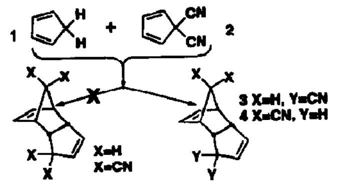
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 5973

On the Influence of Spiroconjugation and Electron-withdrawing Effects on the Frontier Orbital Energies of 5-Substituted Cyclopentadienes

J. Venkat Raman[a], Kent E. Nielsen[a], Leslie H. Randall[a], Luke A. Burke[b]* and Gary I. Dmitrienko[a]*, [a]Guelph-Waterloo Centre for Graduate Work in Chemistry, Waterloo Campus, Department of Chemistry, University of Waterloo, Waterloo, Ontario, Canada N2L 3G1 and [b]Department of Chemistry, Rutgers University, Camden, N. J. 08192, USA

Ab initio calculations reveal that spiroconjugation effects on the HOMO of 5-substituted cyclopentadienes are weak relative to electron withdrawing effects.Both the HOMO and LUMO energy levels can be lower than in cyclopentadiene contrary to the predictions of qualitative perturbation theory. In accord with these predictions, 1 reacts with 2 to yield only heterodimers 3 and 4.

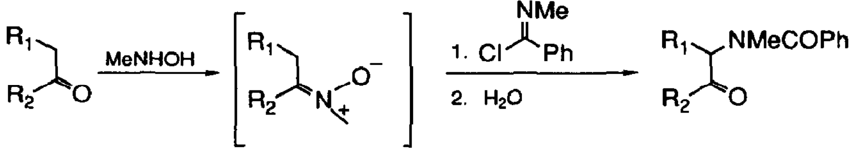


Tetrahedron Letters, **1994**, *35*, 5977

SYNTHESIS OF α-AMIDOKETONES. AN APPLICATION OF THE MULTI-HETERO COPE REARRANGEMENT.

Ivan Lantos* and wei-Yuan Zhang, SmithKline Beecham Pharmaceuticals, Research and Development, 709 Swedeland Road, King of Prussia, PA 19406-0939

Condensation of ketone nitrones with N-methylcarboximidoyl chloride affords after hydrolytic workup α-amidoketones.

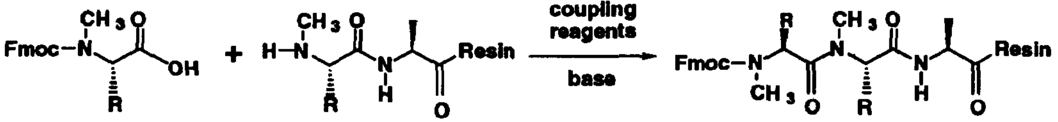


Tetrahedron Letters, **1994**, *35*, 5981

COMPARATIVE STUDIES OF THE COUPLING OF N-METHYLATED, STERICALLY HINDERED AMINO ACIDS DURING SOLID-PHASE PEPTIDE SYNTHESIS.

Yvonne M. Angell, Carlos García-Echeverría, and Daniel H. Rich*, School of Pharmacy and Department of Chemistry, University of Wisconsin-Madison, 425 N. Charter St., Madison, WI 53706

Comparative studies on the coupling of N-methylated, sterically hindered amino acids, representative of the sequence of Cyclosporin A (CsA), by solid-phase peptide synthesis are described. The synthesis of CsA 2-7 is described.

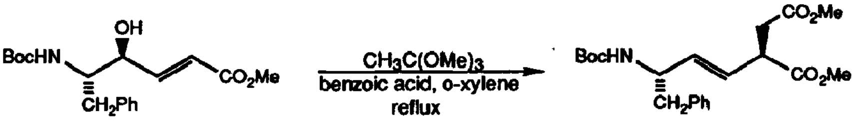


Tetrahedron Letters, **1994**, *35*, 5985

SYNTHESIS OF (*E*)-OLEFIN DIPEPTIDE ISOSTERES.

Judi A. McKinney,* Daniel F. Eppley, and Richard M. Keenan
Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, P.O. Box 1539,
King of Prussia, Pennsylvania 19406 USA

Reaction of allylic alcohols with trimethyl orthoacetate under orthoester Claisen conditions yields (*E*)-olefin dipeptide isosteres.

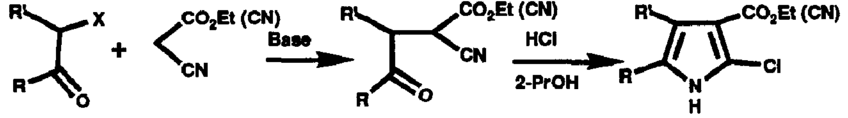


Tetrahedron Letters, **1994**, *35*, 5989

An Efficient Synthesis of 2-Chloro-3-carboethoxy- or 2-Chloro-3-cyano- 4,5-disubstituted and 5-substituted Pyrroles.

L.H. Foley, Department of Chemistry, University of New Hampshire, Durham, N.H. 03824 & Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ 07110

Reaction of substituted 4-oxo-alkanonitriles with gaseous HCl or conc. HCl for the dinitriles in 2-propanol provides a one-step synthesis of substituted 2-chloropyrroles.

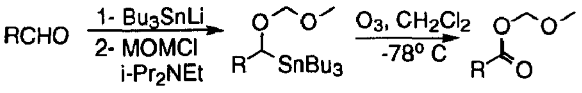


Tetrahedron Letters, **1994**, *35*, 5993

REGIOSELECTIVE OXIDATIVE CLEAVAGE OF FUNCTIONALIZED UNSYMMETRIC TETRAALKYLSTANNANES VIA OZONE

Russell J. Linderman* and Mohamed Jaber
Department of Chemistry, North Carolina State University, Raleigh NC 27695-8204

The direct oxidation of (α-alkoxyalkyl)trialkylstannanes to esters by reaction with ozone is described.



Tetrahedron Letters, **1994**, *35*, 5997

A CONVENIENT SYNTHESIS OF UNSYMMETRIC POLYFLUOROETHERS

J. R. Falck*, J. Yu, H.-S. Cho
Depts. of Molecular Genetics and Pharmacology, UT Southwestern, Dallas, TX 75235

Condensation of alcohols with commercial polyfluorinated primary, secondary, and tertiary alcohols under modified Mitsunobu conditions affords unsymmetric polyfluoroethers in moderate to excellent yields.

$$R^1\text{-OH} + R^2\text{-OH} \xrightarrow[\text{RC(O)N=NC(O)R}]{R_3P} R^1\text{-O-}R^2$$

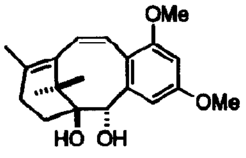
$R^2 = CF_3CH_2OH, CF_3(CF_2)_6CH_2OH, (CF_3)_2CHOH, C_6H_5(CF_3)_2COH$

Tetrahedron Letters, **1994**, *35*, 6001

UNUSUAL OXIDATIVE TRANSFORMATIONS OF A C-AROMATIC TAXANE DIENE AND RELATED STRUCTURES.

Charles S. Swindell* and Madhavi C. Chander, Department of Chemistry, Bryn Mawr College, 101 North Merion Avenue, Bryn Mawr, Pennsylvania 19010-2899

The interaction of **1** and related substances with MCPBA, dimethyldioxirane, VO(acac)₂-*t*-BuOOH, and Mo(CO)₆-*t*-BuOOH affords products with oxygenated A-rings and/or cleaved B-rings through novel oxidative processes.



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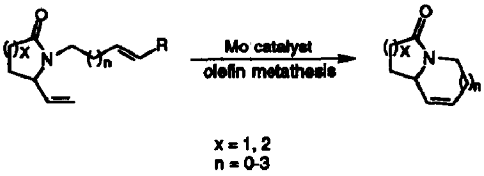
Tetrahedron Letters, **1994**, *35*, 6005

NOVEL ROUTE TO FUSED NITROGEN HETEROCYCLES BY OLEFIN METATHESIS

Stephen F. Martin,* Yusheng Liao, Hui-Ju Chen, Michael Pätzel, and Melissa N. Ramser
Department of Chemistry and Biochemistry, The University of Texas, Austin, TX 78712

A series of bicyclic nitrogen heterocyclic systems were constructed by the molybdenum alkylidene-catalyzed metathesis of α,ω-dienes, which were prepared in three steps from either succinimide or glutarimide.

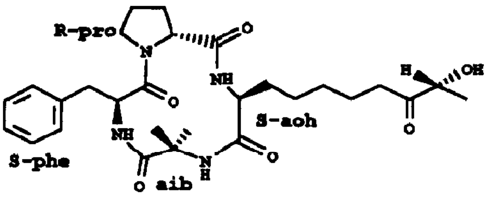


Tetrahedron Letters, **1994**, *35*, 6009

Characterization of a Phytotoxic Cyclotetrapeptide, a Novel Chlamydocin Analogue, from *Verticillium coccosporum*.

Sandeep Gupta,* Galen Peiser, Toshio Nakajima, Yih-Shen Hwang
ISK Mountain View Research Center, Inc., 1195 W. Fremont Avenue, Sunnyvale, CA 94087

A phytotoxic cyclotetrapeptide containing an unusual amino acid, 2-amino-8-oxo-9-hydroxydecanoic acid, was isolated from the fungus *Verticillium coccosporum*.

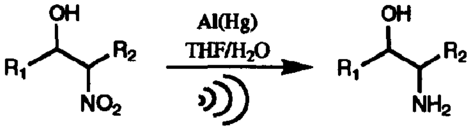


Tetrahedron Letters, **1994**, *35*, 6013

THE ALUMINUM AMALGAM REDUCTION OF 2-NITROALKANOLS PROMOTED BY ULTRASOUND.

Richard W. Fitch and Frederick A. Luzzio*, Department of Chemistry, University of Louisville, Louisville, KY 40292 USA

The sonochemical-promoted aluminum amalgam reduction of 2-nitroalkanols to the corresponding amino alcohols results in accelerated conversions and improved yields.

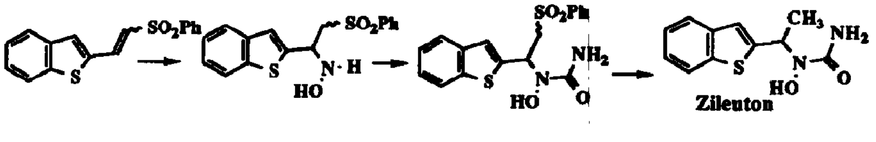


Tetrahedron Letters, **1994**, *35*, 6017

SYNTHESIS OF SUBSTITUTED HETEROCYCLES. SIMPLE METHOD FOR THE INTRODUCTION OF THE N-HYDROXYUREA FUNCTIONALITY

Yi-Yin Ku*, Ramesh R.Patel, Brian A. Roden and David P. Sawick
Chemical and Agricultural Products Division, Abbott Laboratories, North Chicago, IL 60064-4000

A simple method for the introduction of the N-hydroxyurea functionality has been developed. This involves conjugate addition of hydroxylamine to a vinylsulfone substrate.

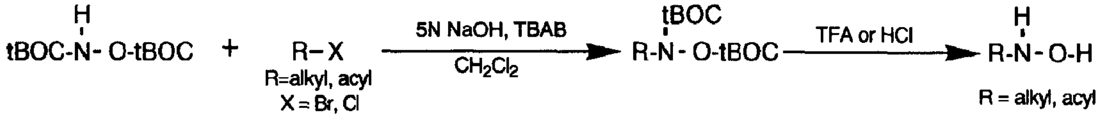


Tetrahedron Letters, **1994**, *35*, 6021

THE USE OF *N,O-bis(tert*-BUTOXYCARBONYL)-HYDROXYLAMINE IN THE SYNTHESIS OF *N*-HYDROXYLAMINES AND HYDROXAMIC ACIDS.

Michael A. Staszak* and Christopher W. Doecke, Lilly Research Laboratories, Eli Lilly & Company, Indianapolis, IN 46285-4813 USA

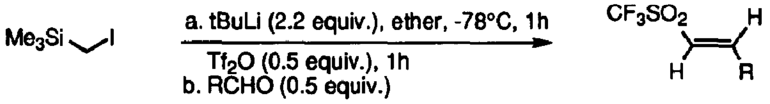
N,O-bis(tert-butoxycarbonyl)-hydroxylamine was used to synthesize a variety of hydroxylamines and hydroxamic acids, including the penultimate intermediate in the synthesis of 5-lipoxygenase inhibitor LY280810.



Tetrahedron Letters, **1994**, *35*, 6025

A MILD AND CONVENIENT SYNTHESIS OF FUNCTIONALIZED METHYL TRIFLONES AND VINYL TRIFLONES.

Anu Mahadevan and P. L. Fuchs*
Department of Chemistry, Purdue University, West Lafayette, Indiana 47907



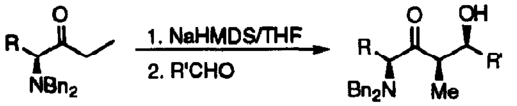
1e 3a-d 4a-d

Tetrahedron Letters, **1994**, *35*, 6029

Aldol Reactions of α-(N,N-Dibenzylamino) Ethyl Ketones

Jane Betty Goh, Bharat R. Lagu, Julie Wurster, and Dennis C. Liotta*
Department of Chemistry, Emory University, Atlanta, GA 30322

Aldol reactions of the sodium enolates of α-(N,N-dibenzylamino) ethyl ketones proceed in a highly diastereoselective fashion and result in the formation of the all *syn* adduct. The observed stereoselctivities are most easily understood in the context of an open transition state.

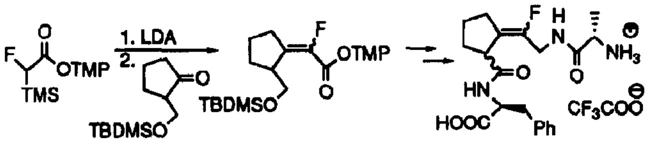


Tetrahedron Letters, **1994**, *35*, 6033

FLUOROOLEFIN PEPTIDE ISOSTERES - TOOLS FOR CONTROLLING PEPTIDE CONFORMATIONS.

Livia G. Boros, Bart De Corte, Rayomand Gimi, John T. Welch* Dept. of Chemistry, University at Albany, State University of New York, Albany, NY 12222. Yang Wu, Robert E. Handschumacher Dept. of Pharmacology, Yale University, School of Medicine, New Haven, CT 06510

Fluoroolefin dipeptide isosteres were synthesized applying the Peterson reaction as a novel method for fluoroolefination. Elaboration of the molecules yielded potential inhibitors for cyclophilin.

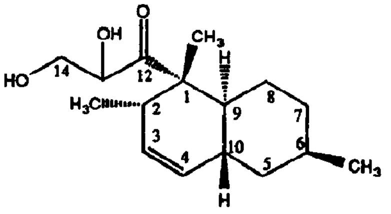


Tetrahedron Letters, **1994**, *35*, 6037

Isolation and Characterization of Phomodiol, a New Antifungal from *Phomopsis*

W.S. Horn[1*,2], R.E. Schwartz[1], M.S.J. Simmonds[3] and W.M. Blaney[2]; [1]Merck Research Laboratories, R80Y-340, Rahway, NJ, 07065, USA;[2]Birkbeck College, University of London, Malet St., London WCIE 7HX, UK; [3]Jodrell Laboratory, Royal Botanic Gardens, Kew, Richmond, Surrey, TW9 3AE, UK

Phomodiol (1), a novel antifungal, was isolated from *Phomopsis* sp. Its structure and relative stereochemistry were determined by NMR and MS. It was found to be active against *Candida tropicalis*.



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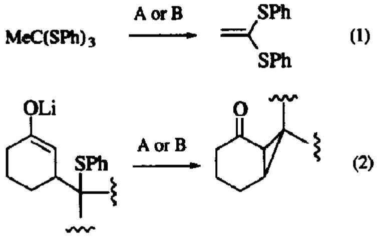
Tetrahedron Letters, **1994**, *35*, 6041

COPPER(I) BROMIDE - DIMETHYL SULFIDE COMPLEX - AN ALTERNATIVE TO COPPER(I) TRIFLATE FOR REMOVAL OF THE THIOPHENOXIDE GROUP.

Theodore Cohen,* Craig Shook and Mohan Thiruvazhi
Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260



A: CuOTf; B: CuBr•Me$_2$S

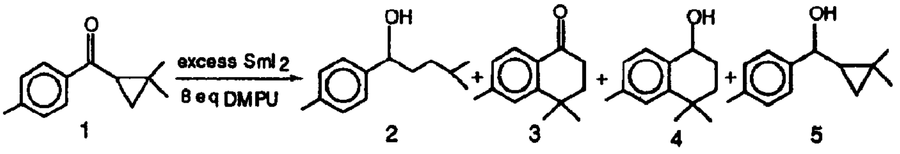
Commercially available copper(I) bromide - dimethyl sulfide complex is comparable to the far more expensive copper(I) triflate for the removal of the thiophenoxide group from certain substrates. The yield in equation 1 is 99% and 95% with the use of the triflate and bromide salts, respectively. The yields in equation 2 range from 70-78% with the triflate salt, and 50-71% with the bromide salt.

Tetrahedron Letters, **1994**, *35*, 6043

2,2-DIMETHYLCYCLOPROPYL-4-METHYLPHENYL KETONE AS A SET PROBE.

Jack W. Timberlake and Tonghua Chen, Department of Chemistry, University of New Orleans, New Orleans, LA 70148

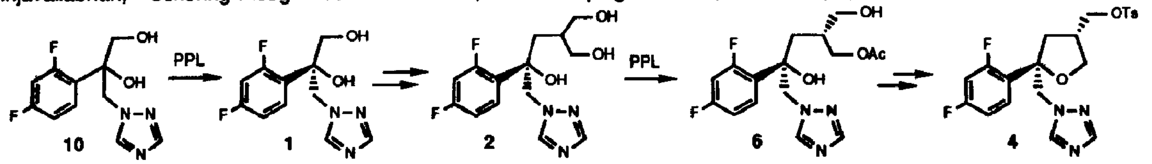
The title compound reacts with SMI$_2$ to give products indicative of a single electron tranfer process.



Tetrahedron Letters, **1994**, *35*, 6047

PPL-Catalyzed Enzymatic Asymmetrization of a 2-Substituted Prochiral 1,3-Diol With Remote Chiral Functionality: Improvements Toward Synthesis of the Eutomers of SCH 45012

Raymond G. Lovey*, Anil K. Saksena, and Viyyoor M. Girijavallabhan, Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033 USA



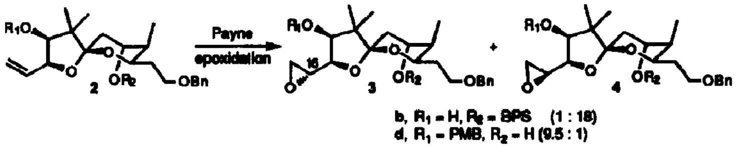
PPL lipase has been used to establish both stereocenters of intermediate 4, used in SCH 50001 and 50002 synthesis.

Tetrahedron Letters, **1994**, *35*, 6051

CALYCULIN SYNTHETIC STUDIES. 4. REMARKABLE REVERSAL OF DIASTEREOSELECTIVITY IN PAYNE EPOXIDATION OF VINYL SPIROKETAL INTERMEDIATES

Amos B. Smith, III* and Makoto Iwashima
Department of Chemistry, Laboratory for Research on the Structure of Matter, and Monell Chemical Senses Center, University of Pennsylvania, Philadelphia, Pennsylvania 19104, U.S.A.

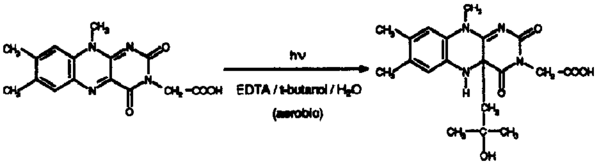
Differential protection of two secondary hydroxyl groups led to a dramatic reversal of diastereofacial selectivity in Payne epoxidation of vinyl spiroketals 2b,d, key building blocks in a proposed total synthesis of calyculins A-H.



Tetrahedron Letters, **1994**, *35*, 6053

PHOTOADDITION OF ALCOHOLS AND ETHERS TO FLAVINS IN THE PRESENCE OF EDTA. Paul F. Heelis,* Faculty of Science, Health and Medical Studies, North East Wales Institute, Deeside, Clwyd CH5 4BR, UK
Rosemarie F. Hartman and Seth D. Rose,* Dept. of Chemistry and Biochemistry, Arizona State University, Tempe, AZ 85287-1604

Alcohols and ethers photoadd to flavins when irradiated aerobically in the presence of EDTA.



Tetrahedron Letters, **1994**, *35*, 6057

The Reaction of Carbon Radicals with Sulfur. A Convenient Synthesis of Thiols from Carboxylic Acids.

Derek H. R. Barton, Enzo Castagnino* and Joseph Cs. Jaszberenyi.
Department of Chemistry, Texas A&M University, College Station, TX 77843-3255, USA.

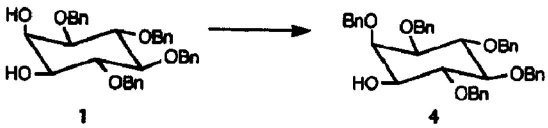
$$\text{R-CO}_2\text{H} \xrightarrow[\text{ii) NaBH}_4]{\text{i) S}_8} \text{R-SH} \qquad 88\text{-}98\%$$

New methodology for the synthesis of thiols in high yield utilizing carbon radicals (generated from Barton PTOC esters) and elemental sulfur is described and is applicable to 1°, 2° and 3° carboxylic acids.

Tetrahedron Letters, **1994**, *35*, 6061

THE ABSOLUTE CONFIGURATION OF (+)-1,2,4,5,6-PENTA-*O*-BENZYL-*MYO*-INOSITOL. R. Aneja,* S. Aneja, V. P. Pathak, and P. T. Ivanova, Functional Lipids Division, Nutrimed Biotech, Cornell University Research Park, Langmuir Laboratory, Ithaca, NY 14850 USA

The absolute configuration of (+)-1,2,4,5,6-penta-*O*-benzyl-*myo*-inositol is correlated with 1D-1,4,5,6-tetra-*O*-benzyl-*myo*-inositol (1) and thus confirmed as 1D-1,2,4,5,6-penta-*O*-benzyl-*myo*-inositol (4).



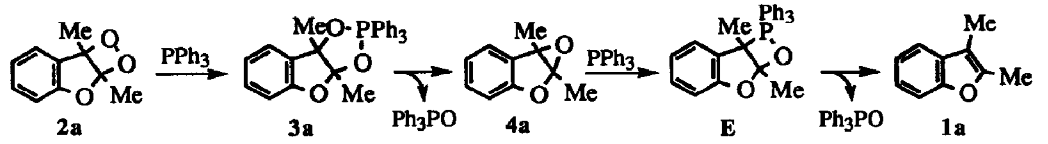
1 4

Tetrahedron Letters, **1994**, *35*, 6063

Facile Triphenylphosphine Deoxygenation of Benzofuran Dioxetanes, their Epoxides and Valence-Isomeric Quinone Methides.

Waldemar Adam*, Michael Ahrweiler, Dirk Reinhardt and Markus Sauter, Institut für Organische Chemie, Universität Würzburg, Am Hubland, D-97074 Würzburg, Germany.

Reaction of the benzofuran dioxetane **2a** with excess of Ph_3P affords the benzofuran **1a**, in which the resulting benzofuran epoxide **4a** is *in situ* deoxygenated.

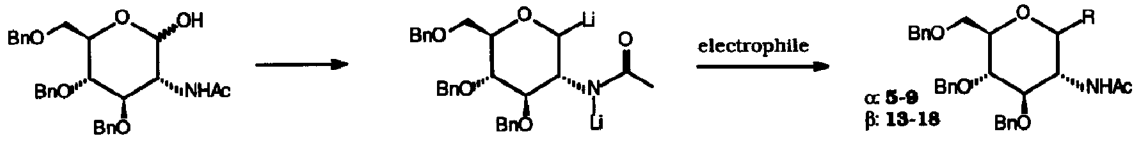


Tetrahedron Letters, **1994**, *35*, 6067

A STEREOSELECTIVE SYNTHESIS OF 2-ACETAMIDO-2-DEOXY-C-GLUCOSIDES: GLYCOSYL DIANIONS AS KEY INTERMEDIATES

Matthias Hoffmann, Horst Kessler*, Institut für Organische Chemie und Biochemie der Technischen Universität München, Lichtenbergstr. 4, D-85747 Garching, Germany.

A synthesis to obtain stereoselectively the α– or β-2-acetamido-2-deoxy-*C*-glucosides is described.



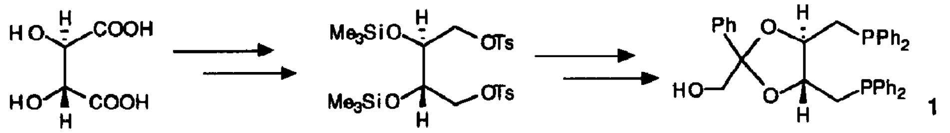
Tetrahedron Letters, **1994**, *35*, 6071

Synthesis of an Optically Active Hydroxy Diphosphine, A New Ligand for Asymmetric Catalysis

A. Börner*, J. Holz, A. Kless, D. Heller, U. Berens

Max-Planck-Gesellschaft, Arbeitsgruppe für Asymmetrische Katalyse an der Universität Rostock, Buchbinderstr. 5/6, D-18055 Rostock, Germany.

The synthesis of the hydroxy phosphine **1** which is related to DIOP is described. The application of this new ligand in asymmetric catalysis is illustrated in the stereodifferentiating hydrogenation.



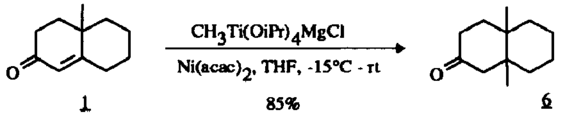
Tetrahedron Letters, **1994**, *35*, 6075

Nickel Catalysed 1,4-Addition of Organotitanium Ate Complexes to Sterically Hindered Enones

Steffen Flemming*, Jazid Kabbara, Klaus Nickisch, Harribert Neh, and Jürgen Westermann

Schering AG, Process Research, 13342 Berlin, Germany

The 1,4-addition of organotitanium ate complexes to enones by nickel acetylacetonate catalysis is reported. With methyltitanium ate complexes good yields of conjugate addition to sterically hindered enones are achieved. Phenyltitanium ate complexes react with less sterically encumbered enones to the 1,4-addition products in moderate to high yields.

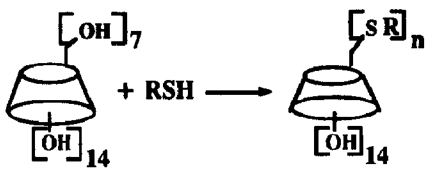


Tetrahedron Letters, **1994**, *35*, 6079

FIRST SELECTIVE SYNTHESIS OF THIO-β-CYCLODEXTRIN DERIVATIVES BY A DIRECT MITSUNOBU REACTION ON FREE β-CYCLODEXTRIN

Florence Sallas [a], Pierre Leroy [b], Alain Marsura [a*], Alain Nicolas[b].
[a] *Groupe d'Etude des Vecteurs Supramoléculaires du Médicament*
[b] *Laboratoire de Chimie Analytique, associé au CNRS,*
Faculté des Sciences Pharmaceutiques et Biologiques, Université de Nancy I, 5 rue A. Lebrun, B.P. 403, 54001, Nancy, France.

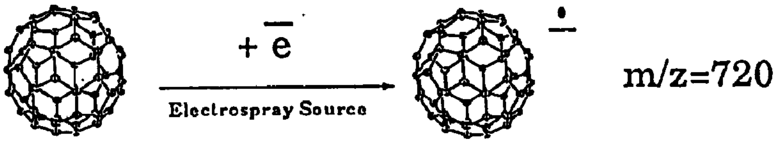
The synthesis of mono and polysubstituted thio derivatives of β-CD has been achieved by a one step thio-Mitsunobu reaction. The degree and the selectivity of substitution have been evaluated by analytical reversed phase HPLC.



Tetrahedron Letters, **1994**, *35*, 6083

ELECTROSPRAY MASS SPECTROMETRY OF ELECTROCHEMICALLY IONIZED MOLECULES : APPLICATION TO THE STUDY OF FULLERENES.

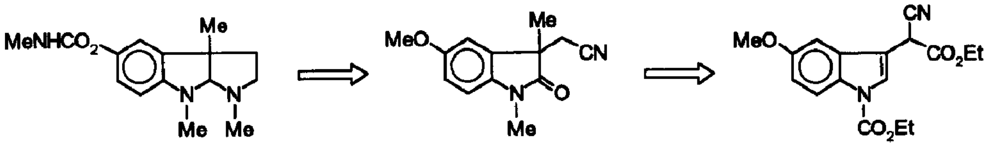
Annick Dupont[a], Jean-Paul Gisselbrecht[b], Emmanuelle Leize[a], Ludovic Wagner[a] and Alain Van Dorsselaer[a*]
[a] *Laboratoire de Spectrométrie de Masse Bio-Organique associé au CNRS, Université Louis Pasteur 1 rue Blaise Pascal F-67008 Strasbourg.*
[b] *Laboratoire d'Electrochimie et de Chimie Physique du Corps Solide, associé au CNRS, Université Louis Pasteur 4 rue Blaise Pascal F-67008 Strasbourg*



Tetrahedron Letters, **1994**, *35*, 6087

SYNTHESE FORMELLE DE LA (±)-PHYSOSTIGMINE

M.S. Morales-Ríos, M.A. Bucio, C. García-Martínez et P. Joseph-Nathan, Departamento de Química, CINVESTAV-IPN, México, D.F., 07000.



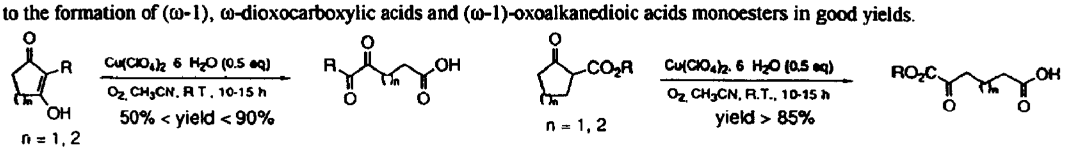
physostigmine **2** **3a**

Tetrahedron Letters, **1994**, *35*, 6089

OXIDATIVE CLEAVAGE OF 2-SUBSTITUTED CYCLOALKANE-1,3-DIONES AND OF CYCLIC β-KETOESTERS BY COPPER PERCHLORATE/OXYGEN.

J. Cossy*, D. Belotti, V. Bellosta, D. Brocca
Laboratoire de Chimie Organique Associé au CNRS. ESPCI, 10 rue Vauquelin, 75231 Paris Cédex 05 - France.

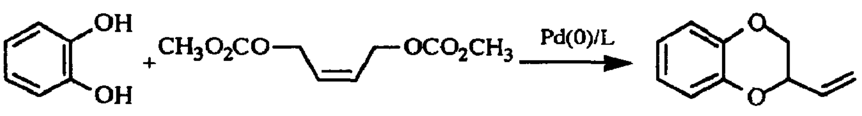
The oxidative cleavage of 2-substituted cycloalkane-1,3-diones and of cyclic β-ketoesters by $Cu(ClO_4)_2/O_2$ leads respectively to the formation of (ω-1), ω-dioxocarboxylic acids and (ω-1)-oxoalkanedioic acids monoesters in good yields.



Tetrahedron Letters, **1994**, *35*, 6093

ONE-POT PREPARATION OF CHIRAL 2-VINYL -1,4-BENZODIOXANE

M. Massacret, C. Goux, P. Lhoste and D. Sinou* Laboratoire de Synthèse Asymétrique, associé au CNRS, ESCIL, Université Claude Bernard Lyon I, 43, bd du 11 Novembre 1918, 69622 Villeurbanne, France



2-Vinyl-1,4-benzodioxane was obtained in a one-pot with e.e. up to 45 % using Binap as the chiral ligand

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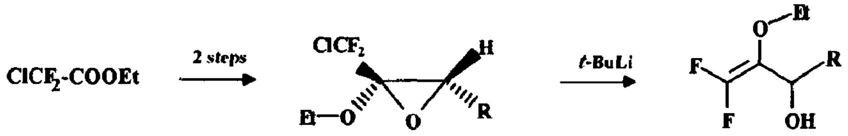
Tetrahedron Letters, **1994**, *35*, 6097

SYNTHESIS OF 3-*Gem*-DIFLUORO-2-ETHOXY ALLYLIC ALCOHOLS FROM ETHYL CHLORODIFLUOROACETATE.

Jean-Pierre Bégué, Danièle Bonnet-Delpon, Michael H. Rock*.
BIOCIS-CNRS, Centre d'Etudes Pharmaceutiques, Rue J.B. Clément, F-92296 Châtenay-Malabry, France.

A concise synthesis of 3-*gem*-difluoro-2-ethoxy allylic alcohols from ethyl chlorodifluoroacetate in 3 steps has been developed.

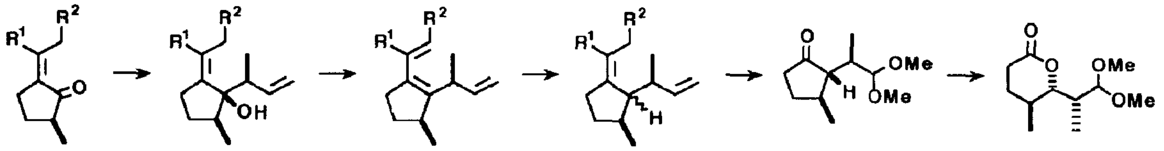


Tetrahedron Letters, **1994**, *35*, 6101

A CONVENIENT SYNTHESIS OF THE (±)-PRELOG-DJERASSI LACTONE FROM CYCLOPENTANONE

Christiane Santelli-Rouvier, Sophie Lefrère and Maurice Santelli
Laboratoire de Synthèse Organique associé au CNRS, Centre de St-Jérôme, Av. Esc. Normandie-Niemen 13397, Marseille Cedex 20-France

The stereoselective addition of crotyl Grignard reagent to (±)-2-alkylidene-5-methylcyclopentanones followed by dehydration, 1,4-reduction of the triene, ozonolysis and Baeyer-Villiger oxidation afforded a δ-lactone precursor of the Prelog-Djerassi lactone

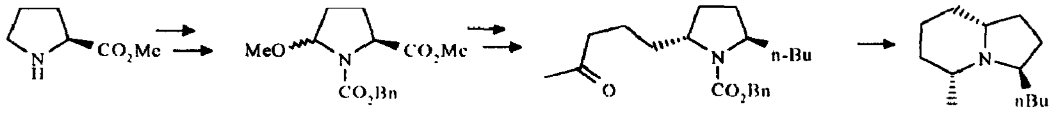


Tetrahedron Letters, **1994**, *35*, 6105

A SHORT AND HIGHLY STEREOCONTROLLED TOTAL SYNTHESIS OF (3R, 5R, 8aR)-n-BUTYL-5-METHYLINDOLIZIDINE.

C. Célimène, H. Dhimane, M. LeBail and G. Lhommet*, Université P. et M. Curie, Laboratoire de Chimie des Hétérocycles, 4 Place Jussieu, F75252 Paris cedex 05.France

L-Proline is converted in 9 steps (22% overall yield) into (-) indolizidine 195B.

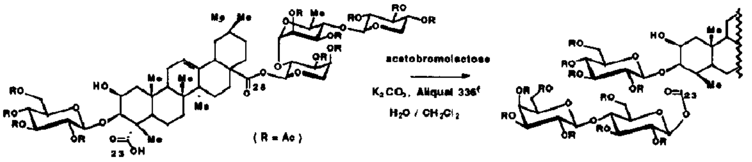


Tetrahedron Letters, **1994**, *35*, 6107

GLYCOSYLATION OF ACIDS UNDER PHASE TRANSFER CONDITIONS. PARTIAL SYNTHESIS OF SAPONINS

Christophe Bliard*, Georges Massiot and Serge Nazabadioko.
Laboratoire de Pharmacognosie, associé au CNRS, 51 Rue Cognacq-Jay, 51096 REIMS CEDEX, FRANCE

Ester glycosides are synthetized from 1-bromosugars and carboxylic acids under phase transfer conditions. Yields range from 80 to 97% on triterpene (oleanolic, ursolic, betulinic), aliphatic and aromatic acids.

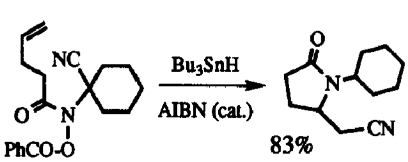


Tetrahedron Letters, **1994**, *35*, 6109

AMIDYL AND CARBAMYL RADICALS BY STANNANE MEDIATED CLEAVAGE OF O-BENZOYL HYDROXAMIC ACID DERIVATIVES.

Anne-Claude Callier[a], Beatrice Sire[a], and Samir Z. Zard[a,b]*
a) Institut de Chimie des Substances Naturelles, C. N. R. S., 91198 Gif-Sur-Yvette, France.
b) Laboratoire de Synthèse Organique Associé au C. N. R. S., Ecole Polytechnique, 91128 Palaiseau, France.

O-Benzoyl hydroxamic acids react with tributyl stannane to give amidyl or carbamyl radicals which can be captured by an internal olefin.

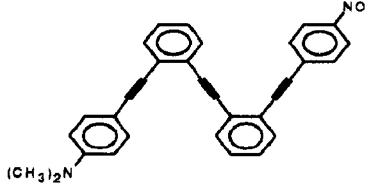


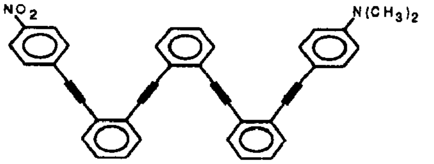
Tetrahedron Letters, **1994**, *35*, 6113

SYNTHESIS & COMPUTATIONAL STUDIES OF HYPERPOLARIZABLE ZIG-ZAG CHROMOPHORES

Man Shing Wong and Jean-François Nicoud*
Groupe des Matériaux Organiques, I. P. C. M. S., 23 rue du Loess, 67037 Strasbourg, France

Zig-zag chromophores were synthesized by Pd catalysed cross coupling and their NLO properties were studied by AM1 semi-empirical methods.



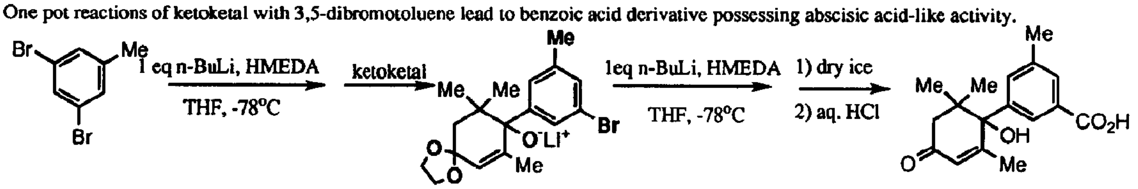


Tetrahedron Letters, **1994**, *35*, 6117

ONE POT SYNTHESIS AND OPTICAL RESOLUTION OF SYNTHETIC ABSICISIC ACID MIMIC AFFECTING PLANT'S PHYSIOLOGY.

T. Asami*[a], B.-T. Kim[b] and Shigeo Yoshida[a], [a]The Institute of Physical and Chemical Research (RIKEN), Hirosawa2-1, Wako, Saitama, 351-01 Japan, [b]Korea Research Institute of Chemical Technology, P.O. Box 9, Daedeog-Danji, Taejeon 305-606, Korea

One pot reactions of ketoketal with 3,5-dibromotoluene lead to benzoic acid derivative possessing abscisic acid-like activity.

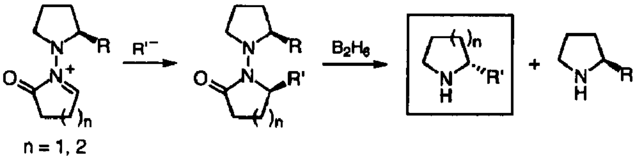


Tetrahedron Letters, **1994**, *35*, 6119

Asymmetric Synthesis of 2-Substituted Pyrrolidines and Piperidines by Nucleophilic Addition to *N*-Acyliminium Ions Bearing Pyrrolidine Chiral Auxiliaries

Hideaki Suzuki, Sakae Aoyagi, and Chihiro Kibayashi*
Tokyo College of Pharmacy, Horinouchi, Hachioji,
Tokyo 192-03, Japan

Addition of carbon nucleophiles to cyclic *N*-acyliminium salts chirally modified by various optically active pyrrolidines leads to highly enantioselective synthesis of 2-substituted pyrrolidines and piperidines.

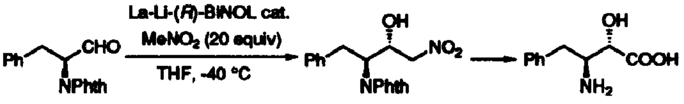


Tetrahedron Letters, **1994**, *35*, 6123

Diastereoselective Catalytic Asymmetric Nitroaldol Reaction Utilizing Rare Earth-Li-BINOL Complex. A Highly Efficient Synthesis of Norstatine

Hiroaki Sasai, Won-Sup Kim, Takeyuki Suzuki, and Masakatsu Shibasaki*
Faculty of Pharmaceutical Sciences, University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan
Masaru Mitsuda, Junzo Hasegawa, and Takehisa Ohashi
Biochemical Research Laboratories, Kaneka Corporation, Miyamae-machi, Takasago-cho, Takasago-shi, Hyogo 676, Japan

Catalytic asymmetric nitroaldol reaction utilizing rare earth-Li-BINOL complexes was applied to the synthesis of *erythro*-2-hydroxy-1-nitro derivative, which was conveniently converted to a component of the HIV protease inhibitors KNI-227 and KNI-272.



92% yield, 96% ee (*erythro* : *threo* = >99 : 1)

Tetrahedron Letters, **1994**, *35*, 6127

DEOXYGENATION OF SULFOXIDES WITH SILICA CHLORIDE

Farajollah Mohanazadeh*, Ahmad Reza Momeni and Yousef Ranjbar
Institute of Chemistry, Mazandaran University, Babolsar, Iran

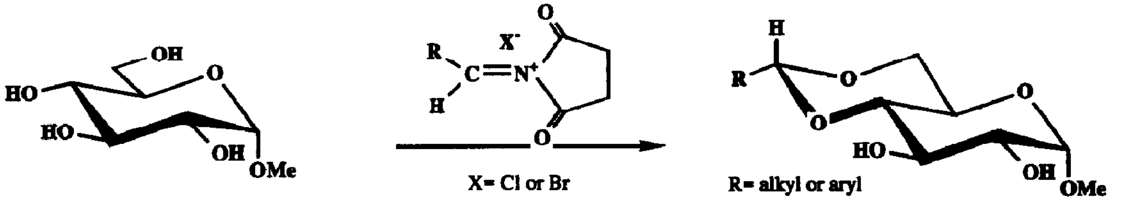
Deoxygenation of sulfoxides to sulfides has been achieved in a simple procedure using silica chloride.

$$\mathrm{RSOR} \xrightarrow{\text{silica chloride}} \mathrm{RSR}$$

Tetrahedron Letters, **1994**, *35*, 6129

A NOVEL METHOD FOR THE DIRECT ACTIVATION OF ALDEHYDES. SYNTHESIS OF CARBOHYDRATE ACETALS.

G. Hodosi, Institute for Drug Research, 1325 Budapest, P. O. B. 82, Hungary



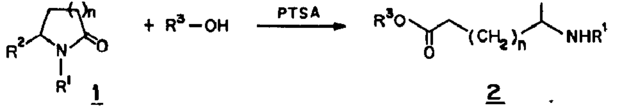
X= Cl or Br

R= alkyl or aryl

Tetrahedron Letters, **1994**, *35*, 6133

Facile Acid Catalyzed Ring Cleavage of N-Acylated Lactams

Arun N. Dixit, Sagun K. Tandel and Srinivasachari Rajappa*
Division of Organic Chemistry(Synthesis)
National Chemical Laboratory, Pune 411008, India.

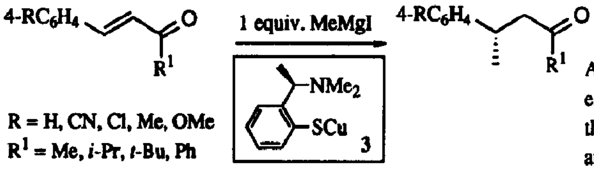


Alcoholysis of (1) proceeds under mild conditions in presence of PTSA to yield (2).

Tetrahedron Letters, **1994**, *35*, 6135

ARENETHIOLATOCOPPER(I) COMPLEXES AS HOMOGENEOUS CATALYSTS FOR MICHAEL ADDITION REACTIONS

M. van Klaveren, F. Lambert, D. J. F. M. Eijkelkamp, D. M. Grove and G. van Koten[a]
a) Utrecht University, Debye Institute, Padualaan 8, 3584 CH Utrecht, The Netherlands



R = H, CN, Cl, Me, OMe
R^1 = Me, *i*-Pr, *t*-Bu, Ph

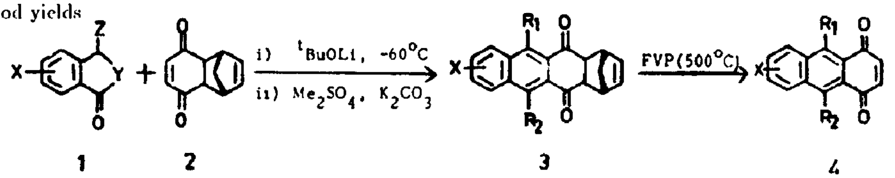
Arenethiolatocopper (I) catalysts (3, 9 mol%) are shown to be efficient homogeneous catalysts in Michael addition reactions; the addition products are formed with excellent yield (> 97%) and good enantioselectivity (R = H, R^1 = Me: 76 % e.e.)

Tetrahedron Letters, **1994**, *35*, 6139

A NOVEL THREE-STEP SYNTHETIC ROUTE TO 1,4-ANTHRAQUINONES.

G. Majumdar, K.V.S.N. Murty and D.Mal*, Chemistry
Department, Indian Institute of Technology, Kharagpur-721302.

The anionic (4+2) cycloaddition (1→3) and the retro (4+2) cycloaddition (3→4) are coupled together to furnish 4 in good yields

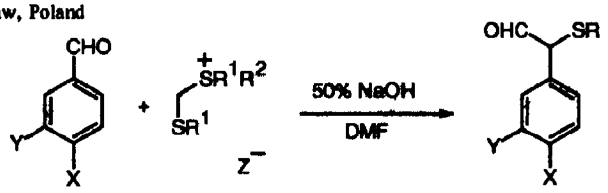


Tetrahedron Letters, **1994**, *35*, 6141

REACTION OF SULFONIUM SALTS OF FORMALDEHYDE DITHIOACETALS WITH AROMATIC ALDEHYDES

M. Mąkosza,* M. Sypniewski, Institute of Organic Chemistry,
Polish Academy of Sciences ul. Kasprzaka 44, 01-224 Warsaw, Poland

2-Aryl-2-thioalkylacetaldehydes can be easily prepared from aromatic aldehydes and sulfonium salts of formaldehyde dithioacetals in two phase 50% NaOH/DMF system.



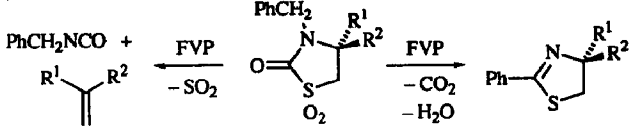
Tetrahedron Letters, **1994**, *35*, 6143

UNEXPECTED THERMAL EXTRUSION OF CO_2 FROM 3-BENZYLTHIAZOLIDIN-2-ONE 1,1-DIOXIDES TO GIVE 2-PHENYLTHIAZOLINES AND THIAZOLES

R. Alan Aitken,[a]* David P. Armstrong,[a] Shaun T. E. Mesher[a] and Ronald H. B. Galt[b]

[a] School of Chemistry, University of St. Andrews, St. Andrews, Fife KY16 9ST, UK
[b] Zeneca Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, UK

Flash vacuum pyrolysis of the title heterocycles leads mainly to loss of SO_2 but also, surprisingly, to loss of CO_2 and water to give thiazolines as shown.

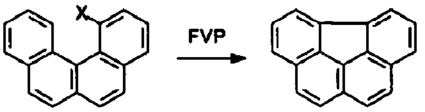


Tetrahedron Letters, **1994**, *35*, 6147

SYNTHESIS OF BENZO[ghi]-FLUORANTHENES FROM 1-HALOBENZO[c]PHENANTHRENES BY FLASH VACUUM PYROLYSIS

M. John Plater
Department of Chemistry, Aberdeen University, Meston Walk, Old Aberdeen, Scotland AB9 2UE, UK

1-Halobenzo[c]phenanthrenes were prepared and cyclised to benzo[ghi]fluoranthenes by flash vacuum pyrolysis.



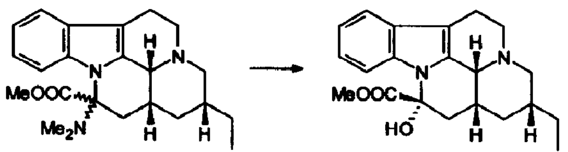
Tetrahedron Letters, **1994**, *35*, 6151

TOTAL SYNTHESIS OF THE INDOLE ALKALOID (±)-TACAMINE

Mauri Lounasmaa*, David Din Belle, and Arto Tolvanen

Laboratory for Organic and Bioorganic Chemistry, Technical University of Helsinki, FIN-02150 Espoo, Finland

The indole alkaloid (±)-tacamine (1) has been prepared from the epimeric mixture of dimethylamino derivatives (4).



4

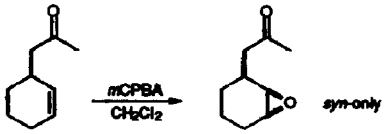
1

Tetrahedron Letters, **1994**, *35*, 6155

KETONE-DIRECTED PERACID EPOXIDATION

Alan Armstrong,* Paul A. Barsanti, and Paul A. Clarke
School of Chemistry, University of Bath, Bath BA2 7AY, UK
Anthony Wood
Discovery Chemistry, Pfizer Central Research, Sandwich, Kent CT13 9NJ, UK

Ketones are shown to direct the peracid epoxidation of cyclic alkenes. ^{18}O labelling is used to show that a dioxirane intermediate is not involved.

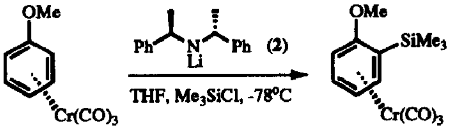


Tetrahedron Letters, **1994**, *35*, 6159

A STUDY OF THE SYNTHESIS AND RACEMISATION OF A CHIRAL LITHIATED TRICARBONYL(η^6-ANISOLE)CHROMIUM COMPLEX

David A. Price and Nigel S. Simpkins*, Department of Chemistry, University of Nottingham, University Park, Nottingham NG7 2RD, UK, and Angus M. MacLeod and Alan P. Watt, Merck Sharp and Dohme Research Laboratories, Terlings Park, Harlow, Essex CM20 2QR, U.K.

The non-racemic metallated complex derived from tricarbonyl(η^6-anisole)chromium 1, formed using the homochiral lithium amide base 2, undergoes rapid racemisation by intermolecular proton transfer if the neutral chromium complex is present.



(1)

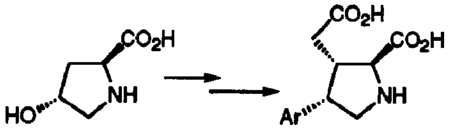
(+)-(3) *ca.* 80%

ee depends on reaction conditions

Tetrahedron Letters, **1994**, *35*, 6163

A Concise Approach to Kainoid Analogues

Jack E. Baldwin and Martin Rudolph
The Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford OX1 3QY

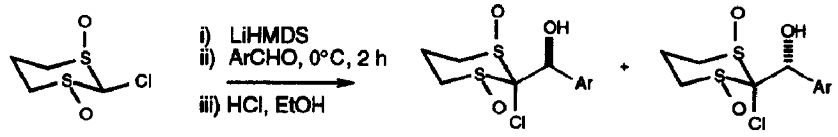


Highly neuroexcitatory 4-aryl substituted kainoid analogues can be synthesized in a short and simple manner starting from *trans*-*L*-4-hydroxyproline.

Tetrahedron Letters, **1994**, *35*, 6167

STEREOSELECTIVE ADDITION REACTIONS OF LITHIATED 2-CHLORO-1,3-DITHIANE-1,3-DIOXIDE TO ALDEHYDES

Varinder K. Aggarwal*, Julia M. Worrall and Harry Adams, Department of Chemistry, University of Sheffield, Sheffield S3 7HF, UK; Rikki Alexander, Celltech Limited, 216 Bath Road, Slough SL1 4EN, UK.



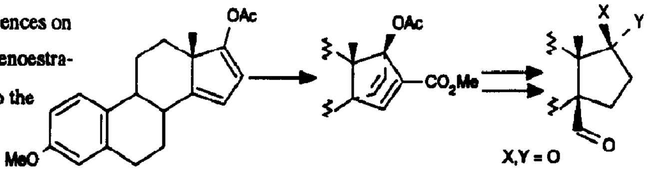
18:82 to 6:94 diastereoselectivity

Tetrahedron Letters, **1994**, *35*, 6171

CYCLOADDITION-OXIDATIVE CLEAVAGE PATHWAYS TO 14β-FORMYL-19-NORSTEROIDS

James R. Bull and Clarissa Hoadley University of Cape Town, Rondebosch 7700,RSA

Reduction-oxidative cleavage reaction sequences on methyl 17β-acetoxy-3-methoxy-14,17α-ethenoestra-1,3,5(10),15-tetraene-16-carboxylate lead to the 14β-formyl analogue of estrone and related 14β-formyl-19-norsteroids.



X,Y = O
or X = COCH$_3$, Y = OAc