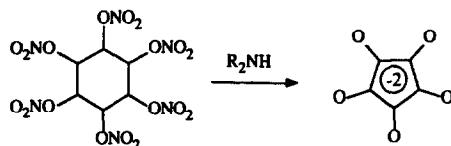


GRAPHICAL ABSTRACTS

THE ACTION OF SECONDARY AMINES ON MYOINOSITOL HEXANITRATE. THE FORMATION OF DIALKYAMMONIUM CROCONATES.

*Tetrahedron Lett.* 1990, 31, 1797

Zaryl Sharshenalevna\* and Fedya Pishugan, Institute of Organic Chemistry, Academy of Sciences of the Kirghiz, Frunze, USSR; Guy L. Rosenthal and Michael J. Strauss, Dept. of Chemistry, University of Vermont, Burlington, VT 05401

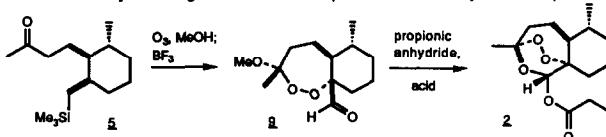


SYNTHESIS OF (+)-8a,9-SECOARTEMISININ AND RELATED ANALOGS

*Tetrahedron Lett.* 1990, 31, 1799

Mitchell A. Avery\*, Wesley K. M. Chong, and George Detre  
Bio-Organic Chemistry Laboratory, Life Sciences Division, SRI International,  
333 Ravenswood Avenue, Menlo Park, California 94025

**Summary:** An efficient synthesis of (+)-8a,9-secoartemisinin **2**, a ring-D cleaved, tricyclic analog of the antimalarial (+)-artemisinin **1**, has been accomplished. Ozonolysis and subsequent acidification of silane **5** afforded the stable aldehyde **9** which underwent acid catalyzed ring closure in the presence of anhydride to provide the target **2**.

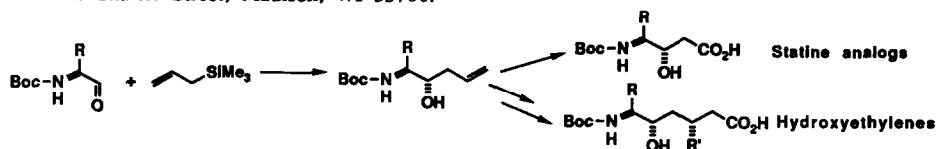


Addition of Allylic Metals to  $\alpha$ -Aminoaldehydes.

*Tetrahedron Lett.* 1990, 31, 1803

Application to the Synthesis of Statine, Ketomethylene and Hydroxyethylene Dipeptide Isosteres

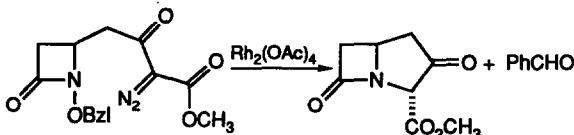
J.V.N. Vara Prasad and Daniel H. Rich\* School of Pharmacy, University of Wisconsin-Madison,  
425 N. Charter Street, Madison, WI 53706.



SYNTHESIS OF THE CARBAPENAM RING SYSTEM VIA CARBENE  
MEDIATED REARRANGEMENT OF AN N-BENZYLOXY- $\beta$ -LACTAM

*Tetrahedron Lett.* 1990, 31, 1807

Matthew A. Williams and Marvin J. Miller\*  
Department of Chemistry and Biochemistry  
University of Notre Dame  
Notre Dame, IN 46556

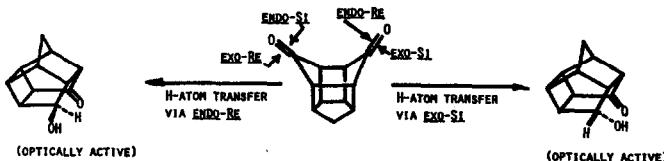


The carbapenam ring system is formed directly when a diazo-N-benzyloxy- $\beta$ -lactam is treated with catalytic amounts of Rh2(OAc)4.

ENANTIOSELECTIVE MICROBIAL ASYMMETRIC REDUCTION OF  
PENTACYCLO[5.4.0.0<sup>2,6</sup>.0<sup>3,10</sup>.0<sup>5,9</sup>]UNDECANE-8,11-DIONE

Alan P. Marchand\* and G. Madhusudhan Reddy

Department of Chemistry  
University of North Texas  
Denton, Texas 76203-5068

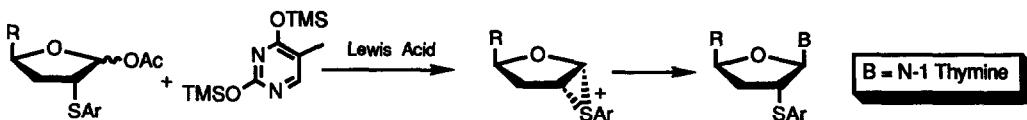


A GENERAL METHOD FOR CONTROLLING GLYCOSYLATION STEREO-CHEMISTRY IN THE SYNTHESIS OF 2'-DEOXYRIBOSE NUCLEOSIDES

Lawrence J. Wilson and Dennis Liotta\*

Department of Chemistry, Emory University, Atlanta, Georgia 30322

Glycosylation reactions of 2-arylsulfonyl-O-acetylribosides with silylated thymine produce 2'-deoxyribose nucleosides with high  $\beta$ -selectivity. An application of this directing effect in the synthesis of the antiretroviral agent D4T is described.

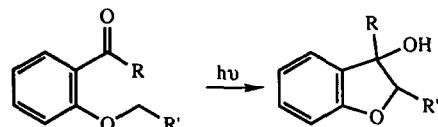


AN APPROACH TO AFLATOXINS USING TYPE II PHOTOCYCCLIZATION REACTIONS

George A. Kraus\*, P.J. Thomas and Mark D. Schwinden

Department of Chemistry, Iowa State University, Ames, Iowa 50011

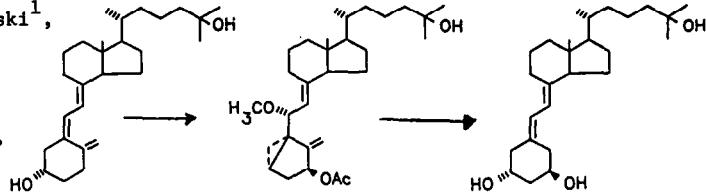
The type II photocyclization of 2,6-disubstituted acetophenones affords 3-hydroxydihydrobenzofurans. A key intermediate for aflatoxin synthesis has been prepared.



1 $\alpha$ ,25-DIHYDROXY-19-NOR-VITAMIN D<sub>3</sub>, A NOVEL VITAMIN D-RELATED COMPOUND WITH POTENTIAL THERAPEUTIC ACTIVITY

Kato L. Perlman, Rafal R. Sicinski<sup>1</sup>,  
Heinrich K. Schnoes, and  
Hector F. DeLuca\*

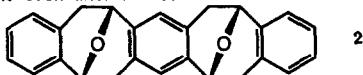
Department of Biochemistry,  
University of Wisconsin-Madison,  
Madison, Wisconsin 53706



**MOLECULAR CLEFTS 2. AN ANALOGUE OF KAGAN'S ETHER AS A MOLECULAR CLEFT: SYNTHESIS AND CLATHRATE FORMATION WITH ETHYL ACETATE**

Michael Harmata\* and Charles L. Barnes  
Department of Chemistry, University of Missouri-Columbia, Columbia, MO 65211

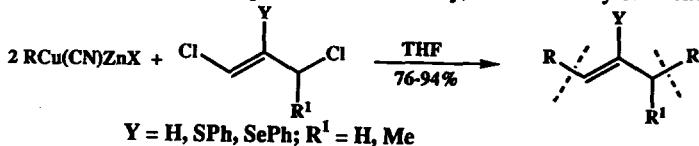
**Summary:** A convenient synthesis of 5a,8a,14a,17a-5,6,8,9,14,15,17,18-octahydro-5,17:8,14-diepoxydibenzo[e,e']benzo[1,2-a:4,5-a']dicyclooctene (2) is described. It forms a clathrate with ethyl acetate which is stable even after 12 hours at .1 Torr.



2

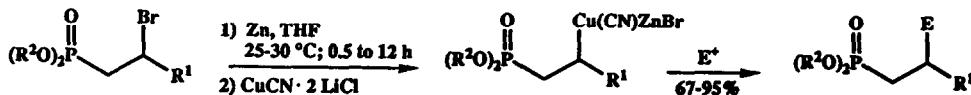
**S<sub>N</sub>2' SUBSTITUTIONS OF 1,3-DICHLOROPROPENES WITH THE FUNCTIONALIZED COPPER-ZINC REAGENTS RCu(CN)ZnX**

Huai Gu Chen, Jennifer L. Gage, Stephen D. Barrett and Paul Knochel\*  
The Willard H. Dow Laboratories, Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109



**PREPARATION AND REACTIVITY OF  $\beta$ -ZINC AND COPPER PHOSPHONATES**

Carole Rutherford, Tso-Sheng Chou, Robert M. Schelkun and Paul Knochel\*  
The Willard H. Dow Laboratories, Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109

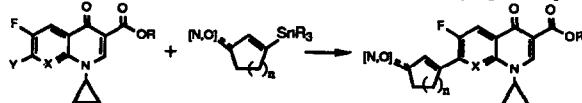


E: acyl chlorides, allylic and alkynyl halides, aldehydes, acetylenic esters, aldehydes and enones

**PALLADIUM-CATALYZED INTERMOLECULAR VINYLIC ARYLATION OF CYCLOALKENES. APPLICATIONS TO THE SYNTHESIS OF QUINOLONE ANTIBACTERIALS**

Edgardo Laborde\*, Lawrence E. Lesheki, and John S. Kiely  
Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, Michigan 48105, USA

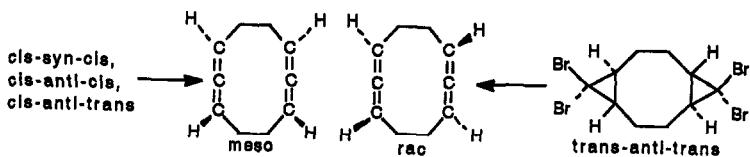
Cyclic  $\beta$ -tributylstannyl- $\alpha$ , $\beta$ -unsaturated ketones, alcohols, and amines undergo palladium-catalyzed, vinylic cross-coupling with 7-quinolyltriflates and 7-chloro-1,8-naphthyridines in very good yields.



STEREOSELECTIVE FORMATION OF CYCLIC BISALLENES  
FROM 5,5,10,10-TETRABROMOTRICYCLO[7.1.0.0<sup>4,6</sup>]-  
DECANES

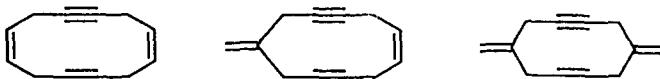
Eckehard V. Dehmlow  
and Thomas Stiehm

Universität Bielefeld  
Fakultät für Chemie  
Universitätsstr. 25  
4800 Bielefeld 1, West Germany



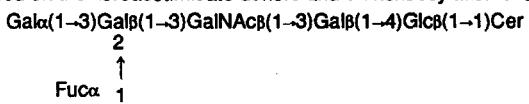
SYNTHESIS AND PROPERTIES OF SKIPPED CYCLIC C<sub>12</sub>H<sub>12</sub>  
DIENEDIYNES

R.Gleiter and R.Merger  
Institut für Organische Chemie der Universität Heidelberg  
Im Neuenheimer Feld 270, D-6900 Heidelberg



TOTAL SYNTHESIS OF A HEXAOSYL CERAMIDE GLYCOLIPID AS A  
RECEPTOR FOR MACROPHAGE MIGRATION INHIBITION-FACTOR<sup>1</sup>

P. Zimmermann, U. Greilich, and R. R. Schmidt  
Fakultaet Chemie, Universitaet Konstanz, D-7750 Konstanz, Germany  
The title compound **1** was obtained from readily available building blocks in fifteen steps. The synthetic strategy is solely based on trichloroacetyl imidate donors and on hexaosylation of azidosphingosine.



Completely Regioselective Reversals for an Addition Reaction  
of Ambident Sulphur Nucleophiles to Michael Acceptors

Kafui Kpegb and Patrick Metzner\*

Laboratoire de Chimie des Composés Thio-organiques (Associé au CNRS), ISMRA, Université de Caen-Normandie, 14032 Caen, France.

Reaction of titanium enethiolates with  $\alpha$ -unsaturated ketones leads selectively to 3-hydroxy 4-unsaturated alkanedithioates, arising from a 1,2-carbon-addition, whereas aluminium enethiolates give oxoketene dithioacetals, from exclusive 1,4-sulphur-addition.

**Li** → C-1,4-addition



**Al** → S-1,4-addition



**Tl** → C-1,2-addition



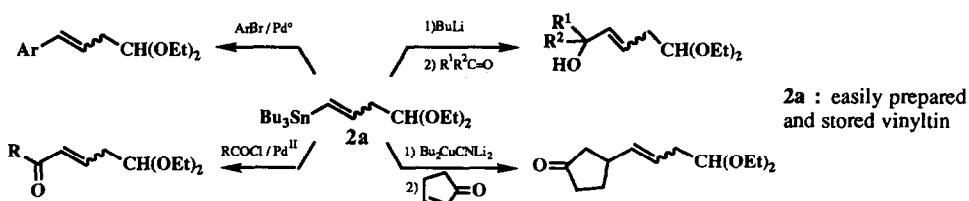
AN EFFICIENT ACCESS TO HOMOALLYL AND HOMOCINNAMYL SKELETONS USING 1-TIBUTYLSTANNYL-4,4-DIETHOXY-BUT-1-ENE

Tetrahedron Lett. 1990, 31, 1857

Jean-Luc PARRAIN<sup>a</sup>, Alain DUCHENE<sup>b</sup> and Jean-Paul QUINTARD<sup>b</sup>

a) Laboratoire de Synthèse Organique, URA 475 CNRS, Faculté des Sciences et des Techniques de NANTES, 44072 NANTES - Cedex 03 . (France).

b) Laboratoire de Synthèse Organique, Faculté des Sciences de TOURS, Parc de Grandmont, 37200 TOURS . (France).



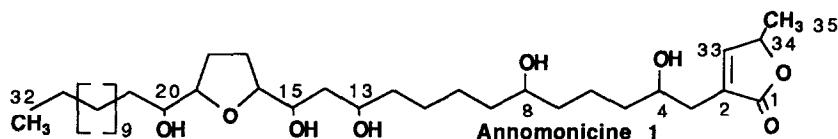
2a : easily prepared  
and stored vinyltin

DEUX NOUVELLES ACETOGENINES MONOTETRAHYDROFURANNIQUES CYTOTOXIQUES: L'ANNOMONICINE ET LA MONTANACINE

Tetrahedron Lett. 1990, 31, 1861

Akino JOSSANG<sup>1</sup>, Béatrice DUBAELE, André CAVÉ, Marie-Hélène BARTOLI<sup>2</sup> et Hélène BERIEL<sup>2</sup>

Laboratoire de Pharmacognosie, associé au CNRS, Faculté de Pharmacie de l'Université de Paris-Sud. <sup>1</sup>Laboratoire de Chimie, associé au CNRS, Muséum National d'Histoire Naturelle. <sup>2</sup>Laboratoire de Physiologie-Pharmacologie I, UFR de Pharmacie de Grenoble.

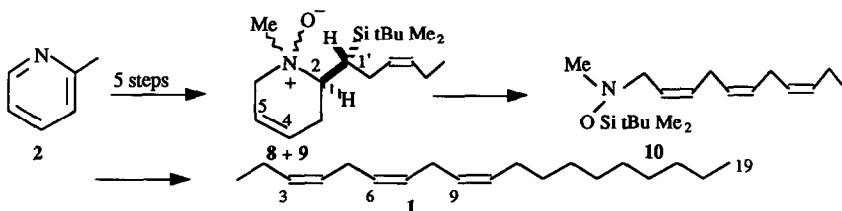


Synthesis of a Pheromone of *Boarmia selenaria* via a Sila-Cope elimination. Stereochemical implications.

Tetrahedron Lett. 1990, 31, 1865

Y. Langlois\*, L. Konopski, N.V. Bac, A. Chiaroni and C. Riche.

Institut de Chimie des Substances Naturelles, C.N.R.S., 91198 Gif sur Yvette Cedex France.

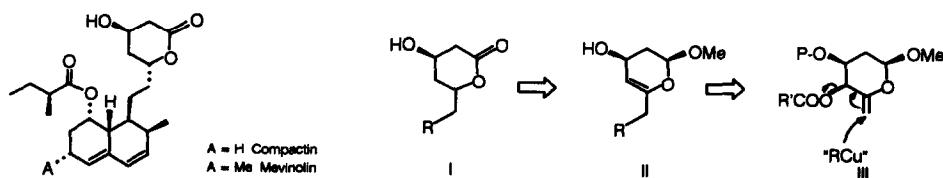


A NEW STRATEGY FOR THE SYNTHESIS OF MEVINIC ACIDS ANALOGUES

Tetrahedron Lett. 1990, 31, 1869

Pascal Boquel and Yves Chapleur\*

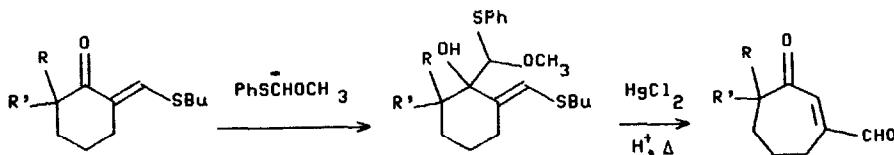
Laboratoire de Chimie Organique 3, Unité associée au CNRS 486,  
Université de Nancy I, BP 239, F-54506 Vandoeuvre-les-Nancy, France



A NEW RING EXPANSION APPROACH  
TO 3-FORMYL-2-CYCLOHEPTEN-1-ONES

A. Guerrero\*, A. Parrilla and F. Camps.

Department of Biological Organic Chemistry, C.I.D. (CSIC)  
Jordi Girona Salgado, 18-26. 08034-Barcelona (Spain)

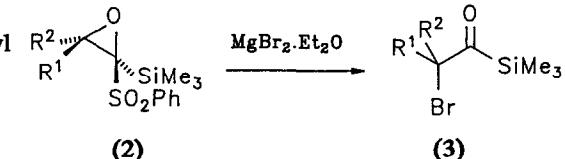


PREPARATION AND RING-OPENING REACTIONS OF  
2-PHENYLSULPHONYL-2-TRIMETHYLSILYL OXIRANES

Cheryl T. Hewkin and Richard F.W. Jackson\*

Department of Chemistry, Bedson Building, The University, Newcastle upon Tyne, NE1 7RU, U.K.

Reaction of 2-phenylsulphonyl-2-trimethylsilyl oxiranes (2) with MgBr<sub>2</sub>.Et<sub>2</sub>O gives moderate yields of  $\alpha$ -bromoacysilanes (3).

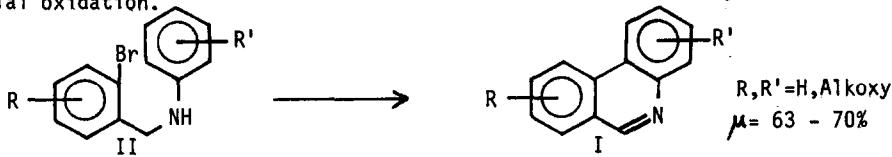


ARYL-ARYL COUPLING INDUCED BY n-TRIBUTYLSTANNYL HYDRIDE  
AN EFFICIENT PHENANTHRIDINE SYNTHESIS

A.M.Rosa, S.Prabhakar\* and A.M.Lobo

Secção Química Orgânica Aplicada, FCT,UNL,Quinta da Torre, 2825 Monte da Caparica, Portugal

A new route to (I) consists of the cyclisation of (II) by reaction with n-Bu<sub>3</sub>SnH - AIBN followed by aerial oxidation.

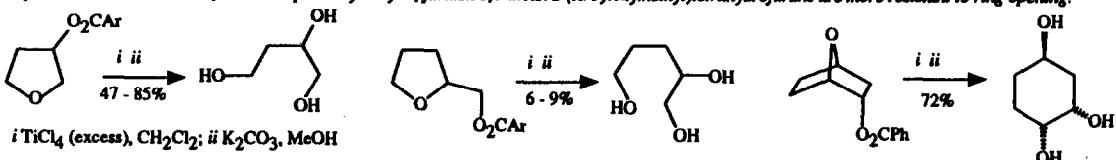


ANCHIMERICALLY ASSISTED LEWIS ACID CLEAVAGE OF  
TETRAHYDROFURANS TO FURNISH 1,4-DIOLS

Laurence M. Harwood,\*<sup>a</sup> Brian Jackson,<sup>b</sup> Keith Prout,<sup>b</sup> and Fiona J. Witt.<sup>a</sup>

*a* Dyson Perrins Laboratory, University of Oxford, South Parks Road, OXFORD OX1 3QY, *b* Chemical Crystallography Laboratory, University of Oxford, Parks Road, OXFORD OX1 3PD.

Treatment of 3-aryloxyltetrahydrofurans with TiCl<sub>4</sub> results in cleavage of the heterocyclic ring with intervention by the appropriately situated ester group to form intermediates which, on work-up and hydrolysis, furnish 1,4-diols. 2-(Aryloxymethyl)tetrahydrofurans are more resistant to ring opening.



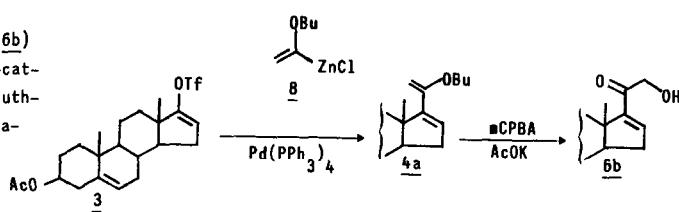
## A NEW SYNTHESIS OF THE CORTICOSTEROID SIDE CHAIN

Pier Giuseppe Ciattini, Enrico Morera, and Giorgio Ortari\*

Dipartimento di Studi Farmaceutici e Centro di Studio per la Chimica del Farmaco del C.N.R., Università 'La Sapienza', 00185 Roma, Italy.

38-Acetoxy-21-hydroxypregna-5,16-dien-20-one (**6b**)

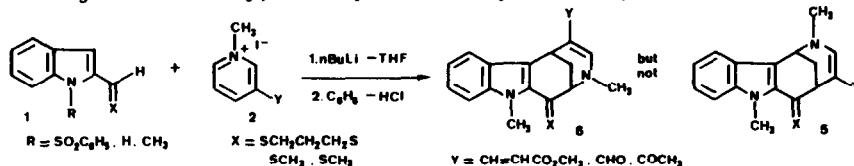
has been obtained in 55% overall yield via Pd-catalyzed coupling of 17-enol triflate **3** with  $\alpha$ -butoxyethenyl zinc chloride (**8**), followed by oxidation of the resultant enol ether **4a** with  $m$ -chloroperbenzoic acid.



## NUCLEOPHILIC ADDITION OF 2-INDOLYLACYL ANION EQUIVALENTS TO N-ALKYLPYRIDINIUM SALTS

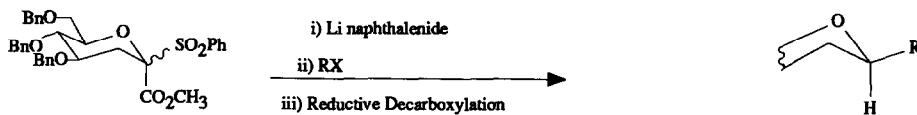
M.-Eduisa Bennasar,\* Ester Zulaica, Antoni Torrens, Angel Pérez, and Joan Bosch\*

Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, Barcelona 08028, Spain

SYNTHESIS OF 2-DEOXY- $\beta$ -C-GLUCOSIDES BY DIASTEREOSELECTIVE HYDROGEN ATOM TRANSFER

D.Crich and Linda B.L.Lim, Department of Chemistry, University College London,

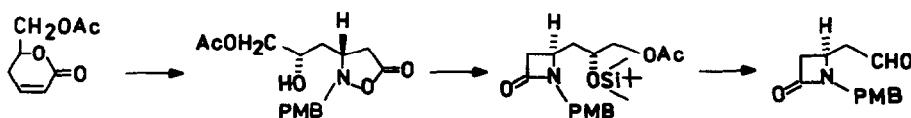
20 Gordon Street, London WC1H 0AJ, U.K.



## SYNTHESIS OF ENANTIOMERICALLY PURE PRECURSORS OF CARBAPENEMS FROM CARBOHYDRATES

Sylwester Maciejewski, Irma Panfil, Czesław Belzecki, and Marek Chmielewski\*

Institute of Organic Chemistry, Polish Academy of Sciences, 01-224 Warsaw, POLAND

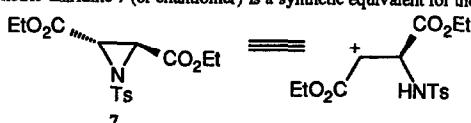


NUCLEOPHILIC RING OPENING OF C<sub>2</sub>-SYMMETRIC AZIRIDINES.  
SYNTHETIC EQUIVALENTS FOR THE β-CATION OF ASPARTIC ACID

David Tanner\*, Carin Bergesson and Hardip K. Dhaliwal

Department of Organic Chemistry, University of Uppsala, Box 531, S-751 21, Uppsala, Sweden.

Non-racemic C<sub>2</sub>-symmetric aziridine 7 (or enantiomer) is a synthetic equivalent for the β-cation of L- (or D-) aspartic acid:

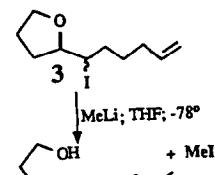


THE METHYLLITHIUM-PROMOTED DEHALOGENATIVE RING FISSION OF  
β-IODOTETRAHYDROFURANS GIVES NO EVIDENCE OF A FREE  
RADICAL COMPONENT

Vanda Cere, Claudio Paolucci,\* Salvatore Pollicino, Edda Sandri, and  
Antonino Fava\*

Dipartimento di Chimica Organica, Università di Bologna, Viale  
Risorgimento 4, 40136, Bologna, Italy

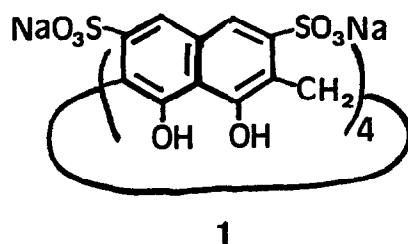
Comparison between the product distribution in the eliminative ring  
fission of erythro and threo 3 with MeLi or, respectively, with sodium  
naphthalenide indicate the former reaction does not occur via SET.



COMPLEXATION OF AROMATIC HYDROCARBONS WITH  
CYCLOTETRACHROMOTROPYLENE IN AQUEOUS SOLUTION

Bo-Long Poh\* and Leeng-Sze Koay  
School of Chemical Sciences, Universiti Sains Malaysia  
Penang, Malaysia

Cyclotetrachromotropylene (1) solubilizes aromatic  
hydrocarbons through complexation in aqueous solution



1

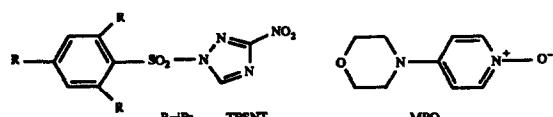
ARENESULPHONYLTRIAZOLIDES AS CONDENSING REAGENTS  
IN SOLID PHASE PEPTIDE SYNTHESIS.

X.Jorba<sup>1</sup>, F.Albericio<sup>1</sup>, A.Grandas<sup>1</sup>, W.Bannwarth<sup>2</sup> and E.Giralt<sup>1</sup>

<sup>1</sup>Departament de Química Orgànica, Universitat de Barcelona, 08028 Barcelona, Spain

<sup>2</sup>Central Research Units, Hoffmann-La Roche, Grenzacherstrasse, CH-4002 Basel, Switzerland

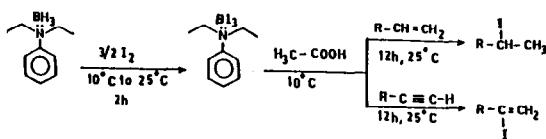
Use of TPSNT and MPO as an alternative to  
dicyclohexylcarbodiimide for peptide bond  
formation is reported.



A NEW, SIMPLE PROCEDURE FOR THE GENERATION  
AND ADDITION OF HI TO ALKENES AND ALKYNES USING  
 $\text{Bi}_3\text{:N,N-DIETHYLANILINE COMPLEX}$  AND ACETIC ACID

Ch.Kishan Reddy and M.Periasamy\*, School of Chemistry, University of Hyderabad, Hyderabad 500134, India

Markovnikov addition of HI to alkenes and alkynes can be achieved using  $\text{Bi}_3\text{:N,N-diethylaniline}$  and acetic acid under mild conditions.



A CONCISE FORMAL APPROACH TO THE OXACEPHEM SKELETON FROM A INTRAMOLECULAR PETERSON TYPE OLEFINATION OF N-[bis(TRIMETHYLSILYL)METHYL]- $\beta$ -LACTAMS

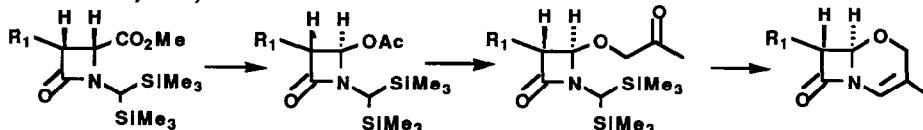
Claudio Palomo\*, Jesus M. Aizpurua, Jesus M. García

Departamento de Química Orgánica. Facultad de Química. Universidad del País Vasco. Aptdo 1072. 20080, San Sebastian. Spain.

Jean Paul Picard, Jacques Dunogues

Laboratoire de Chimie Organométallique. (U.A.-35, CNRS) Université de Bordeaux-I. 33405 Talence. France.

A convenient synthesis of precursors of bicyclic  $\beta$ -lactam compounds from 4-acetoxy-1-(bistrimethylsilylmethyl)azetidin-2-ones is described. A novel method to construct a bicyclic  $\beta$ -lactam ring system through an intramolecular Peterson type alkenation catalyzed by fluoride ion is also made.



NOVEL REGIOSELECTIVE N-ALKYLATIONS  
OF 5-SUBSTITUTED-2H-TETRAZOLES

Marija Prhavc and Jože Kobet\*

Boris Kidrič Institute of Chemistry, Hajdrihova 19, 61115 Ljubljana; Krka, Pharmaceutical and Chemical Works, 68000 Novo mesto, Yugoslavia

Regioselective alkylation of 5-substituted-2H-tetrazoles 1 to 2-alkyl derivatives 3 was achieved with alkyl cyanoformates.

Lesser selectivity was observed with chloforoformates.

