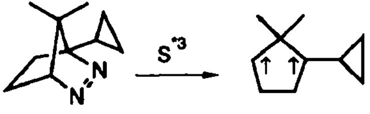
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 2267

THE LIFETIME OF THE 2,2-DIMETHYLCYCLOPENTANE-1,3-DIYL BIRADICAL BY THE CYCLOPROPYLCARBINYL RADICAL CLOCK METHOD. Paul S. Engel* and Kimberly L. Lowe, Department of Chemistry, Rice University, P. O. Box 1892, Houston, TX 77251

Triplet sensitization of **7** affords **6**, whose lifetime has been determined to be 4 - 14 ns. The *gem*-dimethyl group shortens the triplet biradical lifetime, but not as much as found previously.



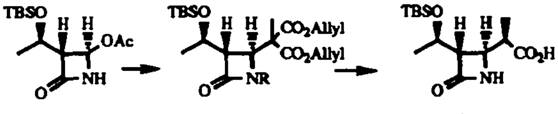
7 **6**

Tetrahedron Letters, **1994**, *35*, 2271

A Simple and Highly Diastereoselective Synthesis of A 1β-Methylcarbapenem Key Intermediate by Deallyloxycarbonylation Using Palladium Complexes

Toshiyuki Murayama, Akifumi Yoshida, Toyohiko Kobayashi, Takashi Miura*, *Central Research Laboratory, Takasago International Corporation, 1-4-11, Nishi-yawata, Hiratsuka, Kanagawa 254, Japan*

A simple, diastereoselective synthesis of the 1β-methylcarbapenem key intermediate 1 has been accomplished via a palladium catalyzed deallyloxycarbonylation of **4a** (prepared from 4-acetoxyazetidinone 2 in two steps).



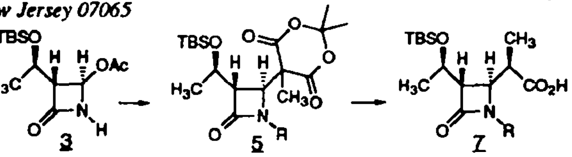
2 **4a** R=TBS **1**

Tetrahedron Letters, **1994**, *35*, 2275

A Stereoselective Synthesis of A Key 1β-Methylcarbapenem Intermediate Via a Diastereoselective Decarboxylation

Woo-Baeg Choi*, Hywyn R.O. Churchill, Joseph E. Lynch, Andrew S. Thompson, Guy R. Humphrey, R. P. Volante, Paul J. Reider, Ichiro Shinkai, *Department of Process Research, Merck Research Laboratories, Division of Merck & Co., Inc., P. O. Box 2000, Rahway, New Jersey 07065*

An important β-methyl carbapenem intermediate 5a was prepared from 4-acetoxyazetidinone 3 and methylmeldrum's acid. The synthesis is highlighted by solvolysis of adduct 5 and stereoselective decarboxylation of the resulting diacid 6.



3 5 7

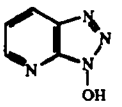
Tetrahedron Letters, **1994**, *35*, 2279

Racemization Studies During Solid-Phase Peptide Synthesis Using Azabenzotriazole-Based Coupling Reagents

Louis A. Carpino,[a,]* Ayman El-Faham,[a] and Fernando Albericio[b,]*

[a]Department of Chemistry, University of Massachusetts, MA 01003, USA.
[b]Millipore Corporation, 75A Wiggins Avenue, Bedford, MA 01730, USA.

1-Hydroxy-7-azabenzotriazole (HOAt) and its corresponding uronium salts are shown to be more effective in avoiding racemization in solid-phase peptide synthesis than their benzotriazole analogs.



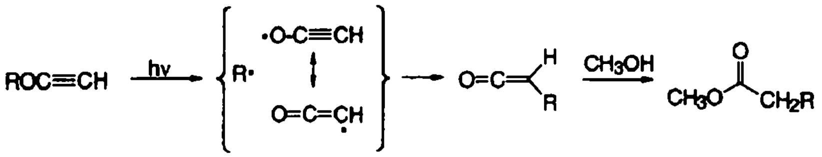
HOAt

Tetrahedron Letters, **1994**, *35*, 2283

THE PHOTOCHEMISTRY OF ACETYLENIC ETHERS. A NOVEL CARBON-OXYGEN TO CARBON-CARBON BOND CONVERSION

Bradley A. Smith, Andrew J. Callinan, and John S. Swenton*
Department of Chemistry
The Ohio State University
120 West 18th Avenue
Columbus, OH 43210

Irradiation of acetylenic ethers in methanol gives homologated esters via a formal [1,3]-oxygen-to-carbon migration involving a ketene intermediate.

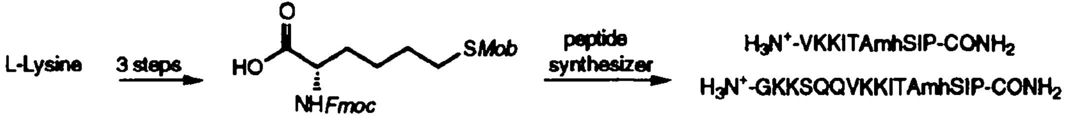


Tetrahedron Letters, **1994**, *35*, 2287

SYNTHESIS OF ENANTIOMERICALLY PURE N-FMOC-S-MOB (S)-α-AMINO-ε-MERCAPTOHEXANOIC ACID AND ITS USE IN SOLID PHASE PEPTIDE SYNTHESIS

Norbert V. Heeb, Alfred M. Aberle and Krishnan P. Nambiar *
Department of Chemistry, University of California, Davis CA 95616

Enatiomerically pure N-Fmoc-S-Mob-(S)-α-amino-ε-mercaptohexanoic acid (Amh) was synthesized in three steps from (L)-lysine. Incorporation of Amh into peptides and complete deprotection was accomplished in high yield using standard procedures.

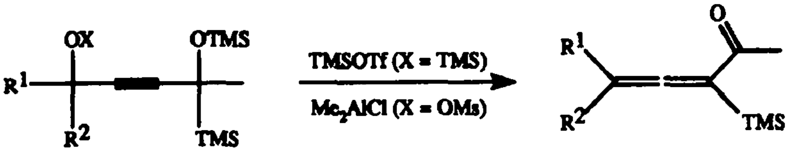


Tetrahedron Letters, **1994**, *35*, 2291

SYNTHESIS OF α-TRIMETHYLSILYLALLENONES.

Robert F. Cunico, Department of Chemistry, Northern Illinois University, DeKalb, IL 60115 USA

Lewis acid treatment of 1-TMS-2-alkyn-1,4-diol derivatives affords α-TMS allenones

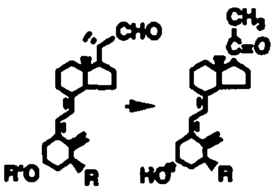


Tetrahedron Letters, **1994**, *35*, 2295

20-OXOPREGNACALCIFEROLS: VITAMIN D COMPOUNDS THAT BIND THE PROGESTERONE RECEPTOR

Kato L. Perlman, Hisham M. Darwish and Hector F. DeLuca*
Department of Biochemistry, College of Agricultural and Life Sciences, University of Wisconsin
Madison, WI 53706

20-oxopregnacalciferols were prepared from calciferol 22-aldehydes by an oxygenation procedure.

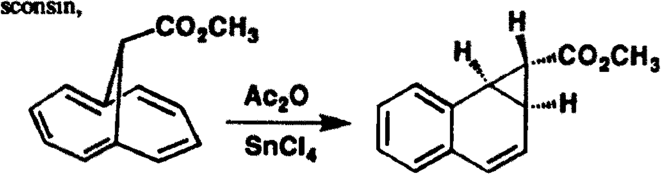


Tetrahedron Letters, **1994**, *35*, 2299

ELECTROPHILE-INDUCED BERSON-WILLCOTT REARRANGEMENT OF 11-CARBOXYL-1,6-METHANO[10]ANNULENE METHYL ESTER

David G. Barrett and Samuel H. Gellman*
Department of Chemistry, University of Wisconsin,
Madison, WI 53706

Attempted electrophilic acylation of the title compound leads instead to an efficient rearrangement.

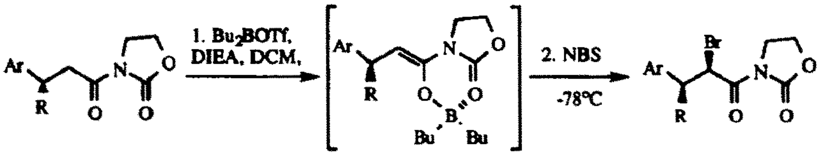


Tetrahedron Letters, **1994**, *35*, 2301

1,2-Asymmetric *cis* Induction and its Application to the Asymmetric Synthesis of Precursors of ß-Branched Unusual Amino Acids

Guigen Li, Dinesh Patel and Victor J. Hruby*, Department of Chemistry, University of Arizona, Tucson, AZ 85721, USA.

A new method was established for the asymmetric synthesis of unusual amino acids utilizing ß-carbon chirality for induction in allylic-strained boron enolates: e.g.



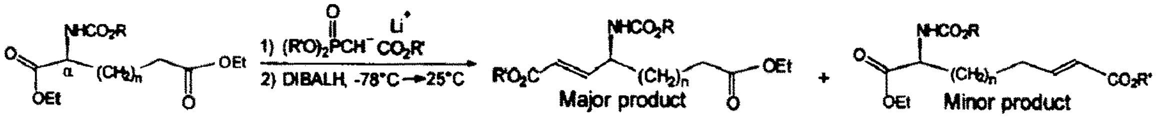
6 examples

Tetrahedron Letters, **1994**, *35*, 2305

A REGIOSELECTIVE TANDEM REDUCTION — WITTIG-HORNER REACTION INVOLVING THE α-ESTER MOIETY OF DIETHYL ASPARTATE OR GLUTAMATE.

Zhong-Yong Wei and *Edward E. Knaus, Faculty of Pharmacy, University of Alberta, Edmonton, Alberta, Canada T6G 2N8

Reduction of N-alkoxycarbonyl diethyl aspartate or glutamate using DIBALH, in the presence of a lithium trialkylphosphonoacetate, affords predominately dialkyl γ-amino-α,β-unsaturated dicarboxylates.

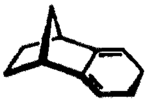


Tetrahedron Letters, **1994**, *35*, 2309

Torsional Angle Decompression is not the Source of Facial Selectivity in Diels-Alder Cycloadditions Involving Cyclic Dienes Fused to Bicyclic Frameworks. The Case Study of 1,2,3,4,6,7-Hexahydro-1,4-Methanonaphthalene

Eugene R. Hickey and Leo A. Paquette*
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210

Hydrocarbon 3 has been synthesized via 1,2,3-cyclohexatriene and found to enter into Diels-Alder cycloaddition with reactive dienophiles predominantly from its top (exo) surface.



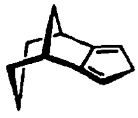
3

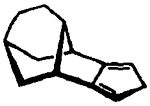
Tetrahedron Letters, **1994**, *35*, 2313

The Consequences of Strain Release in the Norbornyl Subunit of Isodicyclopentadiene on Cycloaddition Stereochemistry. Further Evidence that Orbital Tilting Serves as the Key Determinant of Contrasteric π-Facial Selectivity

Leo A. Paquette* and Eugene R. Hickey
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210

Diels-Alder cycloadditons to 2 and 3 occur preferentially in an exo-selective manner in contrast to isodicyclopentadiene. Torsional constraints are not responsible for this reversal in facial selectivity.


2

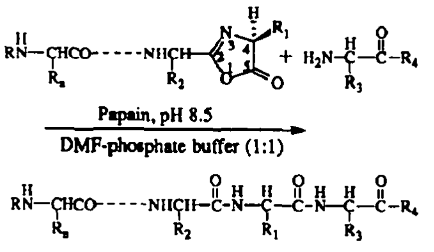

3

Tetrahedron Letters, **1994**, *35*, 2317

5(4H)-OXAZOLINONES AS ACYL DONORS IN PAPAIN-CATALYZED PEPTIDE FRAGMENT CONDENSATIONS.

Byung Keun Hwang, Qu-Ming Gu and Charles J. Sih*
School of Pharmacy, University of Wisconsin, Madison, WI 53706 U.S.A.

Papain, a thiol protease was shown to utilize 5(4H)-oxazolinones of peptides as acyl donors in peptide segment condensations. The effectiveness of this methodology is illustrated by the successful coupling of oxidized insulin B chain (30 residues) to angiotensin III (7 residues) in 59% yield.

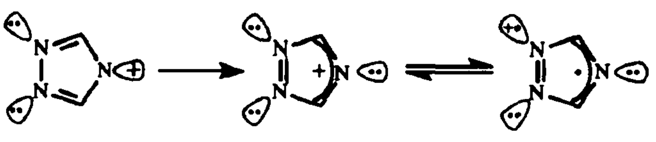


Tetrahedron Letters, **1994**, *35*, 2321

ATTEMPTED GENERATION AND STRUCTURE OF THE 4-(1,2,4-TRIAZOYL) CATION

R A Abramovitch, *Department of Chemistry, Clemson University, Clemson, S.C.*,
H H Gibson, Jr., T Nguyen, *Department of Chemistry, Austin College, Sherman, TX, and* **S Olivella, A Solé,** *Departament de Quimica Organica i Quimica Fisica, Universitat de Barcelona, Barcelona, Spain*

Ab initio calculations indicate that the 4-(1,2,4-triazoyl) cation is not a local minimum on the potential energy surface, which explains the experimental results obtained on its attempted generation and trapping.

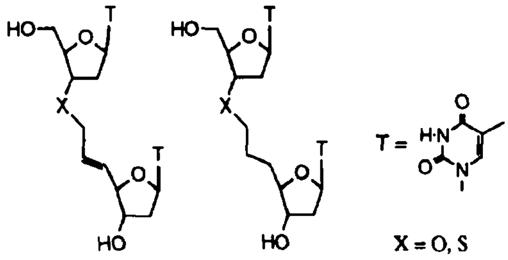


Tetrahedron Letters, **1994**, *35*, 2325

Oligodeoxynucleotides Containing 3'-Allylether, 3'-Allylsulfide and Their Saturated Derivatives as Phosphate Mimics

Xiaodong Cao and Mark D. Matteucci
Gilead Sciences, Inc.,
353 Lakeside Drive, Foster City, CA 94404, USA

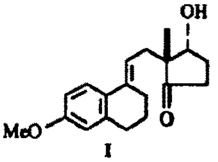
Thymidine-thymidine dimers containing 3'-allylether, 3'-allylsulfide connections and their saturated derivatives were prepared and incorporated into oligodeoxynucleotides(ODNs). The 3'-allylether analog results in only a modest destablization of helix formation with an ssRNA relative to the phosphodiester linkage.



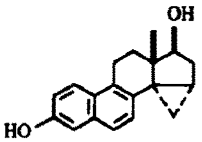
Tetrahedron Letters, **1994**, *35*, 2329

A CONCISE TOTAL SYNTHESIS OF C(14)-C(15) METHYLENE-BRIDGED EQUILENIN DERIVATIVES

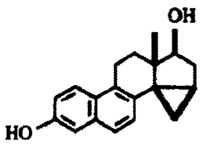
H. Künzer* and M. Thiel
Research Laboratories, Schering AG-Berlin,
Müllerstr. 170-178,
13342 Berlin,
Germany



I



II



III

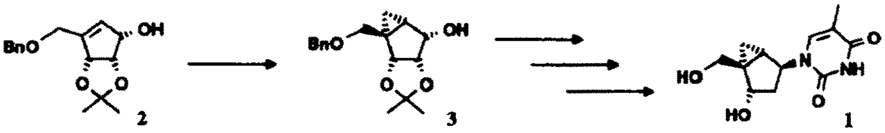
A total synthesis of II proceeding via I is described. C(3)-protected precursors of III were obtained by isomerizing the corresponding α-bridged derivatives of type II over molecular sieves.

Tetrahedron Letters, **1994**, *35*, 2331

4',6'-METHANO CARBOCYCLIC THYMIDINE: A CONFORMATIONALLY CONSTRAINED BUILDING BLOCK FOR OLIGONUCLEOTIDES.

Karl-Heinz Altmann,* Rudolf Kesselring, Eric Francotte, and Grety Rihs, CIBA, Central Research Laboratories, Pharmaceutical Research Department, and Physics Department, CH-4002 Basel, Switzerland.

The synthesis of the title compound 1 has been accomplished in 13 chemical steps starting from known 2. Preliminary hybridization data indicate that substitution of 1 for natural thymidine in DNA/RNA heteroduplexes increases their thermodynamic stability.

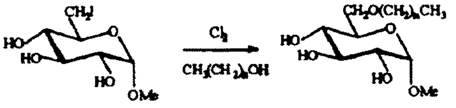


Tetrahedron Letters, **1994**, *35*, 2335

AN EASY ROUTE TO METHYL *6-O*-ALKYL GLYCOSIDES

Corinne Bayle, Andrée Gadelle*, CEA,Département de Recherche Fondamentale sur la Matière Condensée,SESAM / MV - 38054 Grenoble Cedex 9, France.

Methyl 6-deoxy-6-iodo-α-D-glucopyranoside reacted with alkyl hypochlorite generated *in situ* to afford methyl 6-*O*-alkyl-α-D-glucopyranoside derivatives in excellent yield.

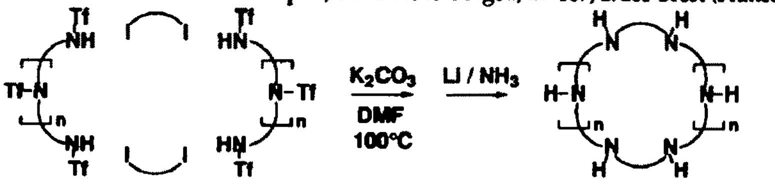


n = 0, 1, 5, 7.

Tetrahedron Letters, **1994**, *35*, 2337

SYNTHESIS OF 24-, 26-, 32- AND 36-MEMBERED MACROCYCLIC POLYAMINES *VIA* A (2+2) CYCLIZATION PROCESS.

V. Panetta-Le Mer, J.J. Yaouanc and H. Handel,
Laboratoire de Chimie, Electrochimie Moléculaire et Chimie Analytique, associé au CNRS,
Faculté des Sciences et Techniques, 6 avenue le Gorgeu, BP 809, 29285 Brest (France).



n = 1, 2

Tetrahedron Letters, **1994**, *35*, 2341

ALLENES AS NEW PARTNERS IN INTRAMOLECULAR COBALT-MEDIATED [2+2+2] CYCLOADDITION REACTIONS.

Corinne Aubert, Dominique Llerena and Max Malacria*
Université P. et M. Curie, Laboratoire de Chimie Organique de Synthèse, associé au CNRS, B.229,
4 Place Jussieu, 75252 Paris cédex 05, France.

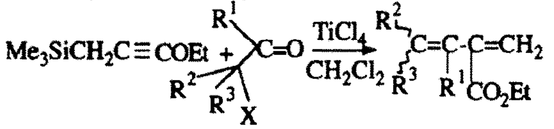
Cyclization of allenediyne 1 with $CpCo(CO)_2$ allowed the formation of unsaturated η^4- cobalt complexes 2

1 2

Tetrahedron Letters, **1994**, *35*, 2345

SUBSTITUENT EFFECT ON THE CHEMICAL BEHAVIOUR OF SOME α-HALOGENATED KETONES AND ALDEHYDES WITH 1-ETHOXY-3-TRIMETHYLSILYLPROP-1-YNE.

D. Zakarya[a*], A. Rayadh[a*], M. Samih[b] and T. Lakhlifi[a] . a) Département de Chimie, Faculté des Sciences, Meknés , Morocco; b) Département de Mathématiques et d'Informatique, Faculté des Sciences, Meknés, Morocco.

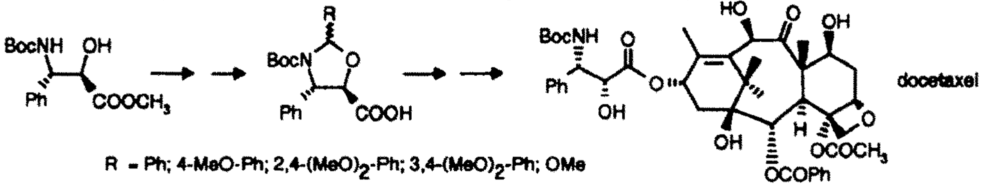


Chemical behaviour of the carbonyl compound toward the silyl = f (X, R^1, R^2 R^3 properties)

Tetrahedron Letters, **1994**, *35*, 2349

2-Monosubstituted-1,3-Oxazolidines as Improved Protective Groups of N-Boc-Phenylisoserine in Docetaxel Preparation.

E. Didier*, E. Fouque, I. Taillepied and A. Commerçon, Rhône-Poulenc Rorer S.A.- Centre de Recherches de Vitry-Alfortville, 13 Quai Jules Guesde - BP14 - 94403 Vitry-sur-Seine (France)

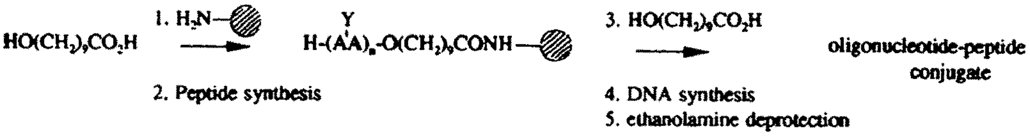


R = Ph; 4-MeO-Ph; 2,4-(MeO)$_2$-Ph; 3,4-(MeO)$_2$-Ph; OMe

Tetrahedron Letters, **1994**, *35*, 2353

ON-LINE SOLID PHASE SYNTHESIS OF OLIGONUCLEOTIDE-PEPTIDE HYBRIDS USING SILICA SUPPORTS

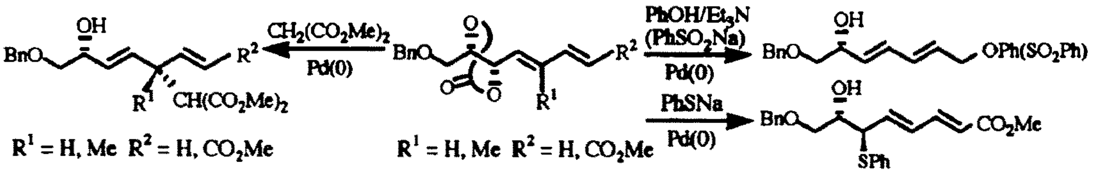
Jean-Christophe Truffert*, Olivier Lorthioir, Ulysse Asseline, Nguyen T. Thuong and André Brack
Centre de Biophysique Moléculaire, 1A Avenue de la Recherche Scientifique, 45071 Orléans Cedex 2, France



Tetrahedron Letters, **1994**, *35*, 2357

HIGHLY REGIOSELECTIVE PALLADIUM-MEDIATED SUBSTITUTION OF ALLYLIC AND DIENYLIC CYCLIC CARBONATES

Suk-Ku Kang,* Dong-Chul Park, Jae-Ho Jeon, Ho-Sik Rho, and Chan-Mo Yu
Department of Chemistry, Sung Kyun Kwan University, Natural Science Campus, Suwon 440-746, Korea

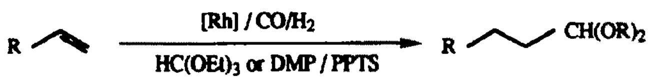


Tetrahedron Letters, **1994**, *35*, 2361

SYNTHESIS OF ACETALS FROM ALKENES BY ONE-POT HYDROFORMYLATION-TRANSACETALIZATION REACTIONS CATALYZED BY RHODIUM COMPLEXES AND PYRIDINIUM para-TOLUENESULPHONATE

Elena Fernández, Sergio Castillón*
Departament de Química, Universitat "Rovira i Virgili", Pça. Imperial Tarraco 1, 43005 Tarragona, Spain.

Highly regioselective and chemoselective conversion of alkenes to acetals has been achieved by consecutive hydroformylation-acetalization reactions catalyzed by Rh complexes and pyridinium p-toluenesulphonate.

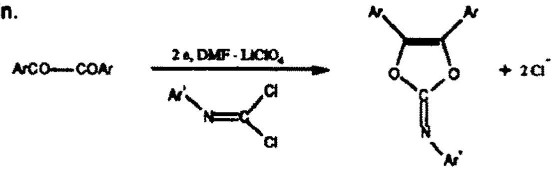


Tetrahedron Letters, **1994**, *35*, 2365

ELECTROCHEMICAL REDUCTION OF DIARYL-1,2-DIKETONES IN THE PRESENCE OF CARBONIMIDOYL DICHLORIDES. A NEW METHOD FOR THE SYNTHESIS OF ENEDIOL IMINOCARBONATES.

Antonio Guirado [a]*, Andrés Zapata [a], and Jesús Gálvez [b]
a) Departamento de Química Orgánica, b) Departamento de Química Física, Facultad de Química, Universidad de Murcia, Campus de Espinardo, E-30071, Murcia, Apartado 4021, Spain.

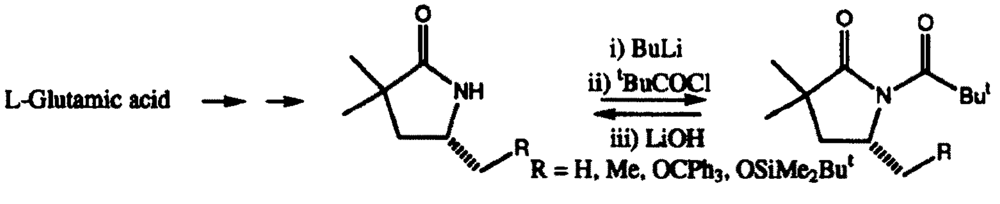
The cathodic reduction of diaryl-1,2-diketones in the presence of carbonimidoyl dichlorides provides a new method for the preparation of enediol iminocarbonates in almost quantitative yields.



Tetrahedron Letters, **1994**, *35*, 2369

SYNTHESIS OF 5-SUBSTITUTED-3,3-DIMETHYL-2-PYRROLIDINONES: "QUAT" CHIRAL AUXILIARIES

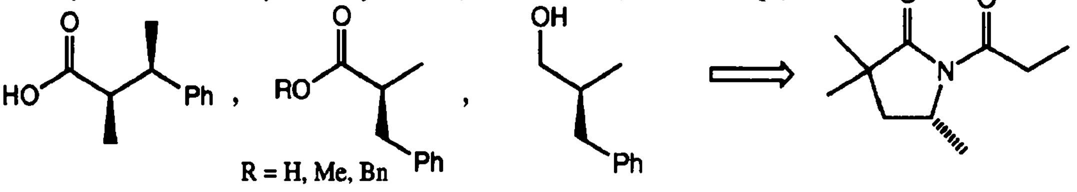
Stephen G. Davies*, Gilles J.-M. Doisneau, Jeremy C. Prodger and Hitesh J. Sanganee
The Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford OX1 3QY,UK



Tetrahedron Letters, **1994**, *35*, 2373

ASYMMETRIC ALDOL AND ALKYLATION REACTIONS MEDIATED BY THE "QUAT" CHIRAL AUXILIARY (*R*)-(-)-5-METHYL-3,3-DIMETHYL-2-PYRROLIDINONE

Stephen G. Davies*, Gilles J.-M. Doisneau, Jeremy C. Prodger and Hitesh J. Sanganee
The Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford OX1 3QY,UK

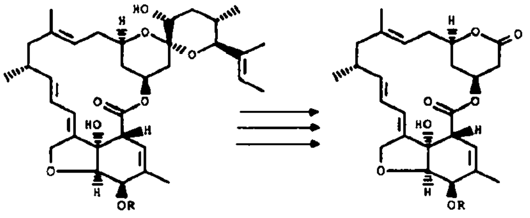


Tetrahedron Letters, **1994**, *35*, 2377

FRAGMENTATIONS AND REARRANGEMENTS OF 22-HYDROXYL SUBSTITUTED MILBEMYCINS - SYNTHESIS OF A KEY LACTONE INTERMEDIATE.

Geoffrey H. Baker, Roderick J. J. Dorgan,* Nigel Hussain[1]
Graham S. Macaulay, and David O. Morgan[1]
SmithKline Beecham Animal Health, Walton Oaks,
Dorking Road, Tadworth, Surrey KT20 7NT.
[1] SmithKline Beecham Pharmaceuticals, Great Burgh,
Yew Tree Bottom Road, Epsom, Surrey KT18 5XQ.

Beckmann fragmentation of 22-oximino milbemycins results in cleavage of the spiroketal and formation of key lactone intermediates.

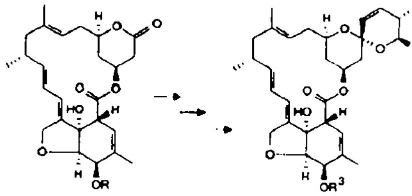


Tetrahedron Letters, **1994**, *35*, 2381

SPIROACETAL SYNTHESIS FROM A KEY LACTONE INTERMEDIATE LEADING TO NOVEL C24 AND C25-SUBSTITUTED MILBEMYCINS.

Geoffrey H. Baker, Nigel Hussain, Graham S. Macaulay and David O. Morgan*. SmithKline Beecham Pharmaceuticals, Great Burgh, Yew Tree Bottom Road, Epsom, Surrey. KT18 5XQ.
Roderick J.J. Dorgan. SmithKline Beecham Animal Health, Walton Oaks, Dorking Road, Tadworth, Surrey. KT20 7NT.

Conversion of a semi-synthetic milbemycin lactone to a range of novel C24 and C25-substituted milbemycins by lithium acetylide addition, hydrogenation and cyclisation is described.

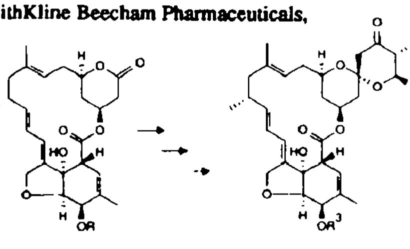


Tetrahedron Letters, **1994**, *35*, 2385

SEMI-SYNTHETIC C23-SUBSTITUTED MILBEMYCINS VIA SPIROACETAL CLEAVAGE AND RESYNTHESIS.

Geoffrey H. Baker, Nigel Hussain, Joseph F. Hudner and David O. Morgan*. SmithKline Beecham Pharmaceuticals, Great Burgh, Yew Tree Bottom Road, Epsom, Surrey. KT18 5XQ.
Roderick J.J. Dorgan. SmithKline Beecham Animal Health, Walton Oaks, Dorking Road, Tadworth, Surrey. KT20 7NT.

Conversion of a semi-synthetic milbemycin lactone to novel 23-oxo C24 and C25-substituted milbemycins by lithium acetylide addition, mercury catalysed hydration and cyclisation is described.

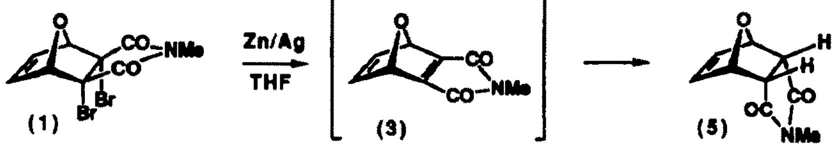


Tetrahedron Letters, **1994**, *35*, 2389

EVIDENCE FOR AN OLEFINIC INTERMEDIATE IN THE CONFIGURATIONAL INVERSION ACCOMPANYING HYDROGENOLYSIS OF A 7-OXANORBORNYL VICINAL DIBROMIDE.

Ronald N. Warrener* and Ljiljana Maksimovic
Centre for Molecular Architecture, University of Central Queensland, Rockhampton, Queensland, 4702, Australia.

Zn/Ag hydrogenolysis of (1) yields (5) *via* unstable diene (3) which is characterised by trapping in adduct form with furan.

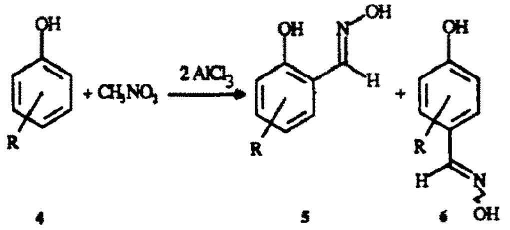


Tetrahedron Letters, **1994**, *35*, 2393

REACTION OF NITROMETHANE WITH ALUMINIUM PHENOLATES: MILD SYNTHESIS OF SALICYLALDOXIMES

Giovanni Sartori, Franca Bigi, Raimondo Maggi and Fabio Tomasini
Dipartimento di Chimica Organica e Industriale dell'Università,
Viale delle Scienze, I-43100 Parma, Italy

Compounds 5 and 6 are synthesized by reacting phenols and nitromethane in the presence of aluminium trichloride.



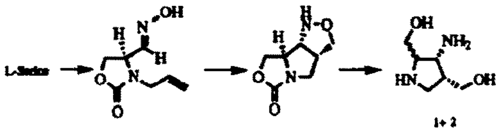
R = alkyl, OMe, 3,4-(CH=CH-CH=CH)

Tetrahedron Letters, **1994**, *35*, 2397

UTILIZATION OF L-SERINE IN AN OXIME OLEFIN CYCLOADDITION ROUTE TO A FUNCTIONALIZED ASYMMETRIC PYRROLIDINE, A SELECTIVE α-GLUCOSIDASE INHIBITOR[1].

Alfred Hassner*, Eliezer Falb, Abraham Nudelman*, Amnon Albeck and Hugo E.Gottlieb
Department of Chemistry, Bar Ilan University, Ramat Gan 52900, Israel

Branched chain sugar analogs 1 and 2 prepared via an IOOC reaction from L-serine showed α but not β-glucosidase inhibition

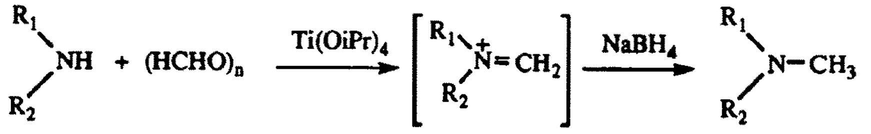


Tetrahedron Letters, **1994**, *35*, 2401

TITANIUM(IV)ISOPROPOXIDE AND SODIUM BOROHYDRIDE : A REAGENT OF CHOICE FOR REDUCTIVE AMINATION.

Sukanta Bhattacharyya, Vijoygarh College, Department of Chemistry, Calcutta-700032,India.

Titanium (IV) isopropoxide and sodium borohydride are used to effect smooth reductive aminations of formaldehyde; excellent yields are obtained with a variety of amines containing potentially acid-sensitive functional groups.



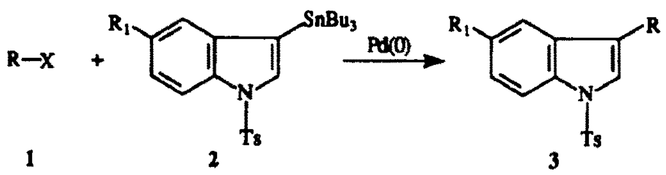
$$\begin{matrix}R_1\\ \quad\diagdown\\ \quad\;NH\\ \quad\diagup\\ R_2\end{matrix} + (HCHO)_n \xrightarrow{Ti(OiPr)_4} \left[\begin{matrix}R_1\diagdown\overset{+}{}\\ N{=}CH_2\\ R_2\diagup\end{matrix}\right] \xrightarrow{NaBH_4} \begin{matrix}R_1\\ \quad\diagdown\\ \quad\;N{-}CH_3\\ \quad\diagup\\ R_2\end{matrix}$$

Tetrahedron Letters, 1994, *35*, 2405

AN EFFICIENT SYNTHESIS OF 3-SUBSTITUTED INDOLES BY PALLADIUM-CATALYZED COUPLING REACTION OF 3-TRIBUTYLSTANNYLINDOLES WITH ORGANIC TRIFLATES AND HALIDES

Pier Giuseppe Ciattini, Enrico Morera, and Giorgio Ortar*, Dipartimento di Studi Farmaceutici
e Centro di Studio per la Chimica del Farmaco del C.N.R., Università 'La Sapienza', 00185 Roma, Italy

The Pd-catalyzed reaction of 1-tosyl-3-tributylstannylindoles 2 with organic triflates and halides 1 affords 3-substituted indoles 3 in good yields and under mild conditions.



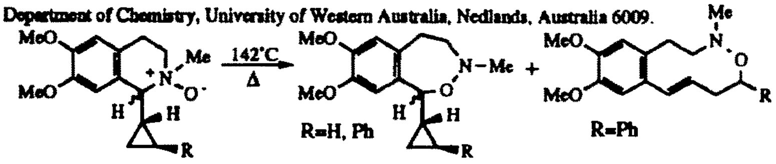
R = vinyl, aryl, heteroaryl
X = OTf, halide
R_1 = H, OMe

Tetrahedron Letters, 1994, *35*, 2409

RING ENLARGEMENT OF 1-CYCLOPROPYL AND 1-(TRANS-2'-PHENYLCYCLOPROPYL)TETRAHYDROISOQUINOLINE *N*-OXIDES DERIVATIVES.

T. Samuel Bailey[a], John B. Bremner[b*], David C. Hockless[c], Brian W. Skelton[c] and Allan H. White[c]; a. Department of Chemistry, University of Tasmania, GPO Box 252C, Hobart, Australia 7001. b. Department of Chemistry, University of Wollongong, Northfields Ave., Wollongong, Australia 2522. c. Department of Chemistry, University of Western Australia, Nedlands, Australia 6009.

A modified Meisenheimer rearrangement has provided access to the first example of the 4,3-benzoxazecine system

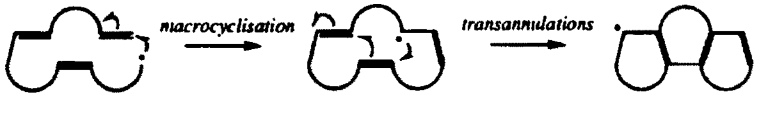


Tetrahedron Letters, 1994, *35*, 2413

SEQUENTIAL RADICAL MACROCYCLISATION-TRANSANNULATION APPROACH TO RING-FUSED BICYCLES

Gerald Pattenden,* Allison J. Smithies and Daryl S. Walter
Department of Chemistry, The University, Nottingham, NG7 2RD

The scope for tandem radical mediated radical macrocyclisation-transannulation processes in the elaboration of polycycle is illustrated with the facile synthesis of 5,6-, 6,6-, and 5,7-ring fused carbocycles.

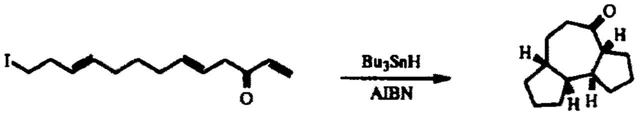


Tetrahedron Letters, 1994, *35*, 2417

A CASCADE MACROCYCLISATION-TRANSANNULATION APPROACH TO POLYCYCLE CONSTRUCTIONS

Michael J. Begley, Gerald Pattenden,* Allison J. Smithies and Daryl S. Walter
Department of Chemistry, The University, Nottingham, NG7 2RD

Treatment of the iodotrienone 1 with Bu_3SnH-AIBN results in the formation of the angular 5,7,5-ring fused tricycle 6, by way of a novel sequential 13-*endo*-trig macrocyclisation followed by two successive 5-*exo*-trig transannulation processes.



(1) (6)

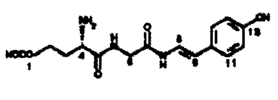
Tetrahedron Letters, **1994**, *35*, 2421

THE UNIQUE 6-(*p*-HYDROXYPHENYL)-2H-3,4-DIHYDRO-1,1-DIOXO-1,4-THIAZINE AND THE NEW TRIPEPTIDE L-GLU-GLY-4-HYDROXY STIRYLAMINE FROM THE MARINE SPONGE *ANCHINOE TENACIOR*.

Agostino Casapullo, Luigi Minale*, Franco Zollo
Dipartimento di Chimica delle Sostanze Naturali, Università di Napoli "Federico II",
via D. Montesano 49, 80131, Napoli, Italy.

6-(*p*-Hydroxyphenyl)-2H-3,4-dihydro-1,1-dioxo-1,4-thiazine (1) has been isolated from the marine sponge *Anchinoe tenacior*. In addition, a novel tripeptide with a C-terminal *trans*-4-hydroxystirylamino residue (2) has been isolated.

1



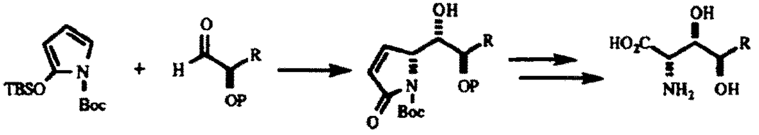
2

Tetrahedron Letters, **1994**, *35*, 2423

N-tert-BUTOXYCARBONYL-2-(*tert*-BUTYLDIMETHYLSILOXY)-PYRROLE AS A GLYCINE ANION EQUIVALENT: A FLEXIBLE ENANTIOSELECTIVE ACCESS TO POLYHYDROXY-α-AMINO ACIDS

Giovanni Casiraghi,* Gloria Rassu,* Pietro Spanu, and Luigi Pinna
Dipartimento di Chimica dell'Università and Istituto CNR, Via Vienna, 2, I-07100 Sassari, Italy.

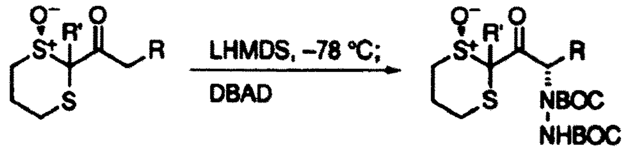
An efficient enantiospecific route to polyhydroxy-α-amino acids **7a-f** was developed by exploiting *N-tert*-butoxycarbonyl-2-(*tert*-butyldimethylsiloxy)pyrrole as a glycine anion equivalent.



Tetrahedron Letters, **1994**, *35*, 2427

DIASTEREOSELECTIVE ELECTROPHILIC AMINATION OF KETONE ENOLATES IN 2-SUBSTITUTED 2-ACYL-1,3-DITHIANE 1-OXIDES

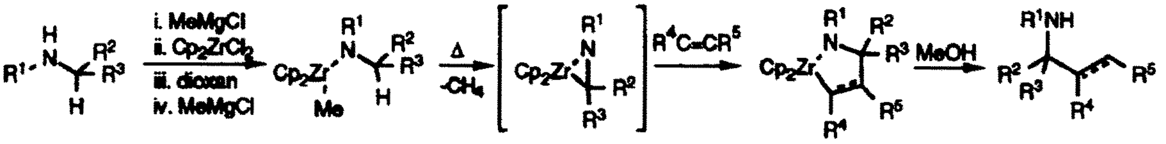
Philip C. Bulman Page,* Steven M. Allin, Eric W. Collington,† and Robin A. E. Carr†
Robert Robinson Laboratories, Department of Chemistry, University of Liverpool, Oxford Street, Liverpool, L69 3BX, England;
† Glaxo Group Research Ltd., Greenford Road, Greenford, Middlesex UB6 0HE, England



Tetrahedron Letters, **1994**, *35*, 2431

A PRACTICAL PROCEDURE FOR THE ELABORATION OF AMINES *VIA* ZIRCONOCENE η^2-IMINE COMPLEXES

Michael C.J. Harris, Richard J. Whitby*, Department of Chemistry, The University, Southampton, Hants SO9 5NH, U.K.
Julian Blagg, Pfizer Central Research, Sandwich, Kent,CT13 9NJ, U.K.



Tetrahedron Letters, **1994**, *35*, 2435

Rhodium (I)-Catalysed Hydroboration of 1-Halo-1-Alkenes

Said Elgendy*, Geeta Patel, Vijay V. Kakkar, Goran Claeson, Donovan Green, Emmanuel Skordalakes, Jehan Baban, John Deadman

Thrombosis Research Institute, Emmanuel Kaye Building, Manresa Road, Chelsea, London SW3 6LR, UK.

The hydroboration of 1-halo-1-alkenes by catecholborane is accelerated by a catalytic amount of Wilkinson's catalyst {$RhCl(PPh_3)_3$} to give α-halo-boronic esters in good yields