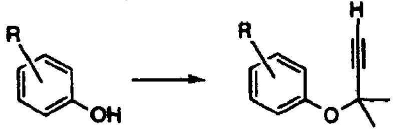
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 6405

IMPROVED SYNTHESIS OF ARYL 1,1-DIMETHYLPROPARGYL ETHERS.

Jollie D. Godfrey, Jr.,* Richard H. Mueller, Thomas C. Sedergran,†
Nachimuthu Soundararajan,† and Vincent J. Colandrea†
Chemical Process Research, Bristol-Myers Squibb, P.O. Box 4000, Princeton, NJ 08543-4000
†Chemical Process Technology, Bristol-Myers Squibb, 1 Squibb Drive, New Brunswick, NJ 08903

An efficient, general, and practical synthesis of aryl 1,1-dimethylpropargyl ethers has been developed.



Tetrahedron Letters, **1994**, *35*, 6409

An Improved Method for Chiral Oxazaborolidine-catalyzed Reduction of 4-Chromanone Analogs and MK-0499

Yao-Jun Shi,* Dongwei Cai,* U.-H. Dolling, Alan W. Douglas, David M. Tschaen and Thomas R. Verhoeven
Department of Process Research, Merck Research Laboratory, P.O. Box 2000, Rahway, New Jersey 07065

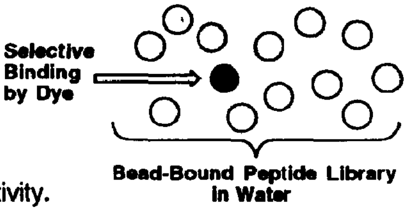
Addition of isopropanol to the stoichiometric reduction or the oxazaborolidine-catalyzed reduction of 4-chromanone analogs enhances the enantioselectivity of the reduction.

Tetrahedron Letters, **1994**, *35*, 6413

Peptide Complexation in Water. Sequence-Selective Binding with Simple Dye Molecules.

Helma Wennemers and W. Clark Still
Department of Chemistry, Columbia University,
New York, NY 10027

Sequence-selective binding of peptides in water is not limited to biological receptors or synthetic hosts. Many commercial dyes also bind certain peptides with high selectivity.

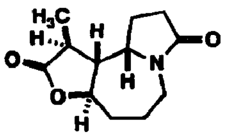


Tetrahedron Letters, **1994**, *35*, 6417

TOTAL SYNTHESIS OF (-)-STEMOAMIDE

David R. Williams*, Jayachandra P. Reddy and George S. Amato
Department of Chemistry, Indiana University, Bloomington, Indiana 47405, U.S.A.

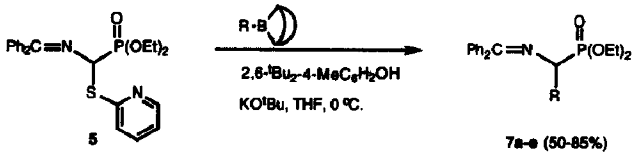
An enantiocontrolled total synthesis of the tricyclic alkaloid, stemoamide, is reported.



Tetrahedron Letters, **1994**, *35*, 6421

Preparation of an α-Aminophosphonate Cation Equivalent and its Reaction with Organoboranes

Martin J. O'Donnell,*[a] Linda K. Lawley,[a] Pradeep B. Pushpavanam,[a] Alain Burger,[b] F.G. Bordwell,[c] and Xian-Man Zhang[c]
[a]Department of Chemistry, Indiana University-Purdue University at Indianapolis, Indianapolis, IN 46202 USA, [b]Institut de Chimie, Université Louis Pasteur, 67008 Strasbourg, FRANCE, [c]Department of Chemistry, Northwestern University, Evanston, IL 60201 USA

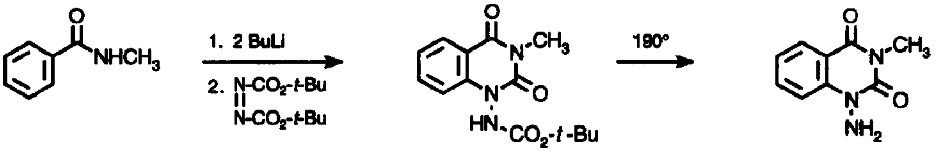


Tetrahedron Letters, **1994**, *35*, 6425

First Example of a 1-Aminoquinazoline-2,4-dione

James P. Demers, Department of Medicinal Chemistry
The R. W. Johnson Pharmaceutical Research Institute, P.O. Box 300, Raritan, NJ 08869-0602 USA

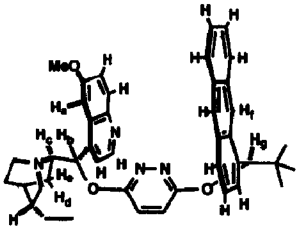
Aromatic hydrazination of dilithiated N-methylbenzamide unexpectedly afforded a 1-(*t*-butoxycarbonylamino)-quinazoline-2,4-dione. Thermolysis of this material provides the first example of a 1-aminoquinazoline-2,4-dione.



Tetrahedron Letters, **1994**, *35*, 6427

A Mechanistically Designed Mono-cinchona Alkaloid Is An Excellent Catalyst for the Enantioselective Dihydroxylation of Olefins

E. J. Corey,* Mark C. Noe and Michael J. Grogan
Department of Chemistry, Harvard University
Cambridge, Massachusetts 02138



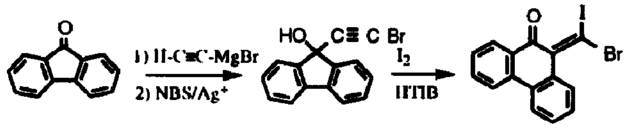
Catalytic Ligand for Asymmetric Dihydroxylation

Tetrahedron Letters, **1994**, *35*, 6431

A NEW APPROACH TO THE FUNCTIONALIZATION OF PHENANTHRENEQUINONE

Pakorn Bovonsombat and Edward Mc Nelis *
Department of Chemistry, New York University, New York, New York 10003

The bromoethynyl adduct of fluorenone was converted to 10-((Z)-bromoiodomethylidene)phenanthren-9-one by means of the iodonium-generating reagent, iodine and Koser's reagent.

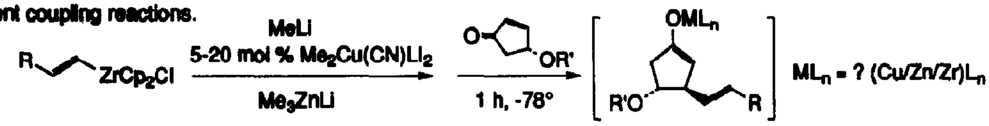


Tetrahedron Letters, **1994**, *35*, 6433

SPECTROSCOPIC STUDIES ON CYANOCUPRATE-CATALYZED 3-COMPONENT COUPLINGS: UNDERSTANDING THE CATALYTIC CYCLE

Bruce H. Lipshutz* and Michael R. Wood, Department of Chemistry, University of California, Santa Barbara, CA 93106

Low temperature ^{1}H NMR spectroscopic studies on the nature of the enolate resulting from copper-catalyzed 3-component coupling reactions.



Tetrahedron Letters, **1994**, *35*, 6437

COMPARATIVE STABILITY OF FLUOROKETONE HEMI-THIO ACETALS, KETALS AND HYDRATES

Russell J. Linderman*, Scott D. Tennyson, and David A. Shultz
Department of Chemistry, North Carolina State University, Raleigh NC 27695-8204

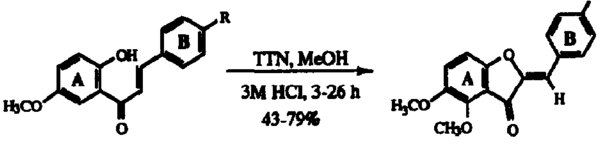
The relative thermodynamic stability of hemi-thio acetals, ketals, and hydrates of fluoroketones has been determined by AM1 and MNDO calculations of isodesmic reactions.

Tetrahedron Letters, **1994**, *35*, 6441

A NOVEL OXIDATIVE CYCLIZATION OF 2'-HYDROXYCHALCONES TO 4-METHOXYAURONES BY THALLIUM (III) NITRATE.

Kshitij Thakkar and Mark Cushman,* Department of Medicinal Chemistry and Pharmacognosy, Purdue University, West Lafayette, Indiana 47907 USA

A novel and unusual oxidative cyclization of chalcones by thallium (III) trinitrate to 4'-methoxyaurones has been studied.

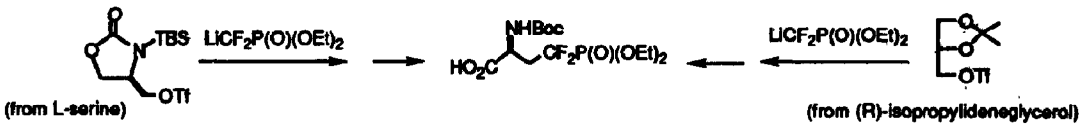


Tetrahedron Letters, **1994**, *35*, 6445

SYNTHESIS OF THE (α,α-DIFLUOROALKYL)-PHOSPHONATE ANALOGUE OF PHOSPHOSERINE.

David B. Berkowitz,* Quanrong Shen and Jun-Ho Maeng
Department of Chemistry, University of Nebraska, Lincoln, NE 68588

The (α,α-difluoroalkyl)phosphonate analogue of L-phosphoserine, in a form appropriate for solid phase peptide synthesis, has been synthesized, for the first time. Two independent routes, starting from either L-serine or (R)-isopropylidene glycerol, are reported.

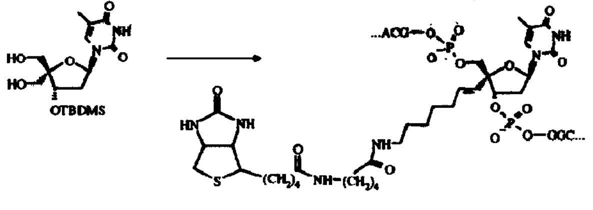


Tetrahedron Letters, **1994**, *35*, 6449

OLIGODEOXYNUCLEOTIDE PROBES WITH MULTIPLE LABELS LINKED TO THE 4'-POSITION OF THYMIDINE MONOMERS: EXCELLENT DUPLEX STABILITY AND DETECTION SENSITIVITY:

Hans Maag* and Beat Schmidt, Institute of Bio-organic Chemistry, Syntex Discovery Research, 3401 Hillview Ave., Palo Alto, CA 94304. Samuel J. Rose, SYVA Research, 900 Arastradero Rd., Palo Alto, CA 94304.

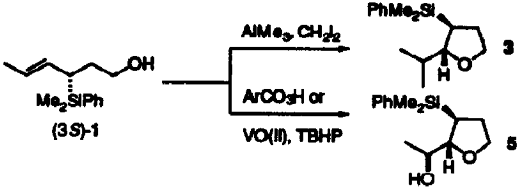
The synthesis, DNA duplex properties and detection sensitivity of multiply biotinylated oligodeoxynucleotides, in which the labels are linked to the 4'-position of thymidine monomers, are reported.



Tetrahedron Letters, **1994**, *35*, 6453

Diastereoselectivity in Cyclopropanation and Epoxidation Reactions of Chiral (*E*)-Crotylsilanes: Asymmetric Synthesis of Substituted Tetrahydrofurans

James S. Panek*, Robert M. Garbaccio and Nareshkumar F. Jain, Department of Chemistry
Metcalf Center for Science and Engineering, Boston University, Boston, Massachusetts 02215

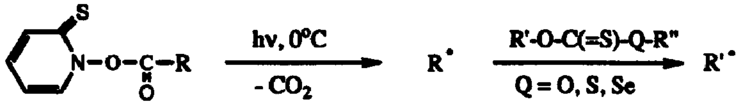


Tetrahedron Letters, **1994**, *35*, 6457

SYNTHESIS AND APPLICATION OF CHLORO-DITHIOCARBONATES, THIONOTHIOCARBONATES, AND THIONOSELENOCARBONATES IN RADICAL CHAIN REACTIONS.

Derek H. R. Barton, Mi Chen ('Jenny'), Joseph Cs. Jaszberenyi*, Bernard Rattigan, and Dagang Tang
Department of Chemistry, Texas A&M University, College Station, Texas 77843-3255

Dithiocarbonates and thionoselenocarbonates have been prepared and used to generate carbon radicals in radical exchange reactions with Barton esters.

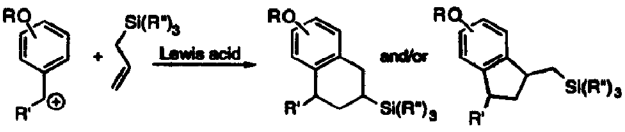


Tetrahedron Letters, **1994**, *35*, 6461

Formal [3+3]- and [3+2]-Cycloadditions of Allylsilanes with Benzylic Cations

Steven R. Angle* and Jim P. Boyce, Department of Chemistry,
University of California, Riverside, California 92521-0403

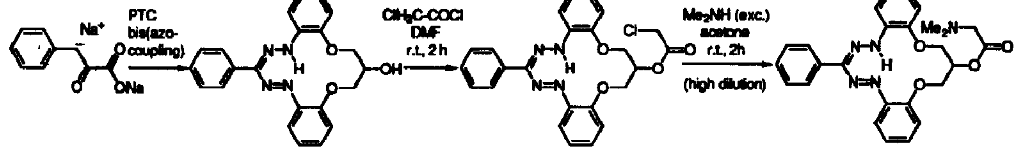
Allylsilanes serve as a three-carbon dipole equivalents for the formation of tetrahydronaphthalenes *via* Lewis acid promoted formal [3+3]-cycloadditions with benzylic cations. A competing [3+2]-pathway resulted in the formation of dihydor-(1*H*)indenes.



Tetrahedron Letters, **1994**, *35*, 6465

SYNTHESIS OF THE FIRST LARIAT CROWN-FORMAZAN, PROTOTYPE OF A NEW SERIES OF PODANDOCORONANDS.

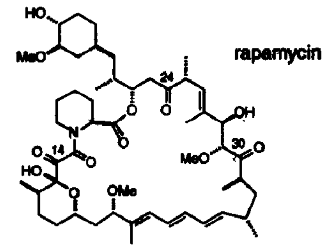
Alan R. Katritzky*[a], Sergei A. Belyakov[a], H. Dupont Durst[b]; [a]Center for Heterocyclic Compounds, Department of Chemistry,University of Florida, Gainesville FL 32611-7200, USA; [b]U. S. Army Edgewood Research, Development & Engineering Center, Aberdeen Proving Ground MD 21010-5423, USA



Tetrahedron Letters, **1994**, *35*, 6469

STUDIES ON SELECTIVE REDUCTIONS OF RAPAMYCIN

Juan I. Luengo,* Leonard W. Rozamus, and Dennis A. Holt,
Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals,
King of Prussia, PA 19406



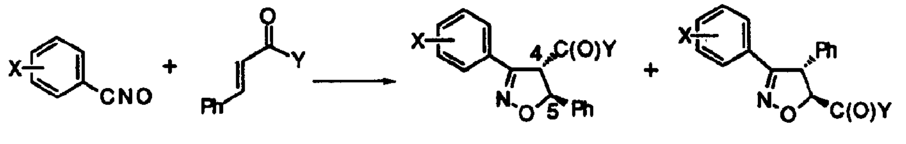
Reaction of rapamycin with different reducing agents has been studied. Conditions for selective reductions of the C_{14} (Zn/AcOH or DIBAL) and C_{30} carbonyls ($NaBH_3CN/CeCl_3$ or $NaBH(OAc)_3$) have been developed.

Tetrahedron Letters, **1994**, *35*, 6473

Control of Regioselectivity in Nitrile Oxide Cycloadditions to Cinnamic Acid Derivatives.

Michele A. Weidner-Wells*, Stephanie A. Fraga and James P. Demers
Division of Medicinal Chemistry, The R. W. Johnson Pharmaceutical Research Institute P.O. Box 300, Raritan, NJ 08869

Cycloaddition of benzonitrile oxides and tertiary cinnamides produces the 3,5- and 3,4-diarylisoxazoline carboxamide regioisomers in a 25-30:75-70 ratio. A useful method for the preparation of 3,4-diarylisoxazoline-5-carboxylic acids has been developed.

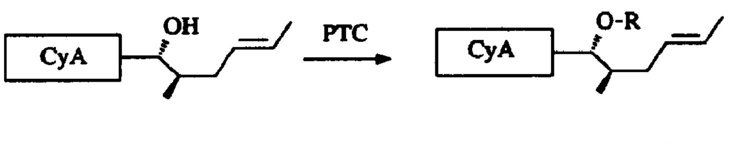


Tetrahedron Letters, **1994**, *35*, 6477

Preparation of Functionalized Ethers of Cyclosporin A.

Marcel K. Eberle* and François Nuninger
Preclinical Research, Sandoz Ltd, Basel, Switzerland

The hydroxy group of cyclosporin A was alkylated with activated halides under phase transfer reaction conditions.



R = $CH_2C(=CH_2)X$
X = H, CH_3, COOMe, CH_2Cl
R = CH_2COOt-Bu
R = $CH_2C\equiv CH$

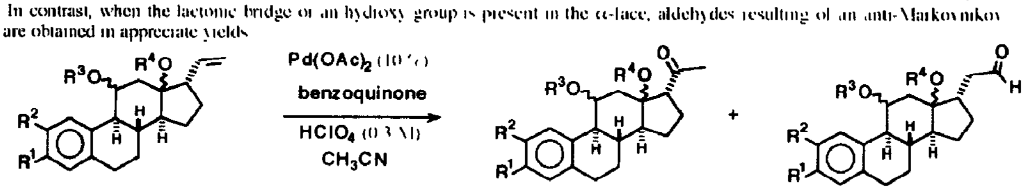
Tetrahedron Letters, **1994**, *35*, 6481

REGIOCHEMISTRY OF WACKER-TYPE OXIDATION OF VINYL GROUP IN THE PRESENCE OF NEIGHBORING OXYGEN FUNCTIONS

Hélène Pellissier, Pierre-Yves Michellys and Maurice Santelli

Laboratoire de Synthèse Organique associé au CNRS, Centre de St-Jérôme, Av. Esc. Normandie-Niemen, 13397, Marseille Cedex 20-France.

Palladium (II) oxidation of (±)-17α-vinyl-1,3,5(10)-estratriene derivatives bearing a lactonic bridge on the β-face affords the expected acetyl derivatives. In contrast, when the lactonic bridge or an hydroxy group is present in the α-face, aldehydes resulting of an anti-Markovnikov hydratation are obtained in appreciate yields.

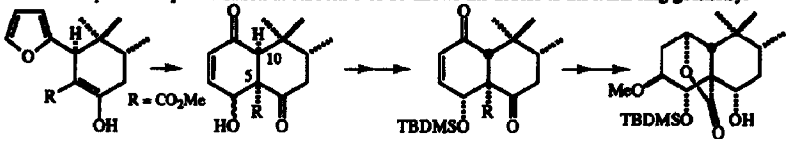


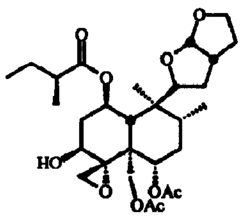
Tetrahedron Letters, **1994**, *35*, 6485

Stereoselective Access to Polyfunctionalized Decalins.

Celine POIREL†, Pierre-Yves RENARD and Jean-Yves LALLEMAND *.
Laboratoire de Synthèse Organique, Ecole Polytechnique, 91128, Palaiseau, France.
†INRA, Laboratoire des Médiateurs Chimiques, CNRA, route de Saint-Cyr, 78000 Versailles, France.

As a model of a stereoselective approach to Ajugareptansin 1, a versatile and straightforward access to polyfunctionalized *cis* or *trans* decalins is presented. It is based on a Lewis acid-mediated addition of furan to an activated enone followed by oxydative ring opening of furan to give *cis* decalin by a controled aldolisation process. Epimerization at carbons 5 or 10 allows the access to the *trans* ring geometry.



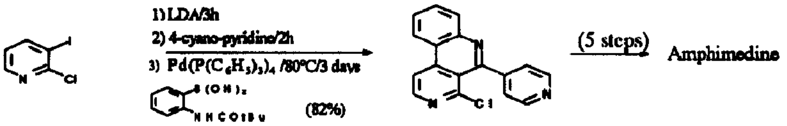


Ajugareptansin 1

Tetrahedron Letters, **1994**, *35*, 6489

AN ORIGINAL ONE-POT SYNTHESIS OF 5-(4-PYRIDYL)-BENZO[c]-2,7-NAPHTHYRIDINE AS KEY INTERMEDIATE IN THE SYNTHESIS OF AMPHIMEDINE BY METALATION CONNECTED WITH CROSS-COUPLING REACTION.

F.Guillier, F.Nivoliers, A.Godard, F.Marsais and G.Quéguiner. Laboratoire de Chimie Organique Fine et Hétérocyclique de l'IRCOF. URA CNRS 1429. INSA de Rouen, BP08, 76131 Mont-Saint-Aignan Cedex (France).

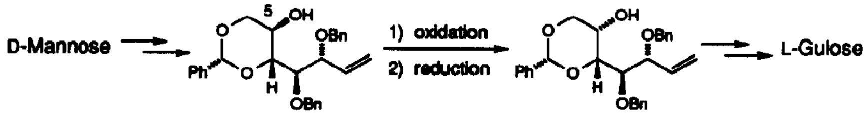


Tetrahedron Letters, **1994**, *35*, 6493

New Method for the Preparation of L-Gulose from D-Mannose: Synthetic Study on the Sugar Moiety of Bleomycin

Tetsuta Oshitari, Masahiro Tomita, and Susumu Kobayashi*
Sagami Chemical Research Center, Nishi-Ohnuma, Sagamihara 229, Japan,

L-Gulose, a key building block of the carbohydrate moiety of bleomycin, was prepared from D-mannose by the inversion at C-5.

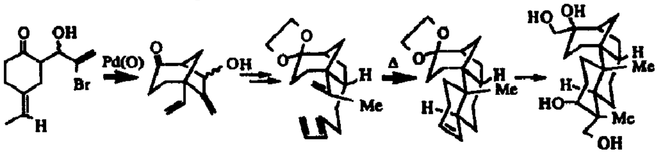


Tetrahedron Letters, **1994**, *35*, 6495

An Expeditious and Efficient Formal Synthesis of (±)- Aphidicolin

Masahiro Toyota, Youichi Nishikawa and Keiichiro Fukumoto[*]
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980-77, Japan

Highly diastereoselective formal synthesis of aphidicolin (5) has been accomplished. An intramolecular Heck reaction (1→2) and an intramolecular Diels-Alder reaction (3→4) were utilized for the key step of the sequence.



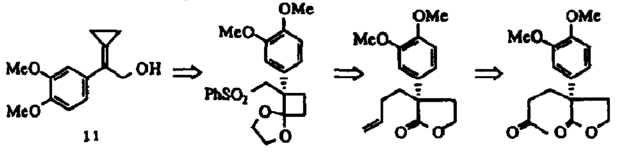
1 2 3 4 Aphidicolin 5

Tetrahedron Letters, **1994**, *35*, 6499

An Asymmetric Synthesis of Benzylic Quaternary Carbon Centers. A Formal Total Synthesis of (-)-Mesembrine

Hideo Nemoto, Tetsuro Tanabe and Keiichiro Fukumoto[*]
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980-77, Japan

The optically pure **15**, prepared by the tandem asymmetric epoxidation and 1,2-rearrangement of **11** as a key step, was converted into **22** *via* **21**.



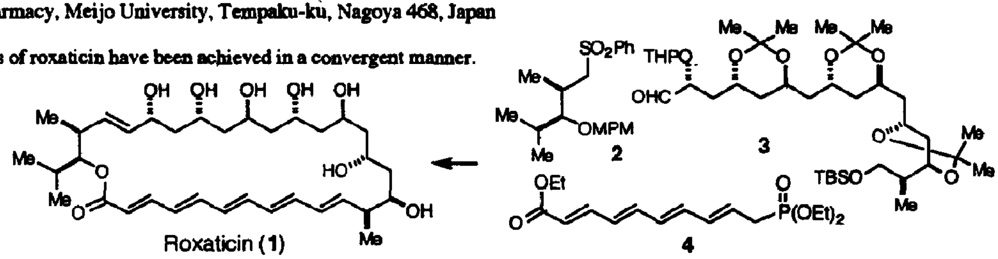
11 15 21 22

Tetrahedron Letters, **1994**, *35*, 6503

TOTAL SYNTHESIS OF THE POLYENE MACROLIDE ROXATICIN

Yuji Mori,[*] Motoya Asai, Jun-ichiro Kawade, Akiko Okumura, and Hiroshi Furukawa
Faculty of Pharmacy, Meijo University, Tempaku-ku, Nagoya 468, Japan

Total synthesis of roxaticin have been achieved in a convergent manner.



Roxaticin (1) 2 3 4

Tetrahedron Letters, **1994**, *35*, 6507

PALLADIUM CATALYZED CROSS-COUPLING OF FUNCTIONALIZED ALKYLTRIFLUOROSILANES WITH ARYL HALIDES

Hayao Matsuhashi, Manabu Kuroboshi, Yasuo Hatanaka,[†] and Tamejiro Hiyama[*]
Research Laboratory of Resources Utilization, 4259 Nagatsuta, Midori-ku, Yokohama 227, Japan
[†]Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan

Cross-coupling of functionalized alkyltrifluorosilanes with aryl halides was achieved with high chemoselectivity.

$$\mathrm{Ar\text{-}X} \;+\; \mathrm{F_3Si\text{-}(CH_2)_n\text{-}Y} \xrightarrow[n\text{-}\mathrm{Bu_4NF,\ THF,\ 100\ ^\circ C}]{\mathrm{Pd(PPh_3)_4\ (5\ mol\%)}} \mathrm{Ar\text{-}(CH_2)_n\text{-}Y}$$

Y = H, Ph, CO_2R, $COCH_3$, CN, n ≥ 2

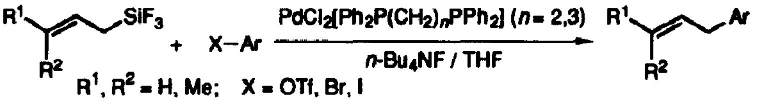
Tetrahedron Letters, **1994**, *35*, 6511

α-SELECTIVE CROSS-COUPLING-REACTION OF ALLYLTRIFLUOROSILANES: REMARKABLE LIGAND EFFECT ON THE REGIOCHEMISTRY

Yasuo Hatanaka,* Ken-ichi Goda, and Tamejiro Hiyama,† Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa, 229, Japan

†Research Laboratory of Resources Utilization, Tokyo Institute of Technology, 4259 Nagatsuda, Midori-ku, Yokohama, 227, Japan

The cross-coupling reaction of allyltrifluorosilanes takes place selectively at the α-carbon in the presence of $PdCl_2[Ph_2P(CH_2)_nPPh_2]$ (n = 2, 3) as a catalyst.

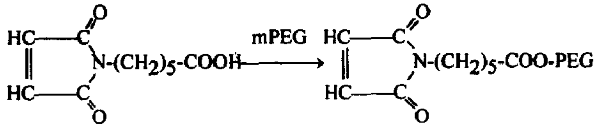


Tetrahedron Letters, **1994**, *35*, 6515

PREPARATION OF A NEW PEGYLATION REAGENT FOR SULFHYDRYL-CONTAINING POLYPEPTIDE

Wei Tang, Yuan Chang, and Xin-Yuan Liu
Shanghai Institute of Biochemistry, Academia Sinica, China

Maleimido-6-amido-caproyl ester of mPEG was prepared by a simple method, which can be used as a new sulfhydryl-PEGylation reagent of protein etc..

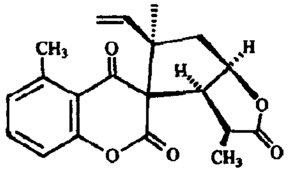


Tetrahedron Letters, **1994**, *35*, 6517

Structure of a Novel Spiro-monoterpene-coumarin in *Ethulia conyzoides*

Ahmed A. Mahmoud, Ahmed A. Ahmed, Munekazu Iinuma¶, Toshiyuki Tanaka¶ and Osamu Muraoka§, Department of Chemistry, Faculty of Science, El-Minia University, El-Minia, Egypt, Department of Pharmacognosy, ¶Gifu Pharmaceutical Unversity, Mitahora-higashi 5-6-1, Gifu 502, Japan and Faculty of Pharmaceutical Sciences, §Kinki University, Kowakae 3-4-1, Higashi-Osaka, Osaka 577, Japan

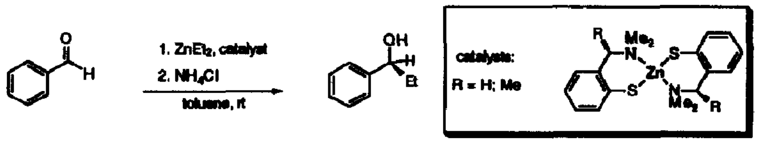
A novel spiro-monoterpene-5-methylcouamrin, named spiro-ethulia-couamrin, was isolated from the aerial parts of *Ethulia conyzoides* (Compositae). The structure was determined by spectroscopic methods and X-ray crystallography.



Tetrahedron Letters, **1994**, *35*, 6521

APPLICATION OF *N,S*-CHELATING CHIRAL ZINC *BIS*(ARENETHIOLATE) COMPLEXES AS NEW PRECURSOR CATALYSTS IN THE ENANTIOSELECTIVE ADDITION OF DIETHYLZINC TO ALDEHYDES.

E. Rijnberg, J. T. B. H. Jastrzebski, M. D. Janssen, J.Boersma and G. van Koten.
Debye Institute, Department of Metal Mediated Synthesis, Utrecht University, Padualaan 8, 3584 CH Utrecht, The Netherlands.



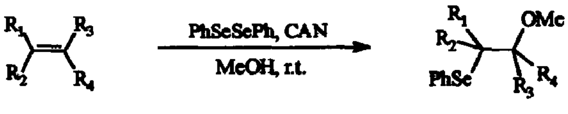
Aldehydes are converted to the corresponding secondary alcohols with optical purities of up to 99 % e.e. under mild reaction conditions.

Tetrahedron Letters, **1994**, *35*, 6525

OXIDATION OF DIPHENYL DISELENIDE WITH CERIC AMMONIUM NITRATE: A NOVEL ROUTE FOR FUNCTIONALIZATION OF OLEFINS.

Cesare Bosman, Andrea D'Annibale,* Stefano Resta and Corrado Trogolo,* Centro C.N.R. di Studio per la Chimica delle Sostanze Organiche Naturali, Dipartimento di Chimica, Università degli Studi di Roma "La Sapienza", P.le Aldo Moro 5, 00185 Roma, ITALIA

Reaction of alkenes with CAN and PhSeSePh in methanol afforded β-methoxyalkyl phenyl selenides in good to high yields.

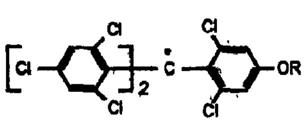


Tetrahedron Letters, **1994**, *35*, 6529

TWO FUNCTIONALIZED FREE RADICALS OF THE TRIS(2,4,6-TRICHLOROPHENYL)METHYL RADICAL SERIES. SYNTHESIS, STABILITY AND EPR ANALYSIS.

Josep Carilla, Lluís Fajarí, Luis Julià,* Juan Riera* and Lluís Viadel. Dpt. Materials Orgànics Halogenats, CID (CSIC), Jordi Girona 18-26, 08034 Barcelona. Spain.

(2,6-Dichloro-4-hydroxyphenyl)bis(2,4,6-trichlorophenyl)methyl radical (4) and its methyl ether derivative 5 have been synthesized and isolated. In contrast to 5, radical 4 is not very stable in air, and its disappearance by aerial oxidation has been monitored by UV-vis spectroscopy. The EPR spectra of 4 and 5 are reported and commented upon.



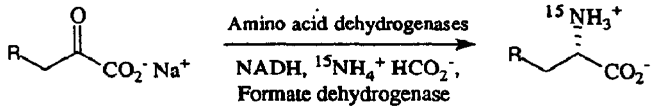
4 R = H **5** R = CH_3

Tetrahedron Letters, **1994**, *35*, 6533

CHEMO-ENZYMATIC SYNTHESES OF ISOTOPICALLY LABELLED L-AMINO ACIDS

Nicholas M. Kelly[a], Bridget C. O'Neill[a], John Probert[a], Gordon Reid[b], Rosamund Stephen[a], Ting Wang[a], Christine L. Willis[a]*, and Peter Winton[b]

a) School of Chemistry, University of Bristol, Cantock's Close, Bristol BS8 1TS
b) Amersham International, Forest Farm, Whitchurch, Cardiff CF4 7YT



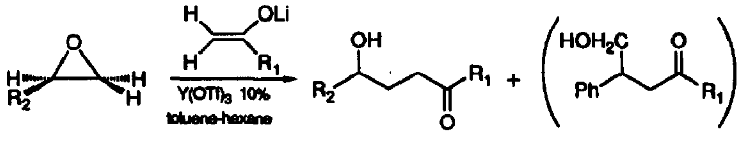
Efficient syntheses of [^{15}N]-alanine, serine, valine, leucine and phenylalanine and [^{15}N,3-^{13}C]alanine are described.

Tetrahedron Letters, **1994**, *35*, 6537

YTTRIUM TRIFLATE-CATALYZED ADDITION OF LITHIUM ENOLATES TO 1,2-EPOXIDES. EFFICIENT SYNTHESIS OF γ-HYDROXY KETONES

Paolo Crotti,* Valeria Di Bussolo, Lucilla Favero, Franco Macchia and Mauro Pineschi
Dipartimento di Chimica Bioorganica, Universita' di Pisa, Via Bonanno 33, 56126 Pisa, Italy

A simple, efficient method is described for the synthesis of γ-hydroxy ketones by the direct opening of 1,2-epoxides with lithium enolates derived from simple ketones in anhydrous toluene, in the presence of $Y(OTf)_3$.



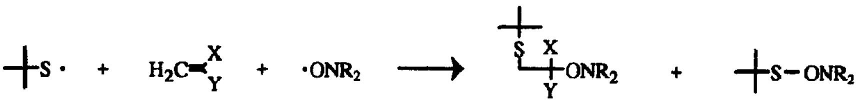
R_1= *t*-Bu, Ph
R_2=Me, C_6H_{13}, $PhOCH_2$, Ph

Tetrahedron Letters, **1994**, *35*, 6541

The t-Butylthiyl Radical as Initiator in Vinylpolymerizations.

W. Ken Busfield, Kirstin Heiland*, Ian D. Jenkins,
Division of Science and Technology, Griffith University, Nathan, Qld. 4111, Australia

In the presence of vinyl monomers and aminoxyl radical scavenger t-butyl thiyl radicals add either to the double bond of the monomer or are trapped by the aminoxyl radical.

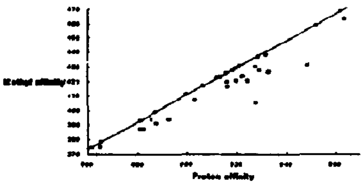


Tetrahedron Letters, **1994**, *35*, 6543

A NOVEL COMPUTATIONAL APPROACH TO THE ESTIMATION OF STERIC PARAMETERS. APPLICATION TO THE MENSCHUTKIN REACTION

H Donald B Jenkins*, Elizabeth J Kelly and Christopher J Samuel*
Department of Chemistry, University of Warwick, Coventry CV4 7AL, England.

A novel purely computational approach to the estimation of steric parameters, suitable for use in QSAR studies, is presented and is tested by application to the Menschutkin reaction of substituted pyridines. Proton and methyl ion affinities, calculated by AM1 show a linear correlation for unhindered 3- and 4-substituted pyridines, and the deviation of hindered 2-substituted pyridines from the correlation line, is a measure of the steric hindrance around the nitrogen atom.



Tetrahedron Letters, **1994**, *35*, 6547

THE SYNTHESIS OF A-NOR-B-HOMOBACCATIN III DERIVATIVES.

Giovanni Appendino,[a*] Jasmin Jakupovic,[b*] Giancarlo Cravotto[a] and Marcella Varese[a]
a: Dipartimento di Scienza e Tecnologia del Farmaco, Torino Italy. b: Institut für Organische Chemie, Berlin, Germany

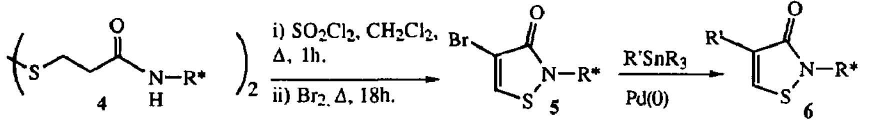
Treatment of 1a with MnO_2 gave the rearranged product 2a.

Tetrahedron Letters, **1994**, *35*, 6551

FACILE PALLADIUM CATALYSED FUNCTIONALISATION OF 1,2 - ISOTHIAZOLINE-3 - ONES.

Andrew S. Bell[b], Colin W.G. Fishwick[a*] and Jessica E. Reed[a]. [a] School of Chemistry, University of Leeds, Leeds. LS2 9JT, UK [b] Discovery Chemistry, Pfizer Central Research, Sandwich, Kent, CT13 9NJ, UK

A range of functionalised, 4-substituted-1,2-isothiazoline-3-ones, including homochiral dienes, have been prepared in good yield. The key step involves palladium catalysed Stille coupling with 4-bromo-1,2-isothiazoline-3-one (5) to a range of stannanes.



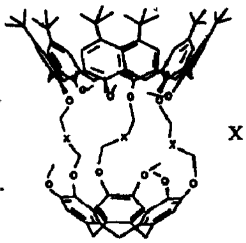
Tetrahedron Letters, **1994**, *35*, 6555

CRYPTOCALIX[6]ARENES; MOLECULES WITH A LARGE CAVITY

Rob G. Janssen,[a] Willem Verboom,[a] John P. M. van Duynhoven,[b]
Ewoud J. J. van Velzen[b] and David N. Reinhoudt.[a*]

Laboratory of Organic Chemistry[a] and Chemical Analysis,[b] University of Twente,
P.O. Box 217, 7500 AE Enschede, The Netherlands.

A new type of cavitand molecules with large cavities has been synthesized by the covalent three-point linking of a *p-tert*-butylcalix[6]arene to a cyclotriveratrylene.



X = $(CH_2)_n$ n = 0,...,4

$(CH_2OCH_2)_m$ m = 1,2

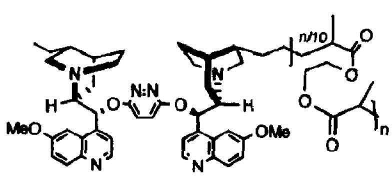
Tetrahedron Letters, **1994**, *35*, 6559

Unprecedented Reactivity and Selectivity in Heterogeneous AD Reaction of Alkenes

Braj B. Lohray*, E. Nandanan, Vidya Bhushan

Division of Organic Chemistry-Synthesis,
National Chemical Laboratory, Pune 411008, ***INDIA***

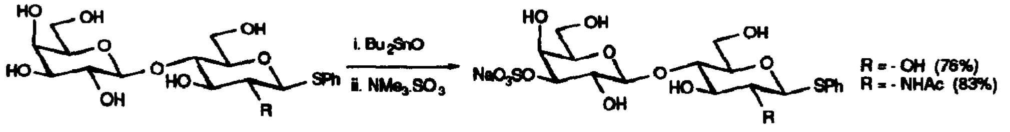
9-*O*-Bisdihydroquinylpyridazine (DHQ_2-Py) and $DHQD_2$-Py have been immobilized on a highly macroporous and hydrophilic polymer back bone which lead to an unprecedented reactivity and selectivity in the heterogeneous AD reaction of alkenes.



Tetrahedron Letters, **1994**, *35*, 6563

REGIOSELECTIVE SULFATION OF DISACCHARIDES USING DIBUTYLSTANNYLENE ACETALS

Bénédicte Guilbert, Nicola J. Davis and Sabine L. Flitsch*, The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, Great Britain

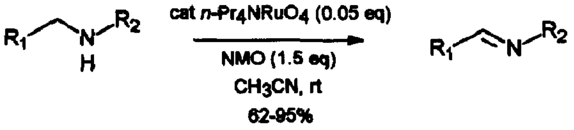


Tetrahedron Letters, **1994**, *35*, 6567

CATALYTIC OXIDATION OF SECONDARY AMINES WITH TETRA-*N*-PROPYLAMMONIUM PERRUTHENATE

Andrea Goti* and Michela Romani
Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, C.N.R.,
Dip. di Chimica Organica "Ugo Schiff", Università degli Studi di Firenze, via G. Capponi 9, I-50121 Firenze, Italy

Oxidation of secondary amines catalyzed by tetra-*n*-propylammonium perruthenate (TPAP) affords the corresponding imines in good yields.

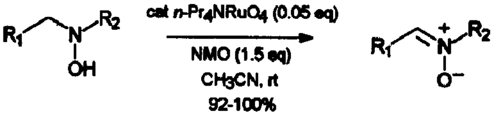


Tetrahedron Letters, **1994**, *35*, 6571

HIGHLY EFFICIENT AND MILD SYNTHESIS OF NITRONES BY CATALYTIC OXIDATION OF HYDROXYLAMINES WITH TETRA-*N*-PROPYLAMMONIUM PERRUTHENATE

Andrea Goti,* Francesco De Sarlo and Michela Romani
Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, C.N.R.,
Dip. di Chimica Organica "Ugo Schiff", Università degli Studi di Firenze, via G. Capponi 9, I-50121 Firenze, Italy

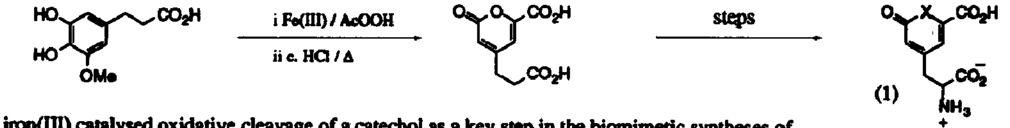
Oxidation of *N,N*-disubstituted hydroxylamines catalyzed by tetra-*n*-propylammonium perruthenate (TPAP) at room temperature occurs very rapidly to give the corresponding nitrones in excellent yields.



Tetrahedron Letters, **1994**, *35*, 6575

BIOMIMETIC SYNTHESES OF STIZOLOBIC ACID AND 3-(6-CARBOXY-2-OXO-4-PYRIDYL)ALANINE

Jack E. Baldwin*, Mark R. Spyvee and Roger C. Whitehead
The Dyson Perrins Laboratory, South Parks Road, Oxford, OX1 3QY.



(1)

An iron(III) catalysed oxidative cleavage of a catechol as a key step in the biomimetic syntheses of stizolobic acid (1; X=O) and 3-(6-carboxy-2-oxo-4-pyridyl)alanine (1; X=NH)