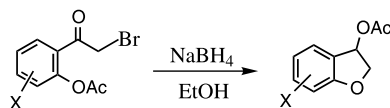
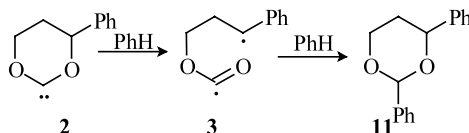
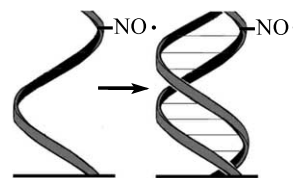


Synthesis of substituted 2,3-dihydrobenzofuran in a process involving a facile acyl migration*Tetrahedron Letters 43 (2002) 1923*

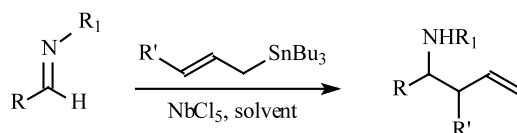
Wen-Sen Li,* Zhenrong Guo, John Thornton, Kishta Katipally, Richard Polniaszek, John Thottathil, Truc Vu and Michael Wong

Process Research and Development, Bristol-Myers Squibb Pharmaceutical Research Institute, One Squibb Drive, New Brunswick, NJ 08903, USA**Remarkable aromatic substitution by a 1,5-diradical***Tetrahedron Letters 43 (2002) 1927*Nadine Merkley,^a Darren L. Reid^b and John Warkentin^{a,*}^a*Department of Chemistry, McMaster University, Hamilton, Ont., Canada, L8S 4M1*^b*Department of Chemistry, University of Calgary, Calgary, AB, Canada, T2N 1N4***Solid-phase DNA binding detection by EPR spectroscopy***Tetrahedron Letters 43 (2002) 1931*Peter M. Gannett,^{a,*} Jeannine H. Powell,^a Edward M. Johnson, II,^a Eva Darian,^a Naresh S. Dalal,^b Michael L. Norton^c and David E. Budil^d^a*West Virginia University, Pharmacy, PO Box 9530, Morgantown, WV 26506, USA*^b*Florida State University, Department of Chemistry and Biochemistry, Tallahassee, FL 32306, USA*^c*Marshall University, Department of Chemistry, 400 Hal Greer Blvd, Huntington, WV 25755, USA*^d*Northeastern University, Chemistry Department, Boston, MA 02115-5000, USA***Allylation of aldimines promoted by NbCl₅***Tetrahedron Letters 43 (2002) 1935*

Carlos Kleber Z. Andrade* and Guilherme R. Oliveira

Instituto de Química, Universidade de Brasília, C.P. 4478, 70910-970 Brasília, DF, Brazil

Niobium chloride promoted the addition of allylstannanes to aromatic aldimines. The scope and stereoselectivity of these reactions are described.

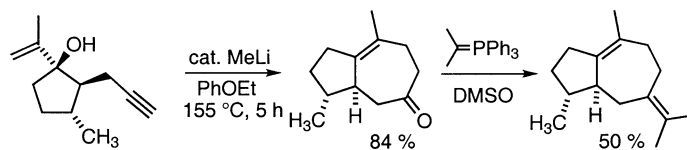


Facile approach to the bicyclo[5.3.0]decane ring system; efficient synthesis of (\pm)-7-*epi*- β -bulnesene

Tetrahedron Letters 43 (2002) 1939

Jalluri S. Ravi Kumar, Michael F. O'Sullivan, Sarah E. Reisman, Catherine A. Hulford and
Timo V. Ovaska*

Department of Chemistry, Connecticut College, 270 Mohegan Avenue, New London, CT 06320, USA



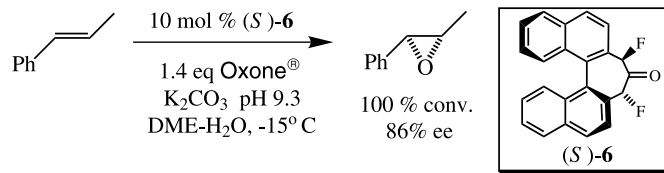
Screening chiral fluorinated binaphthyl ketone catalysts for asymmetric epoxidation

Tetrahedron Letters 43 (2002) 1943

Chad J. Stearman and Victor Behar*

Department of Chemistry MS-60, Rice University, Houston, TX 77251-1892, USA

Screening of five chiral ketone catalysts with variable fluorine distribution led to the identification of **6** as the most active catalyst.

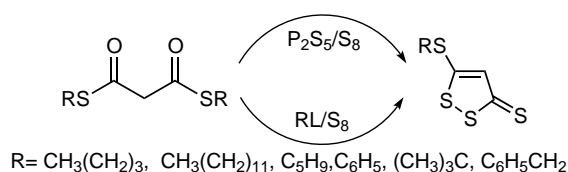


One-pot synthesis of 3*H*-1,2-dithiole-3-thione derivatives from dithiolmalonic esters

Tetrahedron Letters 43 (2002) 1947

Mario L. Aimar, Jerónimo Kreiker and Rita H. de Rossi*

Instituto de Investigaciones en Físico-Química de Córdoba (INFIQC), Departamento de Química Orgánica, Facultad de Ciencias Químicas, Universidad Nacional de Córdoba, Ciudad Universitaria, 5000 Córdoba, Argentina



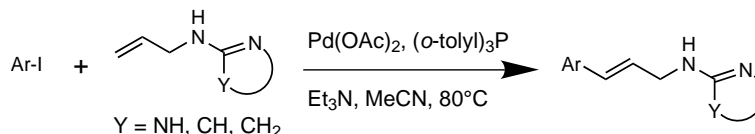
The Heck reaction with unprotected allylic amidines and guanidines

Tetrahedron Letters 43 (2002) 1951

Edward C. Lawson,* William A. Kinney, Diane K. Luci,
Stephen C. Yabut, David Wisnoski and Bruce E. Maryanoff

Drug Discovery, Johnson & Johnson Pharmaceutical Research & Development, Spring House, PA 19477-0776, USA

Unprotected amidine- and guanidine-substituted olefins were coupled to various simple aryl iodides using the Heck reaction. This methodology was used to efficiently synthesize a new class of vitronectin receptor antagonists.



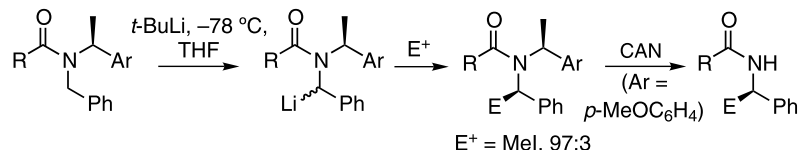
'meso-Selective' functionalisation of *N*-benzyl- α -methylbenzylamine derivatives by α -lithiation and alkylation

Tetrahedron Letters 43 (2002) 1955

Ryan A. Bragg, Jonathan Clayden* and Christel J. Menet

Department of Chemistry, University of Manchester, Oxford Road, Manchester M13 9PL, UK

Lithiation–electrophilic quench of *N*-benzoyl- or *N*-Boc-*N*-benzyl- α -methylbenzylamines is diastereoselective. Methylation gives derivatives of *meso* bis- α -methylbenzylamine; carboxylation and deprotection yields protected phenylglycine.



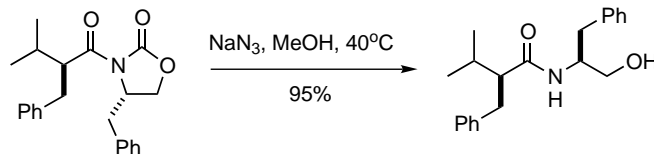
Synthesis of *N*-acyl- β -aminoalcohols from *N*-acyloxazolidinones mediated by sodium azide

Tetrahedron Letters 43 (2002) 1961

Abderrahim Bouzide* and Gilles Sauvé

INRS-Institut Armand Frappier, 531, Boulevard des Prairies, Laval, QC, Canada, H7V 1B7

N-Acyl- β -aminoalcohols were obtained efficiently via a highly endocyclic cleavage of *N*-acyloxazolidinones mediated by sodium azide in methanol at 40°C.

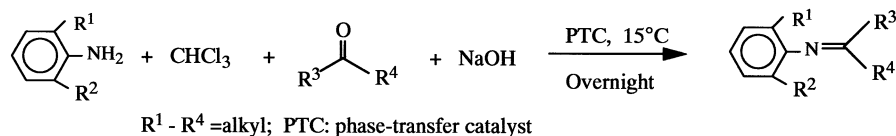


Ketoform reaction. Synthesis of hindered imines from 2,6-dialkylanilines and ketones

Tetrahedron Letters 43 (2002) 1965

John T. Lai*

Noveon, Inc., 9911 Brecksville Rd, Brecksville, OH 44141, USA



Isatin derivatives are reactive electrophilic components for the Baylis–Hillman reaction

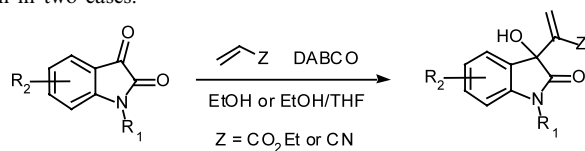
Tetrahedron Letters 43 (2002) 1969

Simon J. Garden^{a,*} and Janet M. S. Skakle^b

^aInstituto de Química, Departamento de Química Orgânica, Universidade Federal do Rio de Janeiro, Ilha do Fundão, Rio de Janeiro, CEP 21945-970, Brazil

^bChemistry Department, University of Aberdeen, Meston Walk, Old Aberdeen AB24 3UE, Scotland, UK

Isatin derivatives give excellent yields of the Baylis–Hillman adducts under *normal* conditions. Single-crystal X-ray structure determination was undertaken in two cases.

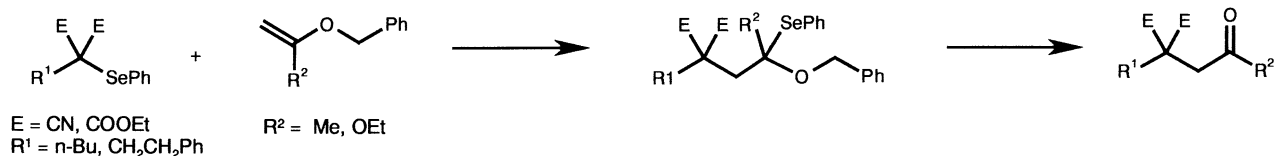


A one-pot radical addition/fragmentation route to ketones and esters

Tetrahedron Letters 43 (2002) 1973

Michael G. Roepel*

Roche Bioscience, Inflammatory and Viral Disease Unit, 3401 Hillview Avenue, Palo Alto, CA 94304, USA

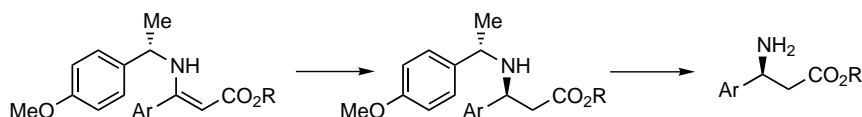


Stereoselective synthesis of β-aryl-β-amino esters

Tetrahedron Letters 43 (2002) 1977

Judith H. Cohen,* Ahmed F. Abdel-Magid, Harold R. Almond, Jr. and Cynthia A. Maryanoff

Johnson & Johnson Pharmaceutical Research & Development, Drug Evaluation, Welsh & McKean Rds, Spring House, PA 19477, USA

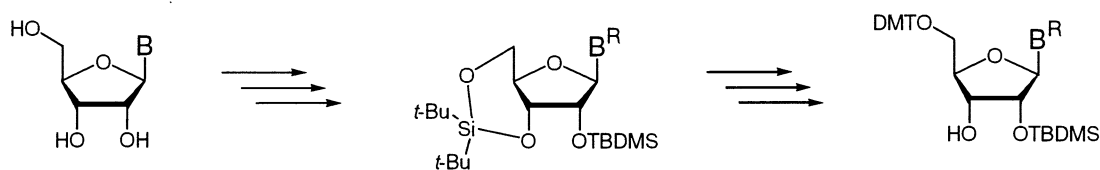


An efficient preparation of protected ribonucleosides for phosphoramidite RNA synthesis

Tetrahedron Letters 43 (2002) 1983

Vladimir Serebryany and Leonid Beigelman*

Department of Organic Chemistry, Ribozyme Pharmaceuticals Inc., 2945 Wilderness Place, Boulder, CO 80301, USA

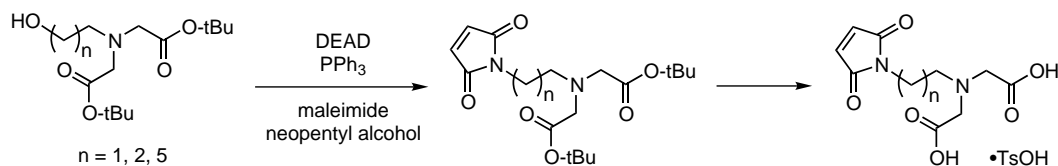


Facile synthesis of maleimide bifunctional linkers

Tetrahedron Letters 43 (2002) 1987

H. Dalton King,* Gene M. Dubowchik and Michael A. Walker*

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



Stereocontrolled synthesis of enantiopure diversely functionalized prototypical piperidinone libraries, and constrained analogs of 4-substituted 2-amino adipic acid

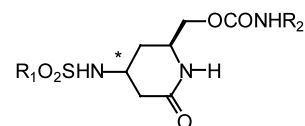
Stephen Hanessian,^{a,*} Mehran Seid^a and Ingemar Nilsson^{a,b,*}

^aDepartment of Chemistry, Université de Montréal, C. P. 6128, Succ. Centre-Ville, Montréal, P.Q., Canada H3C 3J7

^bAstraZeneca, Mölndal, Sweden

Enantiopure 2-substituted 4,5-unsaturated piperidinones were subjected to stereocontrolled nitroalkane additions and the corresponding products were further manipulated to produce 4-aminomethyl derivatives. Diverse substitution led to a set of 4-arylsulfonamides and 2-*O*-carbamates.

Tetrahedron Letters 43 (2002) 1991



R₁ and R₂ = aromatic; *syn/anti*

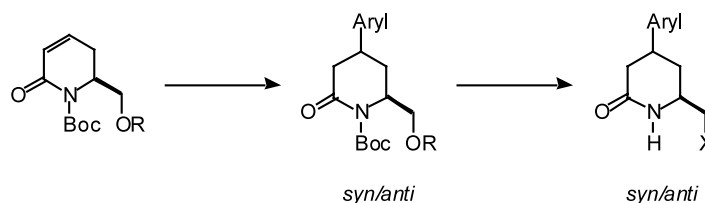
Stereocontrolled synthesis of a prototype library of enantiopure 2,4-disubstituted 4-aryl-6-piperidinones and piperidines

Stephen Hanessian,^{a,*} Willem A. L. van Otterlo,^a Ingemar Nilsson^{b,*} and Udo Bauer^b

^aDepartment of Chemistry, Université de Montréal, C. P. 6128, Succ. Centre-Ville, Montréal, P.Q., Canada H3C 3J7

^bAstraZeneca, Mölndal, Sweden

Tetrahedron Letters 43 (2002) 1995



Unnatural amino acid derived FRET cassettes, terminators and their DNA sequencing potential

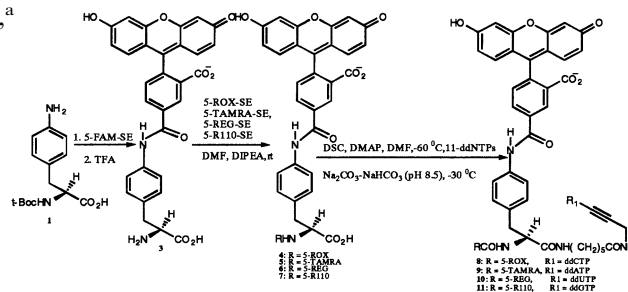
Satyam Nampalli,^{a,*} Weihong Zhang,^a T. Sudhakar Rao,^a Haiguang Xiao,^a Lakshmi P. Kotra^b and Shiv Kumar^a

^aAmersham Biosciences, 800 Centennial Avenue, Piscataway, NJ 08855, USA

^bFaculty of Pharmacy, University of Toronto, 19 Russell Street, Toronto, ONT M5S 2S2, Canada

Highly sensitive, four-color set of FRET terminators (8–11) were synthesized from an unnatural amino acid starting material (1) and their DNA sequencing potential was evaluated.

Tetrahedron Letters 43 (2002) 1999



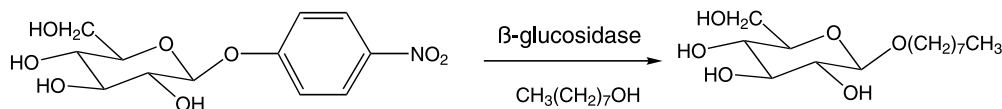
Synthesis of octyl glucopyranoside by almond β -glucosidase adsorbed onto Celite R-640[®]

Alessandra Basso,^a Amélie Ducret,^b Lucia Gardossi^a and Robert Lortie^{b,*}

^aDipartimento di Scienze Farmaceutiche, Università degli Studi di Trieste, Piazzale Europa 1, 34127 Trieste, Italy

^bBiotechnology Research Institute, National Research Council Canada, 6100 Royalmount av., Montreal (Qc), Canada H4P 2R2

Tetrahedron Letters 43 (2002) 2005

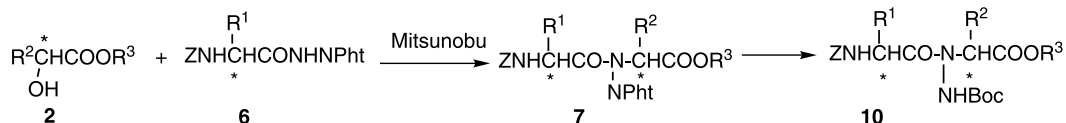


Original and efficient method for the preparation of *N*-aminoamide pseudodipeptides in high optical purity

Tetrahedron Letters 43 (2002) 2009

Nicolas Brosse, Arnaud Grandeury and Brigitte Jamart-Grégoire*

Lab. Chimie organique MAEM UMR mixte CNRS-UHP no 7567, Faculté des Sciences, Université H. Poincaré Nancy I, Bld des Aiguillettes BP 239, F-54506 Vandoeuvre-lès-Nancy Cedex, France

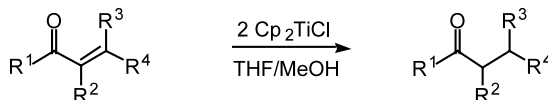


Cp_2TiCl -mediated selective reduction of α,β -unsaturated ketones

Tetrahedron Letters 43 (2002) 2013

Lionel Moisan, Christophe Hardouin, Bernard Rousseau and Eric Doris*

CEA/Saclay, Service des Molécules Marquées, Département de Biologie Cellulaire et Moléculaire, 91191 Gif sur Yvette Cedex, France



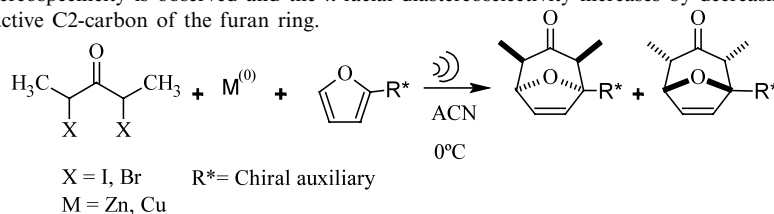
Induction of asymmetry on the [4+3] cycloaddition reaction of C2-functionalized furans

Tetrahedron Letters 43 (2002) 2017

Angel M. Montaña* and Pedro M. Grima

Departamento de Química Orgánica, Universidad de Barcelona, c/Martí i Franquès 1-11, 08028 Barcelona, Spain

The study of the π -facial diastereoselectivity in the [4+3] cycloaddition reaction of 13 chiral 2-substituted furans with oxyallyl cations is presented. A *cis-endo* diastereospecificity is observed and the π -facial diastereoselectivity increases by decreasing the distance between the chiral auxiliary and the reactive C2-carbon of the furan ring.

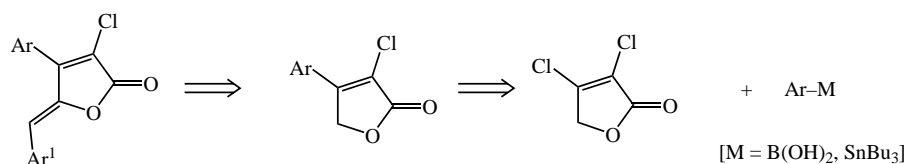


Total synthesis of rubrolide M and some of its unnatural congeners

Tetrahedron Letters 43 (2002) 2023

Fabio Bellina,* Chiara Anselmi and Renzo Rossi*

Dipartimento di Chimica e Chimica Industriale, Via Risorgimento 35, I-56126 Pisa, Italy

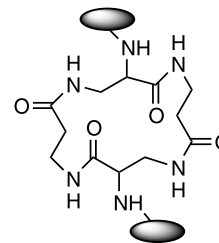


Four-dimensional orthogonal solid-phase synthesis of new scaffolds based on cyclic tetra- β -peptides

Miriam Royo,* Josep Farrera-Sinfreu, Laia Solé and Fernando Albericio*

Departament of Organic Chemistry, University of Barcelona, E-08028 Barcelona, Spain

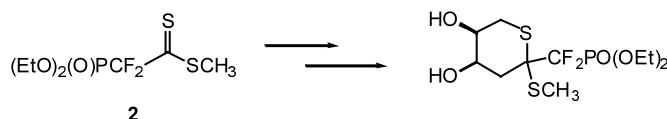
A four-dimensional orthogonal protecting scheme involving the acid labile BAL linker in conjunction with Fmoc, Alloc, and *p*Nb protecting groups, which can be removed through a β -elimination, an allyl transfer, and a reductive hydrolysis, respectively, allows the solid-phase preparation of a scaffolds based on a cyclic tetra- β -peptide with free amino side chains ready for further elaboration.



Synthesis of thiapyranoside precursors using the building-block approach from a phosphonodifluorodithioacetate

Emmanuel Pfund, Thierry Lequeux,* Michel Vazeux and Serge Masson

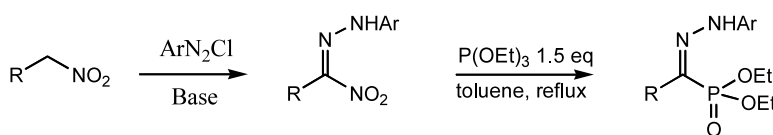
Laboratoire de Chimie Moléculaire et Thio-organique, UMR-CNRS 6507, Université de Caen-ISMRA, 6 Bd du Maréchal Juin, F 14050 Caen, France



α -Nitrohydrazones: versatile intermediates for phosphonate derivatives formation from primary nitro compounds

L. El Kaïm,* L. Grimaud, N. K. Jana and C. Tirla

Laboratoire Chimie et Procédés, Ecole Nationale Supérieure de Techniques Avancées, 32 Bd Victor, 75015 Paris, France

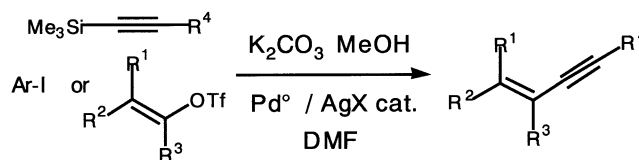


A new mild procedure for the direct coupling of 1-trimethylsilyl acetylenes with vinyl triflates or aryl iodide

Ulla Halbes and Patrick Pale*

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

Enynes can be directly obtained by coupling 1-trimethylsilyl-1-alkynes with vinyl triflates or aryl iodide in the presence of K_2CO_3 and methanol and a catalytic amount of silver salt and $Pd(PPh_3)_4$.

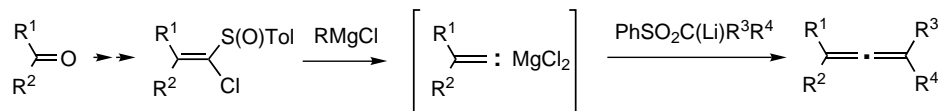


A novel synthesis of allenes by alkenylation of magnesium alkylidene carbenoids with lithium α -sulfonyl carbanions

Tetrahedron Letters 43 (2002) 2043

Tsuyoshi Satoh,* Tatsuya Sakamoto and Masanori Watanabe

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

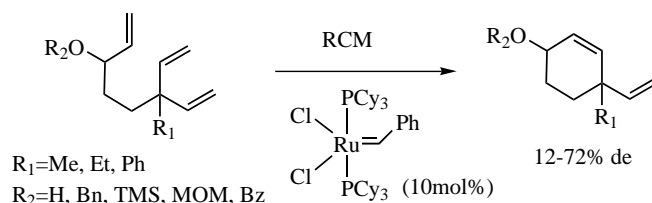


Diastereoselective ring-closing metathesis for the construction of a quaternary carbon stereogenic center

Tetrahedron Letters 43 (2002) 2047

Yu-ichi Fukuda, Hiroyuki Sasaki, Mitsuru Shindo and Kozo Shishido*

Institute for Medicinal Resources, University of Tokushima, 1-78 Sho-machi, Tokushima 770-8505, Japan



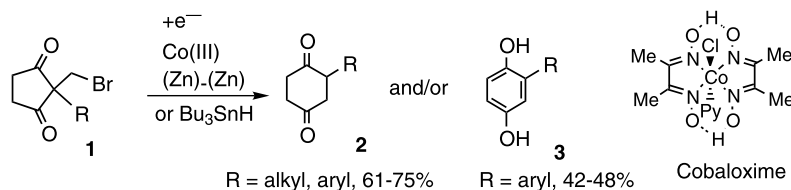
Novel access to cyclohexane-1,4-diones and 1,4-hydroquinones via radical 1,2-acyl rearrangement on 2-(halomethyl)cyclopentane-1,3-diones using cobaloxime-mediated electroreduction or tributyltin hydride

Tetrahedron Letters 43 (2002) 2051

Hiroyuki Kawafuchi^a and Tsutomu Inokuchi^{b,*}

^aDepartment of Chemical and Biochemical Engineerings, Toyama National College of Technology, Hongo-machi, Toyama 939-8630, Japan

^bDepartment of Bioscience and Biotechnology, Faculty of Engineering, Okayama University, Okayama 700-8530, Japan

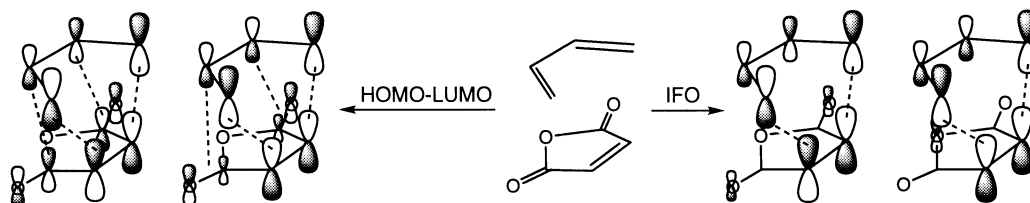


Reexamination of orbital interactions in Diels–Alder reactions

Tetrahedron Letters 43 (2002) 2055

Atsushi Ogawa and Hiroshi Fujimoto*

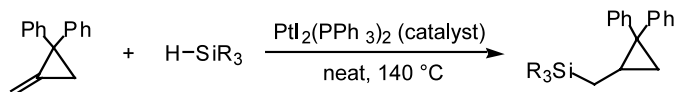
Division of Molecular Engineering, Kyoto University, Kyoto 606-8501, Japan



Platinum complex-catalyzed hydrosilylation of 2,2-diaryl-1-methylenecyclopropane affording (silylmethyl)cyclopropane

Yasushi Nishihara, Masumi Itazaki and Kohtaro Osakada*

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



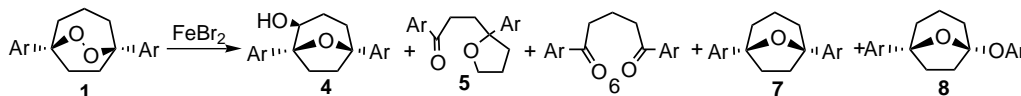
Synthesis, Fe(II)-induced degradation, and antimalarial activities of 1,5-diaryl-6,7-dioxabicyclo[3.2.2]nonanes: direct evidence for nucleophilic O-1,2-aryl shifts

Masaki Kamata,^{a,*} Motoko Ohta,^a Ken-ichi Komatsu,^a Hye-Sook Kim^b and Yusuke Wataya^b

^aDepartment of Chemistry, Faculty of Education and Human Science, Niigata University, Ikarashi, Niigata 950-2181, Japan

^bFaculty of Pharmaceutical Sciences, Okayama University, Tsushima, Okayama 700-8530, Japan

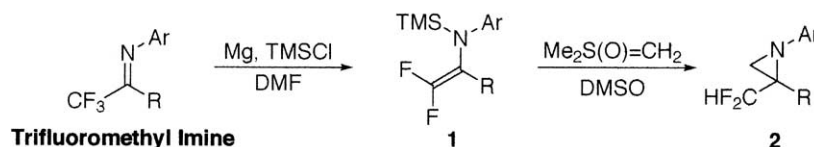
Reactions of **1** with FeBr₂ afforded various rearrangement and fragmentation products. The mechanism was proposed and the antimalarial activities of **1** were evaluated.



A facile synthesis of difluoromethylaziridines

Masayuki Mae, Makoto Matsuura, Hideki Amii and Kenji Uneyama*

Department of Applied Chemistry, Faculty of Engineering, Okayama University, Okayama 700-8530, Japan

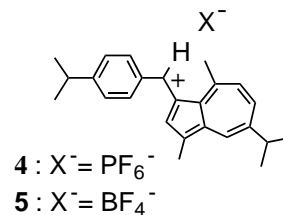


An efficient preparation, the structure and the properties of 1-isopropyl-4-(3-guaiazulenylmethylium)benzene hexafluorophosphate and tetrafluoroborate

Shin-ichi Takekuma,* Mariko Tanizawa, Masato Sasaki, Takuya Matsumoto and Hideko Takekuma

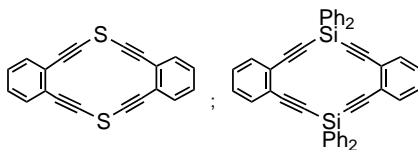
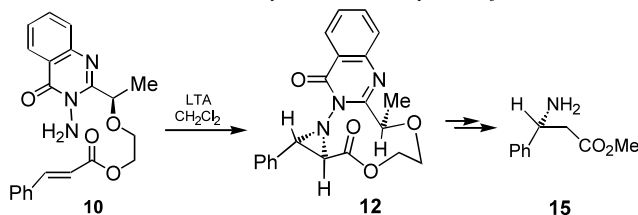
Department of Applied Chemistry, Faculty of Science and Engineering, Kinki University, 3-4-1 Kowakae, Higashi-Osaka-shi, Osaka 577-8502, Japan

Reactions of guaiazulene (**1**) with 4-isopropylbenzaldehyde in acetic acid in the presence of hexafluorophosphoric acid (and tetrafluoroboric acid) at 25 °C for 2 h give the new title monocarbocation compounds, 1-isopropyl-4-(3-guaiazulenylmethylium)benzene hexafluorophosphate (**4**) and the tetrafluoroborate (**5**), respectively. The first X-ray crystallographic analysis of **5** and the properties of **4** and **5** are reported.



Dibenzo macrocyclic acetylenic sulfide and a comparable silane

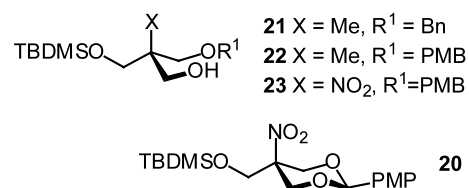
H. Zhang, K. T. Lam, Y. L. Chen, T. Mo, C. C. Kwok, W. Y. Wong, M. S. Wong and Albert W. M. Lee*

Department of Chemistry, Hong Kong Baptist University, Kowloon Tong, Kowloon, Hong Kong, China**Completely diastereoselective aziridination of α,β -unsaturated acids via intramolecular reaction of 3-acetoxyaminoquinazolin-4(3H)-ones**Robert S. Atkinson,^{a,*} Richard D. Draycott,^a David J. Hirst,^a Martin J. Parratt^b and Tony M. Raynham^b^a*Department of Chemistry, Leicester University, Leicester LE1 7RH, UK*^b*Roche Discovery Welwyn, 40 Broadwater Road, Welwyn Garden City, Hertfordshire AL7 3AY, UK***An improved synthesis of 1,1-dimethylethyl 6-cyanomethyl-2,2-dimethyl-1,3-dioxane-4-acetate, a key intermediate for atorvastatin synthesis**

Stanislav Rádl,* Jan Stach and Josef Hajicek

Research Institute of Pharmacy and Biochemistry, Dolni Mecholupy 130, 102 37 Prague, Czech Republic

An improved synthesis of 1,1-dimethylethyl 6-cyanomethyl-2,2-dimethyl-1,3-dioxane-4-acetate, a key intermediate for atorvastatin synthesis is described.

**Synthesis and structure of 2-aryl-5,5-disubstituted-1,3-dioxanes and conversion into chiral (1,1,1-trishydroxymethyl) methane derivatives**John M. Gardiner,^{a,*} Paul Mather,^a Ramy Morjan,^a Robin G. Pritchard,^a John E. Warren,^a Malcolm L. Cooper,^a Abd El-Rahman S. Ferwanah^b and Omar S. Abu-Tiem^b^a*Department of Chemistry, UMIST, Manchester M60 1QD, UK*^b*Department of Chemistry, Al-Azhar University of Gaza, PO Box 1277, Gaza, Palestine*Chiral trishydroxymethylmethanes **21–23** were prepared. X-Ray analysis established the stereostructure of nitroacetal **20**.

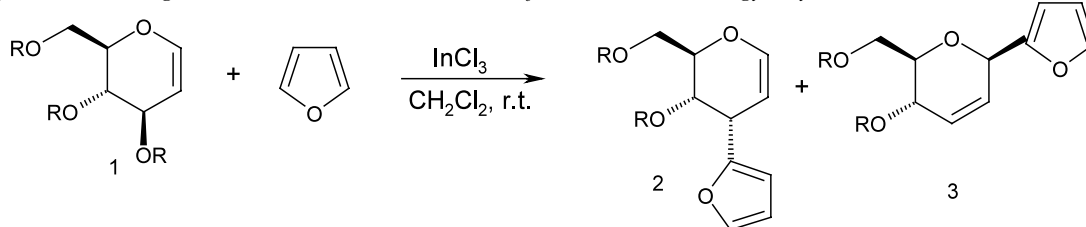
InCl₃-catalyzed stereoselective synthesis of C-glycosyl heteroaromatics

Tetrahedron Letters 43 (2002) 2095

J. S. Yadav,^{a,*} B. V. S. Reddy,^a J. V. Raman,^a N. Niranjan,^a S. Kiran Kumar^b and A. C. Kunwar^b

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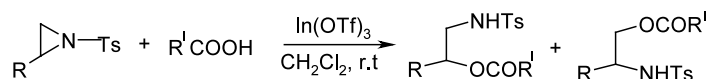


Indium triflate-catalyzed ring opening of aziridines with carboxylic acids

Tetrahedron Letters 43 (2002) 2099

J. S. Yadav,^{*} B. V. Subba Reddy, K. Sadashiv and K. Harikishan

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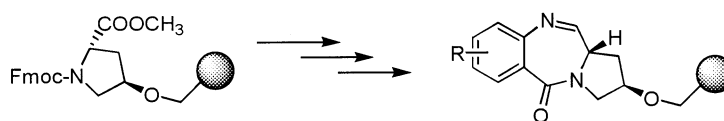


Efficient solid-phase synthesis of DNA-interactive pyrrolo[2,1-c][1,4]benzodiazepine antitumour antibiotics

Tetrahedron Letters 43 (2002) 2103

Ahmed Kamal,^{*} G. Suresh Kumar Reddy, K. Laxma Reddy and Sadagopan Raghavan

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



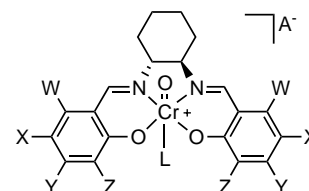
Asymmetric alkene epoxidation with chromium oxo salen complexes. Effect of added phosphoryl ligands

Tetrahedron Letters 43 (2002) 2107

Nessan J. Kerrigan, Ivan J. Langan, Cormac T. Dalton, Adrian M. Daly, Claudine Bousquet and Declan G. Gilheany^{*}

Chemistry Department and Conway Institute of Biomolecular and Biomedical Sciences, University College Dublin, Belfield, Dublin 4, Ireland

L = tris(3,5-dimethylphenyl)phosphine is best, many other P=O compounds are effective, bulky L are bad, no electronic effect in L <1 equiv. L suffices.

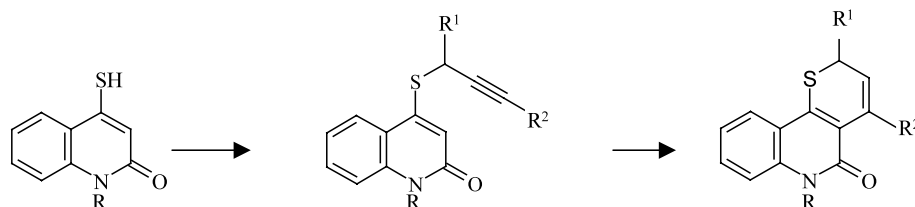


Facile regioselective synthesis of 2*H*-thiopyrano[3,2-*c*]quinolin-5(6*H*)-ones by thio-Claisen rearrangement

Tetrahedron Letters 43 (2002) 2111

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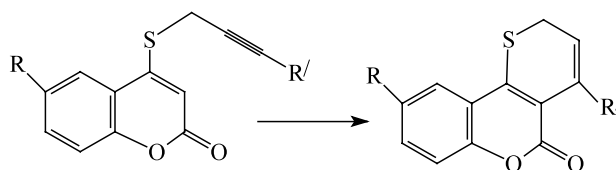


Studies of bioactive heterocycles: facile thio-Claisen rearrangement of propargylthio[1]benzopyran-2-ones

Tetrahedron Letters 43 (2002) 2115

K. C. Majumdar* and S. K. Ghosh

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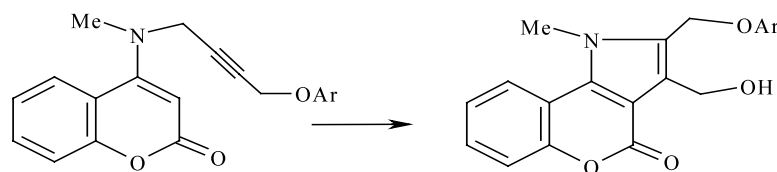


Synthesis of bioactive heterocycles: tandem reaction of 4-*N*-(4'-aryloxybut-2'-ynyl),*N*-methylaminocoumarin with 3-chloroperoxybenzoic acid

Tetrahedron Letters 43 (2002) 2119

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Studies on sulfoxide rearrangements: regioselective synthesis of 3-(aryloxyacetyl)-2,3-dihydrothieno[3,2-*c*][1]benzopyran-4-ones

Tetrahedron Letters 43 (2002) 2123

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