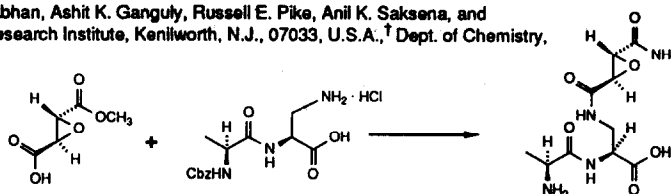


## GRAPHICAL ABSTRACTS

### Total Synthesis and Absolute Stereochemistry of the Antifungal Dipeptide Sch 37137 and its 2*S*,3*S* - isomer

*Tetrahedron Lett.* **1993**, *34*, 3201

Dinanath F. Rane\*, Viyyoor M. Girijavallabhan, Ashit K. Ganguly, Russell E. Pike, Anil K. Saksena, and Andrew T. McPhail†, Schering-Plough Research Institute, Kenilworth, N.J., 07033, U.S.A., † Dept. of Chemistry, Duke University, N.C., 27708, U.S.A.

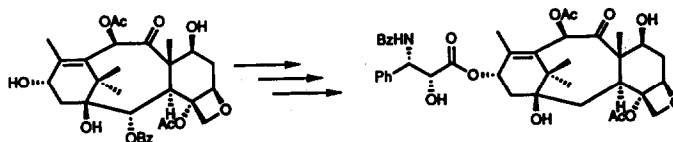


### TAXOL STRUCTURE-ACTIVITY RELATIONSHIPS: SYNTHESIS AND BIOLOGICAL EVALUATION OF 2-DEOXYTAXOL

*Tetrahedron Lett.* **1993**, *34*, 3205

Shu-Hui Chen\*, Jian-Mei Wei, Vittorio Farina, Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Walingford CT 06492-7660 U.S.A.

2-Deoxy taxol is synthesized in 8 steps from baccatin.

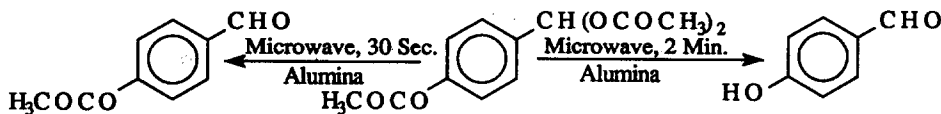


### ALUMINA-MEDIATED DEACETYLATION OF BENZALDEHYDE DIACETATES. A SIMPLE DEPROTECTION METHOD

*Tetrahedron Lett.* **1993**, *34*, 3207

Rajender S. Varma,\* Arnab K. Chatterjee, and Manju Varma, The Center for Biotechnology, Baylor College of Medicine, 4000 Research Forest Drive, The Woodlands, TX 77381, U. S. A.

A simple and high yielding method for the deprotection of benzaldehyde diacetates is described which occurs under mild conditions on alumina surface; gentle heating facilitates the procedure.

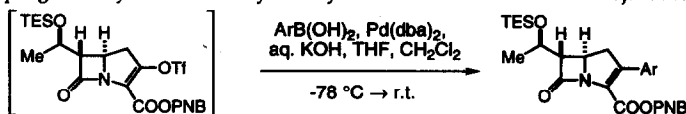


### PREPARATION OF 2-ARYL- AND 2-ALKENYL-SUBSTITUTED CARBAPENEMS UNDER MILD SUZUKI CROSS-COUPLING CONDITIONS

*Tetrahedron Lett.* **1993**, *34*, 3211

Nobuyoshi Yasuda,\* Lyndon Xavier,\* Dale L. Rieger,\* Yulan Li, Ann E. DeCamp, and Ulf-H. Dolling  
Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, New Jersey 07065

An extraordinarily mild procedure for the synthesis of 2-aryl- and 2-alkenyl-substituted carbapenems *via* palladium-catalyzed coupling of a vinyl triflate with aryl or vinyl boronic acids is described. A major advantage of this procedure is the use of non-toxic boronic acid intermediates in place of highly toxic organostannane compounds which are used in the corresponding Stille cross-coupling reactions.



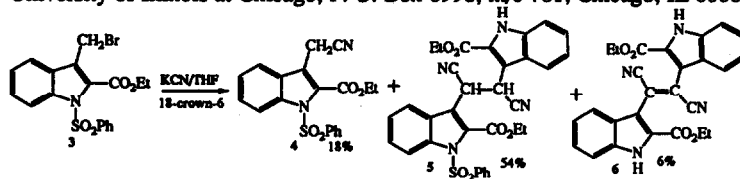
*Tetrahedron Lett.* 1993, 34, 3215

### A NOVEL DIMERIZATION OF

### ETHYL 3-CYANOMETHYL-2-INDOLECARBOXYLATE

Dhanapalan Nagarathnam and Michael E. Johnson

Center for Pharmaceutical Biotechnology and Department of Medicinal Chemistry & Pharmacognosy,  
University of Illinois at Chicago, P. O. Box 6998, m/c 781, Chicago, IL 60680, USA



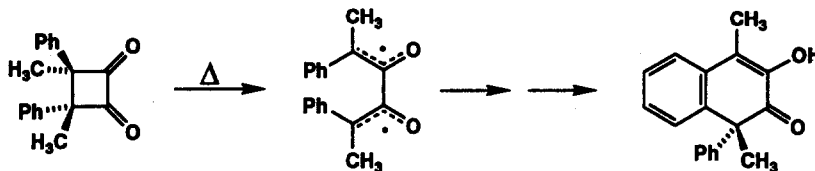
*Tetrahedron Lett.* 1993, 34, 3219

### THERMAL CLEAVAGE OF THE 3,4 BOND IN A CYCLOBUTANE-

### 1,2-DIONE. Thomas H. Peterson and Barry K. Carpenter\*, Department of Chemistry,

Baker Laboratory, Cornell University, Ithaca, NY 14853-1301 USA

Pyrolysis of *trans*-3,4-dimethyl-3,4-diphenylcyclobutane-1,2-dione apparently generates the dioxa analog of a tetramethyleneethane biradical.

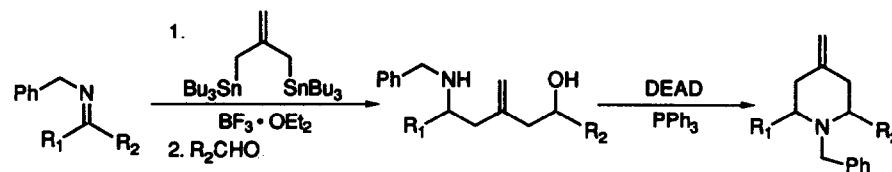


*Tetrahedron Lett.* 1993, 34, 3223

### A Conjunctive Approach to the Synthesis of Functionalized Piperidines from Imines

Gary E. Keck\* and Anandan Palani

Department of Chemistry, University of Utah, Salt Lake City, Utah, 84112

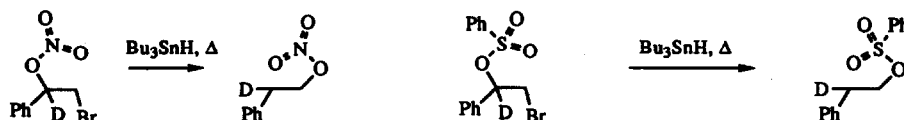


*Tetrahedron Lett.* 1993, 34, 3225

### THE $\beta$ -NITROXYALKYL AND $\beta$ -SULFONATOXYALKYL RADICAL REARRANGEMENTS

D. Crich\* and G. F. Filzen, Dept. of Chemistry, Univ. Illinois at Chicago, 801 W. Taylor St., Chicago, IL 60607-7061, USA

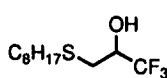
The first examples of the migration of nitrate and sulfonate esters in  $\beta$ -nitroxyalkyl and  $\beta$ -sulfonatoalkyl radicals are presented.



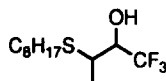
*Tetrahedron Lett.* 1993, 34, 3227

### UNIQUE INHIBITION OF A SERINE ESTERASE

Russell J. Linderman\*, David M. Graves, Sudha Garg, Krishnappa Venkatesh<sup>†</sup>, Douglas D. Anspaugh<sup>†</sup>, and R. Michael Roe<sup>†</sup>, Departments of Chemistry and Entomology, North Carolina State University, Raleigh, NC 27695-8204



OTFP-OH



$\alpha$  MeOTFP-OH

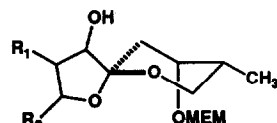
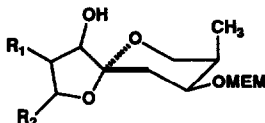
Insect juvenile hormone esterase is inhibited by two trifluoromethyl carbinols but not by the corresponding methyl ether.

*Tetrahedron Lett.* 1993, 34, 3231

### A STUDY OF STEREOCONTROL IN SPIROKETALIZATIONS. THE ROLE OF HYDROXY-ASSISTED CHELATION.

D.R. Williams\*, P.A. Jass, R.D. Gaston  
Department of Chemistry, Indiana University, Bloomington, Indiana 47405, U.S.A.

Conditions of kinetic, thermodynamic and hydroxy-assisted chelation control have been examined for diastereoselective spiroketalizations.

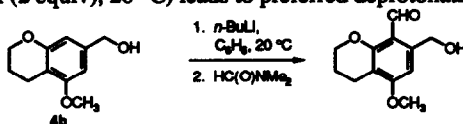


*Tetrahedron Lett.* 1993, 34, 3235

### ALKOXY AND CYCLIC ETHER OXYGENS EXHIBIT DISPARATE CAPABILITIES FOR DIRECTING *ortho* LITHIATION.

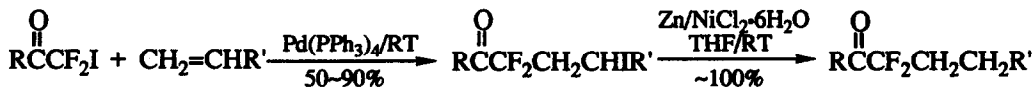
Leo A. Paquette\* and Matthias M. Schulze  
*Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210*

Metalation of **4a** (and its 5-ring analog) with *n*-butyllithium under kinetically controlled conditions (C<sub>6</sub>H<sub>6</sub>, 20 °C or Et<sub>2</sub>O, TMEDA (2 equiv), 20 °C) leads to preferred deprotonation  $\alpha$  to the heterocyclic ring.



### A GENERAL ROUTE TO $\alpha, \alpha$ -DIFLUOROKETONES

Zai-Ming Qiu and Donald J. Burton,\* Department of Chemistry, The University of Iowa, Iowa City, IA 52242, U.S.A.



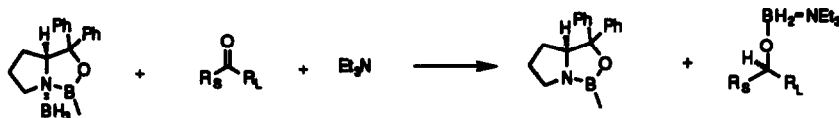
The reaction of iododifluoromethyl ketones with alkenes catalyzed by Pd(0) followed by reduction with Zn and NiCl<sub>2</sub> provides a good method for the synthesis of  $\alpha, \alpha$ -difluoroketones bearing a variety of substituents.

*Tetrahedron Lett.* 1993, 34, 3239

*Tetrahedron Lett.* 1993, 34, 3243

**EFFECTS OF TRIETHYLAMINE IN ASYMMETRIC REDUCTION USING OXAZABOROLIDINE REAGENTS.** Dongwei Cai,\* David Tschaea, Y.-J. Shi, Thomas R. Verhoeven, Robert A. Reamer, Alan W. Douglas, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065

By trapping the reactive intermediate with triethylamine, the enantioselectivity of the asymmetric reduction was significantly improved.

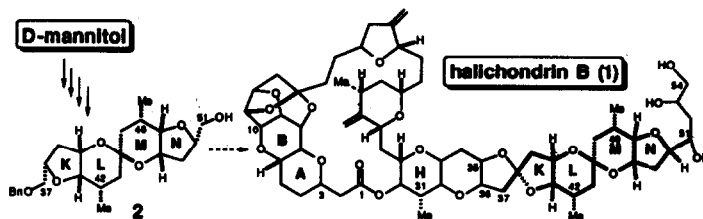


*Tetrahedron Lett.* 1993, 34, 3247

**TOTAL SYNTHESIS OF HALICHONDRIINS: ENANTIOSELECTIVE CONSTRUCTION OF A HOMOCHIRAL TETRACYCLIC KLMN-RING INTERMEDIATE FROM D-MANNITOL**

Elso Di Franco,  
Vasulinga T. Ravikumar,  
and Robert G. Salomon\*

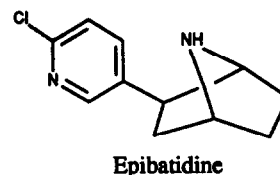
Department of Chemistry,  
Case Western Reserve University,  
Cleveland, OH 44106-2699



*Tetrahedron Lett.* 1993, 34, 3251

**TOTAL SYNTHESIS OF EPIBATIDINE.** Chris A. Broka  
Syntex Discovery Research, Institute of Bio-Organic Chemistry,  
3401 Hillview Ave., Palo Alto, CA 94304 USA

The total synthesis of (±)-epibatidine, an analgesic natural product isolated from an Ecuadoran poison frog, is described.

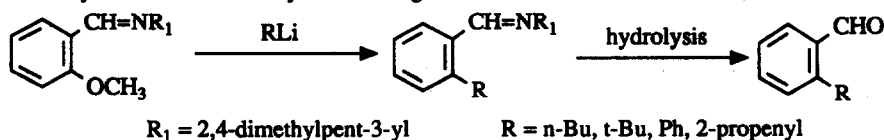


**NUCLEOPHILIC AROMATIC SUBSTITUTION ON AROMATIC ALDIMINES**

*Tetrahedron Lett.* 1993, 34, 3255

Lee A. Flippin,\* David S. Carter, and Nathan J. P. Dubree  
Institute of Organic Chemistry, Syntex Discovery Research, 3401 Hillview Avenue, Palo Alto, Ca 94304

Aromatic aldimines derived from 3-amino-2,4-dimethylpentane and benzaldehydes undergo  $S_NAr$  replacement of an *ortho*-methoxy substituent with alkyl lithium reagents.



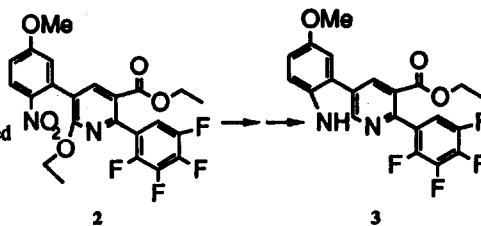
**CONVENIENT ACCESS TO 2-PYRIDYLINDOLE CYTOTOXIC ANTICANCER AGENTS**

J.G. Phillips,<sup>1,2</sup> D. Chu,<sup>2</sup> L.Seif,<sup>3</sup> S. Spanton,<sup>4</sup>  
R. Henry,<sup>4</sup> and J. J. Plattner<sup>2</sup>

Anti-infective Research Division,

Abbott Laboratories, Abbott Park, Illinois 60064

Summary: The regiospecific synthesis of highly substituted pyridylindoles has been accomplished via a three step reaction sequence which involves the unique formation of the synthetically flexible pyridine intermediate 2.

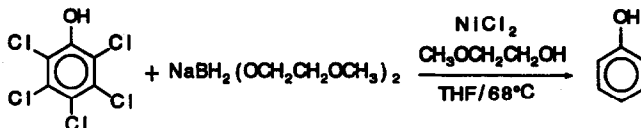


*Tetrahedron Lett.* 1993, 34, 3259

**DEHALOGENATION OF ORGANIC COMPOUNDS. 4. DECHLORINATION OF PENTACHLOROPHENOL AND 1,2,4-TRICHLOROBENZENE**

WITH TRANSITION METAL-PROMOTED ALKOXYBOROHYDRIDES. Seyed-Mohammad H. Tabeci and Charles U. Pittman, Jr.<sup>\*</sup> University/Industry Chemical Research Center, Department of Chemistry, Mississippi State University, Mississippi State, MS 39762

Pentachlorophenol was quantitatively dechlorinated to phenol and cyclohexanol at 68 °C by  $\text{NaBH}_2(\text{O}-\text{CH}_2\text{CH}_2\text{OCH}_3)_2$  and stoichiometric amounts of  $\text{NiCl}_2$ . Also, 1,2,4-trichlorobenzene was converted to benzene under the same conditions.

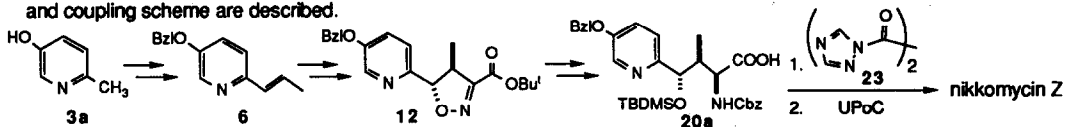


*Tetrahedron Lett.* 1993, 34, 3263

**A Synthesis of Nikkomycin Z: Improved Synthesis and Protection of the Pyridyl  $\gamma$ -Hydroxy- $\alpha$ -aminobutanolic Acid Component.**

Anil K. Saksena<sup>\*</sup>, Raymond G. Lovey<sup>\*</sup>, Viyyoor M. Girijavallabhan, Henry Guzik, and Ashit K. Ganguly. Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033 USA

A complete synthesis of nikkomycin Z using improved isoxazoline-based methodology, and an effective protection and coupling scheme are described.

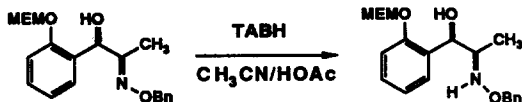


*Tetrahedron Lett.* 1993, 34, 3267

**DIASTEREOSELECTIVE HYDRIDE REDUCTIONS OF  $\alpha$ -HYDROXY OXIMINO ETHERS. SYNTHESIS OF *syn*-1,2-AMINO ALCOHOLS.**

D.R. Williams<sup>\*</sup>, M.H. Osterhout, J.P. Reddy  
Department of Chemistry, Indiana University, Bloomington, Indiana 47405, U.S.A.

Stereoselective reductions with tetramethylammonium triacetoxylborohydride are shown.



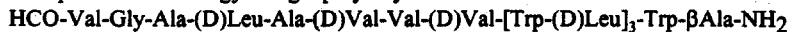
*Tetrahedron Lett.* 1993, 34, 3271

**TOTAL SYNTHESIS AND IONOPHORIC BEHAVIOUR OF A NEW GRAMICIDIN A ANALOGUE.**

*Tetrahedron Lett.* **1993**, *34*, 3275

M. Calmes, J. Daunis\*, D. David and R. Lazaro.- Laboratoire des Aminoacides et Peptides associé au CNRS Université de Montpellier II, Place E. Bataillon, 34095 Montpellier Cédex 5, France.

A new gramicidine A analogue resulting from replacement of the C-terminal OH group by an amide function was synthesized by solid phase methodology using a polyacrylamide resin.

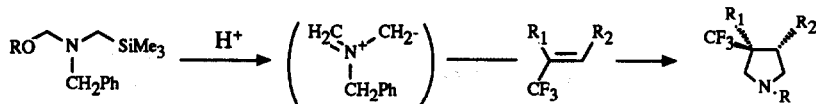


This peptide is able to generate transmembrane channels permeable to alkaline ions

**Enhancement of Alkene Reactivity by a Trifluoromethyl group: Synthesis of Pyrrolidines via 1,3 Dipolar cycloaddition.**

*Tetrahedron Lett.* **1993**, *34*, 3279

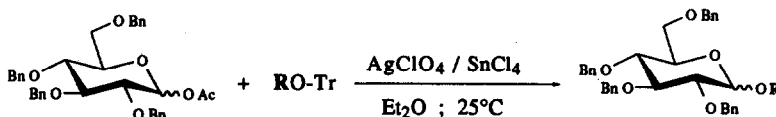
Bégué, J.-P.; Bonnet-Delpon, D.; Lequeux, T. CNRS-BIOCIS, rue Clément, 92290 Châtenay-Malabry, France  
Alkenes activated by a CF<sub>3</sub> group are reactive towards azomethine ylides to provide pyrrolidines in good yields.



**The Trityl Group as an Alternative in Mukaiyama's Glycosylation Reaction** Stephan Houdier and Philippe J. A. Vottéro,\* CEA/Département de Recherche Fondamentale sur la Matière Condensée, SESAM/MV, 85X, 38041 Grenoble, France

*Tetrahedron Lett.* **1993**, *34*, 3283

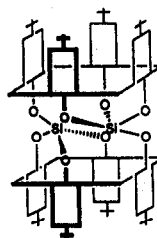
A Trityl group in place of TMS gives good yields of glycosylation in Mukaiyama's conditions.



**Multicavitands I: Synthesis and X-ray Crystal Structure of a Fused bis *p-tert*-butylcalix[4]arene by two Silicon Atoms.** Xavier Delaigue, Mir Wais Hosseini\*, André De Cian, Jean Fischer, Emmanuelle Leize, Sylvie Kieffer and Alain Van Dorsselaer, Université Louis Pasteur, Institut de Chimie, 4, rue Blaise Pascal, F-67000 Strasbourg, France

*Tetrahedron Lett.* **1993**, *34*, 3285

The synthesis of a receptor possessing two divergent hydrophobic cavities is reported. The receptor contains two *p-tert*-butylcalix[4]arene units fused by two tetra-coordinated silicon atoms.

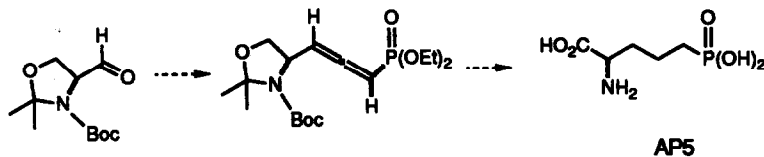


**A new Method for the Preparation of (2R)-2-Amino-5-Phosphopentanoic Acid.**

*Tetrahedron Lett.* 1993, 34, 3289

Mireille Muller<sup>a</sup>, André Mann<sup>a\*</sup> and Maurizio Taddei<sup>b</sup>

a. Laboratoire de Pharmacochimie Moléculaire, Centre de Neurochimie du CNRS, 5, rue B. Pascal, F-67084 Strasbourg, France.  
b. Dipartimento di Chimica Organica "Ugo Schiff", Università di Firenze, via G. Capponi 9, I-50121, Firenze, Italy.



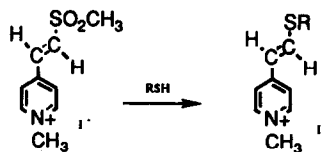
**A NOVEL SELECTIVE REAGENT OF THIOLS AND SELENOLS.**

*Tetrahedron Lett.* 1993, 34, 3291

Michel Holler, Alain Burger, Denis Tritsch, Jean-François Biellmann\*

Laboratoire de Chimie Organique Biologique, associé au CNRS No. 31, Institut de Chimie, Université Louis Pasteur, 1 Rue Blaise Pascal, 67008 Strasbourg, France.

The preparation of (E)-1-methyl-4-(2-methylsulfonyl)vinylpyridinium iodide and its reactivity as an affinity chromophoric label with thiols and selenols are described.

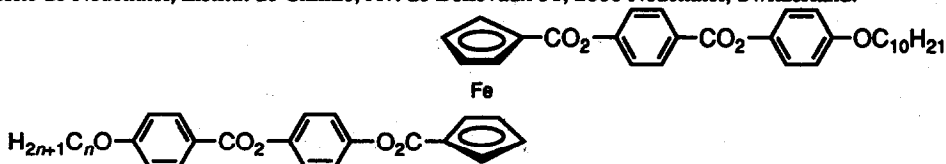


**Unsymmetrically 1,1'-Disubstituted Ferrocene-containing Thermotropic Liquid Crystals.**

*Tetrahedron Lett.* 1993, 34, 3293

Robert Deschenaux,\* Mafalda Rama and Julio Santiago.

Université de Neuchâtel, Institut de Chimie, Av. de Bellevaux 51, 2000 Neuchâtel, Switzerland.

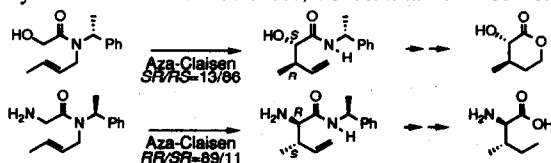


**ASYMMETRIC AZA-CLAISEN REARRANGEMENT OF GLYCOLAMIDE AND GLYCINAMIDE ENOLATES. SYNTHESIS OF OPTICALLY ACTIVE  $\alpha$ -HYDROXY AND  $\alpha$ -AMINO ACIDS**

*Tetrahedron Lett.* 1993, 34, 3297

Tetsuto Tsunoda,\* Shinji Tatsuki, Yoko Shiraiishi, Masumi Akasaka, and Shô Itô

Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Tokushima, 770 Japan



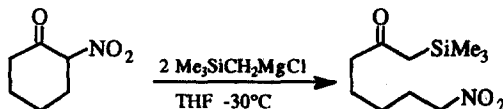
*Tetrahedron Lett.* 1993, 34, 3301

**RETRO CLAISEN CLEAVAGE OF  $\alpha$ -NITROCYCLOALKANONES USING  $\text{TMSCH}_2\text{MgCl}$  (PETERSON REAGENT): SYNTHESIS OF FUNCTIONALIZED  $\beta$ -KETO-TRIMETHYLSILANES.**

R. Ballini, G. Bartoli\*, R. Giovannini, E. Marcantoni and M. Petrini.

Dipartimento di Scienze Chimiche dell'Università. Via S. Agostino, 1 I-62032 Camerino, Italy.

$\beta$ -Keto-trimethylsilanes, bearing a nitro functionality, can be prepared by nucleophilic ring cleavage of  $\alpha$ -nitro cycloalkanones with the Peterson reagent. The process shows considerable chemoselectivity and can be explained in terms of silicon  $\beta$  effect.



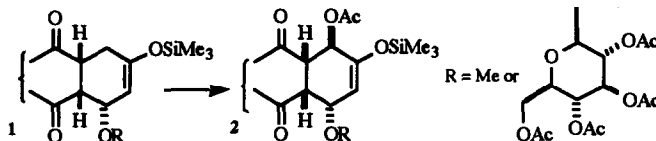
*Tetrahedron Lett.* 1993, 34, 3305

**UNUSUAL ALLYLIC ACETOXYLATIONS OF SILYL ENOL ETHERS WITH LEAD(IV) ACETATE.**

Martine M. L. Crilley, David S. Larsen,

Richard J. Stoodley\* and Fernando Tomé, Department of Chemistry, UMIST, PO Box 88, Manchester M60 1QD, UK

Compounds of type 1, obtained by Diels-Alder reactions, react with lead(IV) acetate to give acetoxy derivatives of type 2.

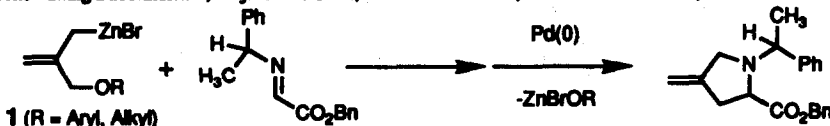


*Tetrahedron Lett.* 1993, 34, 3309

**ONE-POT PREPARATION OF 3-METHYLENEPYRROLIDINES WITH HIGH DIASTEREOMERIC EXCESS**

T.A.J. van der Heide, J.L. van der Baan, V. de Kimppe, F. Bickelhaupt, and G.W. Klumpp\*

Scheikundig Laboratorium, Vrije Universiteit, De Boelelaan 1083, 1081 HV Amsterdam, The Netherlands



Addition of allylic reagents 1 to imines carrying a carbalkoxy group at C- $\alpha$  or C- $\alpha'$ , followed by Pd(0)-catalyzed cyclization permits the one-pot preparation of 3-methylene-pyrrolidines with high diastereoselectivity.

*Tetrahedron Lett.* 1993, 34, 3311

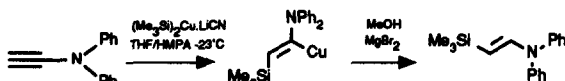
**SILYLATION OF N-PHENYL-N-ETHYNYL-ANILINE: A VERSATILE ROUTE TO FUNCTIONALIZED N,N-BIS(PHENYL)ENAMINES**

Laura Capella<sup>a</sup>, Antonella Capperucci<sup>a</sup>, Giovanni Curotto<sup>a</sup>, Pasquale Dembeck<sup>b</sup>, Dario Lazzari<sup>b</sup>, Gianna Paganato<sup>a</sup>, Alfredo Ricci<sup>a,c</sup>

<sup>a</sup>Centro CNR dei Composti Eterociclici, via G. Capponi 9, I-50121 Firenze, Italy

<sup>b</sup>CNR Istituto dei Composti del Carbonio Contenenti Eterotomi, via della Chimica 8, I-40064 Ozzano Emilia, Italy

<sup>c</sup>Dipartimento di Chimica Organica, viale Risorgimento, 4, 40136 Bologna, Italy.



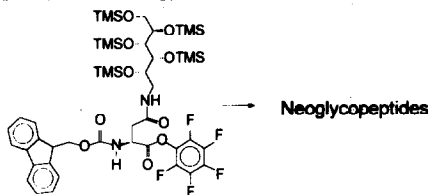
The silylation of N-phenyl-N-ethynylaniline occurs regioselectively leading to silylation at the  $\beta$ -carbon atom. Subsequent reaction of the resulting vinyl copper adduct with electrophiles, opens a new flexible route to functionalized enamines.



**SILYL PROTECTION IN THE SOLID-PHASE SYNTHESIS OF N-LINKED NEOGLYCOPEPTIDES.  
ONE-STEP DEPROTECTION OF FULLY PROTECTED NEOGLYCOPEPTIDES.**

Ida Christiansen-Brams, Morten Meldal and Klaus Bock,  
Carlsberg Laboratory, Department of Chemistry,  
Gamle Carlsberg Vej 10, 2500 Valby, Copenhagen, Denmark.

A new protection strategy employing trimethylsilyl (TMS) as hydroxyl protecting group in the synthesis of N-linked neoglycopeptides is described. The resulting neoglycopeptides could be cleaved and completely deprotected with TFA.

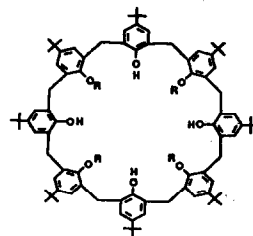


**Tetra-*O*-benzylated Calix[8]arenes with  $C_4$  Symmetry**

Placido Neri,\* Corrada Geraci and Mario Piattelli

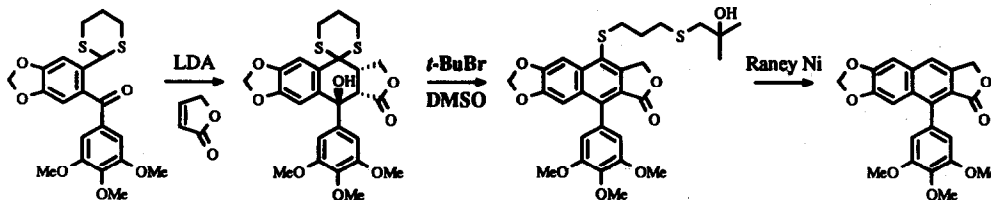
Istituto per lo Studio delle Sostanze Naturali di Interesse Alimentare e Chimico-Farmaceutico, C.N.R., Via del Santuario 110, I-95028 Valverde (CT), Italy

Treatment of *p*-*tert*-butylcalix[8]arene 1 (R = H) with *p*-*X*-benzyl bromides (X = H, Me, *tert*-Bu, NO<sub>2</sub>, CN) and K<sub>2</sub>CO<sub>3</sub> in THF/DMF gives the corresponding 1,3,5,7-tetrabenzyl ethers 2-6 (R = *p*-*X*-benzyl) having  $C_4$  symmetry.



**An Insight into the *t*-Butylbromide - Dimethyl Sulfoxide Reagent:  
A Novel Application in Lignan Total Synthesis.**

David C. Harrowven and Shelagh T. Dennison Department of Chemistry, University of Wales, Bangor, Gwynedd, LL57 2UW.

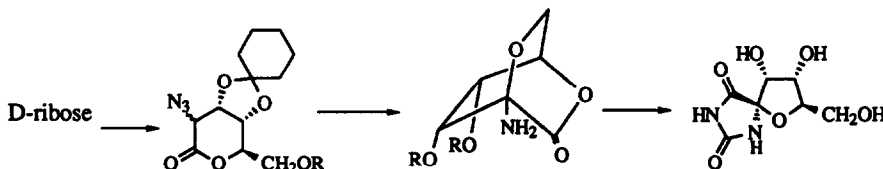


**SYNTHESIS OF 1-EPIHYDANTOCIDIN FROM D-RIBOSE**

A. J. Fairbanks,<sup>a</sup> P. S. Ford,<sup>b</sup> D. J. Watkin<sup>b</sup> and G. W. J. Fleet<sup>a\*</sup>

<sup>a</sup>Dyson Perrins Laboratory, Oxford University, South Parks Road, Oxford OX1 3QY, UK

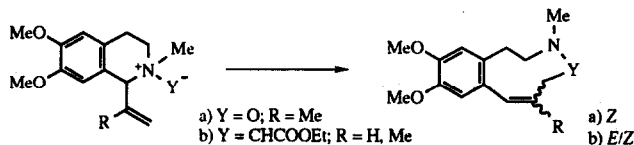
<sup>b</sup>Chemical Crystallography Laboratory, Oxford University, 9, Parks Road, Oxford OX1 3PD, UK



**[2,3] SIGMATROPIC REARRANGEMENT OF 1-VINYLIC TETRAHYDROISOQUINOLINE *N*-YLIDES AND *N*-OXIDES.**

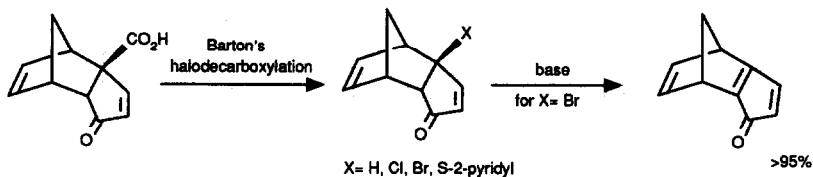
T. Samuel Bailey<sup>a</sup>, John B. Bremner<sup>b</sup> and John A. Carver<sup>b</sup>; <sup>a</sup> Department of Chemistry, University of Tasmania, GPO Box 252C, Hobart, Australia 7001; <sup>b</sup> Department of Chemistry, University of Wollongong, Northfields Ave., Wollongong, Australia 2522.

[2,3] Sigmatropic rearrangement of the appropriate *N*-ylide and *N*-oxide derivatives provided functionalised 3-benzazonines and the first example of the 4,3-benzoxazonine system.



**GENERATION AND CHARACTERIZATION OF TRICYCLODECATRIENONE, A NORBORNENE ANNULATED CYCLOPENTADIENONE**

J. Zhu, A.J.H. Klunder, B. Zwanenburg\*, Department of Organic Chemistry, NSR Center for Molecular Structure, Design and Synthesis, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen, The Netherlands



**EXPLANATION OF AN UNUSUAL SUBSTITUENT EFFECT IN THE BENZYLATION OF ANISOLE AND IDENTIFICATION OF THE ORIGIN OF THE ACTIVE SITES IN CLAYZIC.**

Barlow S. J.<sup>a</sup>, Bastock T. W.<sup>a</sup>, Clark J. H.<sup>b\*</sup> and Cullen S. R.<sup>b</sup>

<sup>a</sup> Contract Chemicals Ltd., Penryn Road, Knowsley Industrial Park, Prescot, Merseyside, UK, L34 9HY.

<sup>b</sup> Department of Chemistry, University of York, Heslington, York, UK, YO1 5DD.

Clayzic demonstrates only Brønsted catalysis below 40°C and Brønsted and Lewis catalysis above 40°C in the benzylation of anisole

