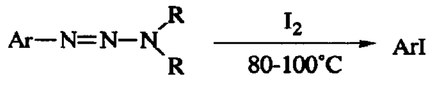
GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 5539

IODINE-PROMOTED DECOMPOSITION OF 1-ARYL-3,3-DIALKYLTRIAZENES: A MILD METHOD FOR THE SYNTHESIS OF ARYL IODIDES.

Ziyan Wu and Jeffrey S. Moore[*], Willard H. Dow Laboratory, Department of Chemistry, The University of Michigan, Ann Arbor, MI 48109-1055 USA and Roger Adams Laboratory, Department of Chemistry, University of Illinois, Urbana, IL 61801 USA

Iodine promoted decomposition of 1-aryl-3,3-dialkyltriazenes in organic solvents is shown to give high yields of the corresponding aryl iodides under extremely mild conditions.

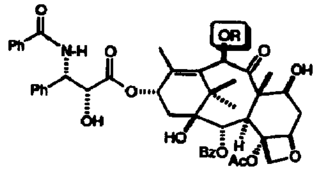


Tetrahedron Letters, **1994**, *35*, 5543

A Chemoselective Approach to Functionalize the C-10 Position of 10-Deacetylbaccatin III. Synthesis and Biological Properties of Novel C-10 Taxol Analogues.

Joydeep Kant,[*,§] Wendy S.O'Keeffe, Shu-Hui Chen, Vittorio Farina,[¶] Craig Fairchild,[†] Kathy Johnston,[†] John F. Kadow, Byron H. Long,[†] and Dolatrai M. Vyas

Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492-7600.
[†]*Bristol-Myers Squibb Pharmaceutical Research Institute, P.O. Box 4000, Princeton, NJ 08543.*



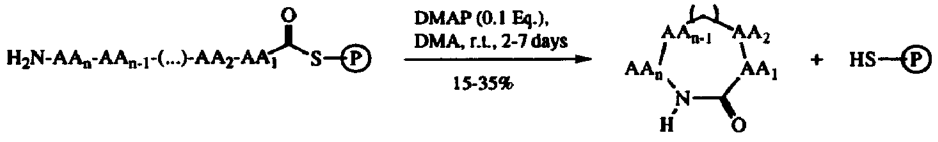
Abstract: Novel C-10 analogues of Taxol® were synthesized and evaluated as antitumor agents.

Tetrahedron Letters, **1994**, *35*, 5547

Peptide-Cyclizations on Solid Support: A Fast and Efficient Route to Small Cyclopeptides.

Lutz S. Richter[*], Jeffrey Y.K. Tom and John P. Burnier, Dept. of Bioorganic Chemistry, Genentech, Inc., 390 Point San Bruno Boulevard, South San Francisco, CA 94080

Using a thioester- attachment to the resin, penta-, hexa- and heptapeptides were synthesized via Boc-chemistry and "cyclized off" the solid support.

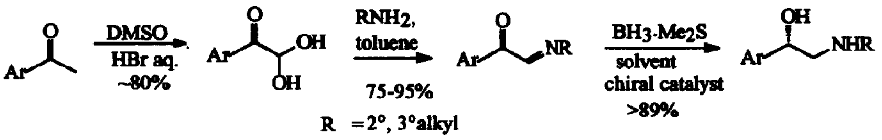


Tetrahedron Letters, **1994**, *35*, 5551

Asymmetric Reduction of α-Ketoimines with Oxazaborolidine Catalysts: A Novel, Practical Approach to Chiral Arylethanolamines

Yaping Hong, Yun Gao,* Xiaoyi Nie and Charles M. Zepp
Sepracor Inc., 33 Locke Drive, Marlborough, MA 01752

Enantioselective borane reduction of α-ketoimines with oxazaborolidine catalysts gave the desired arylethanolamines in high chemical yields and the ee's up to 93% using 20 mol% of the catalyst.



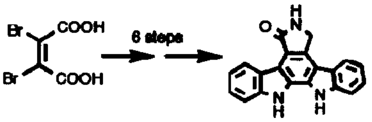
Tetrahedron Letters, **1994**, *35*, 5555

A FACILE SYNTHESIS OF STAUROSPORINE AGLYCONE

Guojian Xie and J. William Lown

Department of Chemistry, University of Alberta, Canada T6G 2G2

A highly efficient method is described for the synthesis of staurosporine aglycone 3 from the readily available dibromomaleic acid over six steps.

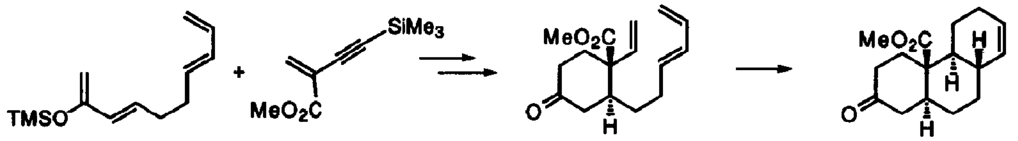


Tetrahedron Letters, **1994**, *35*, 5559

AN EXPEDIENT AND STEREOSELECTIVE ROUTE TO THE PERHYDROPHENANTHRENE SKELETON VIA SEQUENTIAL DIELS-ALDER REACTIONS.

Claude Spino* and Jason Crawford, University of Victoria, Chemistry Department, Victoria, B.C., V8W 3P6.

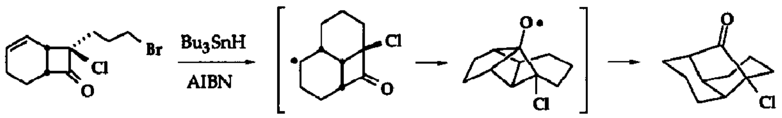
Abstract: The perhydrophenanthrene skeleton was stereoselectively and efficiently synthesized from sequential Diels-Alder reactions between an enyne and a bis-diene.



Tetrahedron Letters, **1994**, *35*, 5563

A CYCLOBUTANONE-BASED TANDEM FREE RADICAL REARRANGEMENT

Paul Dowd,* Wei Zhang and Khalid Mahmood Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260 USA



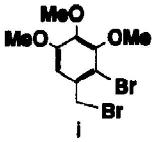
Free radical reaction of *endo*-haloalkylbicyclo[4.2.0]oct-2-en-7-ones leads to sequential rearrangement and formation of the novel, bridged tricyclic ketones. A mechanism for this unusual transformation is proposed.

Tetrahedron Letters, **1994**, *35*, 5567

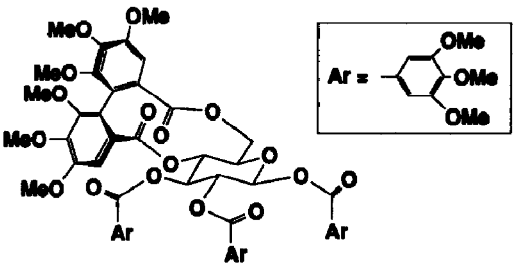
CYANOCUPRATE-MEDIATED INTRAMOLECULAR BIARYL COUPLINGS APPLIED TO AN ELLAGITANNIN. SYNTHESIS OF (+)-O-PERMETHYLTELLIMAGRANDIN II

Bruce H. Lipshutz,* Zi-Ping Liu, and Frank Kayser
Department of Chemistry, University of California
Santa Barbara, CA 93106

A short synthesis of the title compound starting with dibromide i.



i

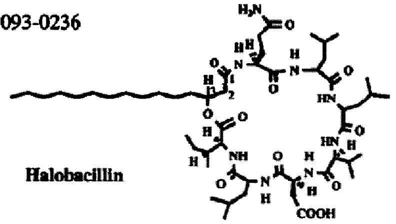


Tetrahedron Letters, **1994**, *35*, 5571

HALOBACILLIN: A CYCLIC ACYLPEPTIDE OF THE ITURIN CLASS PRODUCED BY A MARINE *BACILLUS*.

J. A. Trischman, P. R. Jensen and William Fenical*
Scripps Institution of Oceanography, University of California, San Diego, La Jolla, CA 92093-0236

The structure of halobacillin, a cytotoxic acylpeptide produced by a marine *Bacillus* sp. bacterium, has been defined by spectroscopic methods.



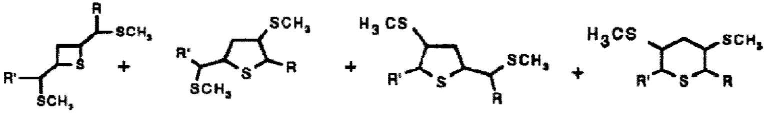
Halobacillin

Tetrahedron Letters, **1994**, *35*, 5575

Thietane, Tetrahydrothiophene and Tetrahydrothiopyran Formation in Reaction of Methylene-Interrupted Dienoates with Dimethyl Disulfide

Néstor M. Carballeira*, Fathi Shalabi, and Clarisa Cruz
Department of Chemistry, University of Puerto Rico, P.O. Box 23346, San Juan, Puerto Rico 00931.

The reaction of dimethyl disulfide in I_2 with a series of methylene-interrupted methyl dienoates afforded:

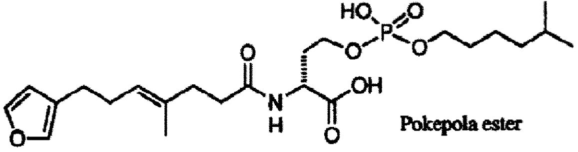


Tetrahedron Letters, **1994**, *35*, 5579

POKEPOLA ESTER: A PHOSPHATE DIESTER FROM A MAUI SPONGE.

Raju S. Kalidindi, Wesley Y. Yoshida, Jorge A. Palermo and Paul J. Scheuer*
Department of Chemistry, University of Hawaii at Manoa, 2545 The Mall, Honolulu, Hawaii 96822
Michelle Kelly - Borges
Harbor Branch Oceanographic Institution, 5600 North U. S.1, Fort Pierce, Florida 34936

Pokepola ester (1), a phosphate diester of mixed biogenetic origin was isolated from a Maui sponge, *Spongia oceania*. It showed mild anti HIV activity.



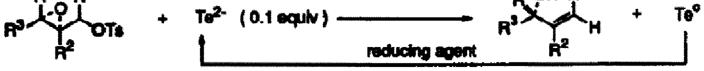
Pokepola ester

Tetrahedron Letters, **1994**, *35*, 5583

A CATALYTIC TELLURIUM PROCESS FOR THE TRANSPOSITION OF ALLYLIC HYDROXYL GROUPS AND CARBON-CARBON DOUBLE BONDS.

Archana Kumar and Donald C. Dittmer,* Department of Chemistry, Room 1-014 CST, Syracuse University, Syracuse, New York, 13244 USA

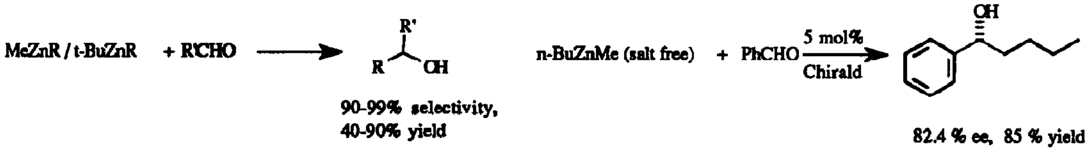
Catalytic quantities of Te^{2-}, from reduction of elemental tellurium with $HOCH_2SO_2Na$, $NaBH_4$, or $LiEt_3BH$, react with glycidyl tosylates to give good yields of allylic alcohols.



Tetrahedron Letters, **1994**, *35*, 5587

A STUDY OF METHYL AND TERT-BUTYL AS NON MIGRATING GROUPS IN THE REACTION OF ME(ORGANYL)ZINC AND t-BU (ORGANYL)ZINC WITH ALDEHYDES.

Eric Laloë and Morris Srebnik* Department of Chemistry, University of Toledo, Toledo, OH 43606.



90-99% selectivity,
40-90% yield

82.4 % ee, 85 % yield

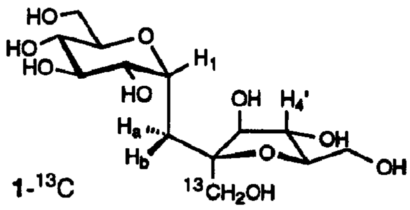
In the presence of salts, t-butyl migrates to a lesser extent than does methyl in the reaction of mixed dialkylzincs with aldehydes. In the absence of salts, both groups are comparable. BuZnMe prepared under salt-free conditions adds to benzaldehyde in 82.4% ee and in 85% yield, comparable to the reaction with distilled dibutylzinc.

Tetrahedron Letters, **1994**, *35*, 5591

C-Sucrose vs. *O*-Sucrose: Different Conformational Behavior in Methanol Solutions Containing Ca^{2+}

Daniel J. O'Leary and Yoshito Kishi*
Department of Chemistry, Harvard University
Cambridge, Massachusetts 02138, U.S.A.

NMR chemical shift, $^3J_{HH}$, $^3J_{CH}$, nuclear Overhauser enhancement, and optical rotation data suggest that *C*-sucrose (**1**) undergoes a conformational change in methanol containing calcium cation, whereas the parent *O*-sucrose does not.



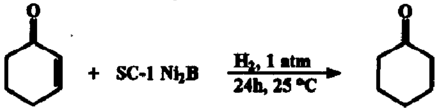
1-^{13}C

Tetrahedron Letters, **1994**, *35*, 5595

CATALYTIC REACTION. 1. CATALYTIC 1,4-HYDROGENATION OF α,β-UNSATURATED ALDEHYDES AND KETONES USING SC-1 NICKEL BORIDE

Christopher M. Belisle, Yvette M. Young and Bakthan Singaram*, Department of Chemistry and Biochemistry, University of California, Santa Cruz California 95064 USA

The 1,4 hydrogenation of α,β-unsaturated aldehydes and ketones using SC-1 Ni_2B leads to saturated aldehydes and ketones in very high yields with no by-products resulting from the reduction of the carbonyl.



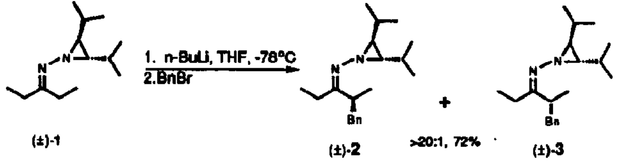
>99%

Tetrahedron Letters, **1994**, *35*, 5599

N-AMINOAZIRIDINYLHYDRAZONES: HIGHLY DIASTEREOSELECTIVE ALKYLATION WITHOUT CHELATION, AND A SYN-DIRECTING EFFECT.

D. J. Augeri and A. R. Chamberlin*
Department of Chemistry, University of California, Irvine, California 92717 USA

Hindered C_2-symmetric N-aminoaziridinylhydrazones undergo alkylation with excellent diastereoselectivity despite the absence of chelating groups in the chiral auxiliary, as well as unexpectedly exhibiting a syn-directing effect.

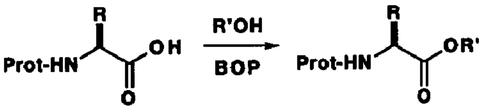


Tetrahedron Letters, **1994**, *35*, 5603

"BOP" AS A REAGENT FOR MILD AND EFFICIENT PREPARATION OF ESTERS

Moon H. Kim and Dinesh V. Patel*
Affymax Research Institute
3410 Central Expressway, Santa Clara, CA 95051

A simple procedure for preparation of esters under mild conditions employing the BOP reagent is reported. Acid and base labile protecting groups commonly used with amino acids e.g. t-butyl, Fmoc etc., are well tolerated under these conditions. The mechanism and scope of this reaction are briefly discussed.

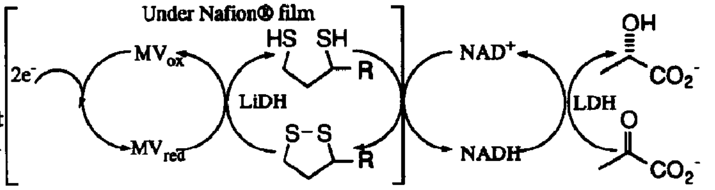


Tetrahedron Letters, **1994**, *35*, 5607

Electroenzymatic Synthesis (Regeneration of NADH Coenzyme): Use of Nafion Ion Exchange Films for Immobilization of Enzyme and Redox Mediator

Albert J. Fry*, Susan B. Sobolov*, Mihaela D. Leonida, and Kamen I. Voivodov, Hall-Atwater Laboratory of Chemistry, Wesleyan University, Middletown, CT 06459

A carbon electrode coated with lipoamide dehydrogenase and methyl viologen (1) under a Nafion® film provides the basis for a convenient system for electrochemical regeneration of NADH.

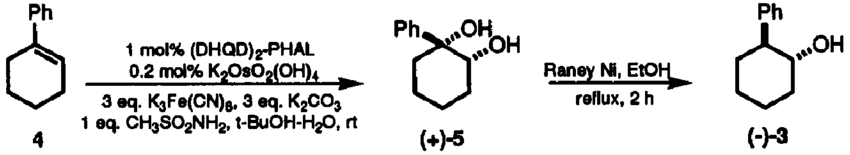


Tetrahedron Letters, **1994**, *35*, 5611

An Efficient Synthesis of Enantiomerically Pure *trans*-2-Phenylcyclohexanol

S. Bruce King and K. Barry Sharpless*
Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, CA 92037, U.S.A.

Both enantiomers of trans-2-phenylcyclohexanol (**3**) *have been prepared via the two step sequence shown for the (-)-enantiomer*

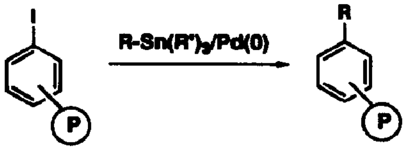


Tetrahedron Letters, **1994**, *35*, 5613

Formation of Carbon-Carbon Bond on Solid Support: Application of the Stille Reaction

Milind S. Deshpande
Bristol-Myers Squibb Pharmaceutical Research Institute
5 Research Parkway, Wallingford, CT 06492

Vinyl/Aryl Stannanes couple smoothly with polymer bound aryl iodides using Pd$_2$dba$_3$ and AsPh$_3$. The cross-coupled products are obtained in excellent yield and purity after cleavage from solid support.

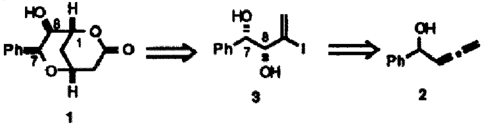


Tetrahedron Letters, **1994**, *35*, 5615

TOTAL SYNTHESIS OF (±)-9-DEOXYGONIOPYPYRONE.

Richard W. Friesen* and Suzanne Bissada
Department of Medicinal Chemistry, Merck Frosst Centre for Therapeutic Research,
P.O. Box 1005, Pointe Claire-Dorval, Québec Canada H9R 4P8

The total synthesis of the title compound (1) from the α-allenic alcohol 2 is described. The key step involves the preparation of 3 via an iodocyclofunctionalization process that establishes the relative configuration between C7-C8.



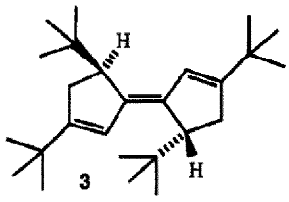
Tetrahedron Letters, **1994**, *35*, 5619

A Novel Type of Substituted Cyclopentadiene Dimer.

Helmut Sitzmann,*[a] Christof Bollmann [b] and
Eckehard V. Dehmlow *[b]

a) Fachbereich Chemie, Universität Kaiserslautern, Erwin-Schrödingerstr., D-67663 Kaiserslautern
b) Fakultät für Chemie, Universität Bielefeld, Postfach 10 01 31, D-33501 Bielefeld

Acid catalyzed dimerization of an equilibrium mixture of di-tert-butylcyclopentadienes gives the novel type of dimer, 3.

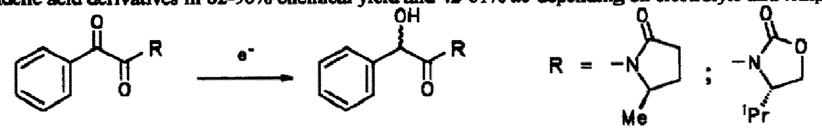


Tetrahedron Letters, **1994**, *35*, 5621

DIASTEREOSELECTIVE CATHODIC REDUCTION OF CHIRAL PHENYLGLYOXYLAMIDES

Claudia Zielinski and Hans J. Schäfer*, Organisch-Chemisches Institut der Universität Münster, Corrensstraße 40, D-48149 Münster

The cathodic reduction of (*R*)-5-methyl-2-pyrrolidinone- and (*S*)-4-isopropyl-2-oxazolidinone phenylglyoxylate affords the corresponding mandelic acid derivatives in 82-90% chemical yield and 42-81% *de* depending on electrolyte and temperature.

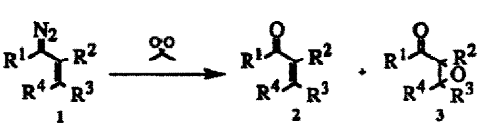


Tetrahedron Letters, **1994**, *35*, 5625

Competitive Epoxidation and Quinone Formation in the Dimethyldioxirane Oxidation of Diazoquinones as Ambident Nucleophiles

Waldemar Adam*, Lazaros Hadjiarapoglou, Karsten Mielke and Alexander Treiber, Institut für Organische Chemie der Universität Würzburg, Am Hubland, D-97074 Würzburg (Germany)

S$_N$2 attack of the ambident diazoquinone 1 nucleophiles on dimethyldioxirane affords the quinones 2 and epoxy quinones 3, the latter not by further oxidation of 2 but directly from 1 through the intermediary epoxy diazoquinones 1.

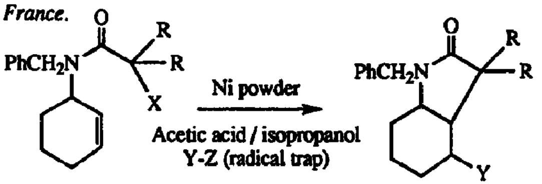


Tetrahedron Letters, **1994**, *35*, 5629

A VERSATILE RADICAL BASED SYNTHESIS OF γ-LACTAMS USING NICKEL POWDER AND ACETIC ACID.

Jean Boivin[a], Mohammed Yousfi[a] and Samir Z. Zard[a,b*]
a) *Laboratoire de Synthèse Organique Associé au C. N. R. S., Ecole Polytechnique, F-91128 Palaiseau, France.*
b) *Institut de Chimie des Substances Naturelles, 91198 Gif-Sur-Yvette, France.*

X=Cl, Br
Y-Z = H-SR'; PhSe-SePh;
Br-CCl_3; TEMPO; etc..

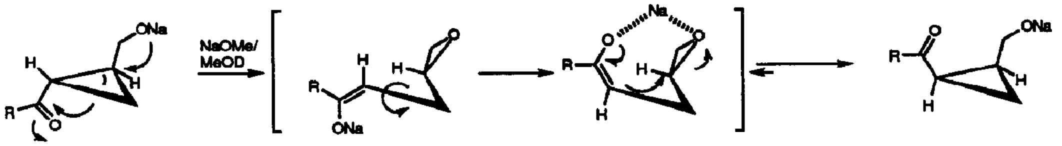


Tetrahedron Letters, **1994**, *35*, 5633

Diastereocontrol in the Opening of *vic*-Acceptor-Donor Cyclopropanes. Application to the Synthesis of (*cis*) 1-EWG-2-Hydroxymethylcyclopropanes.

Luc Dechoux [a*], Eric Doris [b], Louis Jung [a], Jean François Stambach [a]. [a] Laboratoire de Chimie Thérapeutique, [b] Laboratoire de Synthèse Bio-Organique associé au CNRS, Université Louis Pasteur, Faculté de Pharmacie, 74 route du Rhin, 67401 Illkirch (France).

A study of the basic ring opening of different (*trans*)-*vic*-1-EWG-2-hydroxymethylene cyclopropanes is presented. An application towards the diastereoselective synthesis of (*cis*)-cyclopropanes is proposed.

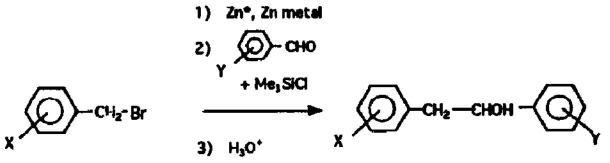


Tetrahedron Letters, **1994**, *35*, 5637

A NEW, SIMPLE, EFFICIENT ELECTROSYNTHESIS OF FUNCTIONALIZED BENZYLIC ZINC SPECIES AND THEIR REACTIVITY TOWARD AROMATIC ALDEHYDES.

C. Gosmini, Y. Rollin*, C. Gebehenne, E. Lojou, V. Ratovelomanana and J. Périchon. C.N.R.S., Laboratoire d'Electrochimie, Catalyse et Synthèse Organique (L.E.C.S.O.) UMR n° 28, 2, rue H. Dunant 94320 THIAIS (France)

Various stable substituted benzylic zinc are formed in excellent yields using a catalytic electrogenerated zinc . The further addition of functionalized aromatic aldehydes in presence of chloromethylsilane results in instantaneous cross-coupling reactions.

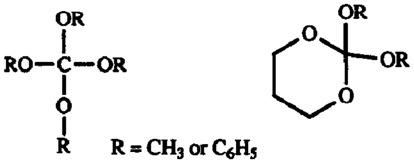


Tetrahedron Letters, **1994**, *35*, 5641

EXPERIMENTAL EVIDENCE FOR THE STEREOELECTRONICALLY CONTROLLED HYDROLYSIS OF ORTHOCARBONATES.

Shigui Li and Pierre Deslongchamps*.
Département de chimie, Université de Sherbrooke,
Sherbrooke, QC, Canada J1K 2R1.

The acid hydrolysis of a series of cyclic and acyclic orthocarbonates are reported under kinetically controlled conditions. The results support the principle of stereoelectronic control.



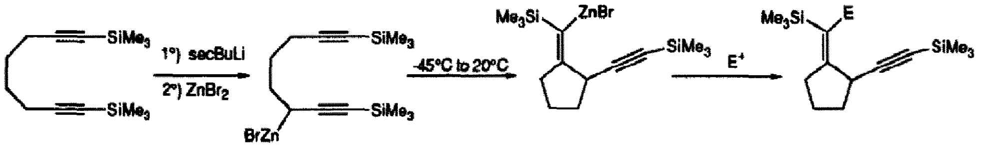
R = CH_3 or C_6H_5

Tetrahedron Letters, **1994**, *35*, 5645

CARBOCYCLIZATION OF δ-ACETYLENIC PROPARGYLIC ZINC REAGENTS.

Christophe Meyer, Ilane Marek*, Jean-F. Normant*
Laboratoire de Chimie des Organoéléments, associé au CNRS, Université P. et M. Curie, 4 Place Jussieu, F-75231 Paris Cedex 05, France
Nicole Platzer
Laboratoire de Chimie Organique Stucturale, associé au CNRS, Université P. et M. Curie, 8 rue Cuvier, F-75252 Paris Cedex 05, France

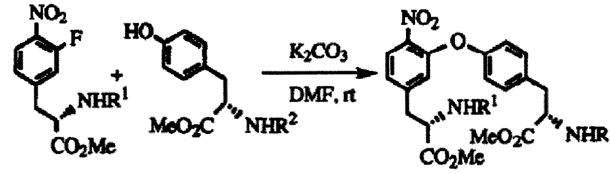
δ-acetylenic metallated propargylic derivatives undergo an easy cyclisation to afford stereodefined exomethylene cyclopentanes with a total diastereoselection.



Tetrahedron Letters, **1994**, *35*, 5649

AN EASY ACCESS TO FUNCTIONALIZED DIARYL ETHERS: FORMAL SYNTHESIS OF K-13

René Beugelmans, Antony Bigot, Jieping Zhu*
Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France



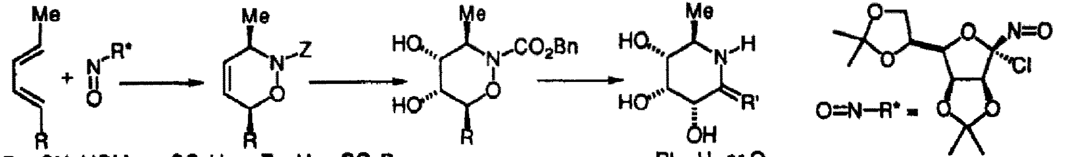
10 examples
yield 70-80%

Conditions based on S_NAr reaction have been developed allowing one step synthesis of chiral bis-aminoacid diaryl ethers which were intermediates in the synthesis of K-13.

Tetrahedron Letters, **1994**, *35*, 5653

DE NOVO ASYMMETRIC SYNTHESIS OF TWO 5-AMINO-5,6-DIDEOXY-D-ALLOSE DERIVATIVES.

A. Defoin*, H. Sarazin, Ch. Strehler, J. Streith.
Ecole Nationale Supérieure de Chimie, Université de Haute-Alsace
3, rue Alfred Werner F-68093 Mulhouse, France



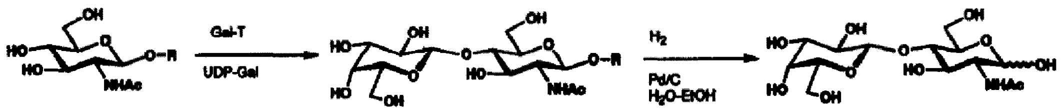
R = CH=NOMe or CO_2H Z = H or CO_2Bn R' = H_2 or O

Tetrahedron Letters, **1994**, *35*, 5657

CHEMOENZYMATIC OLIGOSACCHARIDE SYNTHESIS ON A SOLUBLE POLYMERIC CARRIER.

Shin-Ichiro Nishimura,*
Koji Matsuoka and Yuan Chuan Lee, Division of Biological Sciences, Graduate School of Science, Hokkaido University, Sapporo, 060 JAPAN
Department of Biology, Johns Hopkins University, Baltimore, MD21218 USA

An efficient method for the chemoenzymatic syntheses of oligosaccharides using a new type of soluble polymeric sugar acceptor is described.

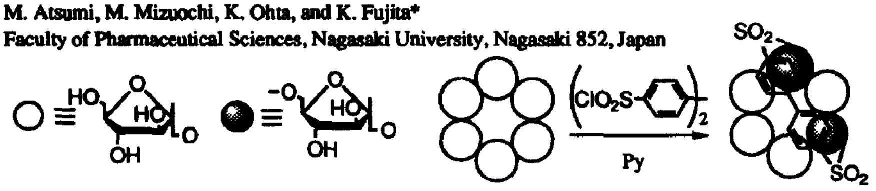


$R{=}CH_2C_6H_4NHCO(CH_2)_5NHCO$-polymer

Tetrahedron Letters, **1994**, *35*, 5661

CAPPED CYCLOFRUCTAN. PREPARATION AND STRUCTURE DETERMINATION OF $6^A,6^C$-DI-*O*-(BIPHENYL-4,4'-DISULFONYL)-CYCLOINULOHEXAOSE.

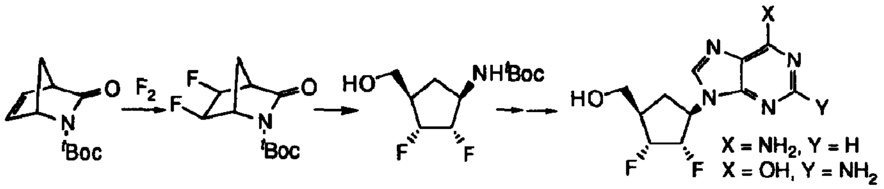
M. Atsumi, M. Mizuochi, K. Ohta, and K. Fujita*
Faculty of Pharmaceutical Sciences, Nagasaki University, Nagasaki 852, Japan



Tetrahedron Letters, **1994**, *35*, 5665

ADDITION OF MOLECULAR FLUORINE TO BICYCLO[2.2.1]-HEPT-2-ENE DERIVATIVES AND CONVERSION TO FLUORINE-CONTAINING CARBOCYCLIC NUCLEOSIDES.

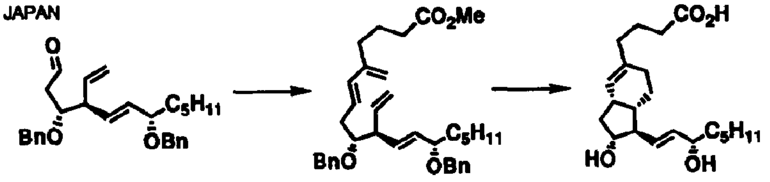
Akemi Toyota,* Chie Habutani, Nobuya Katagiri and Chikara Kaneko
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980, Japan



Tetrahedron Letters, **1994**, *35*, 5669

A Diels-Alder Reaction Approach to a Homoisocarbacyclin

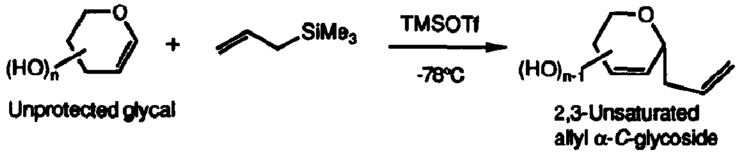
K. Takatori and M. Kajiwara,* Department of Medicinal Chemistry, Meiji College of Pharmacy, Yato-cho, Tanashi-shi, Tokyo 188, JAPAN
Y. Sakamoto, T. Shimayama, H. Yamada, and T. Takahashi,* Department of Chemical Engineering, Tokyo Institute of Technology, Meguro-ku, Tokyo 152, JAPAN



Tetrahedron Letters, **1994**, *35*, 5673

ALLYL *C*-GLYCOSIDATIONS OF TOTALLY UNPROTECTED GLYCALS AND ALLYLTRIMETHYLSILANE WITH TRIMETHYLSILYL TRIFLUOROMETHANESULFONATE (TMSOTf)

Kazunobu Toshima*, Toru Ishizuka, Gho Matsuo and Masaya Nakata
Department of Applied Chemistry, Keio University, 3-14-1 Hiyoshi, Kohoku-Ku, Yokohama 223, Japan

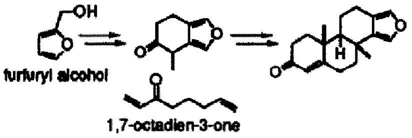


Tetrahedron Letters, **1994**, *35*, 5677

SYNTHETIC STRATEGY FOR CONSTRUCTION OF THE FURANOHYDROPHENANTHRENE RING SYSTEM

Yoshiyasu Baba, Toshihiro Sakamoto, and Ken Kanematsu*
Institute of Synthetic Organic Chemistry, Faculty of Pharmaceutical Science, Kyushu University 62, Higashiku, Fukuoka 812, Japan.

A furanohydrophenanthrene ring system, as a key intermediate toward furanoid spongiaditerpenes syntheses, is accomplished by a short step.

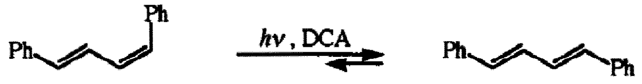


Tetrahedron Letters, **1994**, *35*, 5681

ELECTRON TRANSFER INDUCED ISOMERIZATION OF *cis,trans*- AND *trans,trans*- 1,4-DIPHENYL-1,3-BUTADIENE.

Kan Wakamatsu, Yasutake Takahashi, Koichi Kikuchi, and Tsutomu Miyashi*
Department of Chemistry, Faculty of Science, Tohoku University, Aoba-ku, Sendai 980-77, Japan

Irradiation of 1,4-diphenyl-1,3-butadiene (*ct*-**1** or *tt*-**1**) with 9,10-dicyanoanthracene (DCA) as a sensitizer results in predominant *ct*-**1** → *tt*-**1** geometric isomerization.



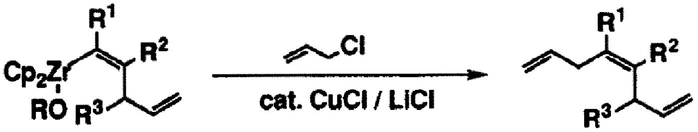
ct-**1** *tt*-**1**

Tetrahedron Letters, **1994**, *35*, 5685

COPPER CATALYZED C-C BOND FORMATION REACTION OF ALLYLZIRCONATION PRODUCTS OF ALKYNES.

Tamotsu Takahashi,* Martin Kotora, Kayoko Kasai and Noriyuki Suzuki, Coordination Chemistry Laboratories, Institute for Molecular Science and the Graduate University for Advanced Studies, Myodaiji, Okazaki 444, Japan

Reaction of allylzirconation products of alkynes with allyl chloride was catalyzed by copper salts to give stereodefined 1,4,7-trienes.

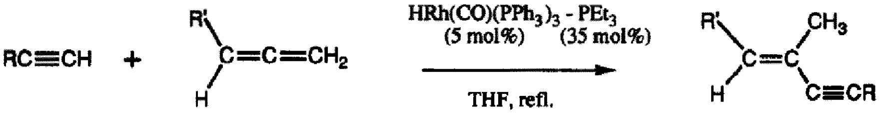


Tetrahedron Letters, **1994**, *35*, 5689

Rhodium-Catalyzed Cross Coupling of Unactivated Allenes with 1-Alkynes

Masahiko Yamaguchi,* Kenji Omata, and Masahiro Hirama
Department of Chemistry, Faculty of Science, Tohoku University
Aoba, Sendai, 980-77 JAPAN

$HRh(CO)(PPh_3)_3$-Et_3P catalyzes cross coupling reaction of unactivated allenes and 1-alkynes giving *endo*-(*E*)-enynes selectively.

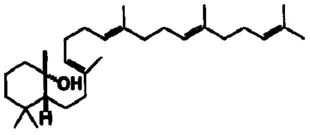


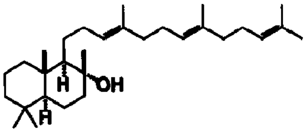
$$RC{\equiv}CH + R'(H)C{=}C{=}CH_2 \xrightarrow[\text{THF, refl.}]{HRh(CO)(PPh_3)_3\text{ - }PEt_3\ (5\text{ mol\%})\ (35\text{ mol\%})} R'(H)C{=}C(CH_3)C{\equiv}CR$$

Tetrahedron Letters, **1994**, *35*, 5693

TOTAL SYNTHESIS OF (±)-6α-HYDROXYACHILLA-9, 13, 17, 21-TETRAENE AND (±)-8α-HYDROXYPOLYPODA-13, 17, 21-TRIENE

Mugio NISHIZAWA,* Hiroko TAKAO, Naoko KANOH, Kohsuke ASOH, Susumi HATAKEYAMA,and Hidetoshi YAMADA, *Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Yamashiro-cho, Tokushima 770, Japan*



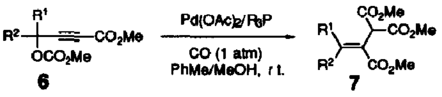


Tetrahedron Letters, **1994**, *35*, 5697

Preparation of Triesters by Palladium-Catalyzed Vicinal Carbonylation of 1-Substituted-3-methoxycarbonyl-2-propynyl Methyl Carbonates

T. Mandai,* Y. Tsujiguchi, S. Matsuoka, J. Tsuji, S. Saito[#]
Department of Applied Chemistry, Okayama University of Science, Rida-cho, Okayama 700, Japan. [#]Department of Bioengineering Science, Faculty of Engineering, Okayama University, Tsushima, Okayama 700, Japan

The palladium-catalyzed vicinal carbonylation of the propargylic carbonates **6** proceeds at room temperature under atmospheric pressure of CO to give the triesters **7** in good yields.

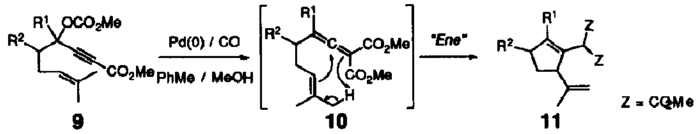


Tetrahedron Letters, **1994**, *35*, 5701

Tandem Pd-Catalyzed Carbonylation and Intramolecular Ene Reaction of 1-(2-Methoxycarbonylethynyl)-4-alkenyl Methyl Carbonates

T. Mandai,* Y. Tsujiguchi, J. Tsuji, S. Saito[#]
Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama 700, Japan. [#]Department of Bioengineering Science, Faculty of Engineering, Okayama University, Tsushima, Okayama 700, Japan

The palladium-catalyzed carbonylation of the 1-(2-methoxycarbonylethynyl)-4-alkenyl methyl carbonates **9** provides allenyl geminal diesters **10** as reactive intermediates which induce an intramolecular ene reaction to give the 5-membered products **11**.

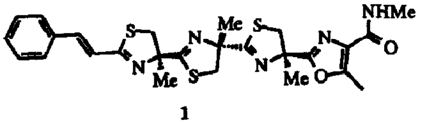


Tetrahedron Letters, **1994**, *35*, 5705

TOTAL SYNTHESIS OF THIANGAZOLE, A NOVEL INHIBITOR OF HIV-1 FROM *POLYANGIUM SP*

Richard J. Boyce, Gerard C. Mulqueen, Gerald Pattenden*
Department of Chemistry, The University, Nottingham, NG7 2RD

A concise total synthesis of the cinnamyl-oxazole substituted *tris*-thiazoline containing metabolite thiangazole **1** is described.

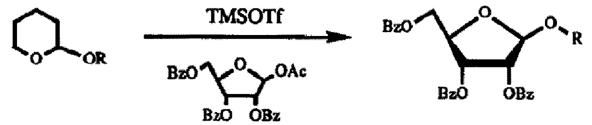


1

Tetrahedron Letters, **1994**, *35*, 5709

A ONE-POT GLYCOSYLATION OF TETRAHYDROPYRANYL (THP) ETHER INTERMEDIATES

Stefano Manfredini*, Pier Giovanni Baraldi, Rita Bazzanini, Mario Guarneri and Daniele Simoni.
Dipartimento di Scienze Farmaceutiche,Università di Ferrara,Via Fossato di Mortara 17-19, I-44100 Ferrara. Italy.



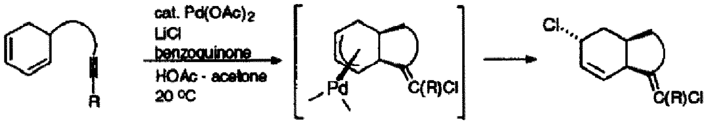
THP-alcohols are converted into the corresponding 1-*O*-alkyl-2,3,5-tri-*O*-benzoyl-β-D-ribofuranosides on treatment with 1-*O*-acetyl-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose and trimethylsilyl triflate (TMSOTf)

Tetrahedron Letters, **1994**, *35*, 5713

Carbon-Carbon Bond Formation in Palladium(II)-Catalyzed Intramolecular 1,4-Oxidation of Conjugated Dienes

Jan-E. Bäckvall,* Ylva I. M. Nilsson, Pher G. Andersson, Roberto G. P. Gatti and Jinchang Wu,
Department of Organic Chemistry, University of Uppsala, Box 531, S-751 21 Uppsala, Sweden

Palladium(II)-catalyzed 1,4-oxidation of conjugated dienes involving a carbocyclization has been developed. The overall 1,4-addition of the vinylic carbon and the chloro group across the conjugated diene occurs in an anti fashion.

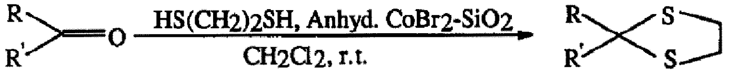


Tetrahedron Letters, **1994**, *35*, 5717

ANHYDROUS COBALT(II) BROMIDE DISPERSED ON SILICA GEL: A MILD AND EFFICIENT REAGENT FOR THIOACETALISATION OF CARBONYL COMPOUNDS

Harish K. Patney, Department of Chemistry, School of Physical Sciences, University of Technology, Sydney.
P O Box 123, Broadway NSW 2007, Australia

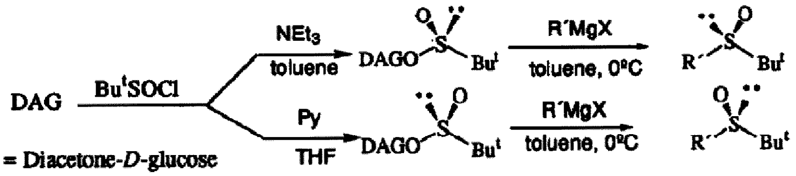
Anhyd. cobalt(II) bromide-silica reagent catalyses thioacetalisation of a variety of carbonyl compounds in good yields.



Tetrahedron Letters, **1994**, *35*, 5719

ASYMMETRIC SYNTHESIS OF OPTICALLY PURE *tert*-BUTYL SULFOXIDES USING THE *"DAG METHODOLOGY"*

Noureddine Khiar*[1], Inmaculada Fernández*, and Felipe Alcudia
Dpto. de Q. Orgánica y Farmacéutica, Facultad de Farmacia, Universidad de Sevilla, E-41071-Sevilla, Spain.



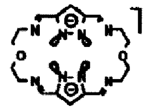
DAG = Diacetone-*D*-glucose

Tetrahedron Letters, **1994**, *35*, 5723

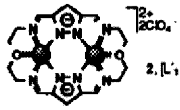
DINUCLEAR Cu(II) COMPLEXES WITH TWO PYRAZOLATE BRIDGING GROUPS FORMED FROM 26 MEMBERED OXAIMINE AND POLYAMINE MACROCYCLES OF 3,5-DISUBSTITUTED 1H-PYRAZOLE.

M. Kumar[a], V.J. Arán[a], P. Navarro[a]*, A. Ramos-Gallardo[b] and A. Vegas[b]. *Instituto de Química Médica [a], CSIC, c/ Juan de la Cierva 3, 28006-Madrid, Spain. Instituto de Química Física Rocasolano [b], CSIC, c/ Serrano 119, 28006-Madrid, Spain.*

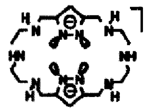
Dinuclear Cu(II) complexes (2 and 4) with two pyrazolate bridging groups have been formed from dipyrazolate sodium salts (1′ and 3′). The X-ray crystallographic analysis of 4 demonstrates that inside the macrocyclic cavity the two pyrazolate rings are simultaneously acting as exobidentate ligands linking both metal cations, the Cu-Cu separation being 3.9 Å.



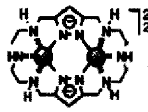
1′, $[L'_1]^{2-}$ 2Na$^+$



2, $[L'_1Cu_2]^{2+}2ClO_4^-$



3′, $[L'_3]^{2-}$ 2Na$^+$

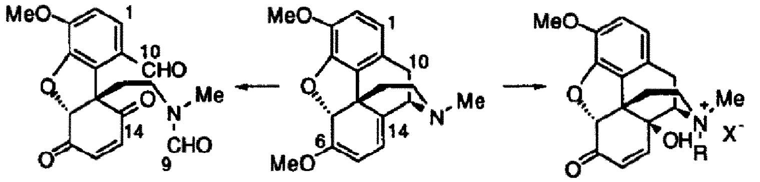


4, $[L'_3Cu_2]^{2+}2ClO_4^-$

Tetrahedron Letters, **1994**, *35*, 5727

PHOTOOXIDATION OF THEBAINE. A ROUTE TO 14-HYDROXYMORPHINONES AND HYDRODIBENZOFURAN ANALOGS OF METHADONE.

M. Dolores López, Emilio Quiñoá and Ricardo Riguera.* Departamento de Química Orgánica, Facultad de Química, Universidad de Santiago de Compostela. 15706 - Santiago de Compostela. Spain.

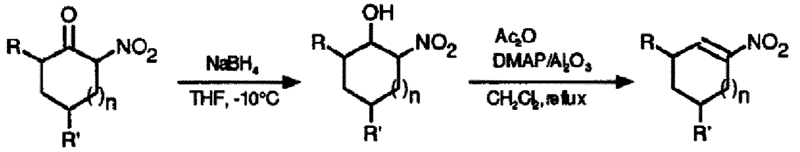


Tetrahedron Letters, **1994**, *35*, 5731

A New, Highly Efficient Synthesis of Conjugated Nitrocycloalkenes.

Roberto Ballini,* and Corrado Palestini
Dipartimento di Scienze Chimiche dell'Università, Via S. Agostino n. 1
I - 62032 Camerino, Italy.

Conjugated Nitrocycloalkenes are obtained from α-nitrocycloalkanones, *via* β-nitroalkanols.



Tetrahedron Letters, **1994**, *35*, 5735

ASYMMETRIC SYNTHESIS OF (R)-3,3-DIMETHYL-2-HYDROXY-γ-BUTYROLACTONE ENROUTE TO THE FORMAL SYNTHESIS OF CALCIUM D-PANTOTHENATE

A V Rama Rao*, S Mahender Rao and G V M Sharma
Indian Institute of Chemical Technology, Hyderabad 500 007, India

Asymmetric Synthesis of (R)-3,3-dimethyl-2-hydroxy-γ-butyrolactone is described.