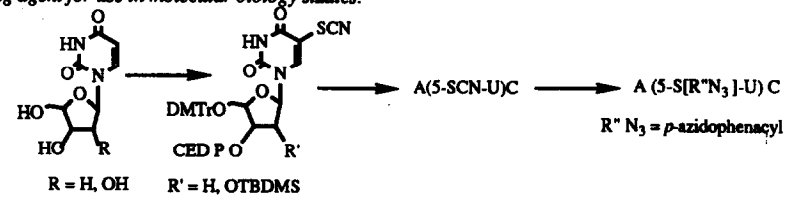
Tetrahedron Lett. **1992**, *33*, 6223

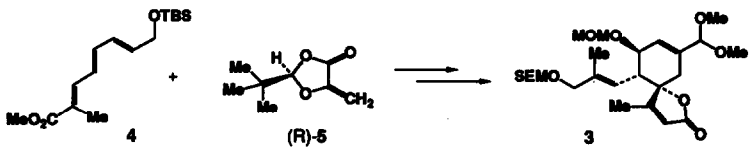
SYNTHESIS AND UTILITY OF 5-THIOCYANATO DEOXYURIDINE AND URIDINE PHOSPHORAMIDITES AS MASKED SYNTHONS David H. Bradley and Michelle M Hanna, Dept. of Botany and Microbiology, University of Oklahoma, Norman, OK 73019 **ABSTRACT:** *5-SCN-dU and 5-SCN-U have been incorporated into trimers, deprotected, and tagged with a photocrosslinking agent for use in molecular biology studies.*



Tetrahedron Lett. **1992**, *33*, 6227

ENANTIOSELECTIVE SYNTHESIS OF THE TOP HALF OF TETRONOLIDE

W. R. Roush* and K. Koyama, Department of Chemistry, Indiana University, Bloomington, IN 47405

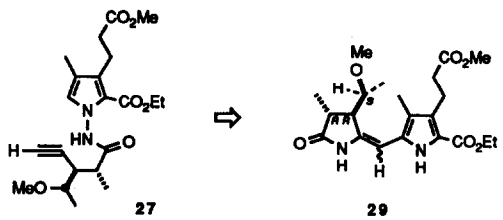


Tetrahedron Lett. **1992**, *33*, 6231

TETRAPYRROLES. III. HOMOCHIRAL DIHYDROPYRROMETHENONES FROM N-AMINOPYRROLES AND ACETYLENIC ACIDS.

Peter A. Jacobi* and S. Rajeswari
Hall-Atwater Laboratories, Wesleyan University
Middletown, Connecticut 06459-0180

Homochiral dihydropyrromethenone **29**, a potential precursor for the synthesis of phytochrome (**8**), has been prepared from pyrrolohydrazide **27** by 5-*exo*-*dig* cyclization followed by 3,5-sigmatropic rearrangement.

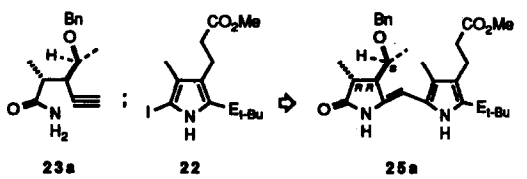


Tetrahedron Lett. **1992**, *33*, 6235

TETRAPYRROLES. IV. A HIGHLY EFFICIENT SYNTHESIS OF HOMOCHIRAL DIHYDROPYRROMETHENONES VIA Pd° MEDIATED COUPLING OF IODOPYRROLES AND ACETYLENIC AMIDES.

Peter A. Jacobi* and S. Rajeswari
Hall-Atwater Laboratories, Wesleyan University
Middletown, Connecticut 06459-0180

Phytochrome (**8**) precursor **25a** has been prepared in 85% overall yield from iodopyrrole **22** and acetylenic amide **23a** *via* Pd° coupling and F⁻ catalyzed cyclization.

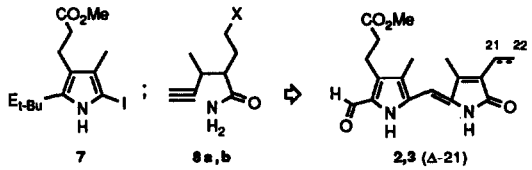


TETRAPYRROLES. V. FORMAL SYNTHESES OF THE RING-C,D PYRROMETHENONES OF PHYTOCHROME AND PHYCOCYANIN.

Tetrahedron Lett. **1992**, *33*, 6239

Peter A. Jacobi* and Robert W. DeSimone
Hall-Atwater Laboratories, Wesleyan University
Middletown, Connecticut 06459-0180

Formal syntheses of pyrromethenones **2** and **3** have been accomplished by Pd^0 coupling of iodopyrrole **7** with acetylenic amides of type **8a,b**, followed by F-catalyzed 5-*exo-dig* cyclization and DDQ oxidation.

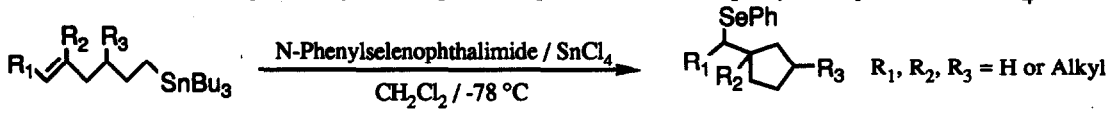


Cyclization of Alkene-Containing Tetraalkylstannanes

Tetrahedron Lett. **1992**, *33*, 6243

James W. Herndon * and Jill J. Harp
Department of Chemistry & Biochemistry; University of Maryland; College Park, Maryland 20742 USA

Various alkene-stannanes produce cyclization products upon reaction with N-phenylselenophthalimide/$SnCl_4$ at -78 °C.

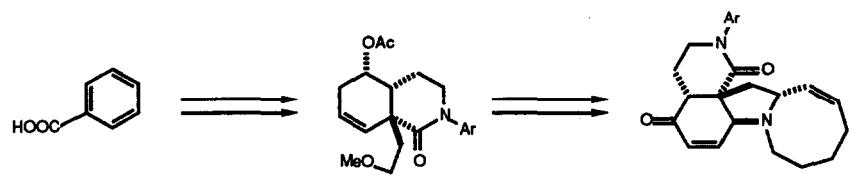


SYNTHESIS OF A TETRACYCLIC SUBSTRUCTURE OF MANZAMINE A.

Tetrahedron Lett. **1992**, *33*, 6247

Jeffrey A. Campbell and David J. Hart*
Department of Chemistry, The Ohio State University, 120 W. 18th Ave., Columbus, Ohio 43210

A synthesis of the perhydro-1*H*-azocino[1'2':1,5]pyrrolo[2,3-*i*]isoquinoline substructure of manzamine A is described.

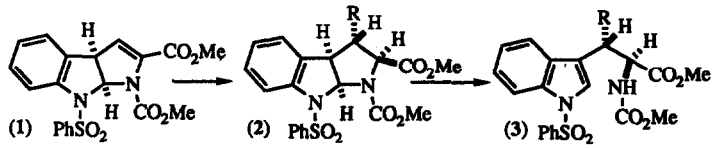


CONFORMATIONALLY RESTRICTED AMINO ACIDS: DIASTEREOSELECTIVE SUBSTITUTION AT THE β-POSITION OF L-TRYPTOPHAN

Tetrahedron Lett. **1992**, *33*, 6251

M. Bruncko and D. Crich,* Dept. of Chemistry, University of Illinois at Chicago (M/C 111), Box 4348, Chicago, Ill 60680, USA

Addition of higher order cuprates to the L-tryptophan derived tetrahydropyrroloindole **1** gives **2** in good yield and excellent diastereoselectivity. Treatment of **2** with trifluoroacetic acid yields the enantio- and diastereopure β substituted tryptophans **3**.

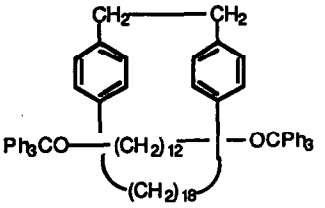


Tetrahedron Lett. **1992**, *33*, 6255

SYNTHESIS of the FIRST ROTAXANE CONTAINING a PARACYCLOPHANE RING

Vernon J. Gilliatt, Catherine M. Sultany, and Jared A. Butcher, Jr.*
Clippinger Laboratories, Ohio University, Athens, OH 45701

A rotaxane, formed in ~50% yield via the standard threading procedure, exhibits profound changes in the NMR spectrum which are attributed to magnetic anisotropy caused by aromatic rings in the trityl groups and the [2.18] paracyclophane.

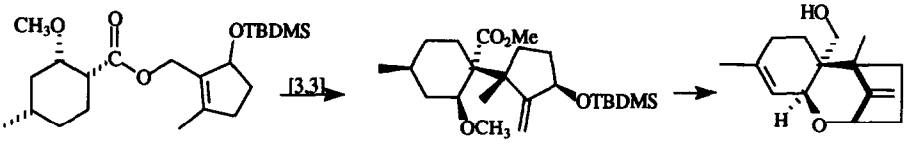


Tetrahedron Lett. **1992**, *33*, 6259

HIGHLY CONVERGENT ENANTIOSELECTIVE ROUTE TO TRICHOTHECENES

John C. Gilbert* and Robert D. Selliah, Department of Chemistry and Biochemistry, The University of Texas at Austin, Austin, TX 78712.

(+)-15-Hydroxytrichothec-9,12-diene (+)-4 was synthesized in high enantio- and diastereoselectivity, utilizing the [3,3]-sigmatropic rearrangement of the silylketene acetal derived from **11**.



11 **13a** (+)-4

Tetrahedron Lett. **1992**, *33*, 6263

CYANOGEN IODIDE: A NEW REAGENT FOR DISULFIDE BOND FORMATION IN PEPTIDES

Patricia Bishop, Jean Chmielewski*, Department of Chemistry, Purdue University, West Lafayette, IN 47907

NH_2-Ala-Cys(Acm)-Gly-Asn-Leu-Ser-Thr-Cys(Acm)-Met-Ala-OH

(1)

ICN, MeOH/H_2O (1:1)
1mM, 24 hr

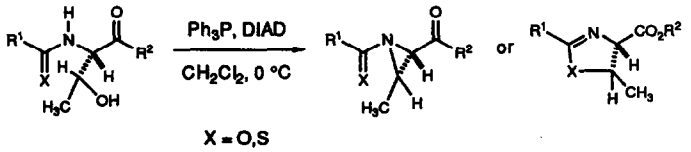
NH_2-Ala-Cys-Gly-Asn-Leu-Ser-Thr-Cys-Met-Ala-OH

Direct Deprotection and Intramolecular Disulfide Bond Formation with Calcitonin Analog 1

Tetrahedron Lett. **1992**, *33*, 6267

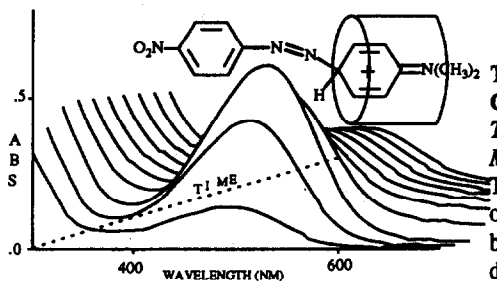
AN INVESTIGATION OF THE MITSUNOBU REACTION IN THE PREPARATION OF PEPTIDE OXAZOLINES, THIAZOLINES, AND AZIRIDINES

Peter Wipf* and Chris P. Miller
Department of Chemistry
University of Pittsburgh
Pittsburgh, Pennsylvania 15260, U.S.A.



Cyclization of β-hydroxy-α-amino acid derivatives under Mitsunobu conditions leads to aziridine or oxazoline peptides, depending on the relative configuration of α- and β-carbons. Thiopeptides give thiazolines.

Tetrahedron Lett. **1992**, *33*, 6271



TRAPPING OF A REACTION INTERMEDIATE BY CYCLODEXTRINS

Hongping Ye, Weida Tong and Valerian T. D'Souza; Department of Chemistry, University of Missouri, St. Louis, MO 63121*

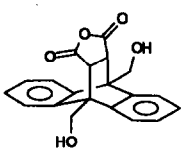
The formation and decomposition of an intermediate is observed spectrophotometrically in the coupling reaction between *p*-nitrophenyldiazonium chloride and *N,N*-dimethylaniline in the presence of β-cyclodextrin.

Tetrahedron Lett. **1992**, *33*, 6275

THE STRUCTURE OF 9,10-DIHYDRO-9,10-BIS(HYDROXYMETHYL)-9,10-ETHANOANTHRACENE-11,12-ANHYDRIDE

Marietta H. Schwartz (Dept. of Chemistry, Univ. of Massachusetts at Boston, 100 Morrissey Blvd, Boston, MA 02125); Stuart M. Rosenfeld and Christine I. Lee (Dept. of Chemistry, Smith College, Northampton, MA 01063); Jerry P. Jasinski and Edward H. Dardon (Dept. of Chemistry, Keene State College, Keene, NH 03431).

The title compound (3) exhibits rapid conformational interconversion on the NMR timescale. The X-ray structure is reported and compared to molecular mechanics calculations. The results imply significant steric crowding.

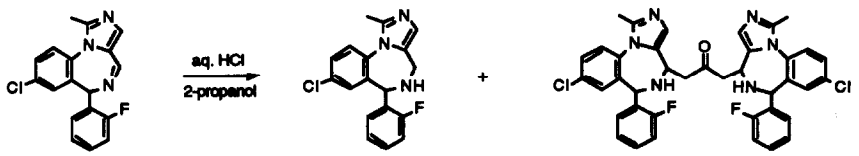


3

Tetrahedron Lett. **1992**, *33*, 6279

APPARENT PROTON-CATALYZED MEERWEIN-PONNDORF-VERLEY REDUCTION OF 8-CHLORO-6-(2-FLUOROPHENYL)-1-METHYL-6H-IMIDAZO[1,5a][1,4]BENZODIAZEPINE

Keith Ramig,[a] Michael A. Kuzemko,[a] David Parrish,[a] Barry K. Carpenter[b]
[a]Synthesis Development Department, Hoffmann-La Roche Inc., 340 Kingsland St., Nutley, N. J. 07110-1199
[b]Cornell University, Department of Chemistry, Baker Laboratory, Ithaca, NY 14853-1301

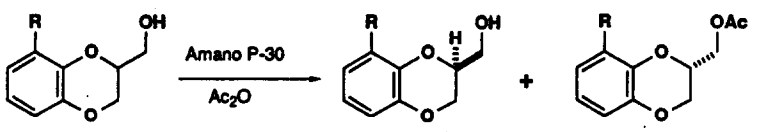


Tetrahedron Lett. **1992**, *33*, 6283

ENZYMATIC RESOLUTION OF 2-HYDROXYMETHYL-1,4-BENZODIOXANES

Michael D. Ennis* and David W. Old
Medicinal Chemisty Research, The Upjohn Company, Kalamazoo, MI 49001

Substrates such as 1 can be effectively resolved by Amano P-30 lipase to provide optically-enriched material of >96% ee.



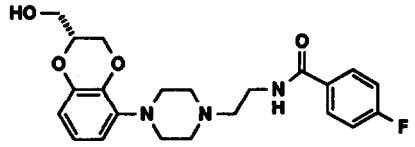
1 (S)-1

THE SYNTHESIS OF (+)- AND (-)-FLESINOXAN: APPLICATION OF ENZYMATIC RESOLUTION METHODOLOGY

Tetrahedron Lett. **1992**, *33*, 6287

Michael D. Ennis* and Nabil B. Ghazal
Medicinal Chemistry Research, The Upjohn Company, Kalamazoo, MI 49001

The total synthesis of flesinoxan is described. The optical isomers have been prepared by an enzymatic resolution. Based upon the known preferences of the enzyme system used, we have assigned the (R)-configuration to the (+)-flesinoxan isomer.

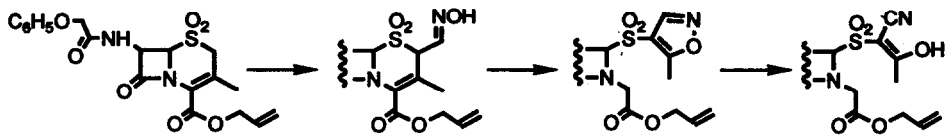


(+)-Flesinoxan

Tetrahedron Lett. **1992**, *33*, 6291

A NOVEL CEPHALOSPORIN DEHYDROTHIAZINE RING CLEAVAGE MODE.

W.H.W. Lunn* and Philip A. Hipskind
Lilly Research Laboratories, Lilly Corporate Center, Indianapolis, IN 46285.

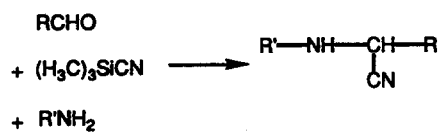


Tetrahedron Lett. **1992**, *33*, 6295

Synthesis of α-Aminonitriles by Self-catalyzed, Stoichiometric Reaction of Primary Amines, Aldehydes, and Trimethylsilyl Cyanide.

Jean-Pierre Leblanc and Harry W. Gibson*
Department of Chemistry, Virginia Polytechnique Institute and State University, Blacksburg, VA 24061-0212.

α-Aminonitriles can be prepared at room temperature in good yield by either the addition of an amine to a mixture aldehyde/trimethylsilyl cyanide (TMSCN) or by the addition of an aldehyde to a mixture amine/TMSCN.

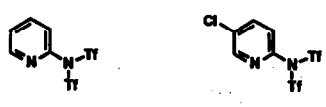


Tetrahedron Lett. **1992**, *33*, 6299

PYRIDINE-DERIVED TRIFLATING REAGENTS: AN IMPROVED PREPARATION OF VINYL TRIFLATES FROM METALLO ENOLATES.

Daniel L. Comins* and Ali Dehghani
Department of Chemistry, North Carolina State University, Raleigh, NC 27695-8204.

Vinyl triflates are prepared from triflating agents **1** or **2** and metallo enolates.



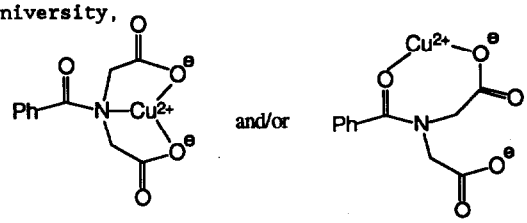
1 **2**

Cu(II)-PROMOTED HYDROLYSIS OF N-BENZOYLIMINODIACETIC ACID

Tetrahedron Lett. **1992**, *33*, 6303

Kuangmin Chen, Steven P. Wathen, and Anthony W. Czarnik*
Department of Chemistry, The Ohio State University,
Columbus, Ohio 43210

N-Benzoyliminodiacetic acid experiences Cu(II)-promoted amide hydrolysis interpretable as resulting either from amide N-coordination or O-coordination with intramolecular carboxylate catlysis.

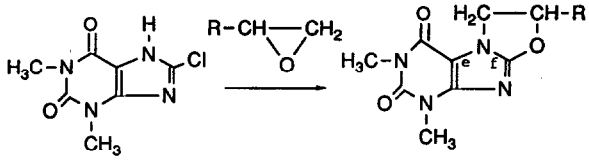


REACTION BETWEEN 8-CHLOROTHEOPHYLLINE AND EPOXIDES. A SIMPLE PREPARATION OF OXAZOLIDO[2,3-f]PURINES

Tetrahedron Lett. **1992**, *33*, 6307

R. H. Jin and T. Nishikubo

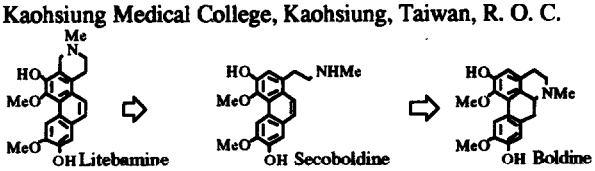
Department of Applied Chemistry, Faculty of Engineering, Kanagawa University, Rokkakubashi, Yokohama 221, Japan



A Facile Semisynthesis of Litebamine, a Novel Phenanthrene Alkaloid, from Boldine via a Biogenetical Approach

Tetrahedron Lett. **1992**, *33*, 6309

S.-S. Lee,* Y.-J. Lin, M.-Z. Chen, Y.-C. Wu [a] and C.-H. Chen, School of Pharmacy, National Taiwan University, Taipei, and [a] Kaohsiung Medical College, Kaohsiung, Taiwan, R. O. C.

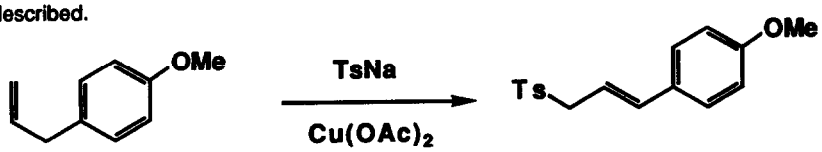


Sodium *p*-Toluenesulfinate/Copper(II) Acetate In Free Radical Reactions

Tetrahedron Lett. **1992**, *33*, 6311

Che-Ping Chuang
Dept. of Chemistry, National Cheng Kung Univ. Tainan, Taiwan, 70101, R.O.C.

A free radical reaction of alkenes with sodium *p*-toluenesulfinate/copper(II) acetate is described.

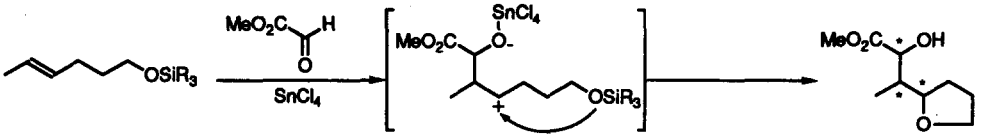


Tetrahedron Lett. **1992**, *33*, 6315

Prins Cyclization to Tetrahydrofuran Units of Polyether Antibiotics: Remarkable Siloxy Effect for Stereocontrolled Cyclization

Koichi Mikami* and Masaki Shimizu

Department of Chemical Technology, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, Japan

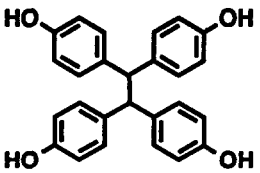


Tetrahedron Lett. **1992**, *33*, 6319

INCLUSION COMPLEXES OF A NOVEL HOST, 1,1,2,2-TETRAKIS(4-HYDROXYPHENYL)ETHANE, WITH VARIOUS GUESTS

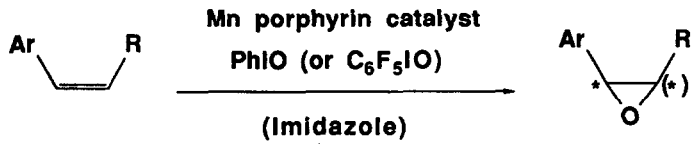
Hiroshi Suzuki
R&D Laboratory for specialty Chemicals, Nippon Soda Co., Ltd., 12-54 Goi-Minamikaigan, Ichihara 290, Japan

It is found that 1,1,2,2-tetrakis(4-hydroxyphenyl)ethane, a novel host molecule, forms crystalline inclusion complexes with various n-donors in a definite ratio. Using this complexation, certain guest species are isolated from mixtures.



Tetrahedron Lett. **1992**, *33*, 6323

REMARKABLE EFFECTS OF METAL IONS AND AXIAL BASES ON CATALYTIC AND ASYMMETRIC OXIDATION OF SIMPLE OLEFINS WITH A "TWIN-CORONET" PORPHYRIN. Y. Naruta,* F. Tani, and K. Maruyama,*
Department of Chemistry, Faculty of Science, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan

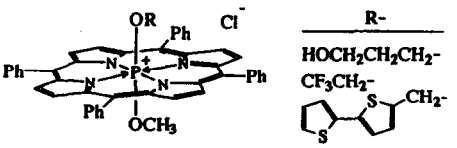


Tetrahedron Lett. **1992**, *33*, 6327

SELECTIVE SYNTHESIS OF UNSYMMETRICAL DIALKOXY-PHOSPHORUS(V)TETRAPHENYLPORPHINE DERIVATIVES STEPWISE SUBSTITUTION OF AXIAL POSITION.

Kazuhiko Kunimoto, Hiroshi Segawa, and Takeo Shimidzu*
Division of Molecular Engineering, Graduate School of Engineering, Kyoto University, Sakyo-ku, Kyoto 606, Japan

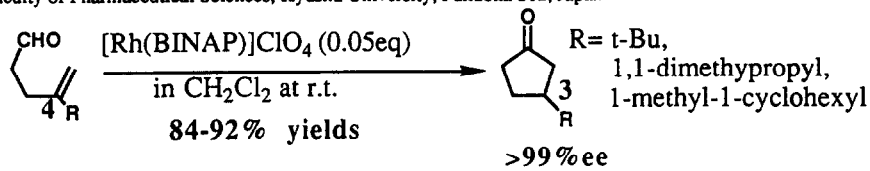
Unsymmetrical dialkoxyP(V)TPP derivatives are synthesized by treatment of dichloroP(V)TPP with silver nitrate in appropriate alcohols and successive O-alkylation.



Tetrahedron Lett. **1992**, *33*, 6331

HIGHLY ENANTIOSELECTIVE CYCLIZATION USING CATIONIC Rh(I) WITH CHIRAL LIGAND

Xiao-Ming Wu, Kazuhisa Funakoshi, and Kiyoshi Sakai*
Faculty of Pharmaceutical Sciences, Kyushu University, Fukuoka 812, Japan

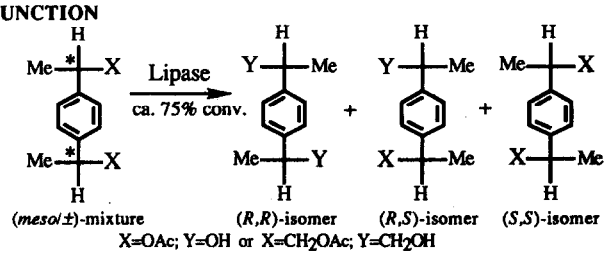


Tetrahedron Lett. **1992**, *33*, 6335

SEPARATION AND CHARACTERIZATION OF ALL CONFIGURATIONAL ISOMERS BY ENZYMATIC DISCRIMINATION OF EACH CHIRAL FUNCTION

Tetsuo Takemura,* Katsutoshi Saito, Satoshi Nakazawa, and Nobuo Mori
Department of Chemistry, Science University of Tokyo, Kagurazaka, Shinjuku-ku, Tokyo 162, Japan

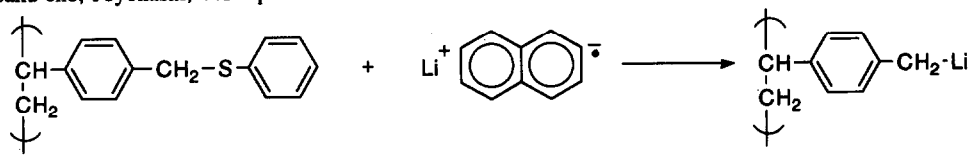
An enzymatic method for simultaneous performance of separation and analysis of *meso* and *racemic* diesters was demonstrated for the first time.



Tetrahedron Lett. **1992**, *33*, 6339

POLYMER-SUPPORTED ORGANOALKALI COMPOUNDS BY RADICAL ANION INDUCED REDUCTIVE METALATION OF PHENYL THIOETHERS

S. Itsuno, K. Shimizu, K. Kamahori, K. Ito
Department of Materials Science, Toyohashi University of Technology, Tempaku-cho, Toyohashi, 441 Japan



Tetrahedron Lett. **1992**, *33*, 6343

SYNTHESIS OF TRIANTENNARY BLOOD GROUP I ANTIGENS: *NEOLACTO*-GLYCOPENTADECAOSYL CERAMIDE

Yuji Matsuzaki[a], Yukishige Ito[a] and Tomoya Ogawa*[a,b]
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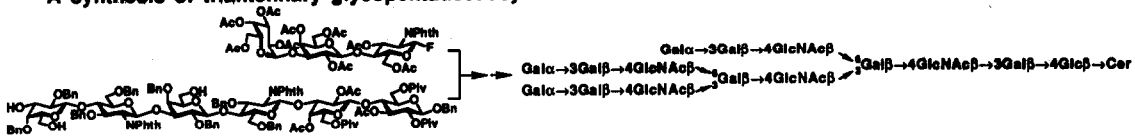
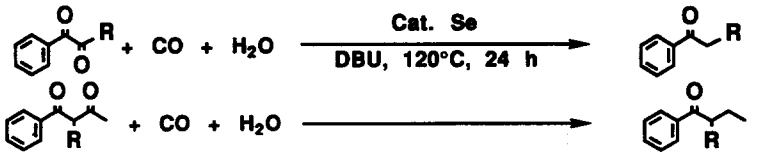
A synthesis of triantennary glycopentadecaosyl ceramides was described.



Tetrahedron Lett. **1992**, *33*, 6347

Selenium-Assisted Reduction of α– and β–Diketones with Carbon Monoxide and Water

Yutaka Nishiyama, Jun Inoue, Kazuyo Teranishi, Masami Moriwaki, and Sawako Hamanaka
Department of Applied Chemistry, Faculty of Engineering, Kansai University, Suita, Osaka 564, Japan

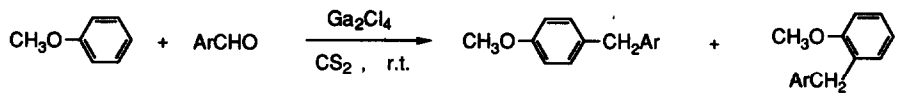


Tetrahedron Lett. **1992**, *33*, 6351

GALLIUM DICHLORIDE-MEDIATED REDUCTIVE FRIEDEL-CRAFTS REACTION

Yukihiko Hashimoto, Kazuyuki Hirata, Nobuhiro Kihara, Masaki Hasegawa, and Kazuhiko Saigo,*
Department of Synthetic Chemistry, Faculty of Engineering, The University of Tokyo, Hongo, Bunkyo-ku, Tokyo 113, Japan

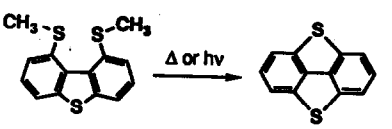
In the presence of gallium dichloride, carbonyl compounds reacted with anisole to give alkylated anisoles in good yields.



Tetrahedron Lett. **1992**, *33*, 6355

FIRST PREPARATION OF DIBENZO[*bc*,*fg*][1,4]DITHIAPENTALENE AND DETERMINATION OF THE STRUCTURE BY X-RAY ANALYSIS

Takeshi Kimura,[a] Yasuhiro Ishikawa,[a] Satoshi Ogawa,[a] Takehiko Nishio,[b] Ikuo Iida,[b] and Naomichi Furukawa.*[a]
[a]Department of Chemistry, [b]Analytical Center,
University of Tsukuba, Tsukuba, Ibaraki 305, Japan

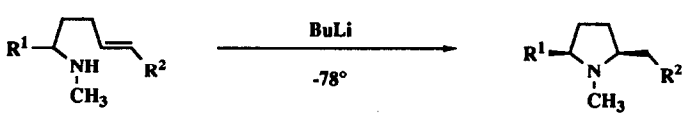


New stable dibenzo[*bc*,*fg*][1,4]dithiapentalene was prepared by thermolysis and photolysis of 1,9-bis(methylthio)dibenzothiophene and the X-ray analysis of the compound was carried out.

Tetrahedron Lett. **1992**, *33*, 6359

STEREOSELECTIVE CYCLIZATION OF δ-ALKENYLAMINES CATALYZED WITH BUTYLLITHIUM. SYNTHESIS OF *cis*-*N*-METHYL-2,5-DISUBSTITUTED PYRROLIDINES

Hirotake Fujita, Masao Tokuda,* Makoto Nitta, and Hiroshi Suginome*
Department of Chemical Process Engineering, Faculty of Engineering, Hokkaido University, Sapporo 060, Japan

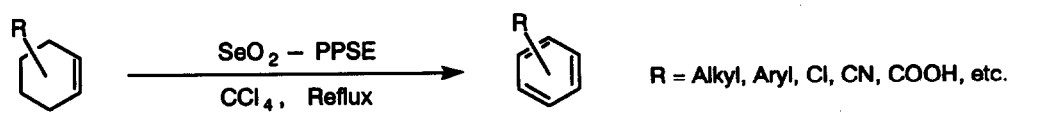


Tetrahedron Lett. **1992**, *33*, 6363

AROMATIZATION OF CYCLOHEXENES AND CYCLOHEXADIENES WITH SELENIUM DIOXIDE-TRIMETHYLSILYL POLYPHOSPHATE

Jong Gun Lee and Ki Chul Kim*

Department of Chemistry, Pusan National University, Pusan 609-735, Korea

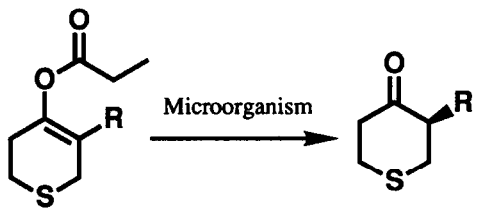


Tetrahedron Lett. **1992**, *33*, 6367

Enzyme-Mediated Enantioface-Differentiating Hydrolysis of α-Substituted Sulfur-Containing Cyclic Ketone Enol Esters

Yasunari Kume and Hiromichi Ohta*
Department of Chemistry, Keio University, Hiyoshi 3-14-1, Yokohama 223, Japan

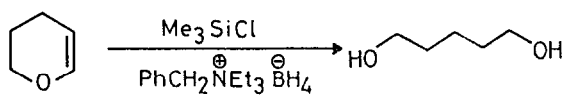
A microorganism hydrolyzed enol esters of sulfur-containing cyclic ketone with differentiation of the enantiotopic face.The resulting ketone could be easily desulfurized to afford the acyclic ketone without loss of its optical purity.



Tetrahedron Lett. **1992**, *33*, 6371

A Novel and Unusual Reaction of Enol Ethers with Benzyltriethylammonium Borohydride and Chlorotrimethylsilane

S.Baskaran[a,b], N.Chidambaram[b], N.Narasimhan[b] and S.Chandrasekaran[a,*]
a. Department of Organic Chemistry, Indian Institute of Science, Bangalore-560 012, INDIA
b. Department of Chemistry, Indian Institute of Technology, Kanpur -208 016, INDIA



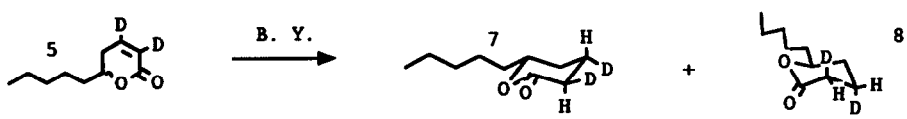
n-BuCH$_2$CH=CHOEt --------→ n-C_7H_{15}OH

Tetrahedron Lett. **1992**, *33*, 6375

STEREOCHEMISTRY OF THE YEAST-MEDIATED CONVERSION OF DELTA 2-DECENOLIDE INTO DELTA DECANOLIDE.

Giovanni Fronza, Claudio Fuganti, Piero Grasselli and Massimo Barbeni.
Dipartimento di Chimica, Centro per lo Studio delle Sostanze Organice Naturali, Politecnico, 20133 Milano, and San Giorgio Flavors, 10147 Torino, Italy.

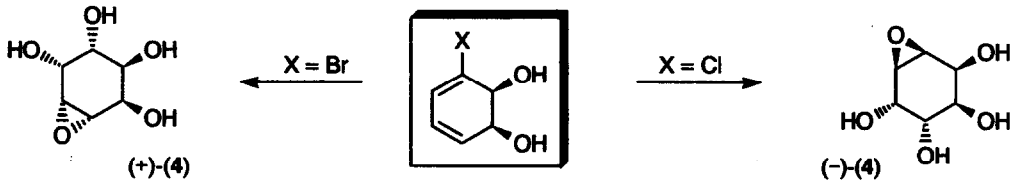
Baker's yeast reduction of racemic 5 provides stereospecifically labelled 7 and 8 with kinetic preference for the (R) enantiomer 7.



Tetrahedron Lett. **1992**, *33*, 6379

COMPLEMENTARY ENANTIOSPECIFIC SYNTHESES OF CONDURITOL E EPOXIDES FROM HALOBENZENES

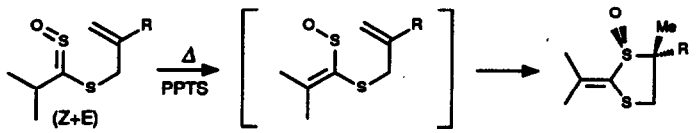
Howard A. J. Carless
Department of Chemistry, Birkbeck College, 29 Gordon Square, London WC1H 0PP, UK.



Tetrahedron Lett. **1992**, *33*, 6383

INTRAMOLECULAR CYCLIZATION OF (ALLYLTHIO)SULFINES VIA THEIR VINYLSULFENIC ACID TAUTOMERS.

Germana Mazzanti[1*], René Ruinaard[1,2], Leonard A. Van Vliet[1,2], Paolo Zani[1], Bianca F. Bonini[1] and Binne Zwanenburg[2*].
[1]Dipartimento di Chimica Organica "A Mangini", Università di Bologna, Viale Risorgimento 4, 40136 Bologna (Italy); [2]Department of Organic Chemistry, NSR Center, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen (The Netherlands)

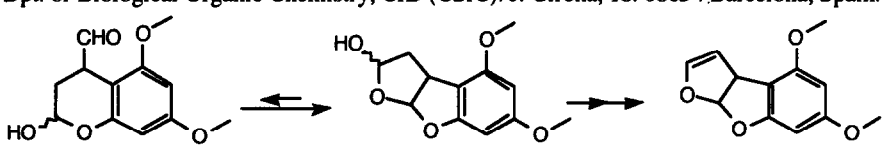


Isopropyl(allylthio)sulfines produce 2-alkylidene-1,3-dithiolane-1-oxides through intramolecular trapping of vinylsulfenic acid tautomers.

Tetrahedron Lett. **1992**, *33*, 6387

A CONVENIENT ENTRY TO THE TOXICOPHORIC FURO[2,3-b]BENZOFURAN FRAGMENT PRESENT IN AFLATOXINS

Jordi Bujons, Francisco Sánchez-Baeza and Angel Messeguer *
Dpt. of Biological Organic Chemistry, CID (CSIC). J. Girona, 18. 08034 Barcelona, Spain.



Tetrahedron Lett. **1992**, *33*, 6389

SYNTHESIS OF PEPTIDES CONTAINING THE ß-SUBSTITUTED AMINOETHANE SULFINAMIDE OR SULFONAMIDE TRANSITION-STATE ISOSTERE DERIVED FROM AMINO ACIDS

Wilna J. Moree, Gijs A. van der Marel and Rob M.J. Liskamp*
Gorlaeus Laboratories, University of Leiden, P.O. Box 9502, 2300 RA Leiden, The Netherlands

α-amino acids can be converted to homochiral ß-substituted aminoethane sulfinamide or sulfonamide transition-state isosteres, which can be incorporated into peptides.