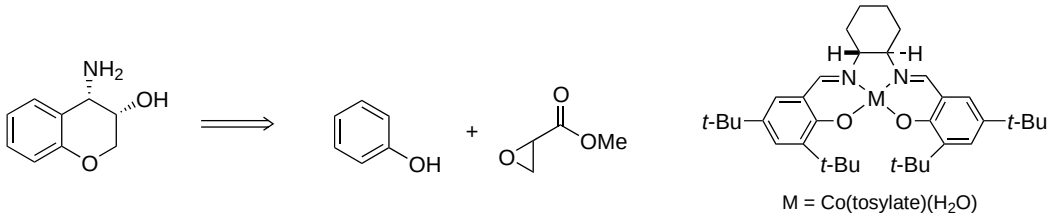
Asymmetric synthesis of *cis*-aminochromanol

Tetrahedron Letters 42 (2001) 8743

Karl B. Hansen,* Philippe Rabbat, Shawn A. Springfield, Paul N. Devine, Edward J. J. Grabowski and Paul J. Reider

Department of Process Research, Merck and Co., PO Box 2000, Rahway, NJ 07765, USA

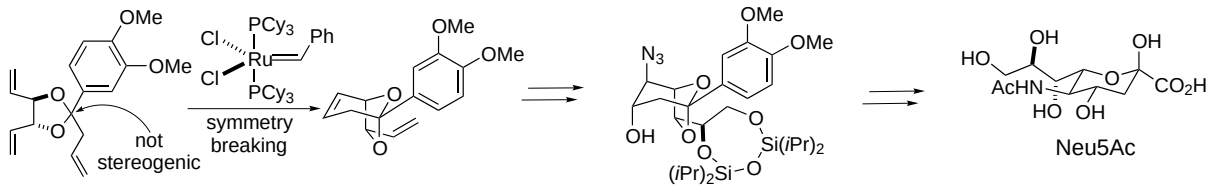


Formal synthesis of (−)-*N*-acetylneuraminic acid (Neu5Ac) via desymmetrization by ring-closing metathesis

Tetrahedron Letters 42 (2001) 8747

Eric A. Voight, Christian Rein and Steven D. Burke*

Department of Chemistry, University of Wisconsin-Madison, 1101 University Avenue, Madison, WI 53706, USA



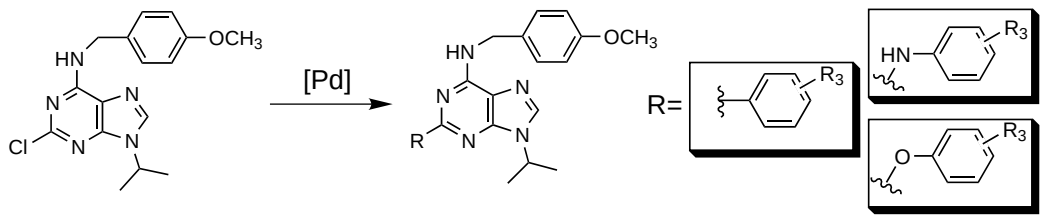
Expanding the diversity of purine libraries

Tetrahedron Letters 42 (2001) 8751

Sheng Ding,[a] Nathanael S. Gray,[b,*] Qiang Ding[b] and Peter G. Schultz[a,b,*]

[a]*Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, 10550 North Torrey Pines Road, La Jolla, CA 92037, USA*

[b]*Genomics Institute of the Novartis Research Foundation, 3115 Merryfield Row, San Diego, CA 92121, USA*



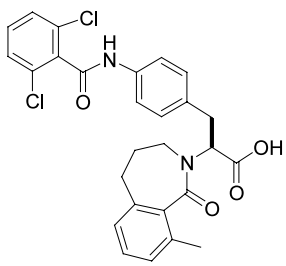
Synthesis of constrained L-phenylalanine derivatives incorporating a benzazepinone or an azepinone ring as VCAM/VLA-4 antagonists

Tetrahedron Letters 42 (2001) 8757

Achyutharao Sidduri,* Jian Ping Lou, Robert Campbell, Karen Rowan and Jefferson W. Tilley

Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ 07110, USA

Novel constrained L-phenylalanine derivatives incorporating a benzazepinone or an azepinone ring were synthesized in 13 and 8 steps, respectively, employing a key base-catalyzed intramolecular cyclization reaction. The product, **2**, was comparable in potency in a VCAM/VLA-4 ELISA assay to the corresponding unconstrained analog **1** suggesting that cyclization favored the bioactive conformation. However, compound **4** was 100-fold less potent than the unconstrained analog **3**.



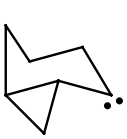
2

Tetrahedron Letters 42 (2001) 8761

Piperidine trapping of conformationally restricted cyclopropylcarbenes

Kristie Fernamberg, John R. Snoonian and Matthew S. Platz*

Department of Chemistry, The Ohio State University, 120 W. 18th Avenue, Columbus, OH 43210, USA

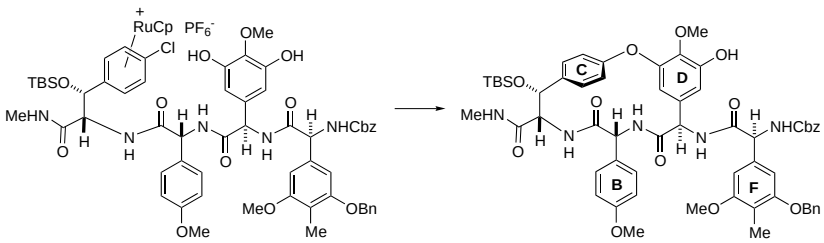
 and  were each formed by photolysis (300 + 436 nm) of the corresponding oxadiazolines, and trapped with piperidine.

Tetrahedron Letters 42 (2001) 8765

Synthetic studies on the BCDF ring system of ristocetin A via ruthenium-promoted S_NAr reaction

Anthony J. Pearson* and Sarunas Zigmantas

Department of Chemistry, Case Western Reserve University, Cleveland, OH 44106, USA



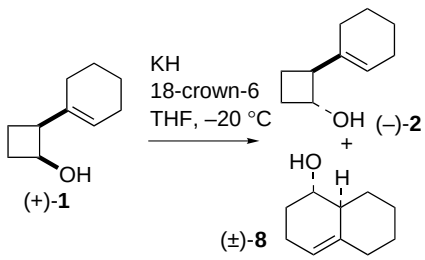
Tetrahedron Letters 42 (2001) 8769

On the stereochemistry of anion-accelerated [1,3]-sigmatropic rearrangement of 2-vinylcyclobutanols

Se-Ho Kim, Sung Yun Cho and Jin Kun Cha*

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

During the course of stereochemical studies on the oxyanion-accelerated [1,3]-sigmatropic rearrangement reactions, epimerization of *cis*-2-(1-cyclohexenyl)cyclobutanol to the *trans*-isomer at –20°C was found to proceed with predominant retention of configuration, the degree of which was enhanced by an increasing amount of 18-crown-6.



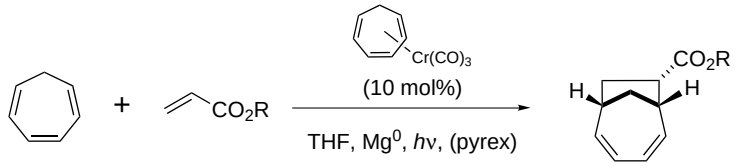
Tetrahedron Letters 42 (2001) 8773

Room temperature chromium(0)-catalyzed higher-order cycloaddition reactions

James H. Rigby,* Larry W. Mann and Brian J. Myers

Department of Chemistry, Wayne State University, Detroit, MI 48202, USA

Room temperature thermal and photochemical chromium(0)-catalyzed higher-order cycloaddition processes are described.

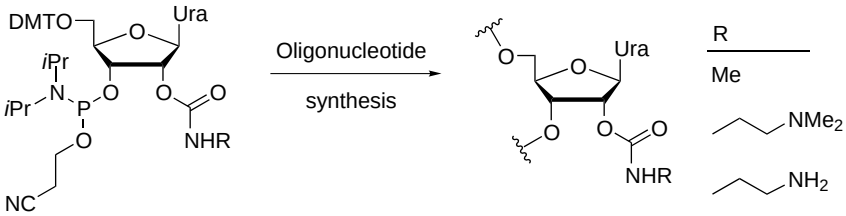


2′-*O*-Carbamate-containing oligonucleotides: synthesis and properties

Tetrahedron Letters 42 (2001) 8777

Marija Prhavc, Elena A. Lesnik, V. Mohan and Muthiah Manoharan*

Department of Medicinal Chemistry, Isis Pharmaceuticals, 2292 Faraday Ave., Carlsbad, CA 92008, USA



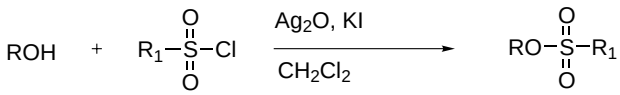
Silver(I) oxide-mediated facile and practical sulfonylation of alcohols

Tetrahedron Letters 42 (2001) 8781

Abderrahim Bouzide,* Nicolas LeBerre and Gilles Sauvé*

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

Primary and secondary alcohols were efficiently sulfonylated in the presence of silver(I) oxide and potassium iodide in dichloromethane.



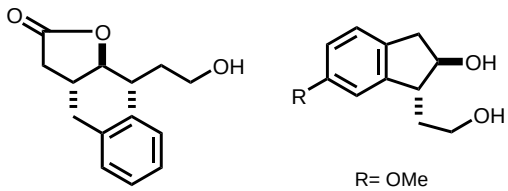
From glyceraldehyde to functionalized enantiopure tetrahydronaphthalenes and indanes

Tetrahedron Letters 42 (2001) 8785

Stephen Hanessian* and Jianguo Ma

Department of Chemistry, Université de Montréal, CP 6128, Succ. Centre-Ville, Montreal, PQ, Canada, H3C 3J7

Tricyclic tetrahydronaphthalenes comprising *cis*- and *trans*-fused lactones and aryl substituted functionalized indanes were synthesized in enantiopure form.



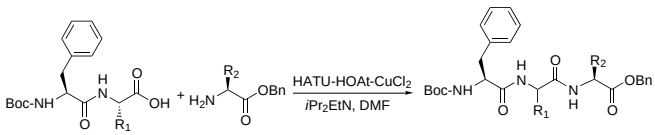
O-(7-Azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate–1-hydroxy-7-azabenzotriazole–copper(II) chloride: a promising epimerization-free segment coupling system for peptide synthesis

Tetrahedron Letters 42 (2001) 8789

Yasuhiro Nishiyama,[a,]* Sou Ishizuka[b] and Keisuke Kurita[b]

[a]*Chemical Immunology and Therapeutics Research Center, Department of Pathology and Laboratory Medicine, University of Texas-Houston Medical School, 6431 Fannin, Houston, TX 77030, USA*

[b]*Department of Applied Chemistry, Faculty of Engineering, Seikei University, Musashino-shi, Tokyo 180-8633, Japan*



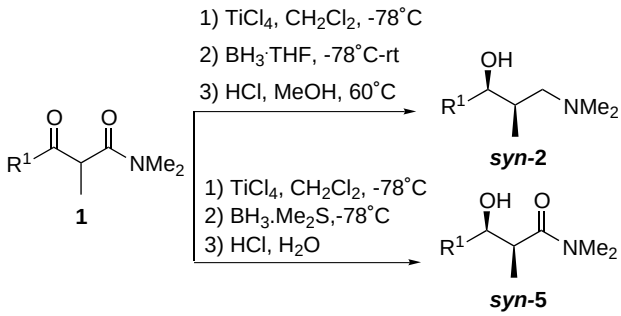
R_1=R_2=iPr: L-D-L 0.4 % (76 % yield)
R_1=Me, R_2=Bn: L-D-L <0.1 % (86 % yield)

One-pot highly stereoselective reduction of β-keto amides to *syn*-γ-aminols

Tetrahedron Letters 42 (2001) 8811

Giuseppe Bartoli,[a,*] Marcella Bosco,[a] Renato Dalpozzo,[b] Enrico Marcantoni,[c] Massimo Massaccesi,[a] Samuele Rinaldi[a] and Letizia Sambri[a]

[a]*Dipartimento di Chimica Organica 'A. Mangini', Università di Bologna, v.le Risorgimento 4, 40136 Bologna, Italy*
[b]*Dipartimento di Chimica, Università della Calabria, Ponte Bucci, I-87030 Arcavacata di Rende (CS), Italy*
[c]*Dipartimento di Scienze Chimiche, Università di Camerino, v. S. Agostino 1, 62032 Camerino (MC), Italy*



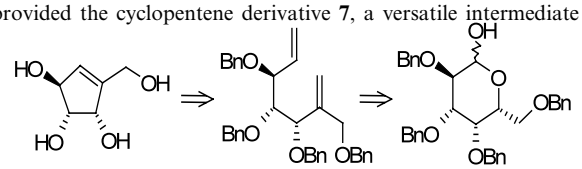
Enantioselective synthesis of carba-L-furanose precursors of carbanucleosides, using ring-closing metathesis

Tetrahedron Letters 42 (2001) 8817

Isabelle Gillaizeau, Steve Charamon and Luigi A. Agrofoglio*

Institut de Chimie Organique et Analytique, ICOA UMR CNRS 6005, UFR Sciences, BP 6759, 45067 Orleans Cedex 2, France

Carba-L-sugars were synthesized in seven steps from known tetra-*O*-benzyl-D-galactopyranose (**3**). Using Schrock's catalyst, the ring-closing metathesis of diene **5** provided the cyclopentene derivative **7**, a versatile intermediate for the synthesis of carbocyclic nucleosides.

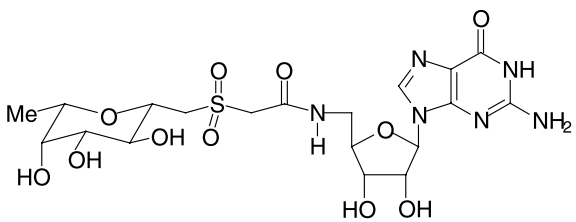


Synthesis of a non-charged analogue of guanosyldiphosphofucose

Tetrahedron Letters 42 (2001) 8821

Gérald Carchon,[a] Françoise Chrétien,[a] Philippe Delannoy,[b] André Verbert[b] and Yves Chapleur[a,*]

[a]*Groupe S.U.C.R.E.S, UMR 7565 CNRS-Université Henri Poincaré-Nancy 1, INCM, BP 239, F-54506 Nancy Vandoeuvre, France*
[b]*Laboratoire de Chimie Biologique, UMR 8576, CNRS Université des Sciences et Technologies de Lille, F-59655 Villeneuve d'Ascq, France*



A *C*-glycosidic analogue of guanosyldiphosphofucose has been synthesized as a potential inhibitor of fucosyltransferases.

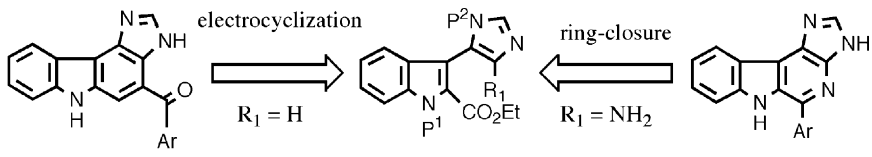
A short route to functionalized imidazo[4,5-*c*]carbazoles. Synthesis of the first example of the imidazo[4,5-*c*]β-carboline ring system

Tetrahedron Letters 42 (2001) 8825

Said Achab,* Khalid Diker and Pierre Potier

Institut de Chimie des Substances Naturelles, CNRS, 91 198 Gif-sur-Yvette, France

A short access to imidazo[4,5-*c*]carbazoles (e.g. **1**) and the unprecedented synthesis of imidazolo[4,5-*c*]β-carboline ring system (e.g. **2**) are presented.



Diastereoselective synthesis and reactions of diorganozinc reagents obtained after hydroborations with 9-BBN-H, thexylborane and catecholborane

Tetrahedron Letters 42 (2001) 8829

Eike Hupe, M. Isabel Calaza and Paul Knochel*

Ludwig-Maximilians-University Munich, Department of Chemistry, Butenandstraße 5-13, 81377 Munich, Germany

The scope of organoboranes can be greatly enhanced by a boron–zinc exchange reaction, providing organozincs that react with a broad range of electrophiles. Diastereomerically enriched diorganozincs can be obtained after hydroborations with 9-BBN-H, thexylborane and catecholborane.

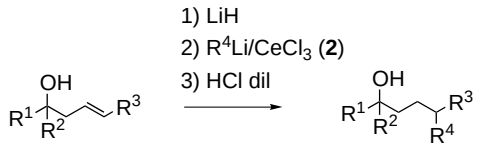
Addition of organocerium reagents to homoallyl alcohols

Tetrahedron Letters 42 (2001) 8833

Giuseppe Bartoli,[a] Renato Dalpozzo,[b,*] Antonio De Nino,[b] Antonio Procopio,[b] Letizia Sambri[a] and Antonio Tagarelli[b]

[a]*Dipartimento di Chimica Organica 'A. Mangini', Università di Bologna, viale Risorgimento 4, I-40136 Bologna, Italy*
[b]*Dipartimento di Chimica, Università della Calabria, ponte Bucci, I-87030 Arcavacata di Rende (Cs), Italy*

Alkylcerium reagents and hydride ions add to multiple bonds of homoallyl alcohols under mild conditions.



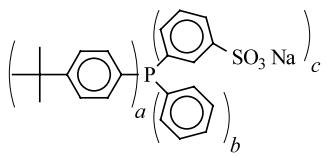
Convenient synthesis of new amphiphilic triphenylphosphine analogues for aqueous biphasic catalysis

Tetrahedron Letters 42 (2001) 8837

Laurent Caron, Michaël Canipelle, Sébastien Tilloy, Hervé Bricout and Eric Monflier*

Université d'Artois, Faculté des Sciences J. Perrin, Rue J. Souvraz, SP 18, 62307 Lens Cedex, France

Three analogues of triphenylphosphine with amphiphilic character were synthesized. In combination with palladium acetate these ligands form active catalysts that promote the cleavage of allyl undecyl carbonate in biphasic medium. One of these catalysts can be recovered six times without loss of activity.



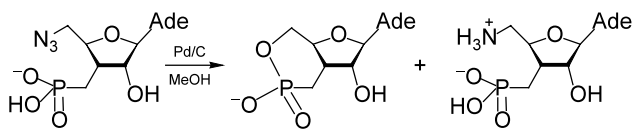
(a, b, c) : (1, 0, 2); (1, 1, 1); (2, 0, 1)

Formation of phostonic acids during the reduction of azidonucleosidephosphonic acids

Tetrahedron Letters 42 (2001) 8841

Michael Morr,[a,*] Christel Kakoschke[a] and Ludger Ernst[b]

[a]*GBF-Gesellschaft für Biotechnologische Forschung, Mascheroder Weg 1, D-38124 Braunschweig, Germany*
[b]*Department of Chemistry, Technical University of Braunschweig, D-38092 Braunschweig, Germany*

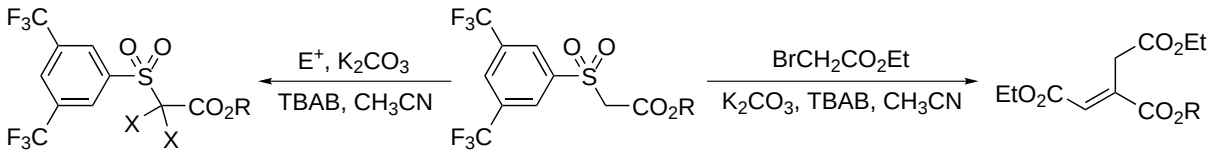


Tetrahedron Letters 42 (2001) 8845

π-Deficient α-arylsulfonyl esters as soft nucleophiles in organic synthesis

Diego A. Alonso, Carmen Nájera* and Montserrat Varea

Departamento de Química Orgánica, Universidad de Alicante, Apartado. 99, 03080 Alicante, Spain

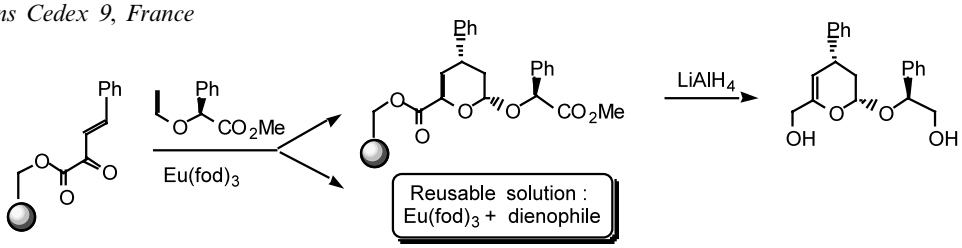


Tetrahedron Letters 42 (2001) 8849

$Eu(fod)_3$-catalyzed solid-phase [4+2] heterocycloadditions: an efficient asymmetric process in catalyst-recycling conditions

Gilles Dujardin,* Stéphane Leconte, Ludovic Coutable and Eric Brown

Laboratoire de Synthèse Organique (associé au CNRS), Faculté des Sciences, Avenue Olivier Messiaen, F-72085 Le Mans Cedex 9, France

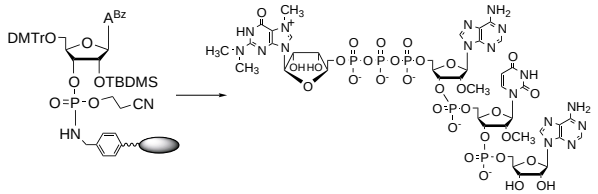


Tetrahedron Letters 42 (2001) 8853

Solid-phase synthesis of a 5′-terminal TMG-capped trinucleotide block of U1 snRNA

Michinori Kadokura,[a] Takeshi Wada,[a] Kohji Seio,[a] Tomohisa Moriguchi,[a] Jochen Huber,[b] Reinhard Lührmann[b] and Mitsuo Sekine[a,*]

[a]*Department of Life Science, Tokyo Institute of Technology, Nagatsuta, Midoriku, Yokohama 226-8501, Japan*
[b]*Institut für Molekularbiologie und Tumorforschung, Philipps-Universität Marburg, Emil-Mannkopff-Straße 2, D-3550 Marburg, Germany*

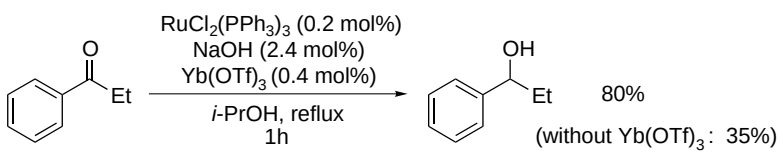


Tetrahedron Letters 42 (2001) 8857

Acceleration of ruthenium(II)- and rhodium(I)-catalyzed hydrogen-transfer reaction by rare earth metal triflates

Hirofumi Matsunaga, Naoko Yoshioka and Takehisa Kunieda*

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

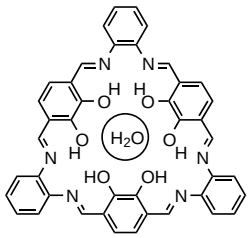


Tetrahedron Letters 42 (2001) 8861

Synthesis and crystal structure of a novel triangular macrocyclic molecule, tris(H_2saloph), and its water complex

Shigehisa Akine, Takanori Taniguchi and Tatsuya Nabeshima*

Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305-8571, Japan

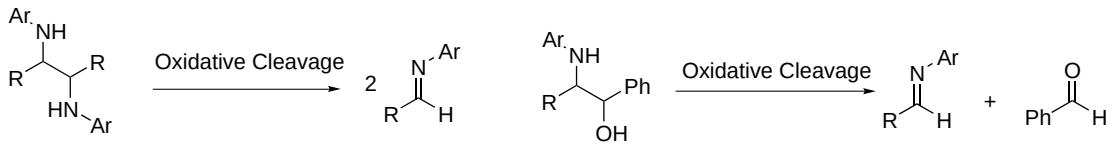


Tetrahedron Letters 42 (2001) 8865

Oxidative carbon–carbon bond cleavage reaction of 1,2-diamines and 1,2-amino alcohols under an oxygen atmosphere

Makoto Shimizu* and Hiroaki Makino

Department of Chemistry for Materials, Mie University, Tsu, Mie 514-8507, Japan



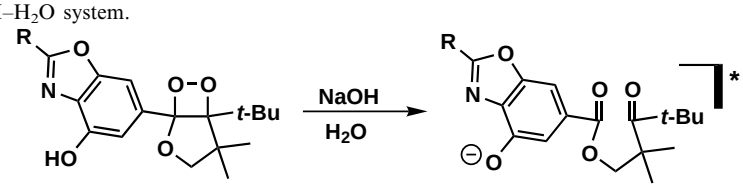
Tetrahedron Letters 42 (2001) 8869

Base-induced chemiluminescence of 5-*tert*-butyl-1-(4-hydroxybenz[*d*]oxazol-6-yl)-4,4-dimethyl-2,6,7-trioxabicyclo[3.2.0]heptanes: chemiluminescence–chemiexcitation profile in aqueous medium

Masakatsu Matsumoto,* Yasuko Mizoguchi, Takuma Motoyama and Nobuko Watanabe

Department of Materials Science, Kanagawa University, Tsuchiya, Hiratsuka, Kanagawa 259-1205, Japan

Bicyclic dioxetanes bearing a 4-hydroxybenz[d]oxazol-6-yl moiety were synthesized, and their base-induced CIEEL was examined in TBAF–acetonitrile or NaOH–H_2O system.

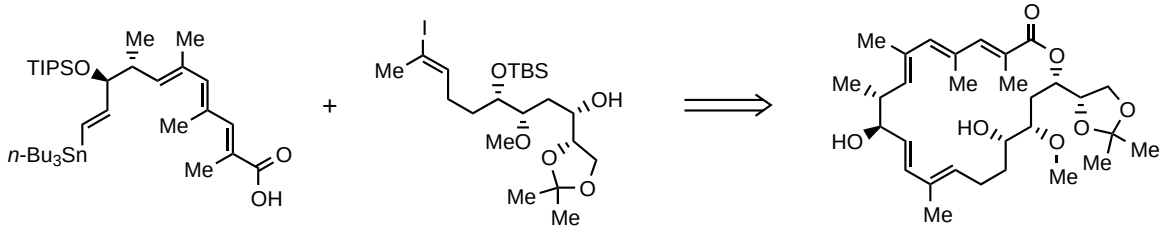


Tetrahedron Letters 42 (2001) 8873

Synthetic studies on apoptolidin: synthesis of the C1–C21 macrolide fragment

Kazunobu Toshima,* Tsuyoshi Arita, Koji Kato, Daisuke Tanaka and Shuichi Matsumura

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

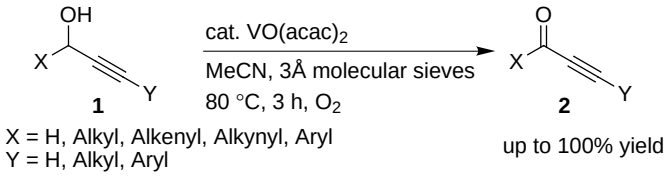


Tetrahedron Letters 42 (2001) 8877

Oxovanadium complex-catalyzed oxidation of propargylic alcohols using molecular oxygen

Yasunari Maeda, Nobuyuki Kakiuchi, Satoshi Matsumura, Takahiro Nishimura and Sakae Uemura*

Department of Energy and Hydrocarbon Chemistry, Graduate School of Engineering, Kyoto University, Sakyo-ku, Kyoto 606-8501, Japan



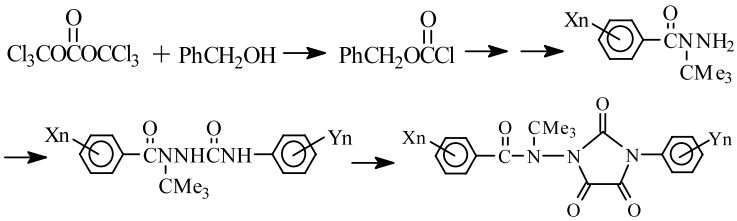
Tetrahedron Letters 42 (2001) 8881

Synthesis and biological activity of novel *N′-tert*-butyl-*N′*-substituted benzoyl-*N*-(substituted phenyl)amino-carbonylhydrazines and their derivatives

Qingmin Wang and Runqiu Huang*

Research Institute of Elemento-Organic Chemistry, State Key Laboratory of Elemento-Organic Chemistry, Nankai University, Tianjin 300071, PR China

A series of novel *N′*-*tert*-butyl-*N′*-substituted benzoyl-*N*-(substituted phenyl)aminocarbonylhydrazines and their derivatives were synthesised and evaluated for molting hormone mimicking activity.

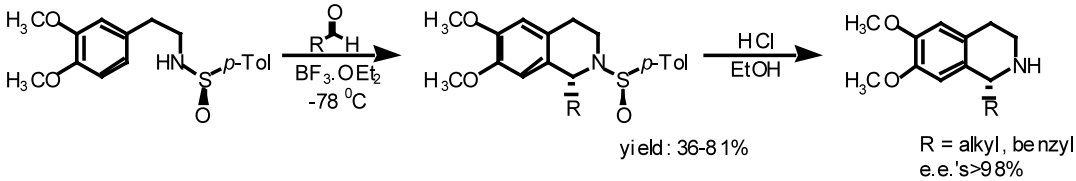


Tetrahedron Letters 42 (2001) 8885

Enantiopure tetrahydroisoquinolines via *N*-sulfinyl Pictet–Spengler reactions

Christiaan Gremmen, Martin J. Wanner and Gerrit-Jan Koomen*

Institute of Molecular Chemistry, University of Amsterdam, Nieuwe Achtergracht 129, NL-1018 WS, Amsterdam, The Netherlands



Tetrahedron Letters 42 (2001) 8889

Synthesis of (4*R**,5*S**)-5-acetylamino-4-diethylcarbamoyl-5,6-dihydro-4*H*-pyran-2-carboxylic acid and its inhibitory action against influenza virus sialidases

Sean A. Kerrigan,[a] Robin G. Pritchard,[a] Paul W. Smith[b] and Richard J. Stoodley[a,*]

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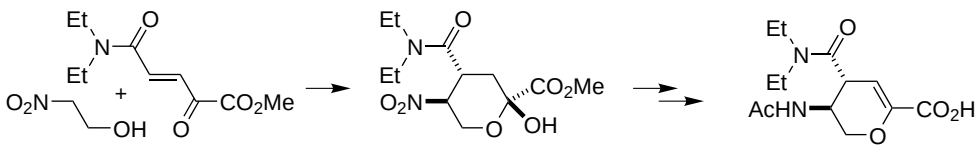
[b]*GlaxoSmithKline, Medicines Research Centre, Gunnels Wood Road, Stevenage, Hertfordshire SG1 2NY, UK*



'Off-template site' intramolecular nitrone cycloaddition (INC) reactions on sugar-derived allylic ethers—a study on the substituent effect and synthesis of furano-pyrans

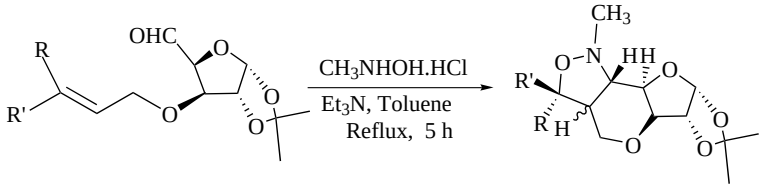
Tetrahedron Letters 42 (2001) 8893

G. V. M. Sharma,[a,*] K. Ravinder Reddy,[a] A. Ravi Sankar[b] and A. C. Kunwar[b]

[a]*D-211, Discovery Laboratory, Organic Chemistry Division III, Indian Institute of Chemical Technology, Hyderabad 500 007, India*

[b]*NMR Group, Indian Institute of Chemical Technology, Hyderabad 500 007, India*

Sugar-derived allylic ethers were converted into new glycosubstances having isoxazolidine ring systems through intramolecular nitrone addition reactions.



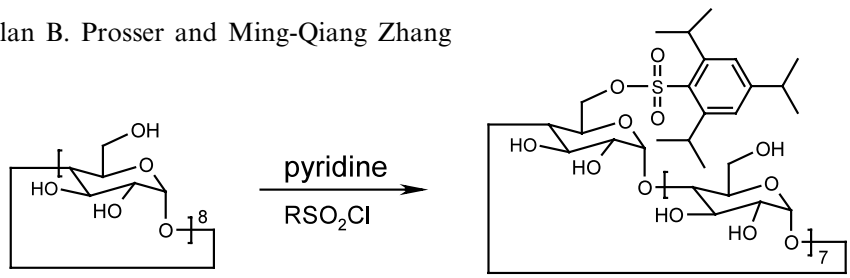
Mono-6-(*O*-2,4,6-triisopropylbenzenesulfonyl)-γ-cyclodextrin, a novel intermediate for the synthesis of mono-functionalised γ-cyclodextrins

Tetrahedron Letters 42 (2001) 8897

Ronald Palin,* Simon J. A. Grove, Alan B. Prosser and Ming-Qiang Zhang

Department of Medicinal Chemistry, Organon Laboratories Ltd., Newhouse ML1 5SH, Scotland, UK

Selective mono C(6) derivitisation of γ-CD in high yield and purity.



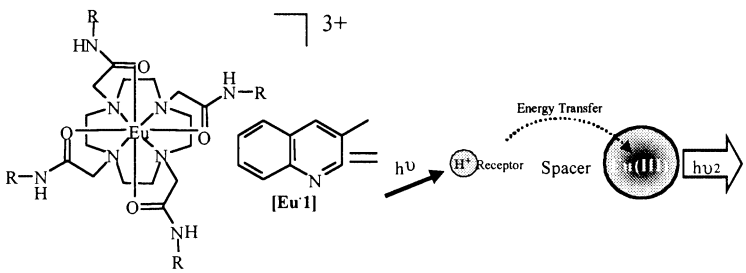
A novel Eu(III)-based luminescent chemosensor: determining pH in a highly acidic environment

Tetrahedron Letters 42 (2001) 8901

Thorfinnur Gunnlaugsson*

Department of Chemistry, Trinity College Dublin, Dublin 2, Ireland

The Eu(III) chemosensor **[Eu·1]** based upon the use of a combined '*receptor–spacer–Eu(III) emitting moiety*', shows pH dependent luminescent enhancement for the Eu(III) emission in highly acidic media between pH 1.5 and 3.



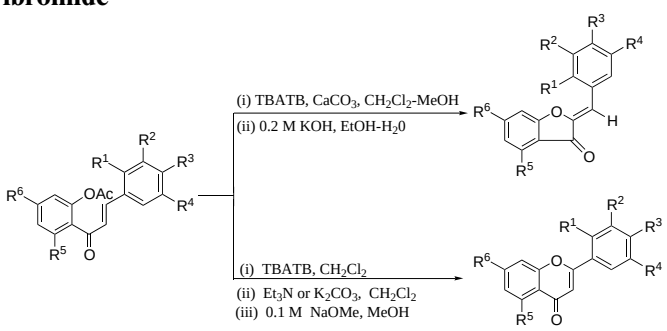
An environmentally benign synthesis of aurones and flavones from 2′-acetoxychalcones using *n*-tetrabutylammonium tribromide

Tetrahedron Letters 42 (2001) 8907

Gopal Bose,[a] Ejabul Mondal,[a] Abu T. Khan[a,*] and Manob J. Bordoloi[b]

[a]*Department of Chemistry, Indian Institute of Technology, Guwahati 781 039, India*

[b]*Natural Products Chemistry Division, Regional Research Laboratory, Jorhat 785 006, India*



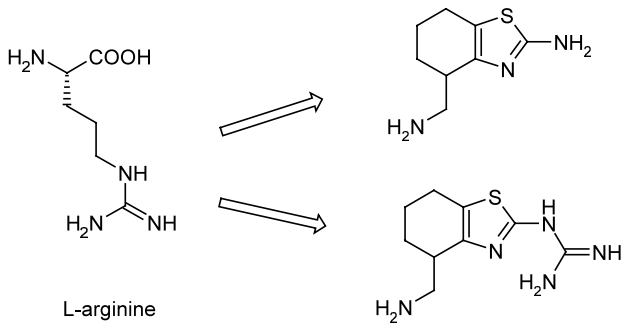
Tetrahedron Letters 42 (2001) 8911

A convenient synthesis of 4-aminomethyl-4,5,6,7-tetrahydro-1,3-benzothiazole arginine side-chain mimetics

Petra Marinko, Jože Kastelic, Aleš Krbavčič and Danijel Kikelj*

University of Ljubljana, Faculty of Pharmacy, Aškerčeva 7, 1000 Ljubljana, Slovenia

A straightforward synthetic pathway to novel 4-(aminomethyl)-4,5,6,7-tetrahydro-1,3-benzothiazole arginine side-chain mimetics bearing an amino group or a guanidino residue at carbon 2 is described.



Tetrahedron Letters 42 (2001) 8915

An expedient and efficient synthetic route to some naturally occurring polyfunctional naphthazarins

Christina L. L. Chai, John A. Elix* and Felicity K. E. Moore

Department of Chemistry, Australian National University, Canberra, ACT 0200, Australia

A concise and versatile route to three naturally occurring polyfunctional naphthazarins, aureoquinone (R = R′ = Me), boryquinone (R = Et, R′ = Me) and 3-ethyl-2,7-dihydroxynaphthazarin (R = Et, R′ = H) is described.