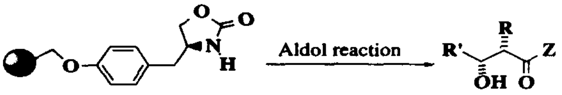
Tetrahedron Letters, **1997**, *38*, 8777

SYNTHESIS OF CHIRAL α- SUBSTITUTED β-HYDROXY ACID DERIVATIVES ON SOLID SUPPORT

Ashok V Purandare* and Sesha Natarajan
Bristol-Myers Squibb Pharmaceutical Research Institute
P.O. Box 4000, Princeton, New Jersey 08543

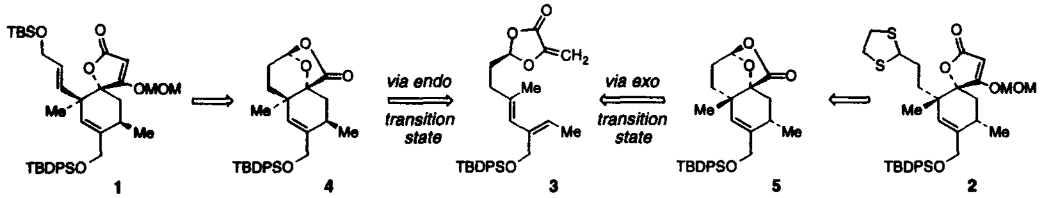
Enantioselective aldol condensation using solid supported chiral auxilliary has been used for the synthesis of α -substituted-β-hydroxy acid and ester.



Tetrahedron Letters, **1997**, *38*, 8781

AN INTRAMOLECULAR DIELS-ALDER APPROACH TO THE SPIROTETRONIC ACID SUBUNITS OF THE QUARTROMICINS

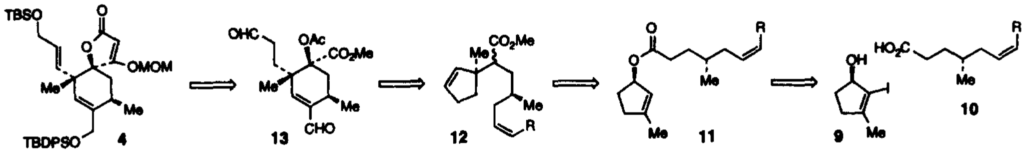
W. R. Roush* and D. A. Barda, Department of Chemistry, Indiana University, Bloomington, IN 47405



Tetrahedron Letters, **1997**, *38*, 8785

SECOND GENERATION SYNTHESIS OF THE QUARTROMICIN SPIROTETRONIC ACID SUBUNITS VIA A CLAISEN REARRANGEMENT-INTRAMOLECULAR ALDOL SEQUENCE

W. R. Roush* and D. A. Barda, Department of Chemistry, Indiana University, Bloomington, IN 47405

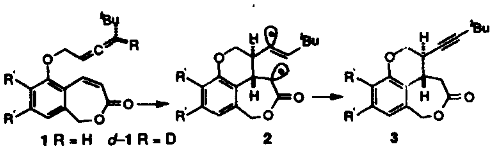


Tetrahedron Letters, **1997**, *38*, 8789

Mechanistic Implications of Stereospecific 1,5-Hydrogen-Atom Transfer in the Formation of an Unusual Allene/Enoate Photoproduct

Curtis A. Hastings, Josef D. Riggenberg, and Erick M. Carreira*
Arnold and Mabel Beckman Laboratory of Chemical Synthesis
Division of Chemistry and Chemical Engineering
California Institute of Technology, Pasadena, California 91125

Irradiation of allene-enoate 1 affords alkyne 3 as the major photoproduct. Selectively deuterated analog *d*-1 affords a single diastereomer on irradiation. This result supports a stepwise mechanism for enantioselective [2+2]-photocycloadditions involving a 1,4-biradical intermediate which collapses to products more rapidly than it undergoes reversion to starting material resulting in the observed high levels of asymmetric induction.

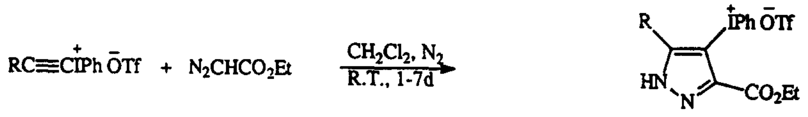


Tetrahedron Letters, **1997**, *38*, 8793

[3+2]-Cycloaddition Reactions of Alkynyl(phenyl)iodonium Triflates with Ethyl Diazoacetate, N-t-Butyl-α-phenyl Nitrone and t-Butylnitrileoxide as 1,3-Dipoles

Peter J. Stang* and Paul Murch
Department of Chemistry, University of Utah, Salt Lake City, UT 84112

The [3+2]-cycloaddition of β-substituted ethynyliodonium salts, $RC{\equiv}C\overset{+}{I}\overset{-}{O}Tf$, with three different 1,3-dipoles results in novel heterocycles

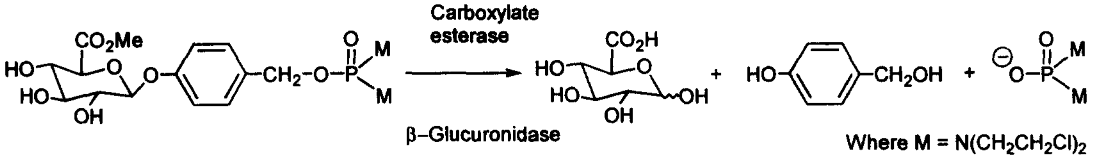


Tetrahedron Letters, **1997**, *38*, 8795

A METHYL GLUCURONATE PRODRUG OF PHOSPHORODIAMIDIC MUSTARD.

Ajit K. Ghosh and David Farquhar, Department of Clinical Investigation,
University of Texas M. D. Anderson Cancer Center, Houston, Texas 77030

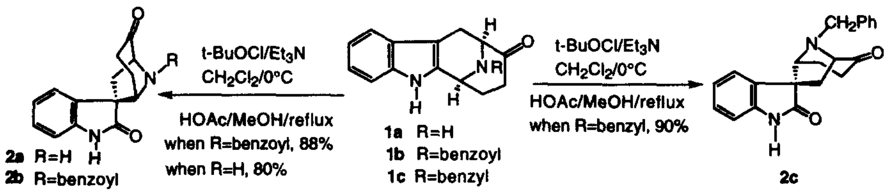
A new prodrug of phosphorodiamidic mustard, a potent anticancer agent, is described.



Tetrahedron Letters, **1997**, *38*, 8799

Diastereospecific Synthesis of Ketooxindoles. Potential Intermediates for the Synthesis of Alstonisine as well as for *Voachalotine* Related Oxindole Alkaloids

Peng Yu and James M. Cook*, Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, WI 53201

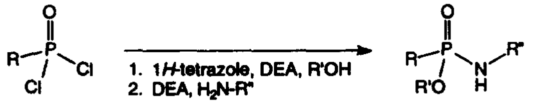


Tetrahedron Letters, **1997**, *38*, 8803

A CONVENIENT TWO-STEP ONE-POT SYNTHESIS OF PHOSPHONAMIDATES.

Karyn L. Mlodnosky, H. Michael Holmes, Vinh Q. Lam, and Clifford E. Berkman*, Department of Chemistry & Biochemistry, San Francisco State University, 1600 Holloway Ave., San Francisco, CA 94132, USA

Phosphonamidates are efficiently formed by the one-pot sequential reaction of a phosphonyl dichloride with an alcohol and an amine in the presence of catalytic 1*H*-tetrazole.

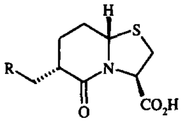


Tetrahedron Letters, **1997**, *38*, 8807

SYNTHESIS OF CONSTRAINED BICYCLIC DIPEPTIDE MIMETICS.

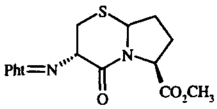
M. Arshad Siddiqui,* Patrice Préville, Micheline Tarazi, Scott E. Warder, Paul Eby,
Elise Gorseth, Karen Puumala and John DiMaio, BioChem Therapeutic Inc., 275 Armand-Frappier Blvd., Laval, Quebec, Canada, H7V 4A7

A convenient synthesis of peptidomimetics of (R)-Phe-Pro dipeptide is described.



1

$R = Ph, C_6H_{11}, C_6H_{11}\text{-}(CH_2)_2\text{-}$



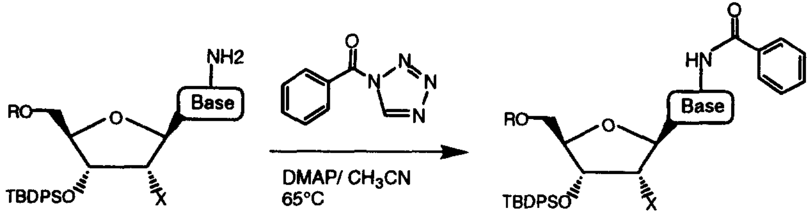
2

Tetrahedron Letters, **1997**, *38*, 8811

A MILD AND HIGHLY SELECTIVE *N*-BENZOYLATION OF CYTOSINE AND ADENINE BASES IN NUCLEOSIDES WITH *N*-BENZOYLTETRAZOLE.

Balkrishen Bhat* and Yogesh S. Sanghvi, ISIS Pharmaceuticals, Medicinal Chemistry Department, 2292 Faraday Avenue
Carlsbad, CA 92008, USA

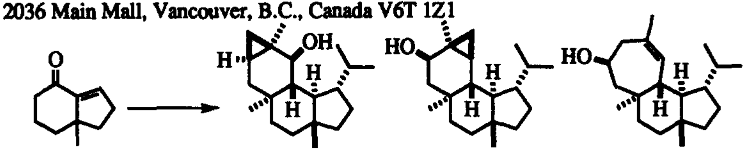
A mild and selective monobenzoylation of the exocyclic amino group in nucleosides with benzoyltetrazole.



Tetrahedron Letters, **1997**, *38*, 8815

TOTAL SYNTHESES OF THE DITERPENOIDS (±)-VERRUCOSAN-2β-OL, (±)-NEOVERRUCOSAN-5β-OL, AND (±)-HOMOVERRUCOSAN-5β-OL. AN APPROACH TO THE SYNTHESIS OF THE SESTERTERPENOID VARIECOLIN

Edward Piers* and Serge L. Boulet, Department of Chemistry, University of British
Columbia, 2036 Main Mall, Vancouver, B.C., Canada V6T 1Z1

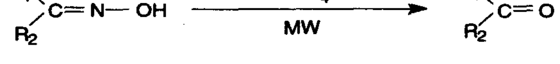


Tetrahedron Letters, **1997**, *38*, 8819

SOLID STATE REGENERATION OF KETONES FROM OXIMES ON WET SILICA SUPPORTED SODIUM PERIODATE USING MICROWAVES

Rajender S. Varma,* Rajender Dahiya and Rajesh K. Saini
Department of Chemistry and Texas Regional Institute for Environmental Studies (TRIES),
Sam Houston State University, Huntsville, Texas 77341-2117, U.S.A.

Microwave irradiation of ketoximes on wet silica supported sodium periodate under solvent-free conditions provides a fast, efficient and simple method for the regeneration of ketones.



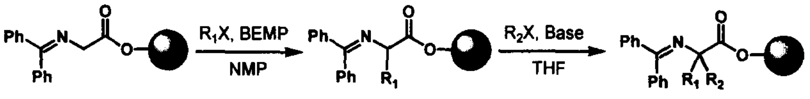
$$R_1R_2C{=}N{-}OH \xrightarrow[\text{MW}]{\text{Wet NaIO}_4\text{–Silica}} R_1R_2C{=}O$$

Tetrahedron Letters, **1997**, *38*, 8821

Tandem UPS: Sequential Mono- and Dialkylation of Resin-Bound Glycine *via* Automated Synthesis

David L. Griffith,[a] Martin J. O'Donnell,[b] Richard S. Pottorf,[b] William L. Scott,[c] and John A. Porco, Jr.[a] * [a] Argonaut Technologies, 887 Industrial Road Suite G, San Carlos, California 94070, [b]Department of Chemistry, Indiana University-Purdue University at Indianapolis, Indianapolis, Indiana 46202, [c]Technology Core Research, Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, Indiana 46285

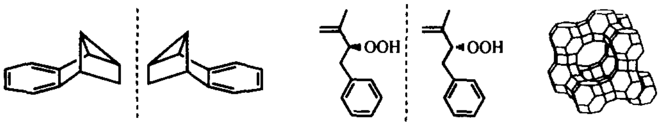
Automated synthesis of unnatural α,α-disubstituted amino acid derivatives by a tandem alkylation process on solid-support is reported.



Tetrahedron Letters, **1997**, *38*, 8825

ASYMMETRICALLY MODIFIED ZEOLITE AS A MEDIUM FOR ENANTIOSELECTIVE PHOTOREACTIONS: REACTIONS FROM SPIN FORBIDDEN EXCITED STATES

Abraham Joy, Rebecca J. Robbins, Kasi Pitchumani and V. Ramamurthy*
Department of Chemistry, Tulane University, New Orleans, LA 70118



Tetrahedron Letters, **1997**, *38*, 8829

PREPARATION OF DITHIOSELENIDES *VIA* A SELENIUM TRANSFER REAGENT

M. Dominic Ryan and David N. Harpp*
Department of Chemistry
McGill University
Montreal, Quebec, Canada, H3A 2K6

Dithioselenides can be prepared in good, overall yield and purity from the reaction of thiols with a selenium transfer reagent >N-Se-N<.

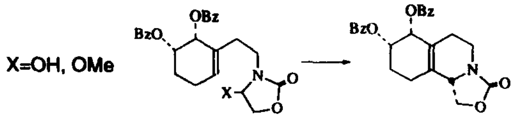
>N-Se-N< + 2 R-SH ⟶ R-S-Se-S-R

Tetrahedron Letters, **1997**, *38*, 8833

CHEMOENZYMATIC AND ELECTROCHEMICAL OXIDATIONS IN THE SYNTHESIS OF OCTAHYDROISOQUINOLINES FOR CONVERSION TO MORPHINE. RELATIVE MERITS OF RADICAL VS. ACID-CATALYZED CYCLIZATIONS.

Mary Ann Endoma, Gabor Butora, Christopher D. Claeboe, Tomas Hudlicky* and Khalil A. Abboud, Department of Chemistry, University of Florida, Gainesville, FL, 32611-7200, USA

Octahydroisoquinolines 3 were synthesized by acid-catalyzed cyclization of 5-hydroxy- or 5-methoxy-N-alkyl oxazolidinones.

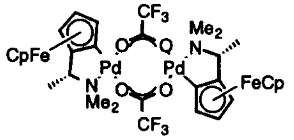


Tetrahedron Letters, **1997**, *38*, 8837

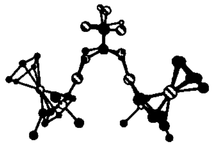
CYCLOPALLADATED FERROCENYL AMINES AS ENANTIOSELECTIVE CATALYSTS FOR THE REARRANGEMENT OF ALLYLIC IMIDATES TO ALLYLIC AMIDES.

T. Keith Hollis and Larry E. Overman,* 516 Physical Sciences 1, Department of Chemistry, University of California, Irvine, CA 92697-2025 USA

Cyclopalladated ferrocenyl amine **6f** promotes the rearrangement of some 2-alkenyl imidates to allylically transposed amides in excellent yield and moderate enantioselectivity.



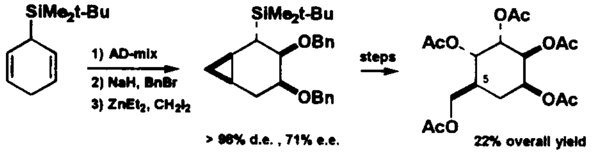
6f



Tetrahedron Letters, **1997**, *38*, 8841

SYNTHESIS OF PSEUDO-SUGARS BASED ON DESYMMETRIZATION OF DIENYLSILANES.

Rémy Angelaud, Yannick Landais*
Institut de Chimie Organique, Université de Lausanne, Collège Propédeutique, 1015 Lausanne-Dorigny, Switzerland.

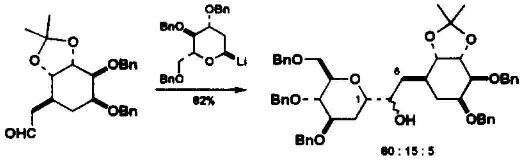


A synthesis of pseudo-sugars using the desymmetrization of a dienylsilane, followed by a stereocontrolled introduction of the hydroxymethyl group at C5, is described. The CH_2OH group at C5 was elaborated using a regioselective cyclopropane-ring opening or a [2,3]-Wittig rearrangement.

Tetrahedron Letters, **1997**, *38*, 8845

STEREOCONTROLLED ACCESS TO CARBA-C-DISACCHARIDES VIA FUNCTIONALIZED DIENYLSILANES.

Rémy Angelaud, Yannick Landais,* Liliana Parra-Rapado
Institut de Chimie Organique, Université de Lausanne, Collège Propédeutique, 1015 Lausanne-Dorigny, Switzerland.

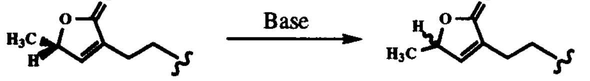


We report here the total synthesis of a class of C1→ C6 Carba-C-disaccharide, formed by the association between a 2-deoxyglucose as the sugar unit and a *pseudo*-pyranose or a *pseudo*-furanose as the carba-sugar moiety. The carba-sugar fragments were assembled from the corresponding dienylsilanes through a dihydroxylation-cyclopropanation sequence.

Tetrahedron Letters, **1997**, *38*, 8849

EPIMERIZATION OF ANNONACEOUS ACETOGENINS UNDER BASIC CONDITIONS

Philippe Duret, Bruno Figadère*, Reynald Hocquemiller, André Cavé
Laboratoire de Pharmacognosie, associé au CNRS (BIOCIS), Université Paris-Sud, Faculté de Pharmacie, rue Jean-Baptiste Clément, 92296 Châtenay-Malabry, France



S C-34 (C36) annonaceous acetogenins

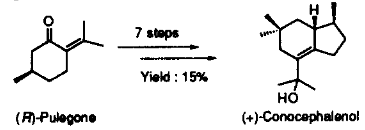
S and *R* C-34 (C36) epimers

Tetrahedron Letters, **1997**, *38*, 8853

A VERY SHORT AND EFFICIENT SYNTHESIS OF (+)-CONOCEPHALENOL

Janine Cossy *[a], Samir BouzBouz [a, b] and Abdelhak Hakiki [b]
[a] Laboratoire de Chimie Organique, Associé au CNRS, ESPCI, 10 rue Vauquelin, 75231 Paris Cedex 05 - France
[b] Université Mohammed V, Faculté de Sciences, Rabat, Maroc

The synthesis of (+)-conocephalenol was achieved in seven steps from (*R*)-Pulegone with an overall yield of 15%.

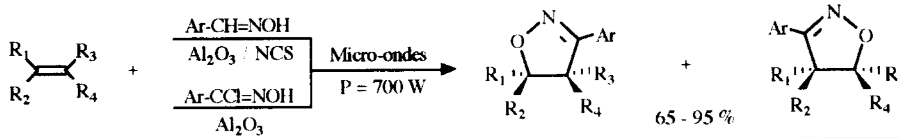


Tetrahedron Letters, **1997**, *38*, 8855

ADDITION DIPOLAIRE-1,3 DES ARYLNITRILOXYDES AVEC QUELQUES DIPOLAROPHILES OLEFINIQUES SUR ALUMINE EN MILIEU SEC ET SOUS MICRO-ONDES

Bouazza Syassi, Khalid Bougrin et Mohamed Soufiaoui*
Laboratoire de Chimie des Plantes et de Synthèse Organique et Bioorganique, Faculté des Sciences, B.P. 1014 Rabat-RP-Maroc

La réaction de cycloaddition dipolaire-1,3 des arylnitriloxydes avec quelques dipolarophiles sur alumine et sous exposition micro-ondes conduit en 10 min aux isoxazolines avec de bons rendements.

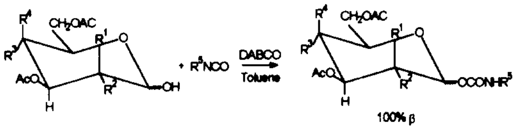


Tetrahedron Letters, **1997**, *38*, 8859

STEREOSELECTIVE SYNTHESIS OF GLYCOSYL CARBAMATES AS NEW SURFACTANTS AND GLYCOSYL DONORS.

C. Prata(a), N. Mora(a), J.M. Lacombe*(a), J.C. Maurizis(b) and B. Pucci(a)
(a) Laboratoire de Chimie Bioorganique et des Systèmes Moléculaires Vectoriels. Faculté des Sciences d'Avignon.
33, Rue Louis Pasteur, 84000-Avignon-France.
(b) Unité INSERM U 71, rue Montalembert BP 184, 63005-Clermont Ferrand-France.

Addition of reducing acetylated sugars to isocyanates led to β-glycosyl carbamates with 100% diastereoselectivity. These carbamates are surfactants or glycosyl donors.



Tetrahedron Letters, **1997**, *38*, 8863

LITHIUM *BIS*-(DIISOPROPYLAMINO)BORACETYLIDE [$LiC\equiv C\text{-}B(N\textit{i}\text{-}Pr_2)_2$]. A NEW REAGENT FOR THE PREPARATION OF TERMINAL ALKYNES

Christiane Blanchard, Michel Vaultier,* and Jacques Mortier*

CNRS et université Rennes-I, Synthèse et électrosynthèse organiques,
campus de Beaulieu, 35042 Rennes Cedex, France

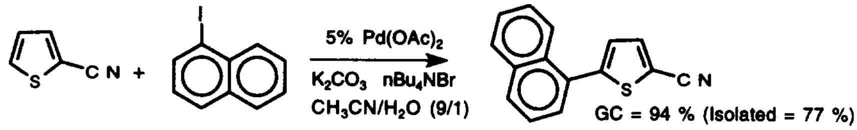
$$HC\equiv CB(N\textit{i}\text{-}Pr_2)_2 \xrightarrow[0\ ^\circ C]{n\text{-BuLi, THF}} \boxed{LiC\equiv CB(N\textit{i}\text{-}Pr_2)_2} \xrightarrow[-78\ ^\circ C]{RX} RC\equiv CB(N\textit{i}\text{-}Pr_2)_2 \xrightarrow{H^+} RC\equiv CH$$

DIRECT THIOPHENE ARYLATION CATALYSED BY PALLADIUM.

Tetrahedron Letters, **1997**, *38*, 8867

Christel Gozzi, Laurence Lavenot, Kerstin Ilg, Vincent Penalva and Marc Lemaire*, Institut de Recherches sur la Catalyse et
Laboratoire de Catalyse et Synthèse Organique, CNRS, Université C. Bernard, CPE, Bât. 308, 43 bd. du 11 nov.,
69622 Villeurbanne Cedex, France.

Palladium-catalysed arylation of 2- and 3- activated thiophenes leads to 5- and 2-arylthiophenes.

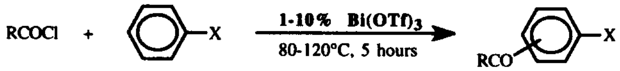


SURPRISING CATALYTIC ACTIVITY OF BISMUTH (III) TRIFLATE IN THE FRIEDEL-CRAFTS ACYLATION REACTION

Tetrahedron Letters, **1997**, *38*, 8871

J.R. Desmurs[a], M. Labrouillère[b], C. Le Roux[b], H. Gaspard[b], A. Laporterie[b] and J. Dubac[b]
[a]Rhône-Poulenc Industrialisation, Centre de Recherche, d'Ingénierie et de Technologie des Carrières, 85, Avenue des Frères-Perret,
69192 Saint-Fons Cedex , France, [b]Hétérochimie Fondamentale et Appliquée (ESA CNRS 5069), Université Paul-Sabatier,
118, route de Narbonne, 31062 Toulouse Cedex, France

Bismuth triflate showed to be an excellent catalyst for the Friedel-Crafts acylation of aromatics, especially for the aroylations.



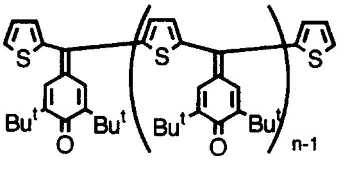
with R = alkyl, aryl
and X = OMe, OCF$_3$, Me, H, F, Cl

OLIGO(*p*-QUINONE METHIDE)S BRIDGED WITH THIOPHENE RINGS. NOVEL OLIGOMERIC, QUINONOID π-SYSTEMS WITH HIGH ELECTRON AFFINITY

Tetrahedron Letters, **1997**, *38*, 8875

Hiroyuki Kurata, Akihito Hisamitsu, and Masaji Oda*,
Department of Chemistry, Graduate School of Science, Osaka University,
Toyonaka, Osaka 560, Japan

Quinone methide oligomers **1a-d** have fairly high electron affinity and undergoes electronic reduction or protonation forming the corresponding polyanions or polycations.



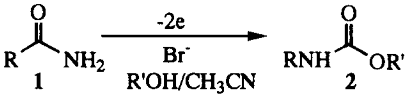
1a-d (n = 1 ~ 4)

ELECTROCHEMICALLY INDUCED HOFMANN REARRANGEMENT

Tetrahedron Letters, **1997**, *38*, 8879

Yoshihiro Matsumura,* Toshihide Maki, and Yuki Satoh
Faculty of Pharmaceutical Sciences, Nagasaki University, 1-14 Bunkyo-machi, Nagasaki 852, Japan

A new solvent system for the electrochemically induced Hofmann rearrangement, which makes it possible to transform primary carboxamides **1** to a variety of alkyl carbamates **2** under mild conditions (neutral), was developed.

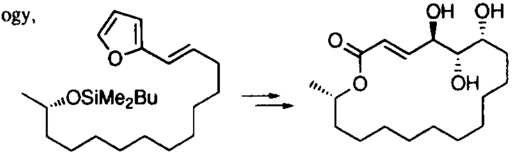


Tetrahedron Letters, **1997**, *38*, 8883

CHIRAL SYNTHESIS OF (+)-ASPICILIN BY USING A FURYL GROUP AS THE MASKED γ-OXO-α,β-UNSATURATED CARBOXYLIC ACID.

Yuichi Kobayashi,* Miwa Nakano and Hiroki Okui,
Department of Biomolecular Engineering, Tokyo Institute of Technology,
4259 Nagatsuta-cho, Midori-ku, Yokohama 226, Japan

Synthesis of (+)-aspicilin is achieved through diastereoselective dihydroxylation of the alkenyl furan, the subsequent furan ring oxidation, and the chelation-controlled reduction of the γ-keto-α,β-unsaturated ester.



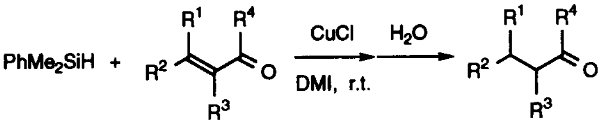
(+)-Aspicilin

Tetrahedron Letters, **1997**, *38*, 8887

GENERATION OF A REDUCING REAGENT FROM COPPER(I) SALT AND HYDROSILANE: NEW PRACTICAL METHOD FOR CONJUGATE REDUCTION

Hajime Ito, Tomoko Ishizuka, Kikuo Arimoto, Katsukiyo Miura and Akira Hosomi*
Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan

The silicon group of hydrosilanes was smoothly replaced by copper(I) chloride in DMI to give a reducing agent. This transformation was applied to copper(I) chloride mediated conjugate reduction.



Tetrahedron Letters, **1997**, *38*, 8891

CLAY SUPPORTED AMMONIUM NITRATE "CLAYAN" : A MILD AND ECO-FRIENDLY REAGENT FOR DETHIOACETALIZATION.

H.M.Meshram*, Gondi Sudershan Reddy and J.S.Yadav
Indian Institute of Chemical Technology, Hyderabad 500 007, India.

R_1 = H, Alkyl; R_2 = H, Alkyl, Aryl; R_3 = —(CH$_2$)$_3$—, Et Et, Clayan = Clay supported NH$_4$NO$_3$

Tetrahedron Letters, **1997**, *38*, 8895

Anti-Kishi Selective Dihydroxylation of Allylic Alcohol Derivatives

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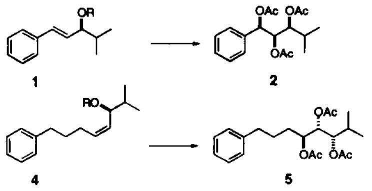
A highly diastereoselective dihydroxylation protocol has been developed for acyclic allylic alcohol derivatives leading to triol derivatives with diastereoselectivity reversed to the classical osmylation (anti-Kishi). Selectivities are acceptable for E-allylic derivatives 1, and high for those derived from Z-derivatives 4.

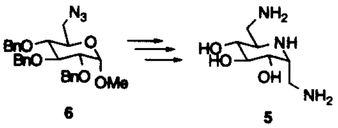


Tetrahedron Letters, **1997**, *38*, 8899

SYNTHESIS OF 1,7-DIAMINO-1,2,6,7-TETRADEOXY-2,6-IMINO-D-*GLYCERO*-D-*IDO*-HEPTITOL BY INTRAMOLECULAR AMINATION OF AZIRIDINE RING

T. K. Chakraborty* and S. Jayaprakash
Indian Institute of Chemical Technology, Hyderabad 500 007, India

A stereoselective synthesis of 1,7-diamino-1,2,6,7-tetradeoxy-2,6-imino-D-*glycero*-D-*ido*-heptitol **5** starting from **6** is described.



Tetrahedron Letters, **1997**, *38*, 8903

IMIDOYLLITHIUMS: MASKED ACYLLITHIUM REAGENTS

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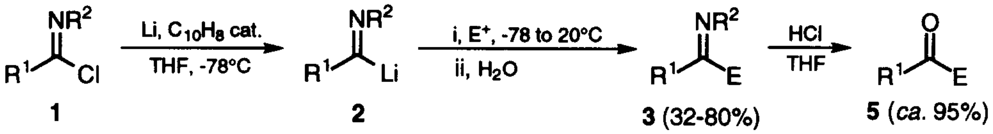

[E^+ = Pr^iCHO, Bu^tCHO, n-$C_5H_{11}CHO$, PhCHO, Et_2CO, $(CH_2)_5CO$, EtOCOCl, MeOCSCl, n-$C_7H_{15}CON(Me)OMe$]

Tetrahedron Letters, **1997**, *38*, 8907

ON THE MECHANISM OF LITHIUM AMIDE-INDUCED REARRANGEMENTS OF 4-SUBSTITUTED CYCLOPENTENE OXIDES TO CYCLOPENTENOLS

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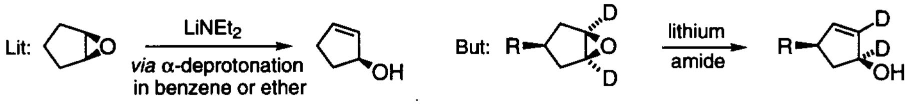

Tetrahedron Letters, **1997**, *38*, 8911

STUDIES IN MARINE MACROLIDE SYNTHESIS: SYNTHESIS OF THE C_{16}–C_{28} SUBUNIT OF SPONGISTATIN 1 (ALTOHYRTIN A) INCORPORATING THE CD-SPIROACETAL MOIETY.

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