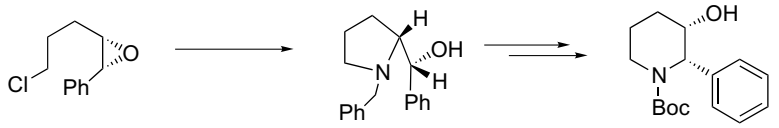
Asymmetric synthesis of (2*S*,3*S*)-3-hydroxy-2-phenylpiperidine via ring expansion

Tetrahedron Letters 42 (2001) 6223

Jaemoon Lee,* Thoa Hoang, Stephanie Lewis, Steven A. Weissman, David Askin, R. P. Volante and P. J. Reider

Department of Process Research, Merck Research Laboratories, Merck & Co., Inc., PO Box 2000 Rahway, NJ 07065, USA

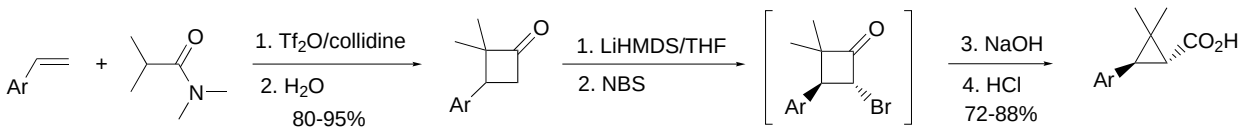


A new facile method for the stereoselective synthesis of *trans*-2-aryl-3,3-dimethylcyclopropane-1-carboxylic acids

Tetrahedron Letters 42 (2001) 6227

Bang-Chi Chen,* Khehyong Ngu, Peng Guo, Wen Liu, Joseph E. Sundeen, David S. Weinstein, Karnail S. Atwal and Saleem Ahmad*

Discovery Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ 08543, USA

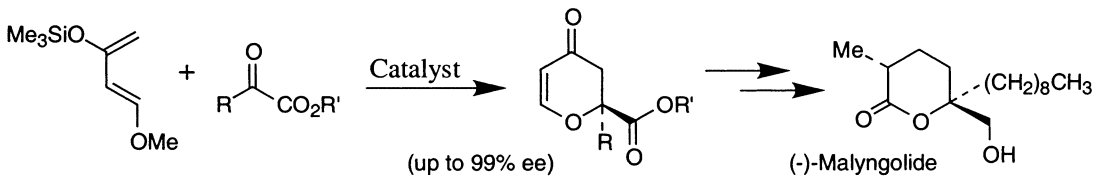


Asymmetric hetero Diels–Alder route to quaternary carbon centers: synthesis of (−)-malyngolide

Tetrahedron Letters 42 (2001) 6231

Arun K. Ghosh* and Michio Shirai

Department of Chemistry, University of Illinois at Chicago, 845 West Taylor Street, Chicago, IL 60607, USA



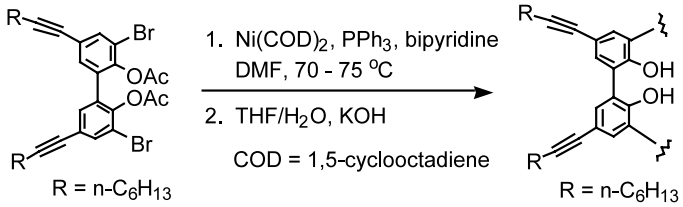
Construction of an *ortho*-phenol polymer

Tetrahedron Letters 42 (2001) 6235

Ming-Hua Xu, Zhi-Ming Lin and Lin Pu*

Department of Chemistry, University of Virginia, Charlottesville, VA 22904-4319, USA

The Ti(IV) complex of this polymer catalyzes the reaction of phenylacetylene with benzaldehyde in the presence of diethylzinc.



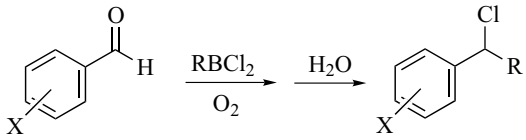
Chloroalkylation of aryl aldehydes using alkylboron dichlorides in the presence of oxygen

Tetrahedron Letters 42 (2001) 6239

George W. Kabalka,* Zhongzhi Wu and Yuhong Ju

Departments of Chemistry and Radiology, The University of Tennessee, Knoxville, TN 37996-1600, USA

Reactions of aryl aldehydes with alkylboron dichloride derivatives in the presence of oxygen at room temperature produce arylalkyl chlorides in good to excellent yields.

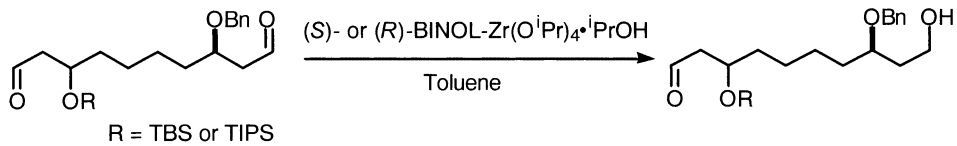


Selective reduction of aldehydes via BINOL–Zr complex

Tetrahedron Letters 42 (2001) 6243

Miguel Lorca, Dan Kuhn and Michio Kurosu*

Department of Chemistry, The Florida State University, Tallahassee, FL 32306, USA



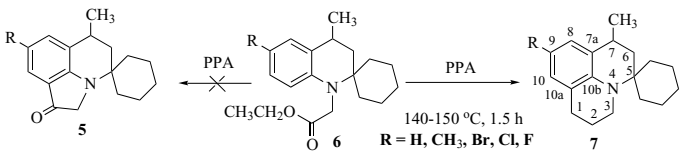
Unexpected and novel synthesis of spirojulolidines via intramolecular cyclization of *N*-carbethoxymethyl spirotetrahydroquinolines catalyzed by PPA

Tetrahedron Letters 42 (2001) 6247

Alirio Palma,[a] Claudia Carrillo,[a] Elena Stashenko,[a] Vladimir Kouznetsov,[a,*] Alí Bahsas[b] and Juan Amaro-Luis[b]

[a]*Research Center for Biomolecules, Laboratory of Fine Organic Synthesis, School of Chemistry, Industrial University of Santander, A.A. 678, Bucaramanga, Colombia*

[b]*Laboratorio de RMN, Grupo de Productos Naturales, Departamento de Química, Universidad de los Andes, Mérida, Venezuela 5101*



Regioselectivity of Pictet–Spengler cyclization: synthesis of halotetrahydroisoquinolines

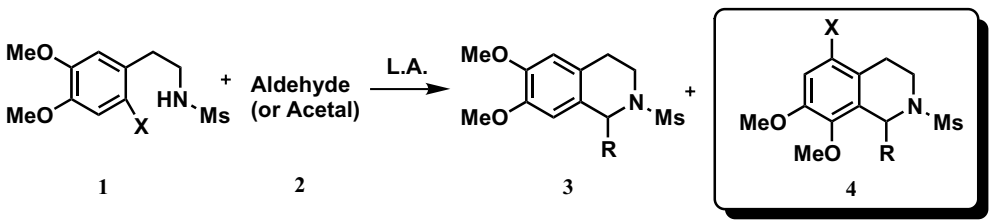
Tetrahedron Letters 42 (2001) 6251

Su-Dong Cho,[a,*] Sang-Yong Song,[a] Eun-Joo Hur,[a] Ma Chen,[a] Woo-Hong Joo,[a] J. R. Falck,[b] Yong-Jin Yoon[c] and Dong-Soo Shin[a,*]

[a]*Department of Chemistry, Changwon National University, Changwon, 641-773, South Korea*

[b]*Department of Biochemistry, University of Texas Southwestern Medical Center, Dallas, TX 75390, USA*

[c]*Department of Chemistry, Gyeongsang National University, Chinju 660-701, South Korea*



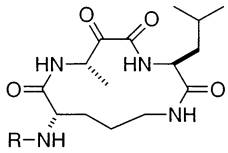
Tetrahedron Letters 42 (2001) 6271

Concise total synthesis of the prolyl endopeptidase inhibitor eurystatin A via a novel Passerini reaction–deprotection–acyl migration strategy

Timothy D. Owens, Gian-Luca Araldi, Ruth F. Nutt and J. Edward Semple*

Department of Medicinal Chemistry, Corvas International, Inc., 3030 Science Park Road, San Diego, CA 92121, USA

Application of the atom-economical title reaction sequence to alaninal, leucine isonitrile, and ornithine components delivered adduct **11**, which constitutes the entire acyclic skeleton of the eurystatins. Subsequent elaboration efficiently afforded eurystatin A **1a** in high yield.



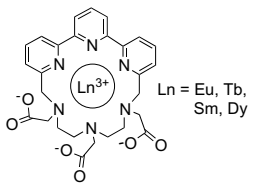
1a, eurystatin A
R = (*E*)-6-methyl-2-heptenoyl

Tetrahedron Letters 42 (2001) 6275

Novel terpyridine macrocyclic complexing agent and luminescence of its neutral Ln(III) complexes (Ln = Eu, Tb, Sm, Dy) in aqueous solution

Chantal Galaup, Jean Marc Couchet, Claude Picard* and Pierre Tisnès

Laboratoire de Synthèse et Physicochimie de Molécules d'Intérêt Biologique, CNRS UMR 5068, Université Paul Sabatier, 118 route de Narbonne, 31062 Toulouse cedex 04, France



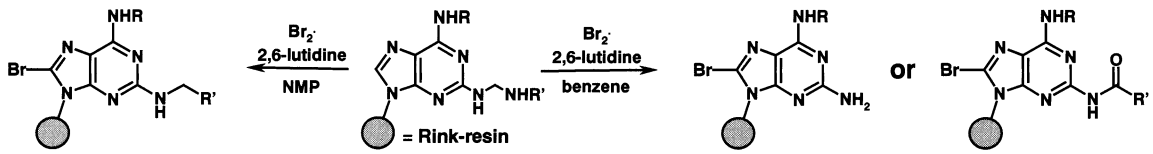
Tetrahedron Letters 42 (2001) 6279

The bromination of purines with a charge transfer complex between bromine and lutidine

Wolfgang K.-D. Brill* and Claudia Riva-Toniolo

Combinatorial Chemistry Unit, Novartis Pharma AG, Lichtstrasse 35, CH-4056 Basle, Switzerland

2,6-Diaminopurines were brominated with a complex between lutidine and bromine under different conditions.



Tetrahedron Letters 42 (2001) 6283

High-speed microwave-promoted Mitsunobu inversions. Application toward the deracemization of sulcatol

Andreas Steinreiber, Alexander Stadler, Sandra F. Mayer, Kurt Faber and C. Oliver Kappe*

Institute of Chemistry, Organic and Bioorganic Chemistry, Karl-Franzens-University Graz, Heinrichstraße 28, A-8010 Graz, Austria

Deracemization of aggregation pheromones (*R*)- and (*S*)-**1** (sulcatol) is described, using an enzymatic resolution/Mitsunobu inversion strategy. The racemization-free high-speed Mitsunobu reaction was achieved by microwave irradiation in single-mode reactors.

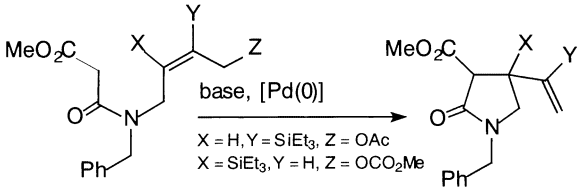
Silylated pyrrolidones via diastereoselective Pd-catalysed intramolecular allylic alkylations

Tetrahedron Letters 42 (2001) 6287

Giovanni Poli,[a,*] Giuliano Giambastiani,[a] Max Malacria[b,*] and Serge Thorimbert[b]

[a]*Laboratoire de Chimie des Organoéléments, UMR 7611 CNRS, Université Pierre et Marie Curie, Tour 44-45, 4, Place Jussieu, Boîte 183, F-75252, Paris Cedex 05, France*

[b]*Laboratoire de Chimie Organique de Synthèse, UMR 7611 CNRS, Université Pierre et Marie Curie, Tour 44-54, 4, Place Jussieu, Boîte 229, F-75252, Paris Cedex 05, France*

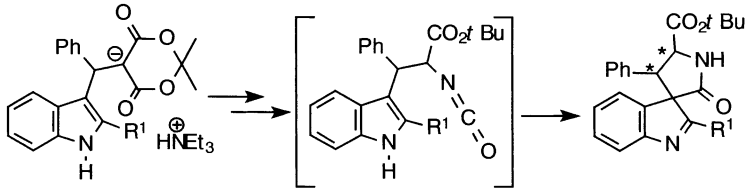


A novel and convenient access to highly substituted spiro[pyrrolidinon-3,3′-indoles]

Tetrahedron Letters 42 (2001) 6291

Fabien Cochard, Janos Sapi and Jean-Yves Laronze*

UMR 6013 'Isolement, Structure, Transformations et Synthèse de Produits Naturels', IFR 53 Biomolécules, Faculté de Pharmacie, Université de Reims-Champagne-Ardenne, 51, rue Cognacq-Jay, F-51096 Reims Cedex, France

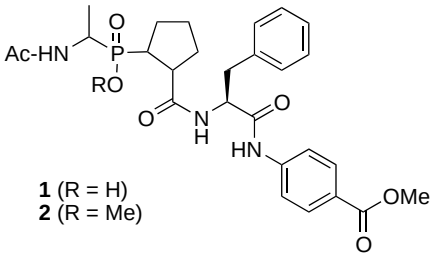


Synthesis of phosphinic alanyl-proline surrogates Alaψ(PO$_2$R-CH)-Pro as potential inhibitors of the human cyclophilin hCyp-18

Tetrahedron Letters 42 (2001) 6295

Luc Demange and Christophe Dugave*

CEA/Saclay, Département d'Ingénierie et d'Etudes des Protéines (DIEP), Bâtiment 152, Gif-sur-Yvette, France

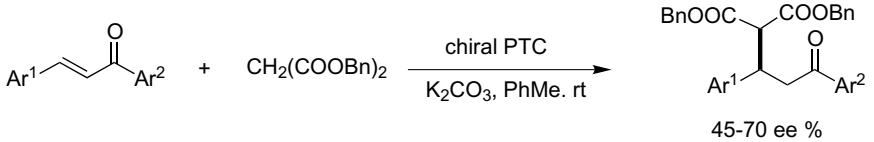


Enantioselective Michael reaction of malonates and chalcones by phase-transfer catalysis using chiral quaternary ammonium salt

Tetrahedron Letters 42 (2001) 6299

Dae Young Kim,* Sun Chul Huh and Sung Min Kim

Department of Chemistry, Soonchunhyang University, Asan PO Box 97, Chungnam 336-600, South Korea

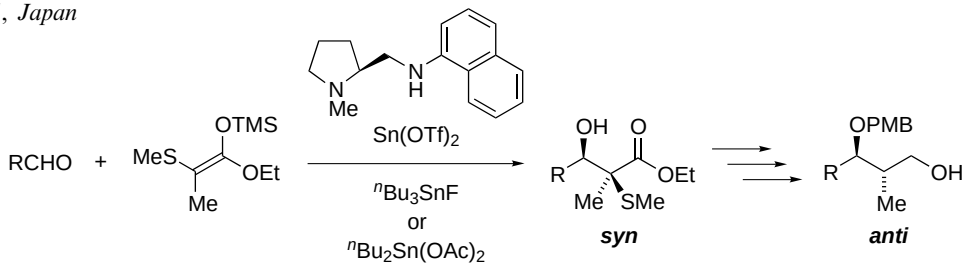


Enantioselective synthesis of β-hydroxy-α-methyl-α-methylthio esters as precursors of *anti-vic*-hydroxymethyl units

Tetrahedron Letters 42 (2001) 6303

Isamu Shiina* and Ryoutarou Ibuka

Department of Applied Chemistry, Faculty of Science, Science University of Tokyo, Kagurazaka, Shinjuku-ku, Tokyo 162-8601, Japan

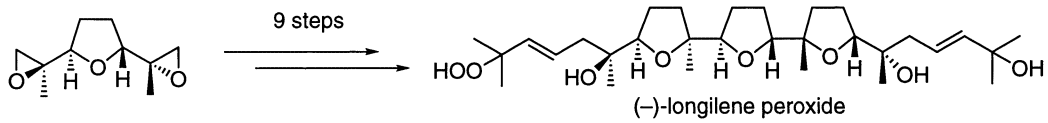


Total synthesis and determination of the absolute configuration of (−)-longilene peroxide

Tetrahedron Letters 42 (2001) 6307

Yoshiki Morimoto,* Toshiyuki Iwai and Takamasa Kinoshita

Department of Chemistry, Graduate School of Science, Osaka City University, Sumiyoshi-ku, Osaka 558-8585, Japan



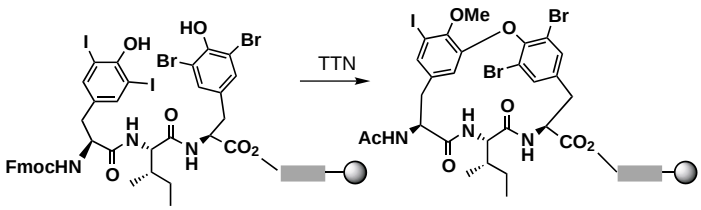
Synthesis of a cyclic diaryl ether derivative under solid-phase conditions

Tetrahedron Letters 42 (2001) 6311

Kazuhiko Nakamura,[b] Hisa Nishiya[a] and Shigeru Nishiyama[a,*]

[a]*Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi 3-14-1, Kohoku-ku, Yokohama 223-8522, Japan*

[b]*National Institute of Advanced Industrial Science and Technology, Higashi 1-1, Tsukuba 305-8566, Japan*



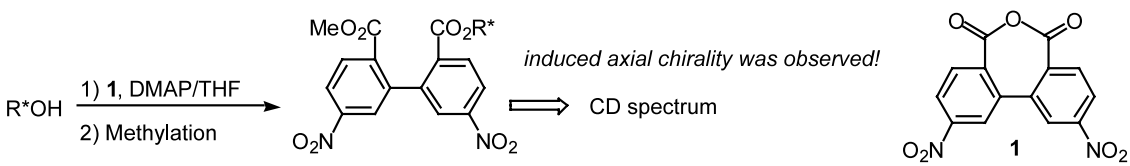
Chirality transmission in flexible 5,5′-dinitrodiphenic esters connected with chiral secondary alcohols

Tetrahedron Letters 42 (2001) 6315

Shinzo Hosoi,[a,*] Makiko Kamiya,[a] Fumiyuki Kiuchi[b] and Tomihisa Ohta[a,*]

[a]*Faculty of Pharmaceutical Sciences, Kanazawa University, 13-1 Takara-machi, Kanazawa 920-0934, Japan*

[b]*Graduate School of Pharmaceutical Sciences, Kyoto University, Yoshida, Sakyo-ku, Kyoto 606-8501, Japan*

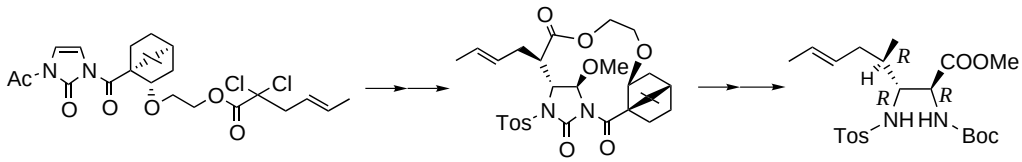


Stereoselective intramolecular radical addition of polyhaloacyl pendant groups to the 1,3-dihydro-2-imidazolone moiety: the chiral synthesis of *threo*-diaminocarboxylic acids

Tetrahedron Letters 42 (2001) 6319

Tomokazu Katahira, Tadao Ishizuka, Hirofumi Matsunaga and Takehisa Kunieda*

Faculty of Pharmaceutical Sciences, Kumamoto University, 5-1 Oe-honmachi, Kumamoto 862-0973, Japan

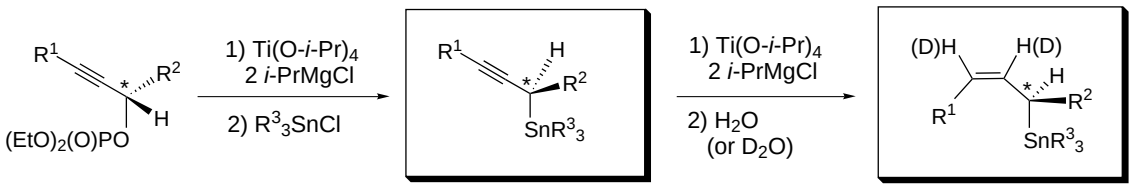


General synthetic method for preparation of optically active propargyl and allylstannanes

Tetrahedron Letters 42 (2001) 6323

Sentaro Okamoto, Shin-ichiro Matsuda, Duk Keun An and Fumie Sato*

Department of Biomolecular Engineering, Tokyo Institute of Technology, 4259 Nagatsuta-cho, Midori-ku, Yokohama, Kanagawa 226-8501, Japan



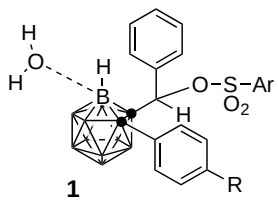
Electronic effects of icosahedral carboranes: kinetic evidence for interaction between boron atom and nucleophile in the solvolysis of (*o*-carboranyl)benzyl tosylates

Tetrahedron Letters 42 (2001) 6327

Yasuyuki Endo* and Yoshiyuki Taoda

Graduate School of Pharmaceutical Sciences, University of Tokyo, 7-3-1 Hongo, Bunkyo-ku, Tokyo 113-0033, Japan

New neighboring group effects of cage boron atom of carborane with nucleophile on the solvolysis of (*o*-carboranyl)benzyl tosylates was analyzed by kinetic experiments of **1** with a range of substituents R on the aromatic nuclei.



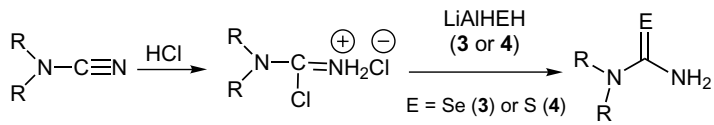
1

Preparation of *N,N*-unsubstituted selenoureas and thioureas from cyanamides

Tetrahedron Letters 42 (2001) 6333

Mamoru Koketsu,* Yoshihisa Fukuta and Hideharu Ishihara*

Department of Chemistry, Faculty of Engineering, Gifu University, Gifu 501-1193, Japan



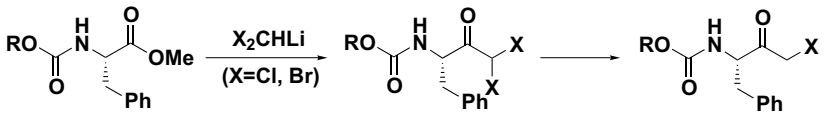
1 **2** **5** or **6**

Dihalomethylation of *N*-protected phenylalanine esters

Tetrahedron Letters 42 (2001) 6337

Tomoyuki Onishi, Yasuyuki Otake, Naoko Hirose, Takashi Nakano, Takayoshi Torii, Masakazu Nakazawa and Kunisuke Izawa*

AminoScience Laboratories, Ajinomoto Co. Inc., 1-1 Suzuki-cho, Kawasaki 210-8681, Japan



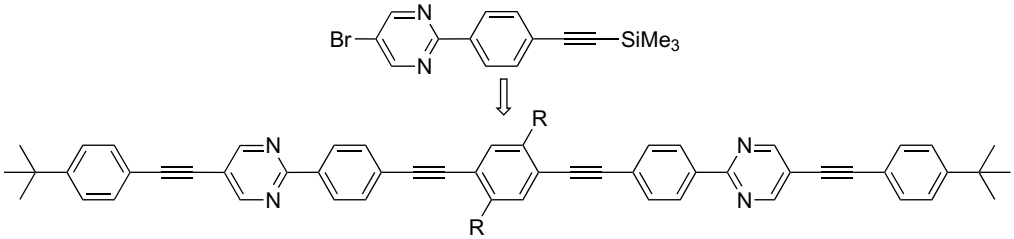
Synthesis and properties of pyrimidine-containing linear molecules

Tetrahedron Letters 42 (2001) 6341

Ken-Tsung Wong,* Yun-Ruei Lu and Yuan-Li Liao

Department of Chemistry, National Taiwan University, Taipei 106, Taiwan

The synthesis of pyrimidine-containing linear molecules and the control on the arrangement of dipolar orientation of pyrimidine moiety in the conjugated backbone are described.



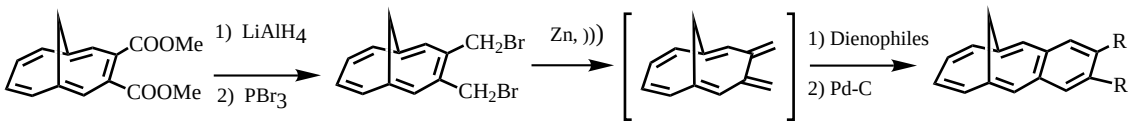
Generation and [4+2] cycloaddition of 1,6-methano[10]annulene-3,4-quinodimethane: a novel synthesis of dimethyl 1,6-methanobenzo-[3,4-*a*][10]annulene-13,14-dicarboxylate

Tetrahedron Letters 42 (2001) 6345

Shigeyasu Kuroda,* Mitsunori Oda,* Shengli Zuo, Kimiko Kanayama, Shaheen I. M. Shah, Shinji Furuta, Ryuta Miyatake and Mayumi Kyogoku

Department of Applied Chemistry, Faculty of Engineering, Toyama University, Gofuku 3190, Toyama 930-8555, Japan

Titled new type of *o*-quinodimethane was generated and trapped by Diels–Alder reactions with dienophiles.

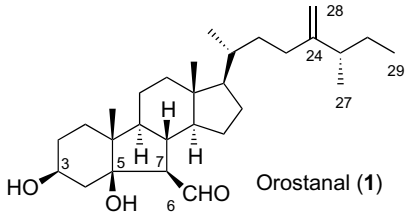


Orostanal, a novel abeo-sterol inducing apoptosis in leukemia cell from a marine sponge, *Stelletta hiwasaensis*

Tetrahedron Letters 42 (2001) 6349

Tomofumi Miyamoto,[a] Kota Kodama,[a] Yuko Aramaki,[a] Ryuichi Higuchi[a,*] and Rob W. M. Van Soest[b]

[a]*Graduate School of Pharmaceutical Sciences, Kyushu University, Maidashi 3-1-1, Higashi-ku, Fukuoka 812-8582, Japan*
[b]*Institute for Biodiversity and Ecosystem Dynamics, University of Amsterdam, PO Box 94766, 1090 GT Amsterdam, Netherlands*



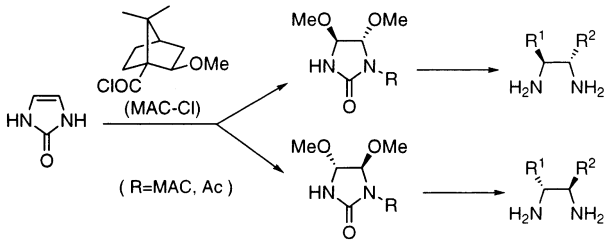
Orostanal (**1**)

Tetrahedron Letters 42 (2001) 6353

Versatile chiral synthons for 1,2-diamines: (4*S*,5*S*)- and (4*R*,5*R*)-4,5-dimethoxy-2-imidazolidinones

Ryushi Seo, Tadao Ishizuka, Alaa A.-M. Abdel-Aziz and Takehisa Kunieda*

Faculty of Pharmaceutical Sciences, Kumamoto University, 5-1 Oe-honmachi, Kumamoto 862-0973, Japan

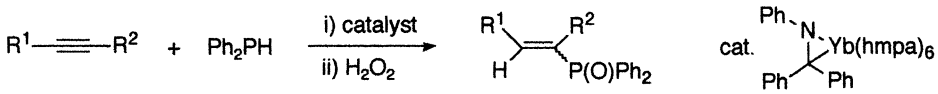


Tetrahedron Letters 42 (2001) 6357

Intermolecular hydrophosphination of alkynes and related carbon–carbon multiple bonds catalyzed by ytterbium–imine complexes

Ken Takaki,* Mitsuhiro Takeda, Go Koshoji, Tetsuya Shishido and Katsuomi Takehira

Department of Chemistry and Chemical Engineering, Graduate School of Engineering, Hiroshima University, Kagamiyama, Higashi-Hiroshima 739-8527, Japan

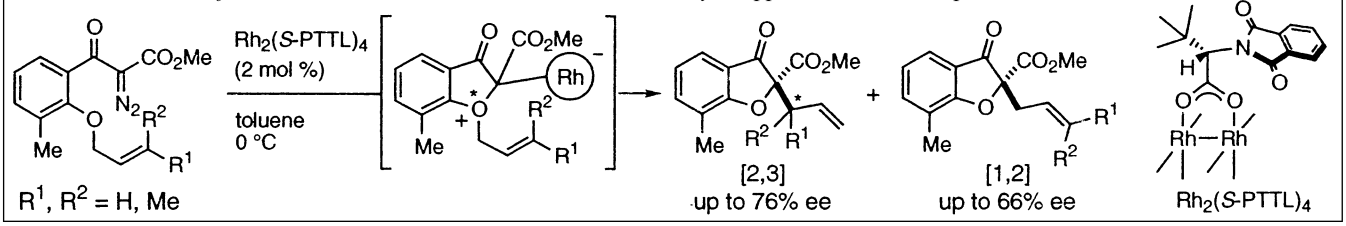


Tetrahedron Letters 42 (2001) 6361

Enantioselective [2,3]-sigmatropic and [1,2]-Stevens rearrangements via intramolecular formation of allylic oxonium ylides catalyzed by chiral dirhodium(II) carboxylates

Shinji Kitagaki, Yoshimasa Yanamoto, Hideyuki Tsutsui, Masahiro Anada, Makoto Nakajima and Shunichi Hashimoto*

Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo 060-0812, Japan



Tetrahedron Letters 42 (2001) 6365

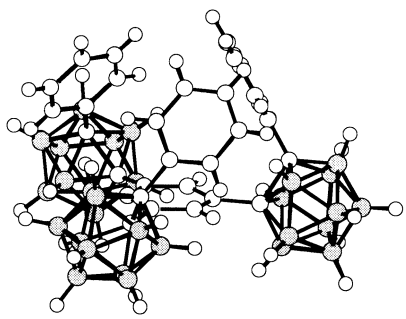
Structures of bis- and tris(2-phenyl-*o*-carboran-1-yl)benzenes. Construction of three-dimensional structures converted from planar arylacetylenic arrays

Chalermkiat Songkram,[a] Kojiro Takaishi,[b] Kentaro Yamaguchi,[b] Hiroyuki Kagechika[a] and Yasuyuki Endo[a,*]

[a]*Graduate School of Pharmaceutical Sciences, University of Tokyo, 7-3-1, Hongo, Bunkyo-ku, Tokyo 113-0033, Japan*

[b]*Chemical Analysis Center, Chiba University, 1-33, Yayoi-cho, Inage-ku, Chiba 250-8522, Japan*

We have synthesized and determined X-ray structures of the title compounds. 1,3-Bis(2-phenyl-*o*-carboran-1-yl)benzene and 1,3,5-tris(2-phenyl-*o*-carboran-1-yl)benzene have *syn* stereochemistry of all the terminal benzene rings, despite their steric overcrowding.

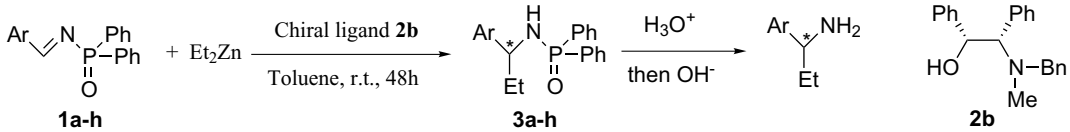


Enantioselective addition of diethylzinc to *N*-diphenylphosphinoylimines employing *N*,*N*-dialkyl-1,2-diphenyl-2-aminoethanols as chiral ligands

Tetrahedron Letters 42 (2001) 6369

Xiaomei Zhang,[a] Liuzhu Gong,[a,*] Aiqiao Mi,[a,*] Xin Cui,[a] Yaozhong Jiang,[a] Michael C. K. Choi[b] and Albert S. C. Chan[b]

[a]*Union Laboratory of Asymmetric Synthesis, Chengdu Institute of Organic Chemistry, Chinese Academy of Sciences, Chengdu, 610041, China*
[b]*Open Laboratory of Chirotechnology and Department of Applied Biology and Chemical Technology, The Hong Kong Polytechnic University, Hong Kong, China*



1a-h **3a-h** **2b**

e.e. 76-94%

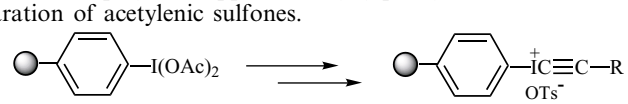
Preparation of resin-bound alkynyl iodonium salts and their application in organic synthesis as alkynyl transfer reagents

Tetrahedron Letters 42 (2001) 6373

Xian Huang[a,b]* and Qing Zhu[a]

[a]*Chemistry Department, Xixi Campus, Zhejiang University, Hangzhou 310028, PR China*
[b]*National Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai 20032, PR China*

We have synthesized, for the first time, polymer-supported alkynylphenyl iodonium salts. They served as effective alkynyl transfer reagents for the preparation of acetylenic sulfones.



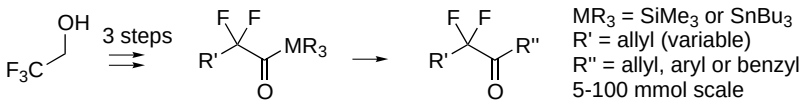
R=Ph
t-Bu

A direct and useful route to difluoroacylsilanes and difluoroacylstannanes and their potential for the generation of structurally diverse difluoroketones

Tetrahedron Letters 42 (2001) 6377

Maxime R. Garayt and Jonathan M. Percy*

School of Chemistry, University of Birmingham, Edgbaston, Birmingham B15 2TT, UK



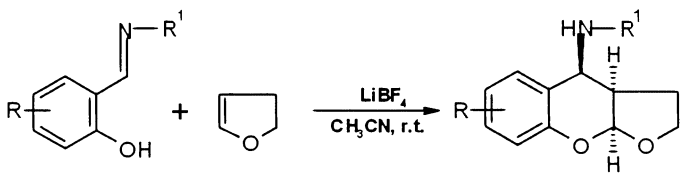
MR_3 = $SiMe_3$ or $SnBu_3$
R' = allyl (variable)
R'' = allyl, aryl or benzyl
5-100 mmol scale

$LiBF_4$-catalyzed formation of fused pyrano- and furanobenzopyrans

Tetrahedron Letters 42 (2001) 6381

J. S. Yadav,[a,*] B. V. Subba Reddy,[a] Ch. Madhuri,[a] G. Sabitha,[a] B. Jagannadh,[a] S. Kiran Kumar[b] and A. C. Kunwar[b]

[a]*Division of Organic Chemistry, Indian Institute of Chemical Technology, Hyderabad 500007, India*
[b]*Centre for Nuclear Magnetic Resonance, Indian Institute of Chemical Technology, Hyderabad 500007, India*



1 **2** **3**

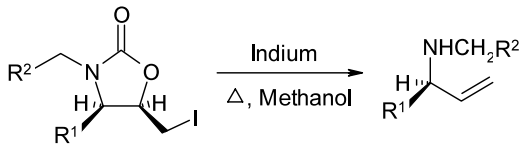
Indium-mediated facile synthesis of chiral allylic amines

Tetrahedron Letters 42 (2001) 6385

J. S. Yadav,* A. Bandyopadhyay and B. V. S. Reddy

Division of Organic Chemistry, Indian Institute of Chemical Technology, Hyderabad 500 007, India

An efficient procedure for the synthesis of chiral allylic amines from 5-iodomethyl-2-oxazolidinones using indium metal in refluxing methanol is described.



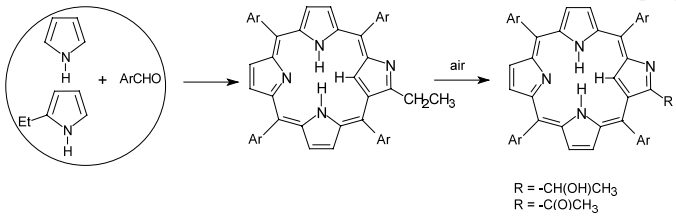
Application of 2-ethylpyrrole for a direct synthesis of 3-substituted inverted porphyrins

Tetrahedron Letters 42 (2001) 6389

Izabela Schmidt and Piotr J. Chmielewski*

Department of Chemistry, University of Wrocław, F. Joliot-Curie 14, 50-383 Wrocław, Poland

Stochastic, Rothemund-type condensation of pyrrole, 2-ethylpyrrole and an arylaldehyde leads to a 3-ethyl-substituted inverted porphyrin that is readily convertible to 3-(1′-hydroxyethyl)- and 3-acetyl-inverted porphyrins.



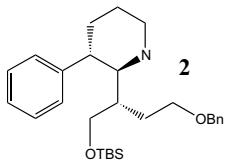
Synthetic studies towards the octahydro-1*H*-benzo[*f*]pyrrolo[3,2,1-*ij*]quinolines: enantioselective synthesis of (2*R*,3*S*)-2-[(1*S*)-3-(benzyloxy)-1-(*tert*-butyldimethylsilyloxymethyl)propyl]-3-phenylhexahydropyridine

Tetrahedron Letters 42 (2001) 6393

George S. Zaponakis and Haralambos E. Katerinopoulos*

Division of Organic Chemistry, Department of Chemistry, University of Crete, Heraklion 71 409, Crete, Greece

Compound **2** was synthesized enantioselectively in nine steps. The enantiomers of the chiral auxiliary, *trans*-2,5-bis-(methoxymethoxymethyl)pyrrolidine, were used for the construction of two out of the three stereogenic centers in **2**.



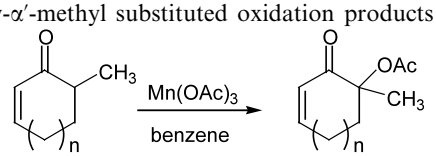
Manganese(III) acetate based selective oxidation of the tertiary α′-position on various cyclic α,β-unsaturated ketones

Tetrahedron Letters 42 (2001) 6397

Cihangir Tanyeli,* Bengü Sezen, Çigdem İyigün and Olcay Elmalı

Department of Chemistry, Middle East Technical University, 06531 Ankara, Turkey

Manganese(III) acetate based selective oxidation of various α′-methyl 2-cyclohexenone and 2-cyclopentenone derivatives afforded the corresponding α′-acetoxy-α′-methyl substituted oxidation products in good yields.



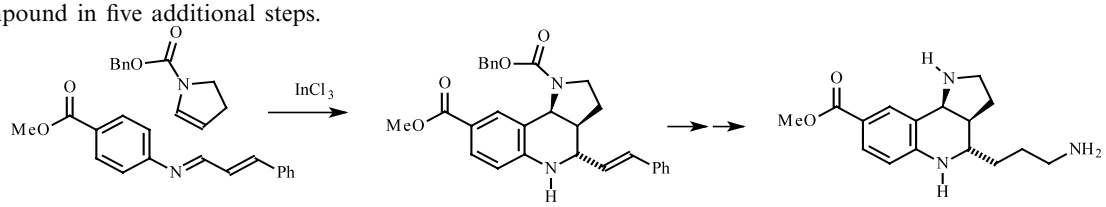
Synthesis of the heterocyclic core of the alkaloids martinelline and martinellic acid

Tetrahedron Letters 42 (2001) 6417

Mark Hadden, Mark Nieuwenhuyzen, Daire Osborne, Paul J. Stevenson* and Norris Thompson

School of Chemistry, The Queen's University of Belfast, Belfast BT9 5AG, UK

The imino Diels–Alder reaction of an aromatic imine derived from cinnamaldehyde with a cyclic enamide regioselectively gave a key intermediate for the synthesis of martinellic acid in 40% isolated yield. This intermediate was converted to the title compound in five additional steps.



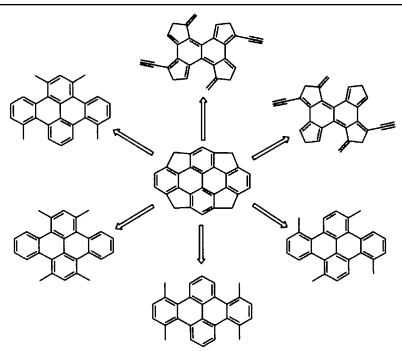
Synthetic strategies toward buckybowls and C_{60}: benzannulation is remarkably facile compared to cyclopentannulation

Tetrahedron Letters 42 (2001) 6421

T. C. Dinadayalane and G. Narahari Sastry*

Department of Chemistry, Pondicherry University, Pondicherry 605 014, India

The key to success in the synthesis of buckybowls lies in choosing the proper precursors.



Highly selective cross-metathesis with phenyl vinyl sulphone using the 'second generation' Grubbs' catalyst

Tetrahedron Letters 42 (2001) 6425

Karol Grela* and Michał Bieniek

Institute of Organic Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw, Poland

A cross-metathesis reaction was achieved between functionalised terminal olefins and phenyl vinyl sulfone by using the commercially available ruthenium catalyst **1c**.