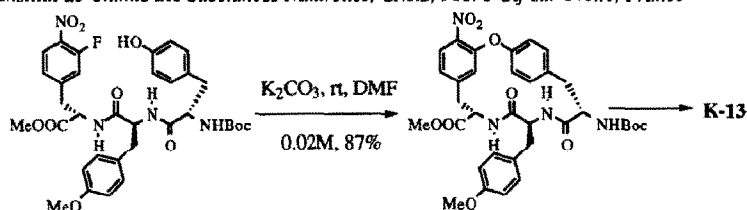


*Tetrahedron Letters*, 1994, 35, 7391

**A NOVEL SYNTHESIS OF K-13**

Ren  Beugelmans, Antony Bigot, Jieping Zhu\*

Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France

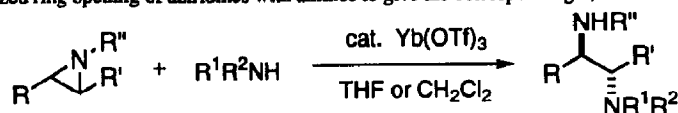


A new and concise synthesis of K-13 has been achieved using an intramolecular S<sub>N</sub>Ar reaction as a key step.

**Ytterbium Triflate Catalyzed Ring Opening of Aziridines with Amines**

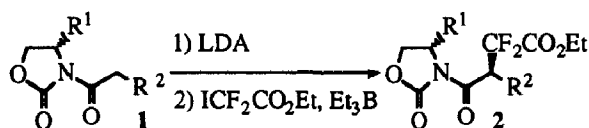
 Masaki Meguro<sup>†</sup>, Naoki Asao<sup>‡</sup>, and Yoshinori Yamamoto<sup>\*,†</sup>
<sup>†</sup>Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980-77, Japan

<sup>‡</sup>Institute for Molecular Science, Myodaiji, Okazaki 444, Japan

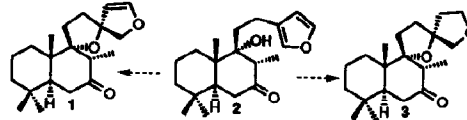
 Yb(OTf)<sub>3</sub> catalyzed ring opening of aziridines with amines to give the corresponding 1, 2-diamines in good to high yield.

**Diastereoselective Introduction of an EtO<sub>2</sub>CCF<sub>2</sub>- Group into Chiral Imide Enolates Mediated by Triethylborane**

 Katsuhiko Iseki,<sup>\*</sup> Daisuke Asada, Mie Takahashi, Takabumi Nagai and Yoshiro Kobayashi<sup>\*</sup>

MEC Laboratory, Daikin Industries, Ltd., Miyukigaoka, Tsukuba, Ibaraki 305, Japan

 The introduction of an EtO<sub>2</sub>CCF<sub>2</sub>- group into lithium enolates of chiral imides **1** with ethyl difluoroiodoacetate mediated by triethylborane proceeds with good diastereomeric excess.

**MODEL STUDY AND PARTIAL SYNTHESIS OF PREHISPANOLONE AND 14,15-DIHYDROPREHISPANOLONE FROM HISPANOLONE.**

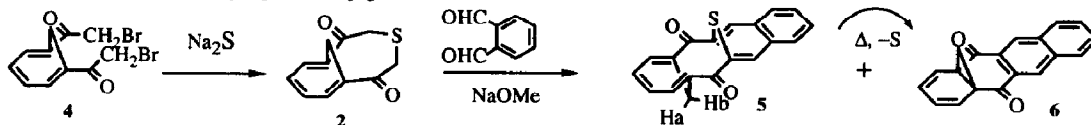
 En Si Wang,<sup>a,b</sup> Bao Sheng Luo,<sup>a</sup> Thomas, C.W. Mak,<sup>a</sup> Yuen Min Choy<sup>c</sup> and Henry N.C. Wong<sup>a,\*</sup>, <sup>a</sup>Department of Chemistry, <sup>b</sup>The Chinese Medicinal Material Centre, <sup>c</sup>Department of Biochemistry, The Chinese University of Hong Kong, Shatin, New Territories, Hong Kong

 Employing an intramolecular Michael addition as pivotal step, hispanolone (**2**) has been converted to prehispanolone (**1**) and 14,15-dihydroprehispanolone (**3**).

**Synthesis and Properties of anti-6,15-Epithia-8,13-methano-benzo[d]-[14]annulene-7,14-dione and Its Dicationic and Dianionic Species**

 Sigeyasu Kuroda,<sup>\*</sup> Mitsunori Oda,<sup>\*</sup> Syn-ya Kuaramoto, Yoshihiro Mizukami, and Ichiro Shimao,

Department of Materials Chemistry, Faculty of Engineering, Toyama University, Gofuku 3190, Toyama 930, Japan

The titled compound was synthesized as the first entry of sulfur bridged annulene, and its dicationic species formed in strong acid showed no contribution of peripheral conjugation.



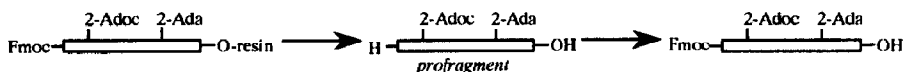
**TEMPORARY  $N^\alpha$ -DEPROTECTION/REPROTECTION PROCEDURE  
TO FACILITATE THE PURIFICATION OF PROTECTED PEPTIDE  
FRAGMENTS FOR USE IN CONVERGENT SOLID PHASE PEPTIDE SYNTHESIS**

*Tetrahedron Letters, 1994, 35, 7409*

Yasuhiro Nishiyama and Yoshio Okada

*Faculty of Pharmaceutical Sciences, Kobe-Gakuin University, Nishi-ku, Kobe 651-21, Japan.*

The purification of the protected peptide fragments prepared by solid phase method is facilitated by temporary  $N^\alpha$ -deprotection and the use of 2-adamantyl-type protecting group.

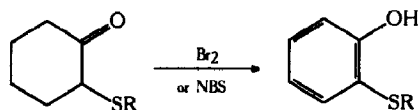


**NOVEL REACTION: BROMINATIVE AROMATIZATION  
OF 2-ALKYL(ARYL)THIO CYCLOHEXANONES**

*Tetrahedron Letters, 1994, 35, 7413*

V.V.Samoshin\*, K.V.Kudryavtsev

Lomonosov Moscow State Academy of Fine Chemical Technology, Vernadsky Prospect 86, Moscow 117571, Russia.



R = *n*-C<sub>4</sub>H<sub>9</sub>, *c*-C<sub>6</sub>H<sub>11</sub>, C<sub>6</sub>H<sub>5</sub>, *o*-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>. Yield 70-92 %.

**A NOVEL DOUBLY-LINKED PROTERACACINIDIN ANALOGUE FROM  
*ACACIA CAFFRA***

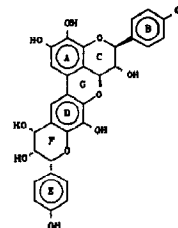
*Tetrahedron Letters, 1994, 35, 7415*

Elfranco Malan<sup>1</sup>, Ashika Sireeparsad<sup>1</sup>, Johann F.W. Burger<sup>2</sup> and Daneel Ferreira<sup>2</sup>

<sup>1</sup>Department of Chemistry, University of Durban-Westville, Private Bag X54001, Durban, 4000 South Africa

<sup>2</sup>Department of Chemistry, University of the Orange Free State, P.O. Box 339, Bloemfontein, 9300 South Africa

A new proteracacinidin analogue with a unique double linkage, *ent*-oritin-(4 $\beta$ - $\rightarrow$ 7, 5- $\rightarrow$ 6)-epioritin-4 $\alpha$ -ol was isolated from the heartwood of *Acacia caffra*.



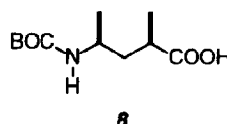
**CALYCULINS. ASYMMETRIC SYNTHESIS OF THE C<sub>26</sub>-C<sub>32</sub> FRAGMENT.**

*Tetrahedron Letters, 1994, 35, 7417*

Ari M. P. Koskinen,\* and Petri M. Pihko

Department Of Chemistry, University Of Oulu, Linnanmaa, SF-90570 Oulu, Finland

A stereocontrolled route for the synthesis of the described amino acid, a key intermediate for the synthesis of calyculin C's C<sub>26</sub>-C<sub>32</sub> fragment, from alanine has been developed.



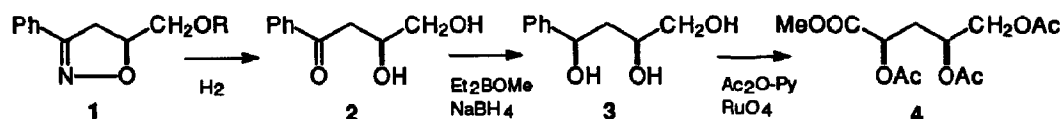


**SYNTHESIS OF A BIFUNCTIONAL 2,4-DIHYDROXY FIVE-CARBON SYNTHON. ENANTIOMERICALLY PURE  $\Delta^2$ -ISOXAZOLINES BY CHROMATOGRAPHIC RESOLUTION**

*Tetrahedron Letters*, 1994, 35, 7421

Calimero Ticozzi and Antonio Zanarotti, Dipartimento di Chimica, Politecnico di Milano, Via Mancinelli 7, 20131 Milano, Italy

Chromatography on cellulose triacetate allows the separation of (*R*) and (*S*)-1 from which synthons of type 4 can be obtained.



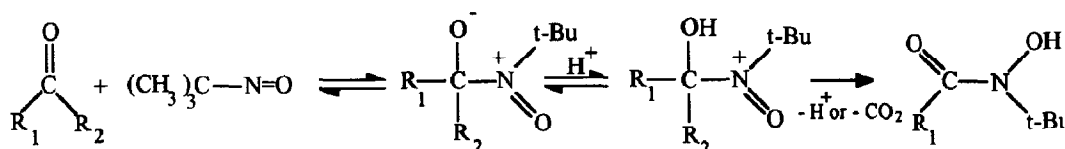
**REACTION OF 2-NITROSO-2-METHYL PROPANE WITH FORMALDEHYDE, GLYOXYLATE AND GLYOXYLIC ACID.**

*Tetrahedron Letters*, 1994, 35, 7425

Viktor Pilepić and Stanko Uršić<sup>c</sup>

Faculty of Pharmacy and Biochemistry, University of Zagreb, A. Kovačića 1, Zagreb, Croatia.

2-nitroso-2-methyl propane reacts with formaldehyde, glyoxylate, glyoxylic, pyruvic and phenylglyoxylic acid giving the corresponding N-t-butyl hydroxamic acids.



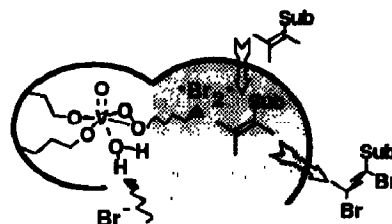
**Mimicking the Vanadium Bromoperoxidases Reactions: Mild and Selective Bromination of Arenes and Alkenes in a Two-Phase System.**

*Tetrahedron Letters*, 1994, 35, 7429

Valeria Conte, Fulvio Di Furia\* and Stefano Moro

Università di Padova, Dipartimento di Chimica Organica, Centro Studi Meccanismi Reazioni Organiche del CNR, via Marzolo 1, 35131 Padova, Italy

The highly selective bromination of aromatic compounds and alkenes has been obtained in a two-phase (H<sub>2</sub>O/CH<sub>2</sub>Cl<sub>2</sub>) system by employing H<sub>2</sub>O<sub>2</sub>, KBr and NH<sub>4</sub>VO<sub>3</sub> as catalyst. The procedure mimics the action of vanadium containing bromoperoxidases which are involved in the biosynthesis of brominated molecules.



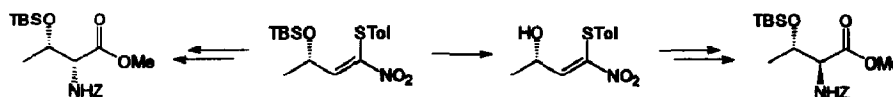
**STERESELECTIVE SYNTHESIS OF PROTECTED D-THREONINE AND L-ALLO-THREONINE**

*Tetrahedron Letters*, 1994, 35, 7433

Richard F.W. Jackson,\*<sup>a</sup> Nicholas J. Palmer<sup>a</sup> and Martin J. Wythes<sup>b</sup>

<sup>a</sup> Department of Chemistry, Bedson Building, The University of Newcastle, Newcastle upon Tyne, NE1 7RU, UK

<sup>b</sup> Pfizer Central Research, Sandwich, Kent, CT13 9NJ, UK

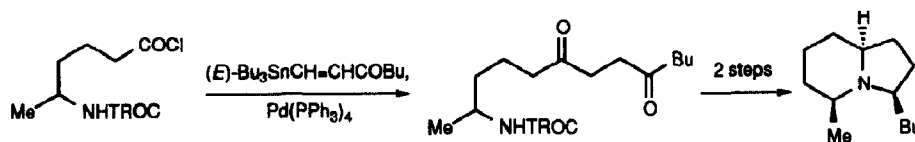


**A CONCISE SYNTHESIS OF (±)-MONOMORINE I BY WAY OF A  
PALLADIUM-CATALYZED REDUCTIVE COUPLING**

Ana M. Castaño, Juan M. Cuerva, and Antonio M. Echavarren\*

Departamento de Química, Universidad Autónoma de Madrid, Cantoblanco, 28049 Madrid, Spain.

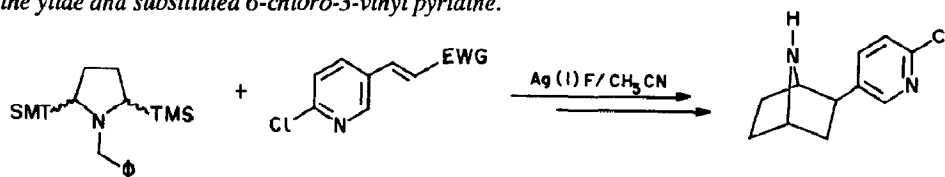
*Tetrahedron Letters*, 1994, 35, 7435



**AN EXPEDITIOUS SYNTHESIS OF EPIBATIDINE AND ANALOGUES**

Ganesh Pandey\*, Trusar D. Bagul and G. Lakshmaiah

**Abstract:** An efficient synthesis of Epibatidine and its analogues via [3+2] cycloaddition of non-stabilised azomethine ylide and substituted 6-chloro-3-vinyl pyridine.



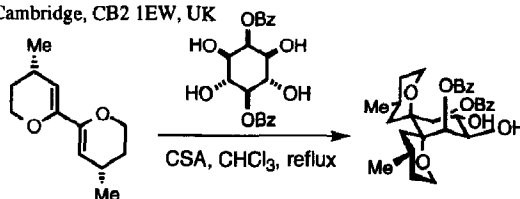
*Tetrahedron Letters*, 1994, 35, 7439

**DISPIROKETALS IN SYNTHESIS (PART 11): CONCOMITANT ENANTIOSELECTIVE  
AND REGIOSELECTIVE PROTECTION OF SYMMETRICAL 2,5-DIBENZOYL-MYO INOSITOL**

Paul J. Edwards, David A. Entwistle, Christophe Genicot, Kun Soo Kim and Steven V. Ley\*

Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge, CB2 1EW, UK

The enantioselective and regioselective protection of D,L-2,5-dibenzoyl-myo-inositol with three chiral dienes is described.



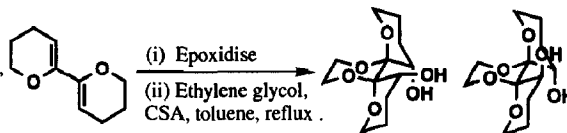
*Tetrahedron Letters*, 1994, 35, 7443

**DISPIROKETALS IN SYNTHESIS (PART 12): FUNCTIONALISED  
DISPIROKETALS AS NEW CHIRAL AUXILIARIES; THE SYNTHESIS  
OF DIHYDROXYLATED DISPIROKETALS IN OPTICALLY PURE FORM.**

Barend C. B. Bezuidenhout, Grant H. Castle and Steven V. Ley\*

Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge, CB2 1EW, UK

A range of rigid, architecturally complex diols derived from dispiroketal have been synthesised. A bifunctional, C2-symmetrical chiral auxiliary derived from these diols can be used in asymmetric synthesis.

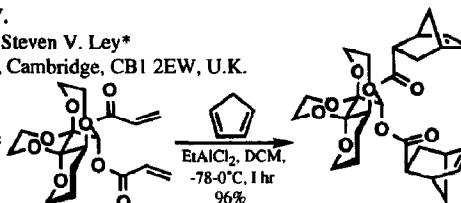


*Tetrahedron Letters*, 1994, 35, 7447

**DISPIROKETALS IN SYNTHESIS (PART 13): FUNCTIONALISED DISPIROKETALS AS NEW CHIRAL AUXILIARIES; HIGHLY STEREOSELECTIVE DIELS-ALDER REACTIONS USING A BIFUNCTIONAL, C<sub>2</sub>-SYMMETRICAL CHIRAL AUXILIARY.**

Barend C. B. Bezuidenhoudt, Grant H. Castle, Joanna V. Geden and Steven V. Ley\*  
Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge, CB1 2EW, U.K.

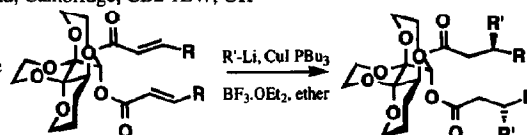
Highly selective, asymmetric, Lewis acid catalysed Diels-Alder reactions are reported with a bifunctional, C<sub>2</sub>-symmetrical diacrylate derivative obtained from a chiral auxiliary based on dihydroxylated dispiroketal.



**DISPIROKETALS IN SYNTHESIS (PART 14): FUNCTIONALISED DISPIROKETALS AS NEW CHIRAL AUXILIARIES; HIGHLY STEREOSELECTIVE MICHAEL ADDITIONS TO A BIFUNCTIONAL, C<sub>2</sub>-SYMMETRICAL CHIRAL AUXILIARY.**

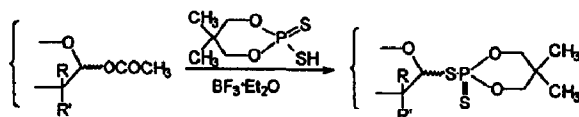
Grant H. Castle and Steven V. Ley\*  
Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge, CB2 1EW, UK

A bifunctional, C<sub>2</sub>-symmetrical chiral auxiliary derived from dihydroxylated dispiroketal has been used to induce a high degree of asymmetry in Michael additions of cuprates to a variety of di- $\alpha,\beta$ -unsaturated ester systems.



**A NOVEL SYNTHESIS OF S-GLYCOSYLPHOSPHORODITHIOATES.**

Wiesława Kudelska and Maria Michalska\*  
Laboratory of Organic Chemistry, Institute of Chemistry,  
Medical University, Muszyńskiego 1, 90-151 Łódź, Poland

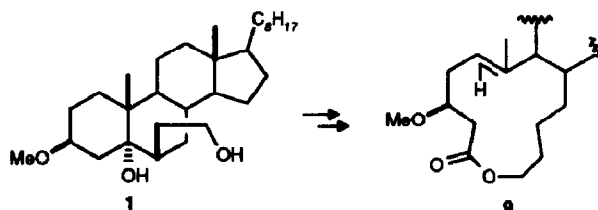


R=R'=H; R=H, R'=OAc; R=OAc, R'=H.

**FRAGMENTATION OF ALKOXY RADICALS: SYNTHESIS OF MACROLACTONES BY SEQUENTIAL RING EXPANSION REACTIONS**

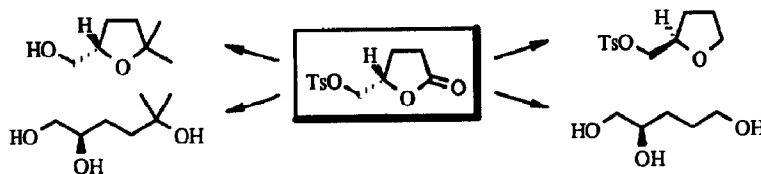
Teresa Arencibia, José A. Salazar, Ernesto Suárez\*  
Instituto de Productos Naturales y Agrobiología del C.S.I.C.,  
Carretera de La Esperanza 2, 38206-La Laguna, Tenerife, Spain

The synthesis of thirteen-membered lactone (9) by two sequential ring expansion reactions on a steroidal model (1) is described. These reactions are promoted by  $\beta$ -fragmentation of alkoxy radicals generated from tertiary and hemiacetal alcohols using the (diacetoxyiodo)benzene/I<sub>2</sub> or HgO/I<sub>2</sub> systems.



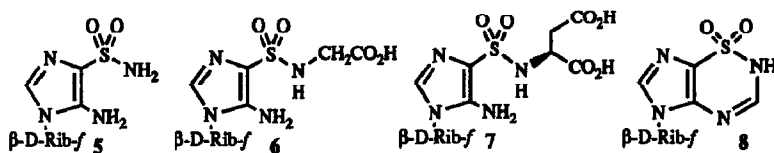
**ASPECTS OF CONTROL AND SELECTIVITY IN ANION MEDIATED CASCADE  
REACTION SEQUENCES: APPLICATION IN HOMOCHIRAL SYNTHESIS**

David C. Harrowven,<sup>a</sup> Shelagh T. Dennison and Jonathan S. Hayward, *University of Wales, Bangor, Gwynedd, LL57 2UW.*



**SYNTHESIS OF 5-AMINO-4-SULFONAMIDOIMIDAZOLE  
NUCLEOSIDES AS POTENTIAL INHIBITORS OF PURINE  
BIOSYNTHESIS, AND OF AN IMIDAZOTHIADIAZINE ANALOGUE OF ADENOSINE**

A. Scott Frame,<sup>a</sup> Grahame Mackenzie<sup>b</sup> and Richard H. Wightman,<sup>a</sup> *<sup>a</sup>Department of Chemistry, Heriot-Watt University, Riccarton, Edinburgh EH14 4AS, U.K., and <sup>b</sup>School of Chemistry, University of Hull, Hull HU6 7RX, U.K.*



Nucleosides 5, 6 and 7 have been prepared as potential inhibitors of AIR carboxylase-SAICAR kinosynthetase; cyclization of 5 gives the adenosine analogue 8.