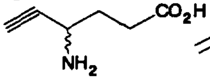
Tetrahedron Lett. **1993**, *34*, 1239

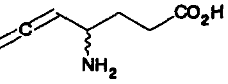
SYNTHESIS OF OPTICALLY PURE MECHANISM-BASED INHIBITORS OF γ-AMINOBUTYRIC ACID AMINOTRANSFERASE (GABA-T) VIA ENZYME-CATALYZED RESOLUTION.

Alexey L. Margolin,
Marion Merrell Dow Research Institute, 2110 E.Galbraith Rd., Cincinnati, OH 45215 USA

A novel enzymatic procedure for the preparation of optically pure γ-ethynyl (1)-, γ-allenyl (2)- and γ-vinyl (3) GABA has been developed.



1



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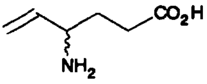


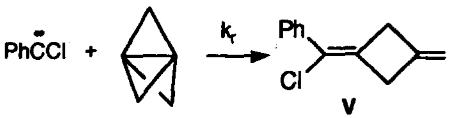
3

Tetrahedron Lett. **1993**, *34*, 1243

REACTION OF PHENYLCHLOROCARBENE WITH [1.1.1] PROPELLANE: ABSOLUTE RATE CONSTANTS AND MECHANISM

J.C. Scaiano and P.F. McGarry*, Department of Chemistry, University of Ottawa, Ottawa, Canada K1N 6N5

Phenylchlorocarbene adds to [1.1.1] propellane with rate constants of 6.1×10^7 and 8.8×10^6 $M^{-1}s^{-1}$ in cyclohexane and acetonitrile respectively to produce adduct V in good yields. No evidence for a biradical intermediate was obtained.



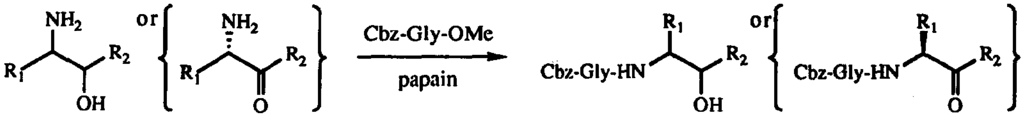
V

Tetrahedron Lett. **1993**, *34*, 1247

PAPAIN-CATALYZED SYNTHESIS OF PEPTIDE ISOSTERES

M. Schuster, B. Munoz, W. Yuan and C.-H. Wong*

Department of Chemistry, The Scripps Research Institute, 10666 N. Torrey Pines Road, La Jolla, CA 92037

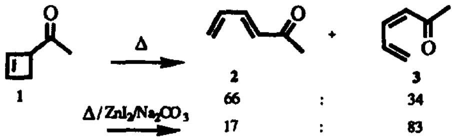


Tetrahedron Lett. **1993**, *34*, 1251

LEWIS ACID REVERSAL OF THE TORQUOSELECTIVITY OF THE ELECTROCYCLIC RING OPENING OF 3-ACETYLCYCLOBUTENE

Satomi Niwayama and K. N. Houk*
Department of Chemistry and Biochemistry, University of California, Los Angeles, CA, 90024

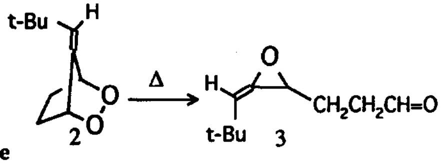
3-Acetylcyclobutene, 1, was synthesized. Thermolysis of 1 afforded E-diene, 2, and Z-diene, 3, in 66:34 ratio, in accord with theoretical predictions. This preference was reversed upon addition of soft Lewis acid, ZnI_2, also consistent with our prediction.



Tetrahedron Lett. **1993**, *34*, 1255

CHEMISTRY OF SINGLET OXYGEN: ISOLATION AND CHARACTERIZATION OF A STABLE ALLENE OXIDE FROM A FULVENE ENDOPEROXIDE

Ihsan Erden*, Jane Drummond, Roger Alstad and Fupei Xu
San Francisco State University, Department of Chemistry and Biochemistry, San Francisco, CA 94132



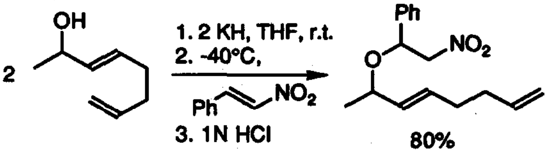
Thermal decomposition of the fulvene endoperoxide 2 gives the stable allene oxide 3 which was isolated and characterized. Thermal and base-promoted isomerizations of 3, as well as the rearrangement of the unsaturated endoperoxide are also described.

Tetrahedron Lett. **1993**, *34*, 1259

Lithium, Potassium, and Sodium Alkoxides: Donors in the Michael Addition Reaction of α-Nitroolefins.

Jetty L. Duffy, Jennifer A. Kurth, and Mark J. Kurth*
Department of Chemistry, University of California, Davis, California 95616 USA

The Michael addition of potassio- or sodioalkoxides to α-nitroolefins provides essentially pure β-nitroethers in 78-100% isolated yield.

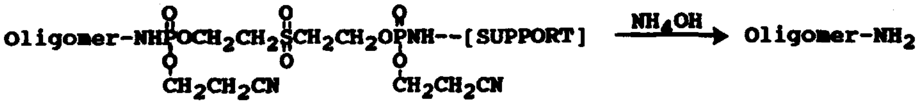


Tetrahedron Lett. **1993**, *34*, 1261

ANCHOR FOR ONE STEP RELEASE OF 3′-AMINO-OLIGONUCLEOTIDES FROM A SOLID SUPPORT

Sergei M. Gryaznov and Robert L. Letsinger
Department of Chemistry, Northwestern University, Evanston, IL 60208, U.S.A.

A linker is described that permits covalently bound aminooligonucleotides to be liberated from a solid support by one step treatment with NH_4OH.



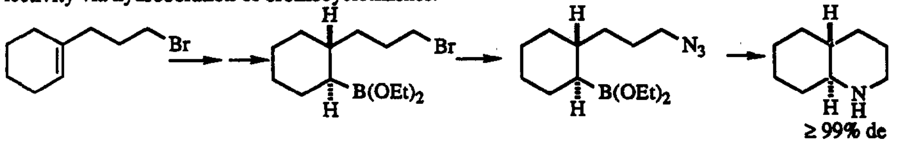
$$\text{Oligomer-NH}\overset{\text{O}}{\underset{\underset{\text{CH}_2\text{CH}_2\text{CN}}{\text{O}}}{\text{P}}}\text{OCH}_2\text{CH}_2\overset{\text{O}}{\underset{\text{O}}{\text{S}}}\text{CH}_2\text{CH}_2\text{O}\overset{\text{O}}{\underset{\underset{\text{CH}_2\text{CH}_2\text{CN}}{\text{O}}}{\text{P}}}\text{NH--[SUPPORT]} \xrightarrow{\text{NH}_4\text{OH}} \text{Oligomer-NH}_2$$

Tetrahedron Lett. **1993**, *34*, 1265

A Stereoselective Synthesis of (±)-*trans*-Cycloalkanopiperidines and Cycloalkanopyrrolidines via Hydroboration

Herbert C. Brown and Ashok M. Salunkhe
*H. C. Brown and R. B. Wetherill Laboratories of Chemistry,
Purdue University, West Lafayette, Indiana 47907-3699 U. S. A.*

(±)-*trans*-Cycloalkanopiperidines and cycloalkanopyrrolidines were synthesized in excellent diastereoselectivity via hydroboration of bromocycloalkenes.



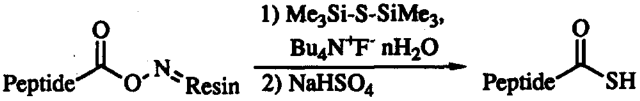
≥ 99% de

Tetrahedron Lett. **1993**, *34*, 1269

PREPARATION OF PEPTIDE THIOACIDS USING THE KAISER OXIME RESIN

Alan W. Schwabacher* and Terry L. Maynard
Department of Chemistry, Iowa State University, Ames, IA 50011

Peptide C-terminal thioacids are readily prepared with protecting groups intact by cleavage of peptides from Kaiser's oxime ester resin by treatment with hexamethyldisilathiane / tetrabutylammonium fluoride.

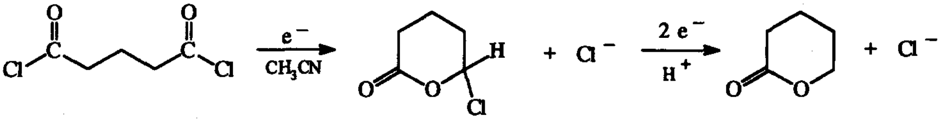


Tetrahedron Lett. **1993**, *34*, 1271

LACTONES AS MINOR PRODUCTS OF THE ELECTROCHEMICAL REDUCTION OF GLUTARYL DICHLORIDE AT MERCURY CATHODES IN ACETONITRILE

Greg A. Urove and Dennis G. Peters*, Department of Chemistry, Indiana University, Bloomington, Indiana 47405

Electrochemical reduction of glutaryl dichloride at a mercury cathode in acetonitrile containing 0.1 M tetraethylammonium perchlorate leads to the formation of 5-chlorovalerolactone, valerolactone, and a polymeric species.

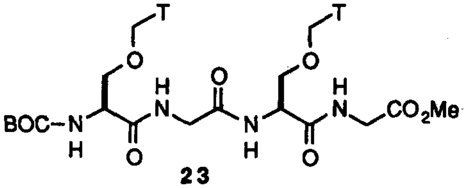


Tetrahedron Lett. **1993**, *34*, 1275

Peptide-Based Nucleic Acid Surrogates Incorporating Ser[CH2B]Gly Subunits

Philip Garner* and Ji Uk Yoo
Department of Chemistry, Case Western Reserve University, Cleveland, OH 44106-7078

The synthesis of building blocks corresponding to the four natural nucleobases (A, C, G, & T) is presented and it is demonstrated that such units can be linked together (cf. 23) using standard peptide coupling techniques without racemization or β-elimination.



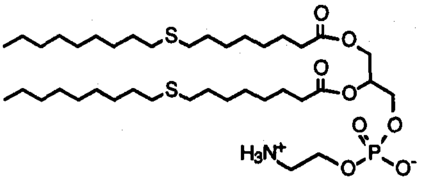
23

Tetrahedron Lett. **1993**, *34*, 1279

SYNTHESIS OF SULFUR-SUBSTITUTED PHOSPHATIDYLETHANOLAMINES AND INHIBITION OF PROTOZOAN CYCLOPROPANE FATTY ACID SYNTHASE

Ruoxin Li, Shovan Ganguli, and Robert A. Pascal, Jr.
Department of Chemistry, Princeton University, Princeton, New Jersey 08544

Compound 1 and a methylsulfonium derivative were prepared by chemical synthesis and found to be inhibitors of the cyclopropane fatty acid synthase partially purified from the trypanosomatid parasitic protozoan *Crithidia fasciculata*.



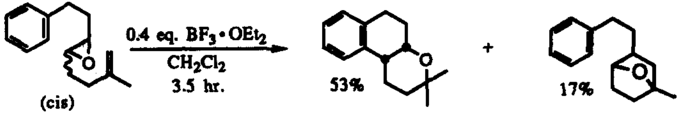
1

Tetrahedron Lett. **1993**, *34*, 1283

Cyclizations Wherein an Epoxide Acts as the Source of Initiation and Termination Steps. Evidence for an Early Transition State in Biomimetic Epoxide Cyclizations

Stephen K. Taylor,* Scott A. May, and Jeffrey A. Hopkins, Department of Chemistry, Hope College, Holland, MI 49423.

Two epoxide cyclizations are shown to be stepwise and are shown to occur with the epoxide functioning as the source of initiation and termination steps. Epoxy-arene cyclization is shown to be faster than an epoxy-ene one.

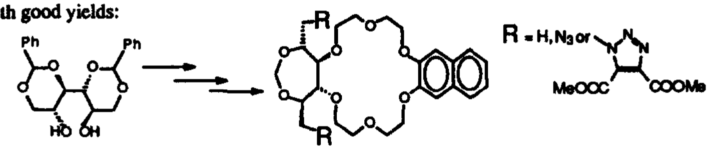


Tetrahedron Lett. **1993**, *34*, 1287

POLYCYCLIC *TRANS*-FUSED CROWN ETHERS FROM D-MANNITOL

M. Nazhaoui, B. Gross and J.-P. Joly*
Laboratoire de Méthodologie et de Synthèse Enantiospécifique de Biomolécules, URA CNRS 486,
Université de Nancy I, BP 239, F-54506 Vandoeuvre-lès-Nancy, France.

New crown ethers, all bearing a common *trans*-fused chiral dioxepan moiety, were synthetized from 1,3-4,6-di-*O*-benzylidene-D-mannitol in 5 or 6 steps with good yields:

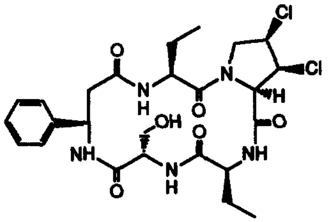


Tetrahedron Lett. **1993**, *34*, 1291

Isolation and Structure of Asterin, A New Halogenated Cyclic Penta-Peptide from *Aster tataricus*

S. Kosemura*
Dept of Chem, Faculty of Science and Technology, Keio University,
Hiyoshi, Yokohama 223, Japan
T. Ogawa and K. Totsuka
Ohme Research Laboratories Tobishi Phamaceutical Co., Ltd. 1-7-1
Suehiro-cho, Ohme, Totyo 198, Japan

A new dichlorinated cyclic penta-peptide, asterin, has been isolated from the plant *Aster tataricus*, and its structure has also been elucidated on the basis of its spectral data coupled with some chemical evidences.

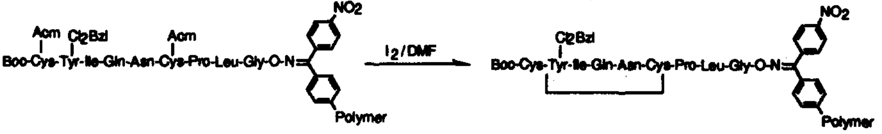


Tetrahedron Lett. **1993**, *34*, 1295

DISULFIDE CYCLIZATION OF PROTECTED PEPTIDE ASSEMBLED ON OXIME RESIN

Norikazu Nishino,*[a] Hisakazu Mihara,[a] Naoyuki Izumi,[a] Tsutomu Fujimoto,[a] Shoji Ando,[b] and Masataka Ohba[c]
[a]Department of Applied Chemistry, Faculty of Engineering, Kyushu Institute of Technology, Tobata-ku, Kitakyushu 804, Japan
[b]Aichi Cancer Center Research Institute, Chikusa-ku, Nagoya 464, Japan
[c]Research Center, Asahi Glass Co., Ltd., Kanagawa-ku, Yokohama 221, Japan

Synthesis of protected oxytocin and calcitonin (1-10) *via* disulfide cyclization on the oxime resin.

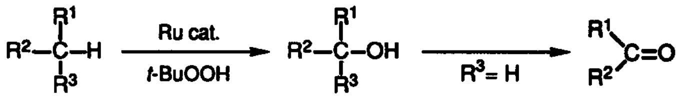


Tetrahedron Lett. **1993**, *34*, 1299

Ruthenium-Catalyzed Cytochrome P-450 Type Oxidation of Alkanes with Alkyl Hydroperoxides.

Shun-Ichi Murahashi,*[a] Yoshiaki Oda,[a,b] Takeshi Naota,[a] and Toshiyuki Kuwabara,[a]
[a]Department of Chemistry, Faculty of Engineering Science, Osaka University, Machikaneyama, Toyonaka, Osaka 560, Japan,
[b]Organic Synthesis Research Laboratory, Sumitomo Chemical Co., Ltd., Takatsuki, Osaka 569, Japan

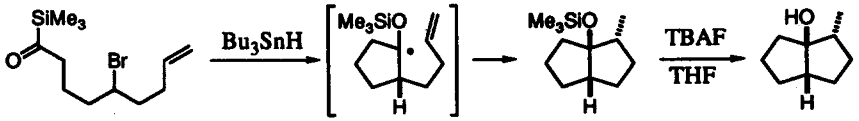
The ruthenium-catalyzed oxidation of alkanes with *tert*-butyl hydroperoxide under mild conditions gives the corresponding ketones and alcohols highly efficiently.



Tetrahedron Lett. **1993**, *34*, 1303

Radical Cyclizations of Bromo Acylsilanes and Intramolecular Trapping of the Rearranged α-Silyloxy Radicals

Yeun-Min Tsai,* Kuo-Hsiang Tang and Weir-Tom Jiaang
Department of Chemistry, National Taiwan University, Taipei, Taiwan 106, Republic of China

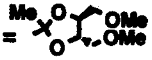


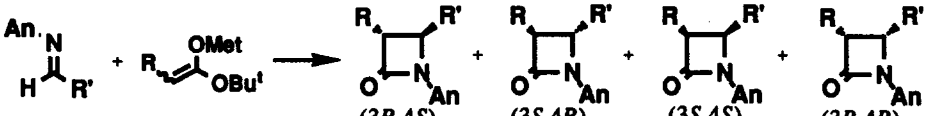
Tetrahedron Lett. **1993**, *34*, 1307

A STEREOCONTROLLED METHOD FOR THE SYNTHESIS OF EACH OF THE FOUR DIASTEREOMERS OF 3,4-DIALKYL-SUBSTITUTED β-LACTAMS USING DIFFERENT METAL ESTER ENOLATES AND A CHIRAL IMINE

Tamotsu FUJISAWA*, Mitsuhiro ICHIKAWA, Yutaka UKAJI, and Makoto SHIMIZU
Department of Chemistry for Materials, Mie University, Tsu, Mie 514, Japan

R = Me or Et R' =



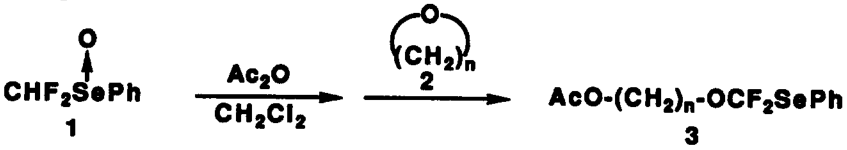


(3*R*,4*S*) (3*S*,4*R*) (3*S*,4*S*) (3*R*,4*R*)

Tetrahedron Lett. **1993**, *34*, 1311

Difluorophenylselenomethylation of Ethers

Kenji Uneyama,* Yukio Tokunaga, and Kazuhiro Maeda
Department of Applied Chemistry, Faculty of Engineering, Okayama University, Okayama 700, Japan

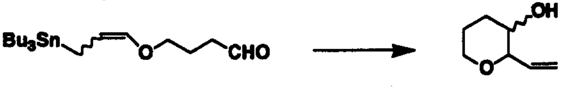


Tetrahedron Lett. **1993**, *34*, 1313

Cyclic Transition State in the Acid Catalyzed Intramolecular Allylstannane-Aldehyde Condensation

Vladimir Gevorgyan, Isao Kadota, and Yoshinori Yamamoto*
Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980, Japan

Brønsted acid catalyzed or Bu_4NF-$TiCl_4$ mediated cyclization of (Z)-ω-stannyl ether aldehyde **1a** gives **2a**, whereas (E)-isomer **1b** affords **2b**.



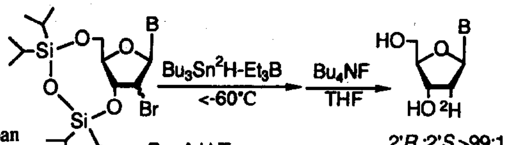
1a; (Z)
b; (E)

2a; syn
b; anti

Tetrahedron Lett. **1993**, *34*, 1317

Sonochemical and Triethylborane-induced Tin Deuteride Reduction for the Highly Stereoselective Synthesis of (2'*R*)-[2'-^{2}H]-2'-Deoxyribonucleosides from 2'-Functionalized Ribonucleosides

Etsuko Kawashima, Yukio Aoyama, Takeshi Sekine, Eiichi Nakamura,[#] Masatsune Kainosho,[¶] Yoshimasa Kyogoku,[§]
Yoshiharu Ishido* Laboratory of Pharmaceutical Chemistry, Faculty of Pharmacy, Tokyo College of Pharmacy,1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan [#]Department of Chemistry, Faculty of Science, Tokyo Institute of Technology,2-12-1 O-okayama, Meguro-ku, Tokyo 152, Japan [¶]Department of Chemistry, Faculty of Science, Tokyo Metropolitan University 1-1 Minamiosawa, Hachioji, Tokyo 192-03, Japan [§]Protein Research Institute, University of Osaka 565, Japan



B = A,U,T

2'*R*:2'*S* >99:1

Tetrahedron Lett. **1993**, *34*, 1321

Hydroperfluoroalkylation of Alkenes Using $R_fI/YbCl_3$(cat.)/Zn System

Yu Ding*, Gang Zhao and Weiyuan Huang
Shanghai Institute of Organic Chemistry, Academia Sinica,
345 LingLing Lu, Shanghai 200032, China

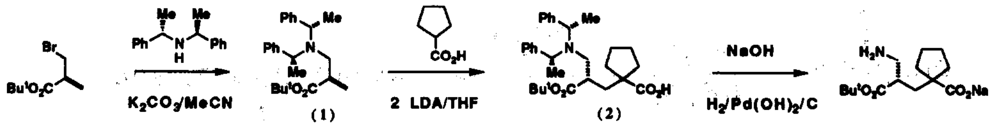
$$R_fI + CH_2{=}CHR \xrightarrow[\text{THF. } 50\text{-}76^\circ C]{YbCl_3(\text{cat.})/Zn} R_fCH_2CH_2R$$

Tetrahedron Lett. **1993**, *34*, 1323

ASYMMETRIC SYNTHESIS OF β-AMINO ACID DERIVATIVES BY MICHAEL ADDITION TO CHIRAL 2-AMINOMETHYLACRYLATES

Ian T. Barnish, Martin Corless, Peter J. Dunn*, David Ellis, Paul.W. Finn, J. David Hardstone and Keith James*
Pfizer Central Research, Sandwich Kent, CT13 9NJ, England

Lithium enolate addition to chiral aminomethylacrylate 1 yielded homochiral β-aminomethylglutarate 2 with 98% de in 83% yield.

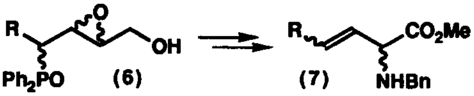


Tetrahedron Lett. **1993**, *34*, 1327

STEREOCONTROLLED SYNTHESIS OF *R* OR *S*, *E* OR *Z* UNSATURATED α-AMINO ACIDS BY ENANTIO- AND DIASTEREOSELECTIVE EPOXIDATION OF δ-HYDROXY ALLYLIC PHOSPHINE OXIDES

Jonathan Clayden,[a] Eric W. Collington[b] and Stuart Warren[a*]
[a]University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW
[b]Glaxo Group Research Ltd., Greenford Road, Greenford, Middx. UB6 0HE

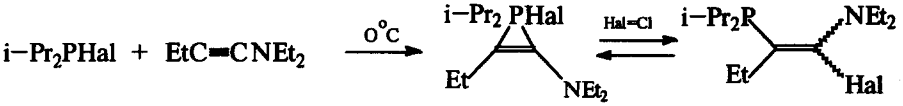
A diastereoselective peracid epoxidation, in tandem with a Sharpless kinetic resolution, allows any stereoisomer of 6 to be made. Stereospecific transformation of 6 gives any isomer (*R* or *S*, *E* or *Z*) of the protected amino acids 7.



Tetrahedron Lett. **1993**, *34*, 1331

THE ADDITION OF PHOSPHORUS HALIDES TO YNAMINES. RING–CHAIN TAUTOMERISM OF PHOSPHIRENE AND ISOMERIC OPEN STRUCTURE.

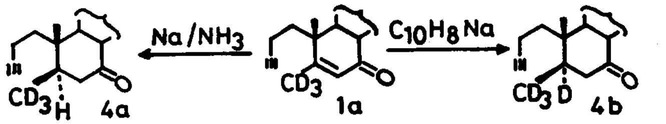
Nikolai V. Lukashev[#], Pavel E. Zhichkin, Marina A. Kazankova and Irina P. Beletskaya.
Department of Chemistry, Lomonosov Moscow State University, Moscow, 119899, Russia.



Tetrahedron Lett. **1993**, *34*, 1335

DISPROPORTIONATION VERSUS DIANION INTERMEDIACY IN ALKALI METAL REDUCTION OF SATURATED AND UNSATURATED KETONES

Suresh K. Pradhan[*1] and Shrikant S. Sakhalkar. Bombay University Department of Chemical Technology, Matunga Road, Bombay 400 019, India.

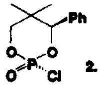


4 b formed by disproportionation while **4 a** is produced via dianion. It is now **confirmed** that **saturated ketones** are also reduced via **dianions by Na/NH₃**.

Tetrahedron Lett. **1993**, *34*, 1339

A NEW ^{31}P NMR METHOD FOR THE ENANTIOMERIC EXCESS DETERMINATION OF ALCOHOLS, AMINES AND AMINO ACID ESTERS.

Ron Hulst, Robert W.J. Zijlstra, Ben L. Feringa[*], Department of Organic and Molecular Inorganic Chemistry, University of Groningen, Nijenborgh 4, 9747 AG Groningen, The Netherlands.
N. Koen de Vries, Department Of Physical and Analytical Chemistry, D.S.M. Research, Geleen, The Netherlands.
Wolter ten Hoeve, Hans Wynberg, Syncom B.V., Nijenborgh 4, 9747 AG Groningen, The Netherlands.



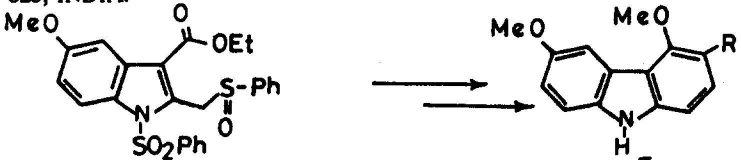
2

Diastereoisomeric derivatives of phosphoric acid chloride 2 show well separated signals in the ^{31}P NMR spectra allowing accurate e.e. determination of chiral alcohols, esters of amino acids and amines.

Tetrahedron Lett. **1993**, *34*, 1343

ONE POT SYNTHESIS OF 4-HYDROXY-3-SUBSTITUTED CARBAZOLES VIA SULFOXIDE STABILISED CARBANION

Arasambattu K. Mohanakrishnan and Panayencheri C. Srinivasan*
Department of Organic Chemistry, University of Madras,
Guindy Campus, Madras - 600 025, INDIA.



2

5

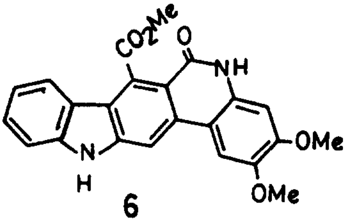
Tetrahedron Lett. **1993**, *34*, 1347

SYNTHESIS OF QUINOLONO[4,3-b] AND [3,4-b]CARBAZOLES POTENTIAL DNA BINDERS

Shanmugham Elango and Panayencheri C. Srinivasan*
Department of Organic Chemistry,
University of Madras, Guindy Campus,
Madras - 600 025, INDIA.

Synthesis of title compounds from 2-and 3- vinylindoles has been reported.

$R_1 = R_2 = -OCH_3, -OCH_2O-$



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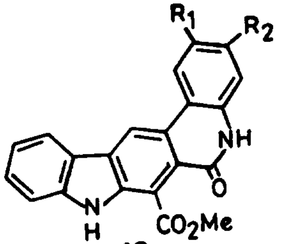

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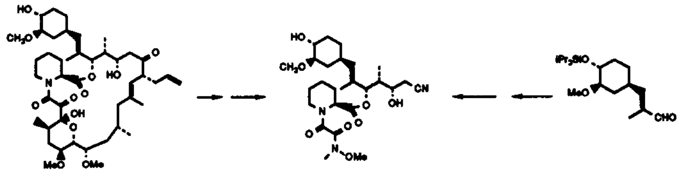
Tetrahedron Lett. **1993**, *34*, 1351

STUDIES RELATING TO THE IMMUNOSUPPRESSIVE ACTIVITY OF FK506

M. FURBER*, M. E. COOPER and D. K. DONALD
Department of Medicinal Chemistry, Fisons PLC Pharmaceutical Division, Bakewell Road, Loughborough, LE11 0RH, UK.

Beckmann fragmentation of FK506 E-oxime gave 4. Compound 4 was synthesised from homochiral enal 5.



FK506

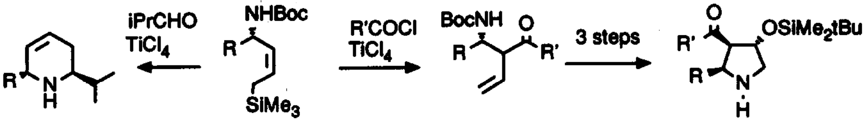
(4)

(5)

Tetrahedron Lett. **1993**, *34*, 1355

ALLYLSILANES DERIVED FROM AMINOACIDS IN THE SYNTHESIS OF PIPERIDINE AND PYRROLIDINE DERIVATIVES

Maurizio Franciotti, Andrè Mann, Alessandro Mordini and Maurizio Taddei*
Dipartimento di Chimica Organica "U. Schiff", Università di Firenze, Centro CNR Composti Eterociclici
Via G. Capponi 9 50121 Firenze Italy and Lab. Pharmacochimie Moleculaire, CNRS, Strasbourg, France

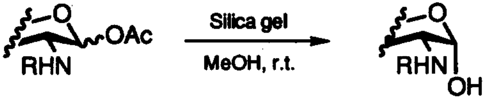


Tetrahedron Lett. **1993**, *34*, 1359

A NOVEL, REGIO- AND HIGHLY STEREOSELECTIVE ANOMERIC DEACETYLATION OF 2-AMINOSUGAR DERIVATIVES.

Martín Avalos, Reyes Babiano, Pedro Cintas, José L. Jiménez, Juan C. Palacios*, and Concepción Valencia.
Departamento de Química Orgánica. Facultad de Ciencias. Universidad de Extremadura. 06071-Badajoz, Spain

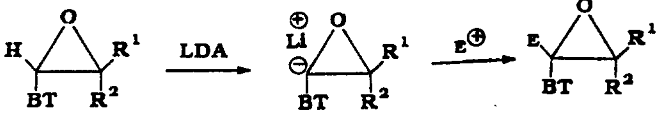
Selective anomeric deacetylation of 2-aminosugar derivatives can be easily performed with silica gel/methanol. Reactions proceed with total stereoselectivity under mild and neutral conditions.



Tetrahedron Lett. **1993**, *34*, 1363

LITHIATION OF BENZOTHIAZOLYL SUBSTITUTED EPOXIDES AND REACTIONS WITH ELECTROPHILES.

S. Florio,*[a] G. Ingrosso,[b] L. Troisi,[b] V. Lucchini [c]
a) Dipartimento Farmaco-Chimico, Università di Bari, Traversa 200 Re David, 4, 70125 Bari, Italy. b) Dipartimento di Biologia, Università di Lecce, Via Monteroni, 73100 Lecce, Italy. c) Dip. Scienze Ambientali, Università di Venezia, 30123 Venezia , Italy

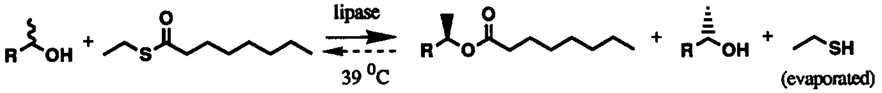


Tetrahedron Lett. **1993**, *34*, 1367

S-ETHYL THIOOCTANOATE AS ACYL DONOR IN LIPASE CATALYSED RESOLUTION OF SECONDARY ALCOHOLS

Hans Frykman[1], Niklas Öhrner[2], Torbjörn Norin[1], and Karl Hult[2]*
Department of Organic Chemistry[1] and Department of Biochemistry and Biotechnology[2]
Royal Institute of Technology, S-100 44 Stockholm, Sweden

The potency of the described transesterification system was demonstrated by the resolution of four secondary alcohols. Both enantiomers could be obtained with enantiomeric excess exceeding 96%.

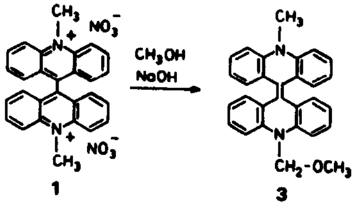


Tetrahedron Lett. **1993**, *34*, 1371

SYNTHESIS OF NOVEL PROTECTED HEMIAMINAL N-METHOXYMETHYL-N'-METHYL-9,9'-BIACRIDYLIDENE FROM LUCIGENIN

Kyriakos Papadopoulos and John Nikokavouras*
N.R.C.S. "Democritos", Institute of Physical Chemistry, 15310 Ag. Paraskevi Attikis, Athens, Greece.

A novel protected hemiaminal 3 has been identified as the major product on nucleophilic addition of concentrated methanolic alkali to lucigenin, 1.

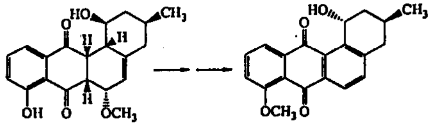


Tetrahedron Lett. **1993**, *34*, 1373

A STEREOSELECTIVE APPROACH TO THE ANGUCYCLINONE ANTIBIOTICS: A TOTAL SYNTHESIS OF THE C-1 EPIMER OF (±)-RUBIGINONE B1.

David S. Larsen* and Michael D. O'Shea, University of Otago, Dunedin, New Zealand

A highly diastereoselective Diels-Alder reaction gives a key intermediate (14) for the synthesis of the Angucyclinone class of antibiotics (*ie* the title compound (18)).

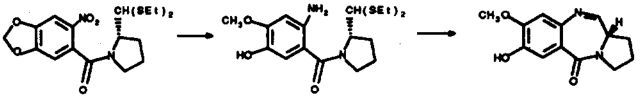


(14) (18)

Tetrahedron Lett. **1993**, *34*, 1377

Sn(II)Cl$_2$-Induced Regiospecific Opening of the 1,3-Benzodioxole Ring System: A Route to the Novel DNA-Interactive Ligand *Iso*-DC-81

D. Subhas Bose and David E. Thurston*
Division of Medicinal Chemistry
School of Pharmacy and Biomedical
Sciences,University of Portsmouth
Park Building, King Henry Ist Street
Portsmouth, PO1 2DZ, U.K.



1 2 3

A novel tin-catalysed regiospecific cleavage of a 1,3-benzodioxole ring system (1 → 2) is reported that has been applied to the synthesis of a uniquely-substituted pyrrolo[2,1-c][1,4]benzodiazepine (PBD) antitumour antibiotic (3).