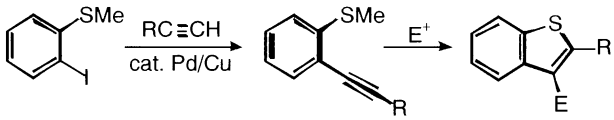
Synthesis of benzo[*b*]thiophenes by electrophilic cyclization

Tetrahedron Letters 42 (2001) 6011

Richard C. Larock* and Dawei Yue

Department of Chemistry, Iowa State University, Ames, IA 50011, USA

2,3-Disubstituted benzo[*b*]thiophenes are readily prepared in excellent yields under very mild reaction conditions by the Pd/Cu-catalyzed cross-coupling of commercially available *o*-iodothioanisole and terminal alkynes, followed by electrophilic cyclization by I_2, Br_2, NBS, *p*-$O_2NC_6H_4SCl$ or PhSeCl.



$E^+ = I_2$, Br_2, NBS, *p*-$O_2NC_6H_4SCl$, PhSeCl

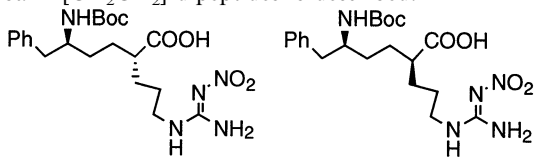
Preparation of 'carba' dipeptides bearing a basic side-chain at the C-terminus: synthesis of enantiopure Boc-D-Phe-Ψ[CH_2CH_2]-L-Arg(NO_2)-OH and Boc-D-Phe-Ψ[CH_2CH_2]-D-Arg(NO_2)-OH

Tetrahedron Letters 42 (2001) 6015

Andrew S. Kende,[a,*] Han-Qing Dong,[a] Adam W. Mazur[b] and Frank H. Ebetino[b]

[a]*Chemistry Department, University of Rochester, Rochester, NY 14627-0216, USA*
[b]*Procter & Gamble Pharmaceuticals, Health Care Research Center, Mason, OH 45040-8006, USA*

A new approach to synthesize 'carba' Ψ[CH_2CH_2] dipeptides is described.



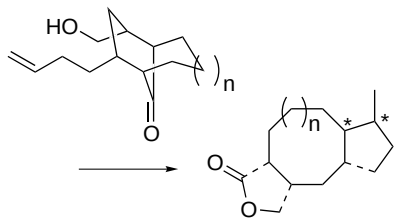
Convenient annulation of bicyclo[6.3.0]undecanes

Tetrahedron Letters 42 (2001) 6019

Kwangho Lee and Jin Kun Cha*

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

A facile, albeit nonstereoselective, method for the construction of a bicyclo[6.3.0]undecane skeleton has been developed by sequential application of the Suárez cleavage and 5-*exo* radical cyclization on the readily available [4+3] cycloadducts of cyclic oxyallyls.



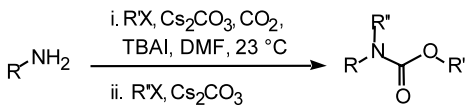
An efficient one-pot synthesis of *N*-alkyl carbamates from primary amines using Cs_2CO_3

Tetrahedron Letters 42 (2001) 6023

Ralph Nicholas Salvatore, Jeremy A. Ledger and Kyung Woon Jung*

Department of Chemistry, University of South Florida, 4202 E. Fowler Avenue, Tampa, FL 33620-5250, USA

Carbamation of primary amines was in situ followed by *N*-alkylation in the presence of cesium carbonate to afford the fully substituted carbamates.



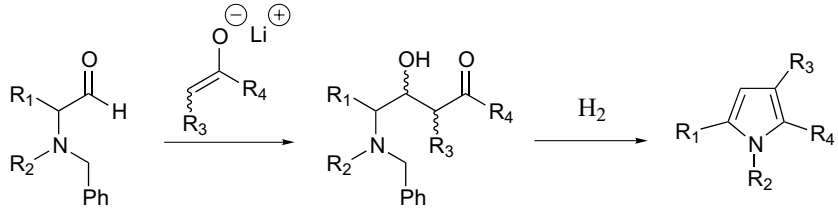
A versatile synthesis of polysubstituted pyrroles

Tetrahedron Letters 42 (2001) 6027

Bharat Lagu,* Meng Pan and Michael P. Wachter

The R. W. Johnson Pharmaceutical Research Institute, Route 202, PO Box 300, Raritan, NJ 08869, USA

The aldol products formed by reaction between α-(*N*-benzyl or *N*-Cbz)amino aldehydes and lithium enolates of various ketones were subjected to hydrogenolysis to give polysubstituted pyrroles in good yield (50–91%). The scope and limitations of this reaction are explored.



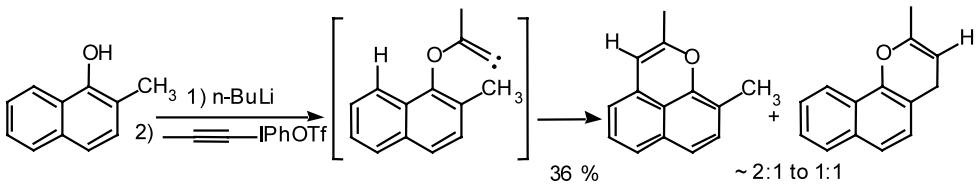
1,6-C–H insertion of alkylidenecarbenes in 1-naphthol and 1-anthrol derivatives

Tetrahedron Letters 42 (2001) 6031

Ken S. Feldman* and Angela L. Perkins

Department of Chemistry, The Pennsylvania State University, University Park, PA 16802, USA

1,6-C–H insertion of naphthol- and anthrol-derived alkylidenecarbenes has been observed in modest yield with substrates that have the more common 1,5-C–H insertion option blocked.

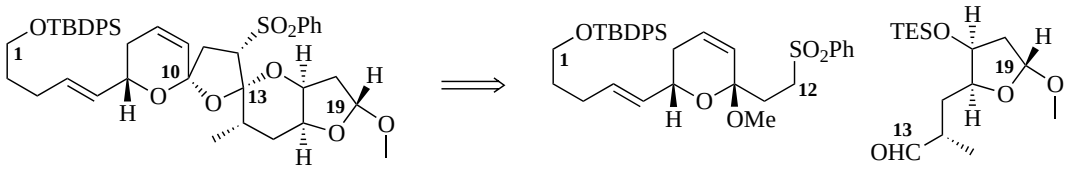


Studies directed toward the total synthesis of azaspiracid. Construction of the C_1–C_{19} carbon backbone and synthesis of the C_{10}, C_{13} nonnatural transoidal bisspirocyclic ring system

Tetrahedron Letters 42 (2001) 6035

Rich G. Carter* and David E. Graves

Department of Chemistry and Biochemistry, University of Mississippi, University, MS 38677, USA



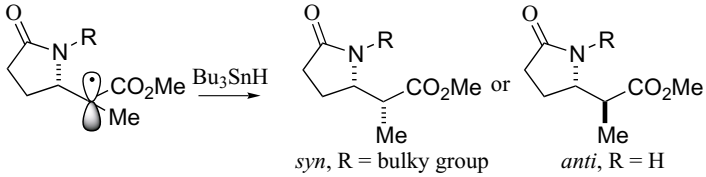
Influence of *N*-substituted lactams on acyclic free radical based hydrogen transfer

Tetrahedron Letters 42 (2001) 6041

Yvan Guindon[a,b,*] and Mohammed Bencheqroun[a]

[a]*Institut de recherches cliniques de Montréal (IRCM), Bio-organic Chemistry Laboratory, 110, avenue des Pins Ouest, Montréal, Québec, Canada H2W 1R7*

[b]*Department of Chemistry and Department of Pharmacology, Université de Montréal, C.P. 6128, succursale Centre-Ville, Montréal, Québec, Canada H3C 3J7*



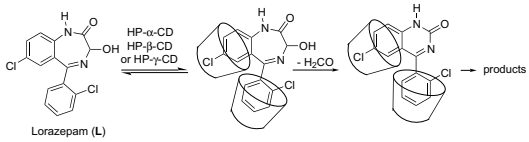
Study of the lorazepam: cyclodextrin inclusion complexes using electrospray ionization mass spectrometry

Tetrahedron Letters 42 (2001) 6077

Renata Kobetić,[a] Branko S. Jursic,[b,*] Sidney Bonnette,[b] Jane S.-C. Tsai[a] and Salamone J. Salvatore[a]

[a]*Roche Diagnostics Corporation, R&D Department, 9115 Hague Road, Indianapolis, IN 46250, USA*
[b]*Department of Chemistry, University of New Orleans, New Orleans, LA 70148, USA*

The cyclodextrin inclusion complexes with lorazepam were studied by electrospray ionization mass spectroscopy (ES-MS). It was concluded that in highly concentrated aqueous media the higher order inclusion complexes with an elimination of formaldehyde were formed.

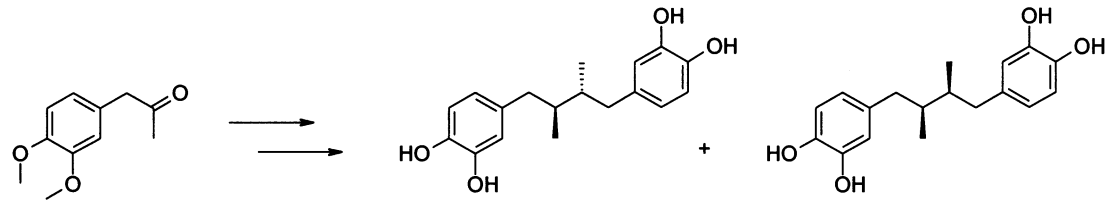


A short synthetic route to nordihydroguaiaretic acid (NDGA) and its stereoisomer using Ti-induced carbonyl-coupling reaction

Tetrahedron Letters 42 (2001) 6083

Mikail H. Gezginci and Barbara N. Timmermann*

Department of Pharmacology and Toxicology, Division of Medicinal and Natural Products Chemistry, College of Pharmacy, University of Arizona, Tucson, AZ 85721, USA

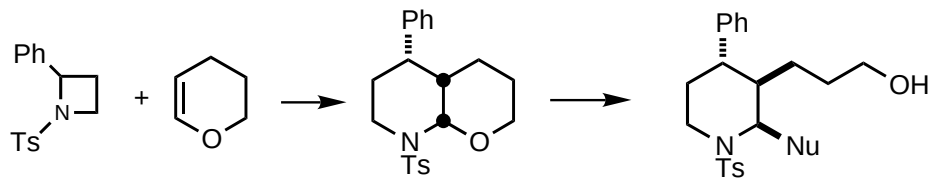


The reactivity of *N*-tosylphenylaziridine versus *N*-tosylphenylazetidine in heterocyclization reactions

Tetrahedron Letters 42 (2001) 6087

Ioana Ungureanu, Philippe Klotz, Angèle Schoenfelder and André Mann*

Laboratoire de Pharmacochimie de la Communication Cellulaire, Faculté de Pharmacie, 74 route du Rhin, BP 24, F-67401 Illkirch, France

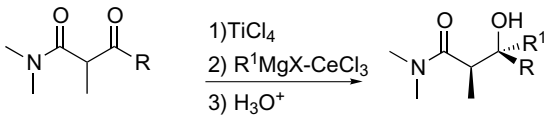


Highly stereoselective titanium-mediated addition of organocerium reagents to β-keto amides: an efficient synthesis of stereodefined β-hydroxy amides having a tertiary alcoholic fragment

Tetrahedron Letters 42 (2001) 6093

Giuseppe Bartoli,[a,*] Marcella Bosco,[a] Enrico Marcantoni,[b] 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 Scienze Chimiche, Università di Camerino, v. S. Agostino 1, 62032 Camerino (MC), Italy*



1a: R= Ph
1b: R= Et

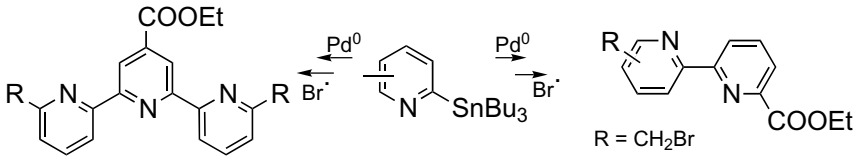
3

Tetrahedron Letters 42 (2001) 6113

Synthesis of bisfunctionalized-oligopyridines bearing an ester group

Gilles Ulrich,* Sébastien Bedel, Claude Picard and Pierre Tisnès

Laboratoire de Synthèse et Physico-chimie de Molécules d'Intérêt Biologique, UMR 5068, Université Paul Sabatier, 118 rte de Narbonne 31062 Cedex 4, Toulouse, France

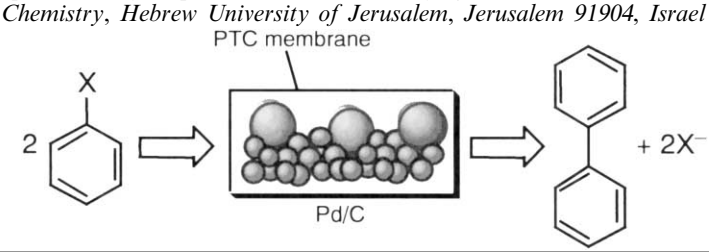


Tetrahedron Letters 42 (2001) 6117

Supported phase-transfer catalysts as selective agents in biphenyl synthesis from haloaryls

Sudip Mukhopadhyay,[a] Gadi Rothenberg,[b] Nida Qafisheh[c] and Yoel Sasson[c,*]

[a]*Chemical Engineering Department, University of California at Berkeley, Berkeley, CA 94720, USA*
[b]*York Green Chemistry Group, Chemistry Department, The University of York, Heslington, York YO10 5DD, UK*
[c]*Casali Institute of Applied Chemistry, Hebrew University of Jerusalem, Jerusalem 91904, Israel*

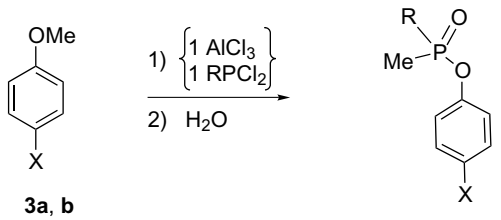


Tetrahedron Letters 42 (2001) 6121

One-pot synthesis of unsymmetrical aryl methylphosphinates by insertion of dichlorophosphines into the O–Me bond of anisoles

Graziano Baccolini* and Carla Boga

Dipartimento di Chimica Organica, Università, Viale Risorgimento 4, I-40136 Bologna, Italy



3a, **b**
a, X = Me
b, X = H

7a, b (R= Ph)
8a, **b** (R= Cyclohexyl)

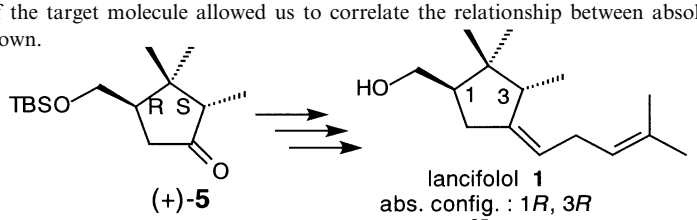
Tetrahedron Letters 42 (2001) 6125

First enantioselective total synthesis of both enantiomers of lancifolol. Correlation: absolute configuration/specific rotation

Jean-Marie Galano, Gérard Audran and Honoré Monti*

Laboratoire de Réactivité Organique Sélective UMR 6516 Faculté des Sciences de St-Jérôme (case 551), Avenue Escadrille Normandie-Niemen, 13397 Marseille Cedex 20, France

Enantioselective synthesis of the target molecule allowed us to correlate the relationship between absolute configuration and specific rotation, to date both unknown.



(+)-**5**

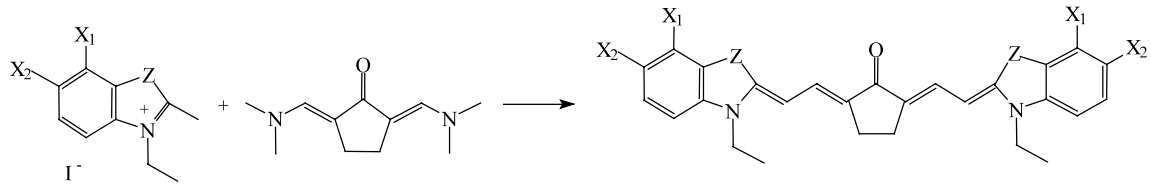
lancifolol **1**
abs. config. : 1*R*, 3*R*
specific rotation : $[\alpha]^{25}_D$ = -13 (*c* 1.0, $CHCl_3$)

Novel synthesis of ketocyanine dyes

Tetrahedron Letters 42 (2001) 6129

Serguei Miltsov, Cristina Encinas and Julián Alonso*

Grup deSensors i Biosensors, Unitat de Química Analítica, Facultat de Ciències, Universitat Autònoma de Barcelona, 08193 Bellaterra, Spain



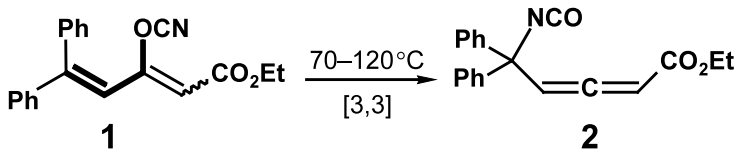
The first direct observation of an allylic [3,3] sigmatropic cyanate–isocyanate rearrangement

Tetrahedron Letters 42 (2001) 6133

Klaus Banert* and Antje Melzer

Chemnitz University of Technology, Institute of Chemistry, Strasse der Nationen 62, D-09111 Chemnitz, Germany

After isolation of the substituted allyl cyanate **1**, the activation parameters of the irreversible isomerization **1**→**2** were determined.

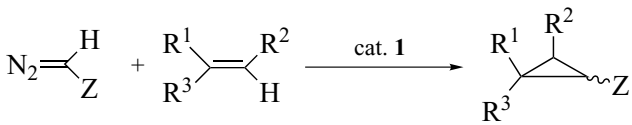


Ruthenium(I)-catalyzed cyclopropanation reactions with (trimethylsilyl)diazomethane and aryldiazomethanes

Tetrahedron Letters 42 (2001) 6137

Gerhard Maas* and Jürgen Seitz

Division of Organic Chemistry I, Albert-Einstein-Allee 11, D-89081 Ulm, Germany



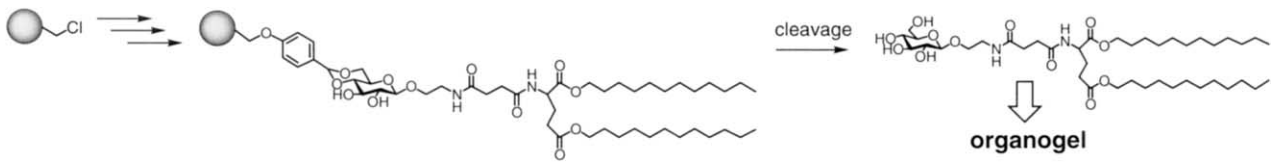
Z = Me_3Si, Ar **1**: $[Ru_2(CO)_4(\mu\text{-}OAc)_2]_n$

Solid-phase lipid synthesis (SPLS)-2: incidental discovery of organogelators based on artificial glycolipids

Tetrahedron Letters 42 (2001) 6141

Itaru Hamachi,* Shigeki Kiyonaka and Seiji Shinkai

Department of Chemistry and Biochemistry, Graduate School of Engineering, Kyushu University, Fukuoka, 812-8581, Japan

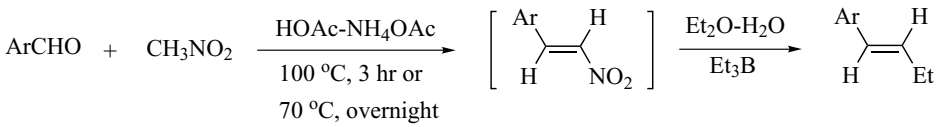


One-pot synthesis of *trans*-β-alkylstyrenes

Tetrahedron Letters 42 (2001) 6147

Ju-Tsung Liu and Ching-Fa Yao*

Department of Chemistry, National Taiwan Normal University, 88, Sec. 4, Tingchow Road, Taipei, Taiwan 116, ROC



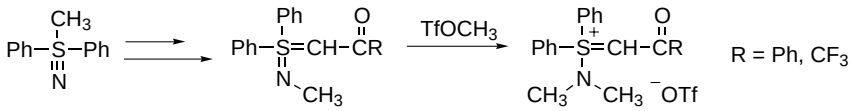
Synthesis, structure, and reactivity of iminosulfonium ylides bearing an α-carbonyl group

Tetrahedron Letters 42 (2001) 6151

Takayoshi Fujii,[a,*] Tetsuya Suzuki,[a] Takashi Sato,[a] Ernst Horn[b] and Toshiaki Yoshimura[a,*]

[a]*Department of Material Systems Engineering and Life Science, Faculty of Engineering, Toyama University, Gofuku, Toyama 930-8555, Japan*

[b]*Department of Chemistry, Rikkyo University, 3-34-1 Nishi-Ikebukuro, Toshima-ku, Tokyo 171-8501, Japan*

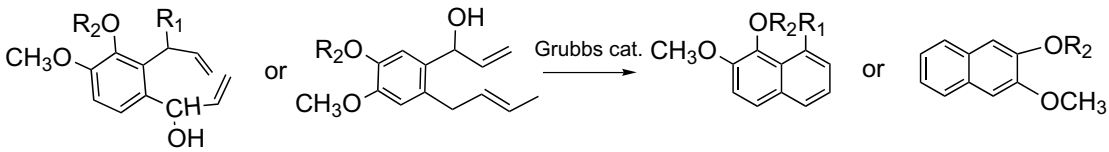


A novel synthesis of substituted naphthalenes via Claisen rearrangement and RCM reaction

Tetrahedron Letters 42 (2001) 6155

Keng-Shiang Huang and Eng-Chi Wang*

School of Chemistry, Kaohsiung Medical University, Kaohsiung City 807, Taiwan

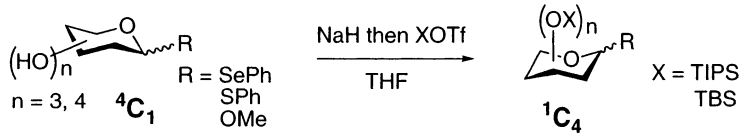


An efficient method for preparing fully *O*-silylated pyranoses conformationally restricted in the unusual $^1C_4$-form

Tetrahedron Letters 42 (2001) 6159

Hiroshi Abe, Satoshi Shuto,* Satoru Tamura and Akira Matsuda

Graduate School of Pharmaceutical Sciences, Hokkaido University, Kita-12, Nishi-6, Kita-ku, Sapporo 060-0812, Japan

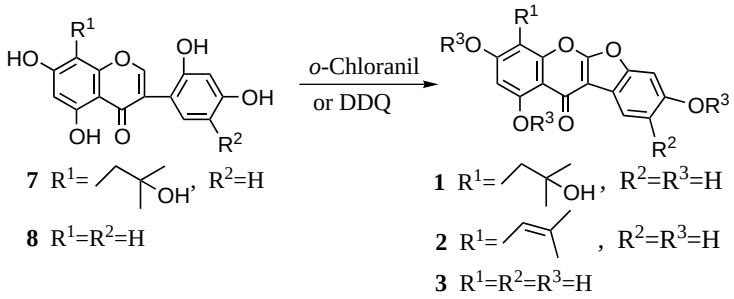


Facile synthesis of polyhydroxycoumaronochromones with quinones: synthesis of alkylpolyhydroxy- and alkoxycoumaronochromones from 2′-hydroxyisoflavones

Tetrahedron Letters 42 (2001) 6163

Masao Tsukayama,* Akihiro Oda, Yasuhiko Kawamura, Masaki Nishiuchi and Kazuyo Yamashita

Department of Chemical Science and Technology, Faculty of Engineering, The University of Tokushima, Minamijosanjima, Tokushima 770-8506, Japan



7 R^1= , R^2=H

8 R^1=R^2=H

1 R^1= , R^2=R^3=H

2 R^1= , R^2=R^3=H

3 R^1=R^2=R^3=H

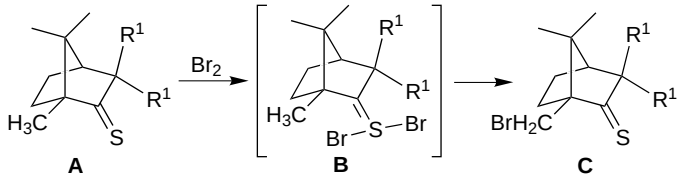
Regioselective monohalogenation of 3,3-disubstituted bornane-2-thiones via thione–dihalogen complexes

Tetrahedron Letters 42 (2001) 6167

Kazuaki Shimada,[a,*] Takashi Nanae,[a] Shigenobu Aoyagi,[a] Yuji Takikawa[a] and Chizuko Kabuto[b]

[a]*Department of Chemical Engineering, Faculty of Engineering, Iwate University, Morioka, Iwate 020-8551, Japan*
[b]*Instrumental Analysis Center for Chemistry, Graduate School of Science, Tohoku University, Sendai, Miyagi 980-8578, Japan*

Reaction of 3,3-disubstituted bornane-2-thiones **A** with 1 molar amount of Br_2 afforded 10-bromobornane-2-thiones **C** in almost quantitative yields. The regioselective bromination of **A** was assumed to proceed through intermediary dibromosulfuranes **B**.

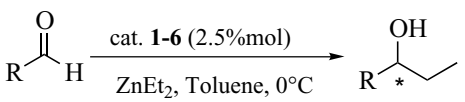


Synthesis of C_2-symmetrical bis-β-amino alcohols and their application in the enantioselective addition of diethylzinc to aldehydes

Tetrahedron Letters 42 (2001) 6171

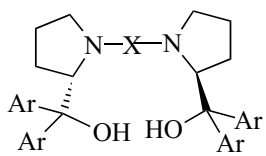
Qianyong Xu,[a] Hui Wang,[a] Xinfu Pan,[a,*] Albert S. C. Chan[b] and Teng-kuei Yang[c]

[a]*Department of Chemistry, National Laboratory of Applied Organic Chemistry, Lanzhou University, Lanzhou 730000, PR China*
[b]*Open Laboratory of Chirotechnology and Department of Applied Biology and Chemical Technology, The Hong Kong Polytechnic University, Hong Kong*
[c]*Department of Chemistry, National Chung-Hsing University, Taichung, Taiwan*



up to 95.4% e.e.

cat.**1-6**

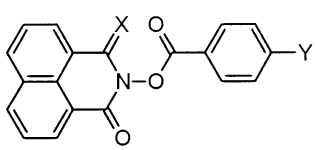


N-Aroyloxynaphthalimides as novel highly efficient DNA photocleavers: substituent effects

Tetrahedron Letters 42 (2001) 6175

Xuhong Qian,[a,*] Wei Yao,[b] Gang Chen,[b] Xiayu Huang[b] and Ping Mao[b]

[a]*State Key Laboratory of Fine Chemicals, Dalian University of Technology, Dalian 116012, China*
[b]*Institute of Pesticides & Pharmaceuticals, East China University of Science and Technology, Shanghai 200237, China*



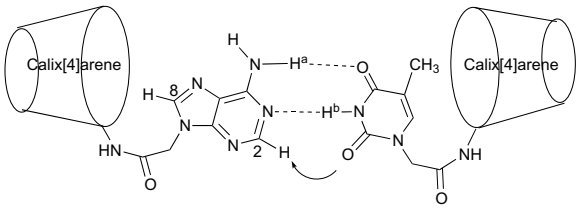
C1 X=S, Y=H
C2 X=S, Y=F
C3 X=S, Y=Cl
C4 X=S, Y=CH_3
C5 X=S, Y=CH_3O
C6 X=O, R=H

Tetrahedron Letters 42 (2001) 6179

The self-assembly of calix[4]arene derivatives based on an A-T base pairing

Cheng-Chu Zeng, Ya-Ling Tang, Qi-Yu Zheng, Li-Jun Huang, Bin Xin and Zhi-Tang Huang*

Center for Molecular Science, Institute of Chemistry, Chinese Academy of Sciences, Beijing 100080, China



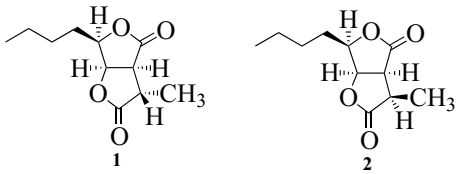
Tetrahedron Letters 42 (2001) 6183

Radical-mediated stereoselective synthesis of (+)-dihydrocanadensolide and (−)-3-epi-dihydrocanadensolide from D-xylose

G. V. M. Sharma* and T. Gopinath

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

The synthesis of dihydrocanadensolide and its C-3 epimer, through an intramolecular radical cyclisation protocol, is described.

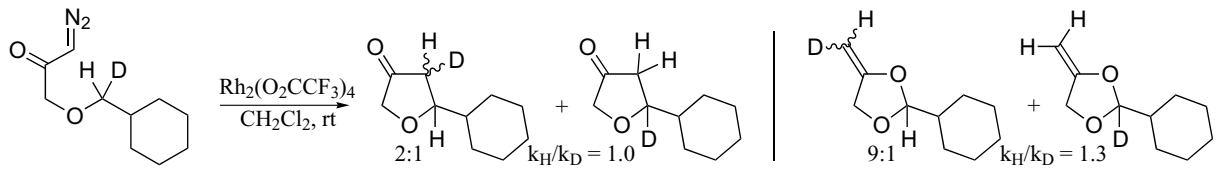


Tetrahedron Letters 42 (2001) 6187

Probing the mechanism of the anomalous intramolecular C–H insertion reaction of rhodium carbenoids by analysis of kinetic isotope effects

J. Stephen Clark,* Yung-Sing Wong and Robert J. Townsend

School of Chemistry, University of Nottingham, University Park, Nottingham NG7 2RD, UK



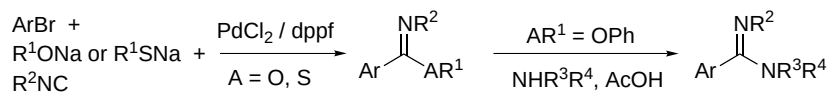
Tetrahedron Letters 42 (2001) 6191

Palladium-catalysed synthesis of imidates, thioimidates and amidines from aryl halides

C. Gustaf Saluste,[a] Richard J. Whitby[a,*] and Mark Furber[b]

[a]*Department of Chemistry, Southampton University, Southampton, Hants. SO17 1BJ, UK*

[b]*AstraZeneca Charnwood, Department of Medicinal Chemistry, Bakewell Road, Loughborough, Leics. LE11 5RH, UK*

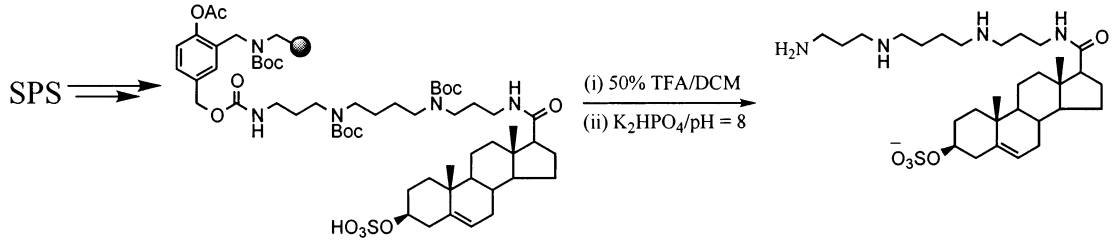


A new bio-compatible pH cleavable linker for solid-phase synthesis of a squalamine analogue

Tetrahedron Letters 42 (2001) 6211

Bordin Chitkul, Butrus Atrash and Mark Bradley*

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



Direct synthesis of calixarenes with extended arms: *p*-phenylcalix-[4,5,6,8]arenes and their water-soluble sulfonated derivatives

Tetrahedron Letters 42 (2001) 6215

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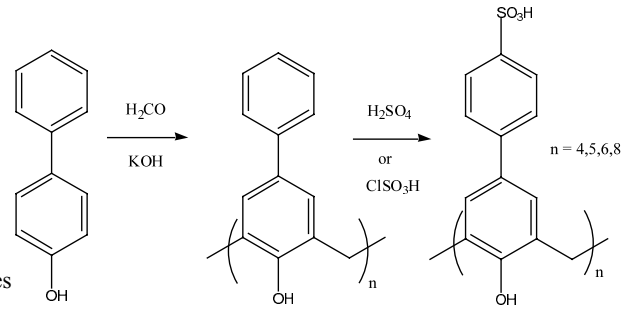
p-Phenylcalix[4,5,6,8]arenes have been isolated from the base-catalysed condensation of *p*-phenylphenol with formaldehyde in tetralin and converted to the corresponding sulfonated derivatives using sulfuric or chlorosulfonic acids.



Convergent synthesis of the EFGH ring fragment of ciguatoxin CTX3C

Tetrahedron Letters 42 (2001) 6219

Hiroto Imai, Hisatoshi Uehara, Masayuki Inoue, Hiroki Oguri, Tohru Oishi and Masahiro Hirama*

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