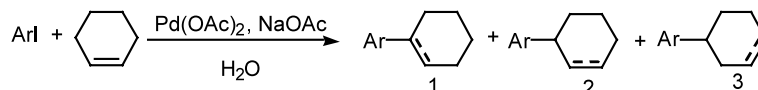


Heck reactions in hydrothermal, sub-critical water: water density as an important reaction variable*Tetrahedron Letters 42 (2001) 8555*

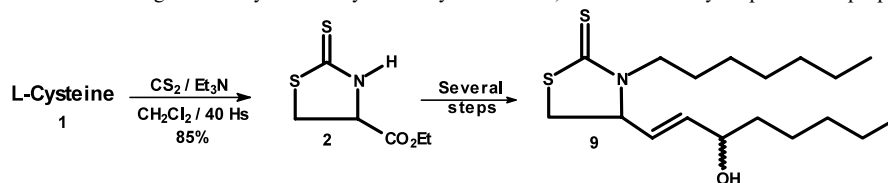
Liz U. Gron,* Jeanna E. LaCroix, Cortney J. Higgins, Karen L. Steelman and Amanda S. Tinsley

Department of Chemistry, Hendrix College, Conway, AR 72032, USA

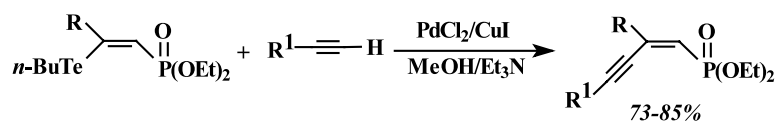
Heck coupling reaction pathways were measurably affected by changing the isothermal state of the liquid water. Changes in the water density, 0.03–0.84 g/mL and the pressure, 30–213 bar, at 225°C changed both product and isomer yields.

**Synthesis of a novel prostaglandin containing heteroatoms in the ring cyclopentane***Tetrahedron Letters 42 (2001) 8559*Alessandra R. Rufino^a and Francisco C. Biaggio^{b,*}^a*Instituto de Química, Universidade de São Paulo, CP: 26077, CEP 05513-970 São Paulo, SP, Brazil*^b*Departamento de Engenharia Química, Faculdade de Engenharia Química de Lorena, CP 116, CEP 12600-970 Lorena, SP, Brazil*

Azathiaprostaglandin synthesized starting from L-cysteine ethyl ester hydrochloride, wherein the key step was the preparation of the five-membered ring.

**Stereospecific formation of enynephosphonates via palladium-catalyzed cross-coupling reaction of β -organotelluro vinylphosphonates with alkynes***Tetrahedron Letters 42 (2001) 8563*

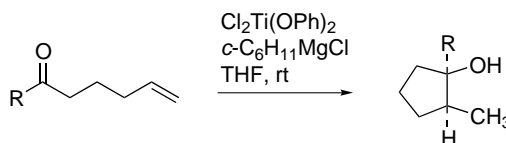
Antonio L. Braga,* Leandro H. de Andrade, Claudio C. Silveira, Angélica V. Moro and Gilson Zeni*

Departamento de Química, Universidade Federal de Santa Maria, Santa Maria, RS, 97105-900, Brazil**Reductive cyclization of enones by titanium(IV) aryloxide and a Grignard reagent***Tetrahedron Letters 42 (2001) 8567*

Long Guo Quan and Jin Kun Cha*

Department of Chemistry, University of Alabama, Tuscaloosa, AL 35487, USA

The titanium-mediated cyclization of δ,ϵ -enones by using dichlorotitanium diphenoxide–cyclohexylmagnesium chloride afforded *cis*-substituted cyclopentanol and was thus found to parallel the stoichiometric and catalytic titanocene-mediated reactions.



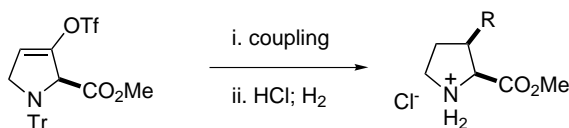
Enantioselective approach to 3-substituted prolines

Tetrahedron Letters 42 (2001) 8571

Theodore M. Kamenecka,* You-Jung Park, Linus S. Lin,
Thomas Lanza, Jr. and William K. Hagmann

Department of Medicinal Chemistry, Merck Research Laboratories, PO Box 2000, Rahway, NJ 07065, USA

Enantioselective synthesis of 3-substituted prolines was achieved starting from commercially available 3-(*R*)-hydroxy-2-(*S*)-proline.



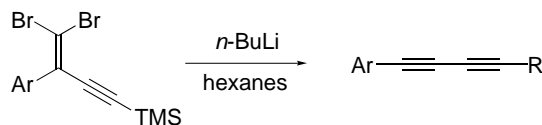
Modification of the Fritsch–Buttenberg–Wiechell rearrangement: a facile route to unsymmetrical butadiynes

Tetrahedron Letters 42 (2001) 8575

Erin T. Chernick, Sara Eisler and Rik R. Tykwinski*

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

The formation of 1,3-butadiynes from 1,1-dibromo-olefinic precursors via carbenoid intermediates is described.



13 examples

Chemical synthesis of hormone receptor probes: high affinity photoactivated enediyne-estrogens

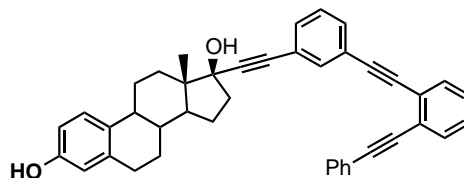
Tetrahedron Letters 42 (2001) 8579

Ajay Purohit,^a Justin Wyatt,^a George Hynd,^a Justin Wright,^a
Ahmed El-Shafey,^a Narashima Swamy,^b Rahul Ray^b and
Graham B. Jones^{a,*}

^a*Department of Chemistry, Northeastern University, 360 Huntington Ave., Boston, MA 02115, USA*

^b*Department of Biochemistry, Boston University Medical Center, Boston, MA 02118, USA*

A family of enediyne-estrogens has been prepared, and evaluated for affinity to hER. The optimal ligand has nM affinity, and undergoes photoBergman cycloaromatization.

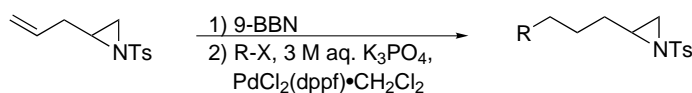


A Suzuki cross-coupling route to substituted aziridines

Tetrahedron Letters 42 (2001) 8583

David J. Lapinsky and Stephen C. Bergmeier*

Department of Chemistry and Biochemistry, Ohio University, Athens, OH 45701, USA



Natural anti-HIV agents. Part 2: Litseaverticillol A, a prototypic litseane sesquiterpene from *Litsea verticillata*

Tetrahedron Letters 42 (2001) 8587

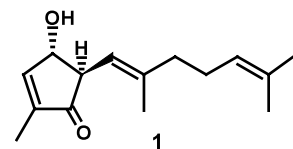
Hong-Jie Zhang,^a Ghee Teng Tan,^a Vu Dinh Hoang,^b Nguyen Van Hung,^b Nguyen Manh Cuong,^c Djaja Doel Soejarto,^a John M. Pezzuto^a and Harry H. S. Fong^{a,*}

^aProgram for Collaborative Research in Pharmaceutical Sciences, College of Pharmacy, University of Illinois at Chicago, 833 S. Wood St., Chicago, IL 60612, USA

^bInstitute of Chemistry, National Center for Science and Technology, Nghia Do, Hoang Quoc Viet Str., Cau Giay, Hanoi, Vietnam

^cCuc Phuong National Park, Nho Quan District, Ninh Binh Province, Vietnam

We report herein the first isolation of a novel structural type sesquiterpene designated as 'litseane' from the twigs and leaves of *Litsea verticillata* Hance (Lauraceae). The isolate (litseaverticillol A, **1**) was obtained as a racemate through bioassay-guided fractionation and found to inhibit the replication of human immunodeficiency virus (HIV) type 1 with an IC₅₀ value of 5.0 µg/mL (21.4 µM) and a selectivity index of 2.6. Spectroscopic data and a potential biosynthetic pathway are given.



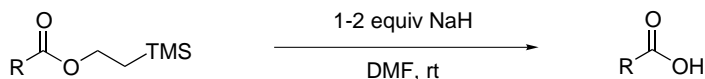
Mild deprotection of 2-(trimethylsilyl)ethyl esters

Tetrahedron Letters 42 (2001) 8593

Michael H. Serrano-Wu,^{*} Alicia Regueiro-Ren, Denis R. St. Laurent, Tina M. Carroll and Balu N. Balasubramanian

Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492, USA

A method for the mild deprotection of 2-(trimethylsilyl)ethyl esters is described.

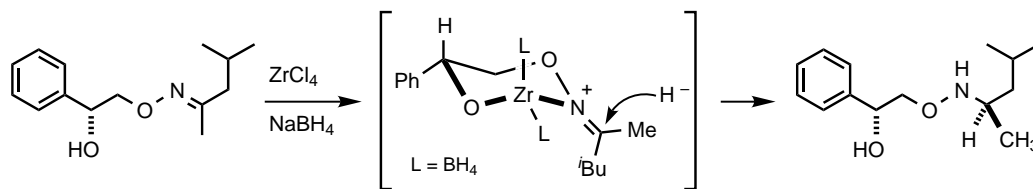


Zirconium cation coordination in the borohydride-mediated synthesis of β-hydroxy-N-alkoxylamines

Tetrahedron Letters 42 (2001) 8597

David R. Williams,^{*} John W. Benbow, Thomas R. Sattleberg and David C. Ihle

Department of Chemistry, Indiana University, Bloomington, IN 47405-7102, USA

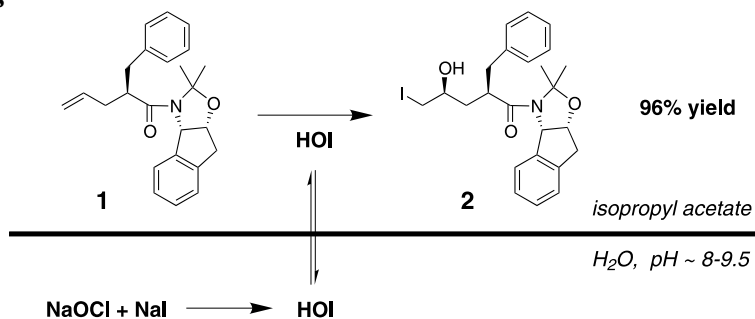


Harvesting short-lived hypoiodous acid for efficient diastereoselective iodohydroxylation in *Crixivan*[®] synthesis

Tetrahedron Letters 42 (2001) 8603

Carl R. LeBlond, Kai Rossen, Frank P. Gortsema, Ilia A. Zavialov, Steven J. Cianciosi, Arthur T. Andrews and Yongkui Sun^{*}

Merck Research Laboratories, PO Box 2000, Rahway, NJ 07065, USA



Sulfur-atom insertion into the S–S bond—formation of symmetric trisulfides

Tetrahedron Letters 42 (2001) 8607

Yihua Hou,^a Imad A. Abu-Yousef,^b Yen Doung^a and David N. Harpp^{a,*}

^aDepartment of Chemistry, McGill University, Montreal, Quebec, Canada H3A 2K6

^bDepartment of Chemistry, American University of Sharjah, PO Box 26666, Sharjah, United Arab Emirates



Stereochemical studies on ascaulitoxin: a *J*-based NMR configurational analysis of a nitrogen substituted system

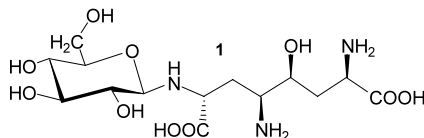
Tetrahedron Letters 42 (2001) 8611

Carla Bassarello,^a Giuseppe Bifulco,^a Antonio Evidente,^b Raffaele Riccio^a and Luigi Gomez-Paloma^{a,*}

^aDipartimento di Scienze Farmaceutiche, Università di Salerno, via Ponte don Melillo, Fisciano (SA) 84084, Italy

^bDipartimento di Scienze Chimico-Agrarie, Università di Napoli Federico II, via Università 100, Portici (NA) 80055, Italy

The *J*-based NMR configurational analysis of flexible systems was applied to the stereochemical study of the ascaulitoxin molecule (**1**), a phytotoxic metabolite with mycoherbicide activity against *Chenopodium album*.

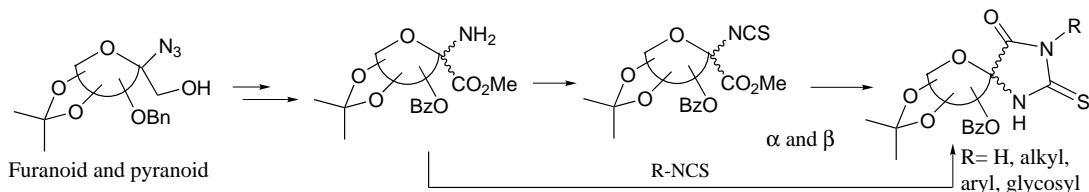


Isothiocyanatoulosonates, a new type of glycosyl isothiocyanate useful for the stereocontrolled synthesis of thiohydantoin spironucleosides

Tetrahedron Letters 42 (2001) 8615

Consolación Gasch, Bader A. B. Salameh, M. Angeles Pradera and José Fuentes*

Departamento de Química Orgánica, Facultad de Química, Universidad de Sevilla, Apartado 553, E-41071 Sevilla, Spain



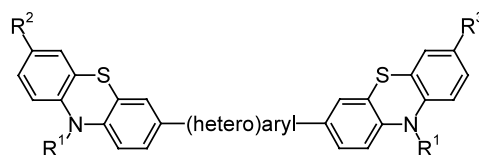
First synthesis and electronic properties of (hetero)aryl bridged and directly linked redox active phenothiazinyl dyads and triads

Tetrahedron Letters 42 (2001) 8619

C. S. Krämer, K. Zeitler and T. J. J. Müller*

Department Chemie, Ludwig-Maximilians-Universität München, Butenandtstraße 5-13 (Haus F), D-81377 München, Germany

Phenothiazinyl dyads and triads are easily synthesized by Suzuki coupling and display a remarkable electronic communication according to cyclic voltammetry.



R^1 = Alkyl; R^2 , R^3 = H, formyl; (hetero)aryl = -, $(C_6H_4)_n$, thienylene, phenothiazinylene

A concise synthesis of L-4,4-difluoroglutamine

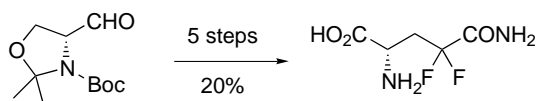
Tetrahedron Letters 42 (2001) 8625

Patrick Meffre,^{a,*} Rajesh H. Dave,^a Jacques Leroy^b and Bernard Badet^c

^aUMR 7573-CNRS, ENSCP, 11, rue Pierre et Marie Curie, F-75231 Paris Cedex 05, France

^bUMR 8640-CNRS, ENS, 24 rue Lhomond, F-75231 Paris Cedex 05, France

^cUPR 2301-CNRS, ICSN, F-91198 Gif-sur-Yvette, France

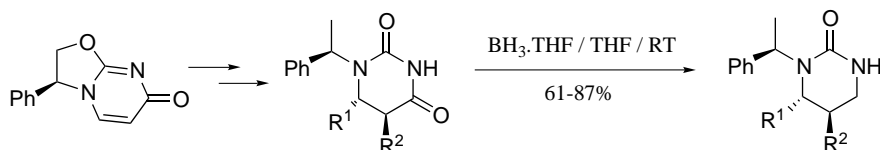


Chemoselective reduction of pyrimidines. An access to enantiopure tetrahydropyrimidinones

Tetrahedron Letters 42 (2001) 8629

Claude Agami, Luc Dechoux* and Mohand Melaimi

Laboratoire de Synthèse Asymétrique (UMR 7611), Université Pierre et Marie Curie, 4 place Jussieu, case 47, F-75005 Paris, France

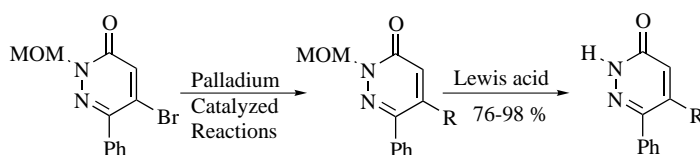


Pyridazines. Part 25: Efficient and selective deprotection of pharmacologically useful 2-MOM-pyridazinones using Lewis acids

Tetrahedron Letters 42 (2001) 8633

Eddy Sotelo, Alberto Coelho and Enrique Raviña*

Laboratorio de Química Farmacéutica, Departamento de Química Orgánica, Facultad de Farmacia, Universidad de Santiago de Compostela, 15782 Santiago de Compostela, Spain



Unexpected formation of a D-chiro inositol from a L-chiro precursor

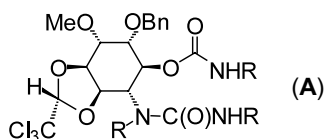
Tetrahedron Letters 42 (2001) 8637

Ralf Miethchen,^{a,*} Christian Sowa^a and Manfred Michalik^b

^aUniversity of Rostock, Department of Organic Chemistry, Albert-Einstein-Strasse 3A, 18051 Rostock, Germany

^bInstitute for Organic Catalysis Research at the University of Rostock, Buchbinderstrasse 5/6, D-18055 Rostock, Germany

L-1-O-Benzyl-2-O-methyl-chiro-inositol was converted into the D-chiro derivative (**A**) and two L-muco inositol derivatives by a one-pot reaction with chloral/DCC.



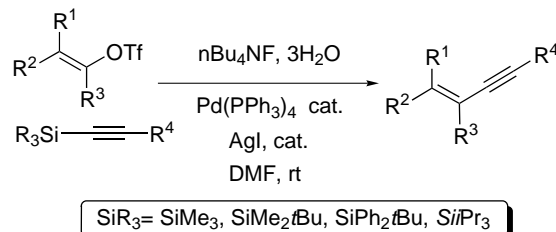
The first direct coupling of 1-trialkylsilyl-1-alkynes with vinyl triflates; a new access to enynes

Tetrahedron Letters 42 (2001) 8641

Ulla Halbes, Philippe Bertus and Patrick Pale*

Laboratoire de synthèse et réactivité organique, associé au CNRS, Institut Le Bel, Université L. Pasteur, 67000 Strasbourg, France

Functionalized enynes can be directly obtained by coupling 1-trialkylsilyl-1-alkynes with vinyl triflates in the presence of TBAF, 3H₂O and a catalytic amount of AgI and Pd(PPh₃)₄.

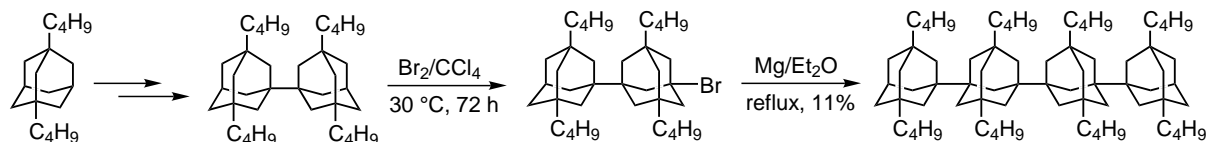


Synthesis of tetramers of 1,3-adamantane derivatives

Tetrahedron Letters 42 (2001) 8645

Takashi Ishizone,* Hiroyuki Tajima, Shinichi Matsuoka and Seiichi Nakahama

Department of Organic and Polymeric Materials, Graduate School of Science and Engineering, Tokyo Institute of Technology, 2-12-1 Ohokayama, Meguro-ku, Tokyo 152-8552, Japan



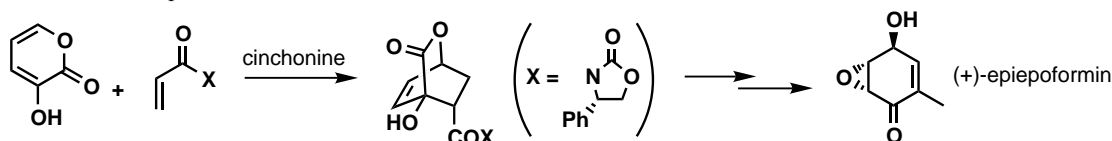
Synthesis of (+)-epiepoformin using the base-catalyzed Diels–Alder reaction of 3-hydroxy-2-pyrone

Tetrahedron Letters 42 (2001) 8649

Hideki Shimizu,^a Hiroaki Okamura,^{b,*} Naomi Yamashita,^b Tetsuo Iwagawa^b and Munehiro Nakatani^b

^a*Department of Chemistry, Faculty of Science, Graduate School, Kyushu University 33, Hakozaki, Higashi-ku, Fukuoka 812-8581, Japan*

^b*Department of Chemistry and Bioscience, Faculty of Science, Kagoshima University, 1-21-35 Korimoto, Kagoshima 890-0065, Japan*



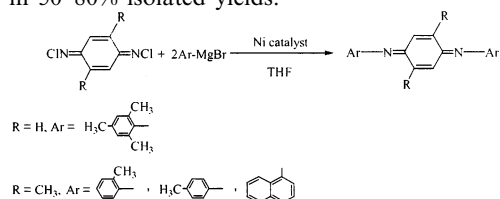
Organometallic C–N coupling between *N,N'*-dichloro-*p*-benzoquinone diimine and Grignard reagents and its application to synthesis of polyanilines

Tetrahedron Letters 42 (2001) 8653

Takakazu Yamamoto,* Ismayil Nurulla and Asako Ushiro

Chemical Resources Laboratory, Tokyo Institute of Technology, 4259 Nagatsuta, Midori-ku, Yokohama 226-8503, Japan

New C–N coupling reaction between *N,N'*-dichloro-*p*-benzoquinone diimines and Grignard reagents proceeds smoothly to give the coupling products in 50–80% isolated yields.

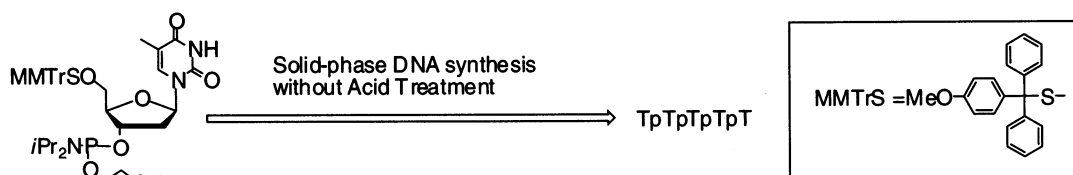


Synthesis of pentathymidylate using a 4-monomethoxytritylthio (MMTrS) group as a 5'-hydroxyl protecting group: toward oligonucleotide synthesis without acid treatment

Tetrahedron Letters 42 (2001) 8657

Kohji Seio and Mitsuo Sekine*

Department of Life Science, Tokyo Institute of Technology, 4259 Nagatsuta, Yokohama 226-8501, Japan

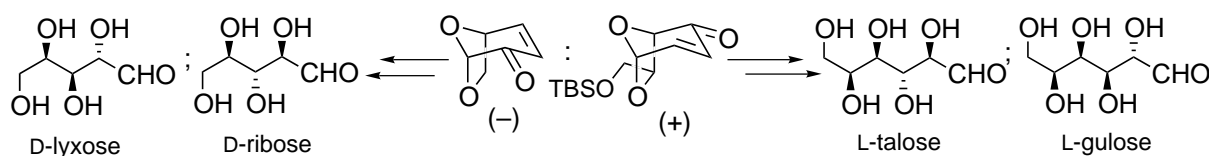


A carbohydrate synthesis employing a photochemical decarbonylation

Tetrahedron Letters 42 (2001) 8661

Kohei Kadota and Kunio Ogasawara*

Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980-8578, Japan

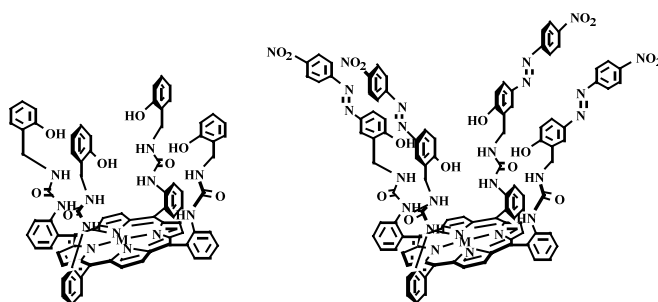


Colorimetric anion sensing by porphyrin-based anion receptors

Tetrahedron Letters 42 (2001) 8665

Chanhyo Lee, Dong Hoon Lee and Jong-In Hong*

*School of Chemistry and Molecular Engineering,
College of Natural Sciences, Seoul National University,
Seoul 151-742, South Korea*

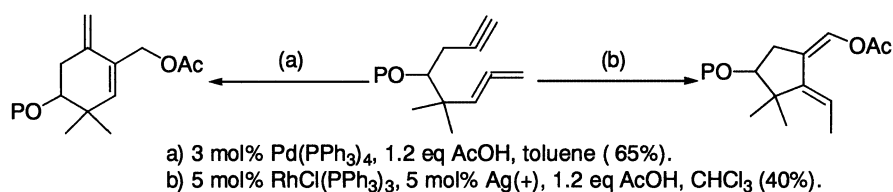


Chemoselectivities in palladium- and rhodium-catalyzed allenyne cyclizations

Tetrahedron Letters 42 (2001) 8669

Chang Ho Oh,* Seung Hyun Jung and Chul Yun Rhim

Department of Chemistry, Hanyang University, Sungdong-Gu, Seoul 133-791, South Korea



Synthesis of heterocycles via sequential Pd/Ru-catalysed allene insertion–nucleophile incorporation–olefin metathesis

Tetrahedron Letters 42 (2001) 8673

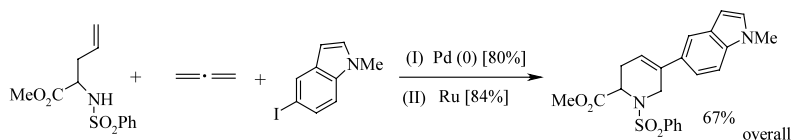
H. Ali Dondas,^a Genevieve Balme,^b Blandine Clique,^a Ronald Grigg,^{a,*} Anne Hodgeson,^c James Morris^a and Visuvanathar Sridharan^a

^a*Molecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, School of Chemistry, Leeds University, Leeds LS2 9JT, UK*

^b*Laboratoire de Chimie Organique, University Lyon, Villeurbanne, France*

^c*Process R and D, GlaxoSmithKline, Dartford DA1 5HA, UK*

A three-component Pd(0)-catalysed cascade followed by ring-closing metathesis using a modified Grubbs catalyst proceeds in good overall yield.



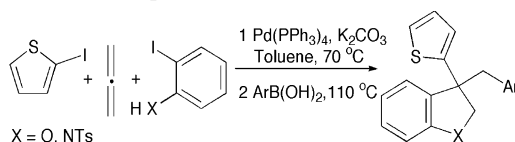
Palladium-catalysed in situ zipper generation–cyclisation–anion capture. Synthesis of 3,3-disubstituted indolines and 2,3-dihydrobenzofurans

Tetrahedron Letters 42 (2001) 8677

Ronald Grigg,^{*} Edoardo Mariani and Visuvanathar Sridharan

Molecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, School of Chemistry, Leeds University, Leeds LS2 9JT, UK

A palladium-catalysed one-pot reaction for the in situ assembly of monocyclisation ‘zippers’ and subsequent cyclisation–anion capture with aryl/heteroaryl boronic acids produces 3,3-disubstituted indolines and 2,3-dihydrobenzofurans.



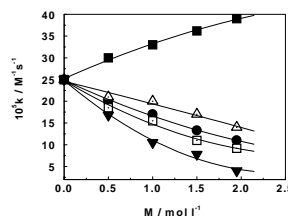
Abnormal effect of Gn_2SO_4 as compared to other guanidinium salts on rates and stereoselectivities of Diels–Alder reactions

Tetrahedron Letters 42 (2001) 8681

Anil Kumar^{*} and Sanjay S. Pawar

Physical Chemistry Division, National Chemical Laboratory, Pune 411 008, India

An aqueous solution of Gn_2SO_4 enhances both the rates and *endo* products of Diels–Alder reactions, while other guanidinium salts inhibit the reactions and enhance *exo* products.

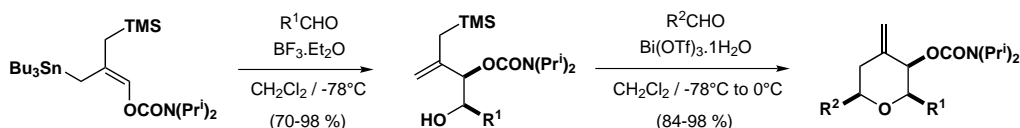


Efficient and stereocontrolled synthesis of polysubstituted tetrahydropyrans by an allylstannylation/Bi(III)-promoted cyclisation strategy

Tetrahedron Letters 42 (2001) 8685

Bernard Leroy and István E. Markó^{*}

Université catholique de Louvain, Département de Chimie, Bâtiment Lavoisier, Place Louis Pasteur 1, B-1348 Louvain-la-Neuve, Belgium



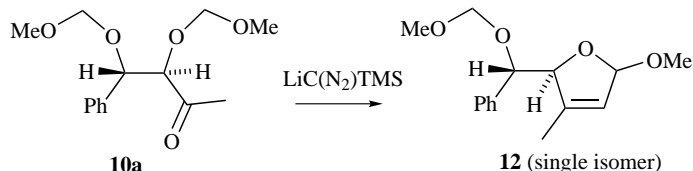
Influence of substitution pattern on intramolecular alkylidene carbene insertion reactions

Tetrahedron Letters 42 (2001) 8689

Ahmed Bourghida, Vincent Wiatz and Martin Wills*

Department of Chemistry, University of Warwick, Coventry CV4 7AL, UK

The nature of the substituents is demonstrated to have a dramatic effect on the outcome of intramolecular alkylidene carbene insertion reactions.

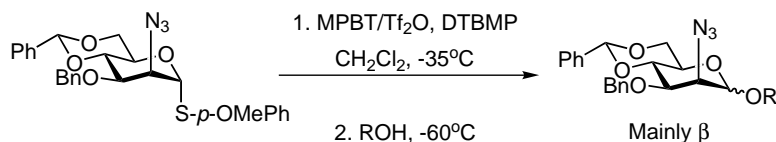


A novel approach towards the stereoselective synthesis of 2-azido-2-deoxy- β -D-mannosides

Tetrahedron Letters 42 (2001) 8693

Remy E. J. N. Litjens, Michiel A. Leeuwenburgh, Gijsbert A. van der Marel and Jacques H. van Boom*

Leiden Institute of Chemistry, PO Box 9502, 2300 RA Leiden, The Netherlands

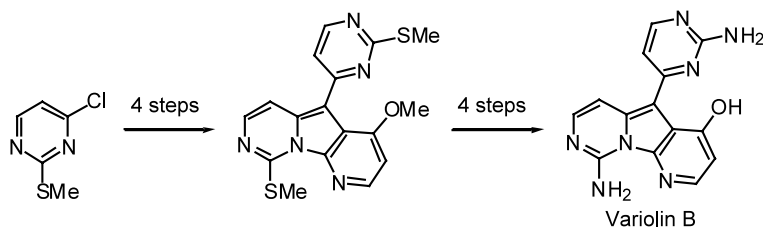


Total synthesis of variolin B

Tetrahedron Letters 42 (2001) 8697

Regan J. Anderson and Jonathan C. Morris*

Department of Chemistry, University of Canterbury, Christchurch, New Zealand



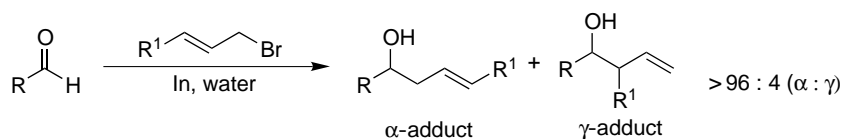
Development of a highly α -regioselective indium-mediated allylation reaction in water

Tetrahedron Letters 42 (2001) 8701

Teck-Peng Loh,* Kui-Thong Tan, Jian-Ying Yang and Chao-Li Xiang

Department of Chemistry, National University of Singapore, 3 Science Drive 3, Singapore 117543

A highly α -regioselective indium-mediated allylation in the presence of 10 M water is described.

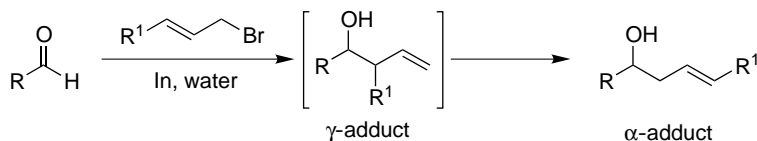


A new mechanistic proposal for the origin of α -homoallylic alcohols in indium-mediated allylation reactions in water

Tetrahedron Letters 42 (2001) 8705

Teck-Peng Loh,* Kui-Thong Tan and Qi-Ying Hu

Department of Chemistry, National University of Singapore, 3 Science Drive 3, Singapore 117543



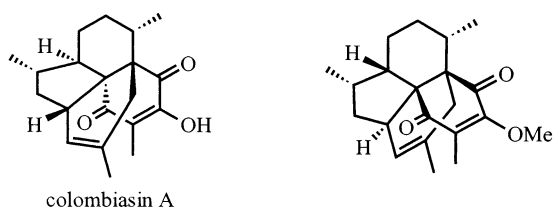
Towards colombiasin A

Tetrahedron Letters 42 (2001) 8709

David C. Harrowven* and Melloney J. Tyte

Department of Chemistry, The University of Southampton, Southampton SO17 1BJ, UK

An approach to colombiasin A is described leading to an unnatural diastereoisomer of this demanding natural product.

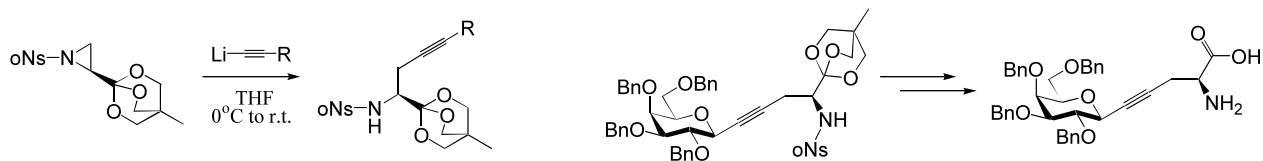


A convenient route to α -amino acids with β -alkyne substituents from a serine derived aziridine

Tetrahedron Letters 42 (2001) 8713

John J. Turner, Michiel A. Leeuwenburgh, Gijs A. van der Marel and Jacques H. van Boom*

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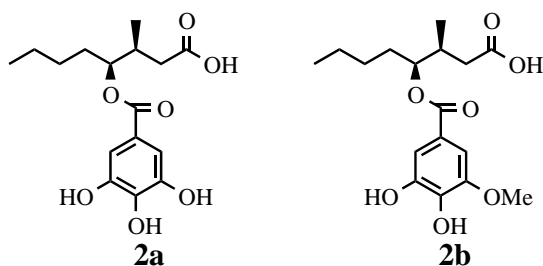
Precursors to oak lactone: synthesis of gallate ester derivatives of 3-methyl-4-hydroxyoctanoic acid

Tetrahedron Letters 42 (2001) 8717

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The gallate esters **2a** and **2b** have been synthesised. A previous assignment of structure **2b** to an oak-derived substance is shown to be in error.



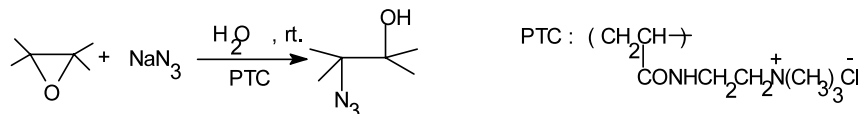
Synthesis of azidohydrins from epoxides using quaternized amino functionalized cross-linked polyacrylamide as a new polymeric phase-transfer catalyst

Tetrahedron Letters 42 (2001) 8721

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Quaternized polyacrylamide catalyzed regioselective ring opening of epoxides by azide ion to give azidohydrins in high yield under mild conditions.



Synthesis and properties of β -(*N*-acylamino)vinylphosphonium salts. A novel intramolecular [1,3] O-to-N migration of the vinyl group

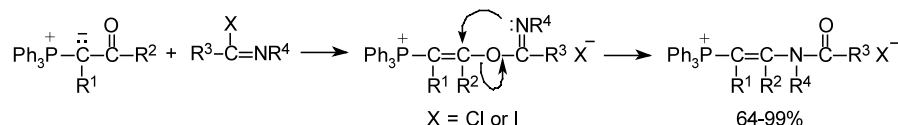
Tetrahedron Letters 42 (2001) 8725

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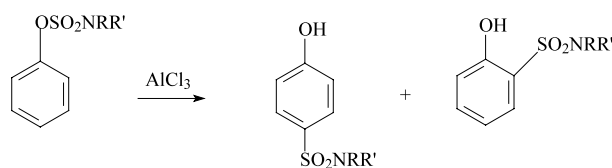
A new synthetic route to aryl hydroxysulfonamides via a novel Fries-type rearrangement of aryl *N,N*-dialkylsulfamates

Tetrahedron Letters 42 (2001) 8729

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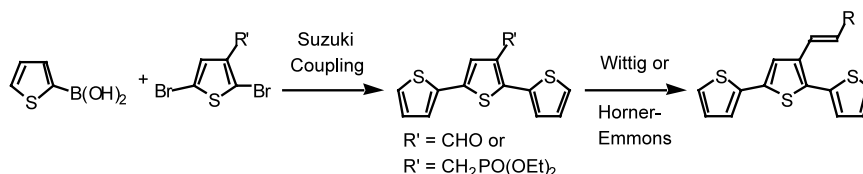


β -Terthiophene aldehyde and phosphonate: key building blocks for the synthesis of functionalised conducting polymers

Tetrahedron Letters 42 (2001) 8733

Gavin E. Collis, Anthony K. Burrell and David L. Officer*

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Asymmetric activation of conformationally flexible monodentate phosphites for enantioselective hydrogenation

Tetrahedron Letters 42 (2001) 8737

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