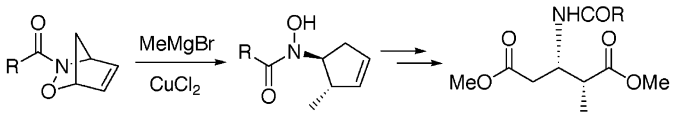
Novel α-substituted β-amino diesters from acylnitroso-derived hetero-Diels–Alder cycloadducts

Tetrahedron Letters 43 (2002) 1131

Matthew D. Surman, Mark J. Mulvihill and Marvin J. Miller*

Department of Chemistry and Biochemistry, University of Notre Dame Notre Dame, IN 46556, USA



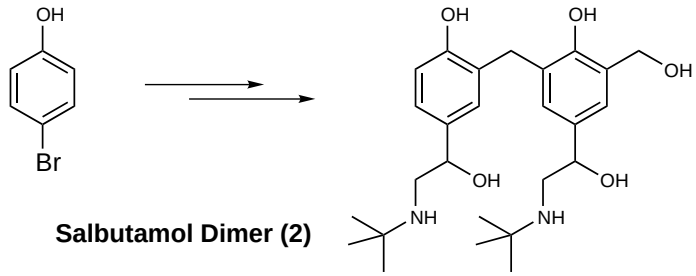
Synthesis of a salbutamol dimer

Tetrahedron Letters 43 (2002) 1135

Nizar Haddad,* Yibo Xu, James A. Baron and Nathan K. Yee

Department of Chemical Development, Boehringer Ingelheim Pharmaceuticals Inc, 900 Ridgebury Rd./PO Box 368, Ridgefield, CT 06877-0368, USA

Synthesis of the diastereomeric mixture of Salbutamol dimer (**2**) confirms the proposed structure and provides access to multigram scale.

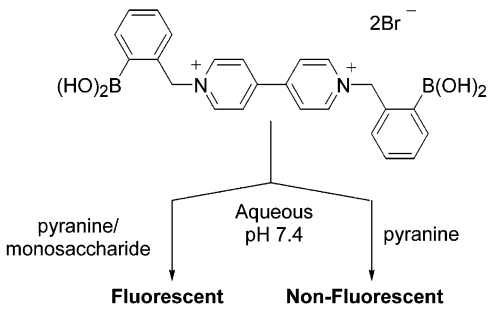


Boronic acid substituted viologen based optical sugar sensors: modulated quenching with viologen as a method for monosaccharide detection

Tetrahedron Letters 43 (2002) 1139

Jason N. Camara, Jeff T. Suri, Frank E. Cappuccio, Ritchie A. Wessling and Bakthan Singaram*

Department of Chemistry and Biochemistry, University of California, Santa Cruz, Santa Cruz, CA 95064, USA

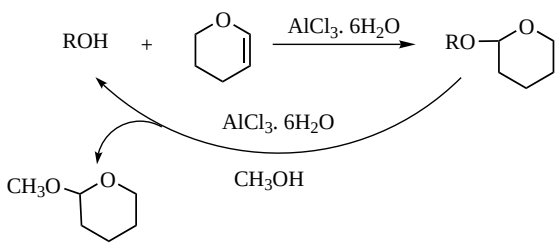


Solvent-free tetrahydropyranylation (THP) of alcohols and phenols and their regeneration by catalytic aluminum chloride hexahydrate

Tetrahedron Letters 43 (2002) 1143

Vasudevan V. Namboodiri and Rajender S. Varma*

Clean Processes Branch, National Risk Management Research Laboratory, US Environmental Protection Agency, MS 443, 26 W. Martin Luther King Drive, Cincinnati, OH 45268, USA



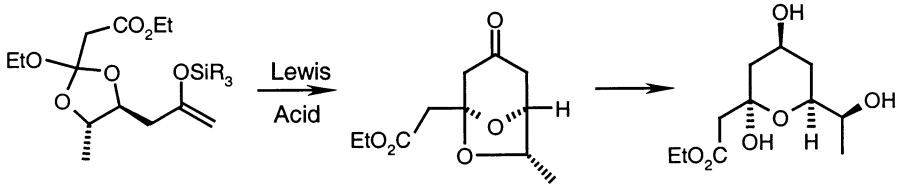
Synthesis of the C(1)–C(8) segment of (+)-acutiphycin

Tetrahedron Letters 43 (2002) 1147

Joey-Lee Methot, Louis Morency, Philip D. Ramsden, Jerome Wong and Serge Léger*

Department of Medicinal Chemistry, Merck Frosst Centre for Therapeutic Research, PO Box 1005, Pointe Claire-Dorval, Québec, Canada H9R 4P8

The synthesis of the pyran segment of (+)-acutiphycin was achieved using an intramolecular Lewis acid-catalyzed reaction between a silyl enol ether and an *ortho* ester.



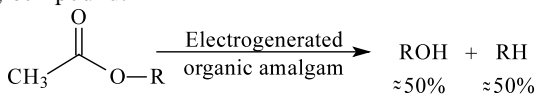
Deoxygenation of aliphatic acetate derivatives using electrogenerated organic amalgams

Tetrahedron Letters 43 (2002) 1151

Lizeth M. Fragoso-Luna, Bernardo A. Frontana-Uribe* and Jorge Cárdenas

Instituto de Química de la Universidad Nacional Autónoma de México, Circuito Exterior, Ciudad Universitaria, Coyoacán C.P. 04510, Mexico D.F.

An electrochemical deoxygenation reaction of aliphatic acetates has been developed, using electrogenerated organic amalgams (R_4N-Hg). This methodology led us to obtain the deoxygenated product and the alcohol in a 1:1 ratio with total transformation of the starting compound.



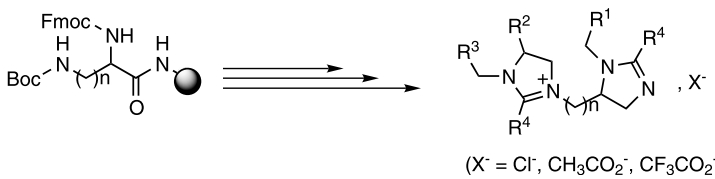
R= Aliphatic carbon

Solid-phase parallel synthesis of substituted dihydroimidazolylbutyl dihydroimidazol-3-ium salts

Tetrahedron Letters 43 (2002) 1157

Achyuta N. Acharya, John M. Ostresh and Richard A. Houghten*

Torrey Pines Institute for Molecular Studies, 3550 General Atomics Court, San Diego, CA 92121, USA



(X^- = Cl^-, $CH_3CO_2^-$, $CF_3CO_2^-$)

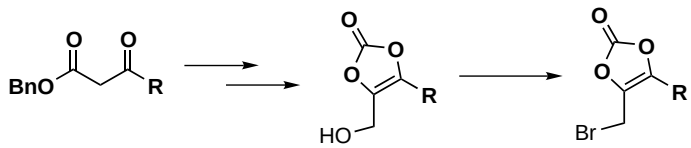
A general synthesis of dioxolenone prodrug moieties

Tetrahedron Letters 43 (2002) 1161

Chong-Qing Sun,* Peter T. W. Cheng,* Jay Stevenson, Tamara Dejneka, Baerbel Brown, Tammy C. Wang, Jeffrey A. Robl and Michael A. Poss

Bristol-Myers Squibb Pharmaceutical Research Institute, PO Box 5400, Princeton, NJ 08543-5400, USA

A novel and general sequence for the synthesis of dioxolenone alcohols and bromides from benzyl β-ketoesters is described. The preparation of bis-dioxolenone phosphonate prodrug esters from the bromides is also presented.

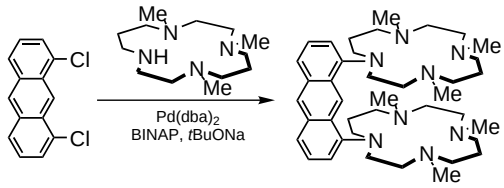


Synthesis of 1,8-bis(cyclam) and 1,8-bis(azacrown) substituted anthracenes by palladium-catalyzed arylation of cyclam

Tetrahedron Letters 43 (2002) 1193

Irina P. Beletskaya,[a,*] Alexei D. Averin,[b] Alla G. Bessmertnykh,[b] Franck Denat[b] and Roger Guilard[b,*]

[a]*Department of Chemistry, Lomonosov Moscow State University, Leninskie Gory, Moscow, 119899, Russia*
[b]*LIMSAG (UMR 5633), Faculte des Sciences 'Gabriel', 6, Bd. Gabriel, 21100, Dijon, France*



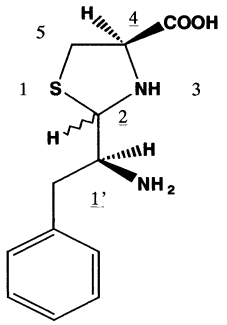
Synthesis of conformationally constrained β-turn thiazolidine mimetic

Tetrahedron Letters 43 (2002) 1197

Paolo Grieco,* Pietro Campiglia, Isabel Gomez-Monterrey and Ettore Novellino

Dipartimento di Chimica Farmaceutica e Tossicologia, Università di Napoli 'Federico II', Via D. Montesano, 49-80131 Napoli, Italy

A dipeptide analog β-turn mimetic with fixed configuration at two α-carbons of amino acid residues in structure type β-turn has been synthesized starting from *L*-phenilalanine and *L*-cysteine in short steps.

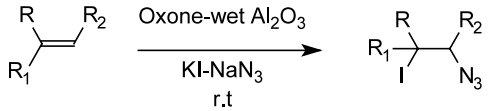


Simple and regioselective azidoiodination of alkenes using Oxone®

Tetrahedron Letters 43 (2002) 1201

Massimo Curini, Francesco Epifano, Maria C. Marcotullio* and Ornelio Rosati

Dipartimento di Chimica e Tecnologia del Farmaco- Sezione Chimica Organica, Università degli Studi, 06123 Perugia, Italy

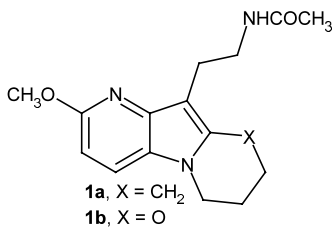


Synthesis of 6,7,8,9-tetrahydropyrido[2,3-*b*]indolizine and 3,4-dihydro-2*H*-pyrido[2′,3′:4,5]pyrrolo[2,1-*b*][1,3]oxazine derivatives as new melatonin receptor ligands

Tetrahedron Letters 43 (2002) 1205

Hervé Van de Poël,[a] Gérald Guillaumet[a] and Marie-Claude Viaud-Massuard[b,*]

[a]*Institut de Chimie Organique et Analytique associé au CNRS, Université d'Orléans BP 6759, 45067 Orléans Cedex 2, France*
[b]*EA 3247 Laboratoire de Chimie Organique, UFR des Sciences Pharmaceutiques, Université de Tours, 31 Avenue de Monge, 37200 Tours, France*



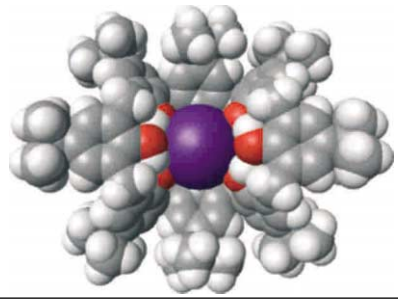
The synthesis of new tricyclic azaindolic analogs **1a** and **1b** of the hormone melatonin is described. Treatment of the 1-(4-bromobutyl)pyrrolo[3,2-*b*]pyridine derivative in radical cyclisation to give the 6,7,8,9-tetrahydropyrido[2,3-*b*]indolizine ring system. A new synthetic approach to the pyridopyrrolo [2,1-*b*][1,3]oxazine moiety is shown to be accomplished readily from the 1-(3-bromopropyl)2-oxopyrrolopyridine derivative with sodium hydride in *N*,*N*-dimethylformamide.

Alkali cation 'conformational templation' in 1,5-bridged calix[8]arenes: a single crystal X-ray proof

Tetrahedron Letters 43 (2002) 1209

Grazia M. L. Consoli,[a] Francesca Cunsolo,[a] Corrada Geraci,[a] Enrico Gavuzzo[b] and Placido Neri[c,*]

[a]*Istituto per lo Studio delle Sostanze Naturali di Interesse Alimentare e Chimico-Farmaceutico, CNR, Via del Santuario 110, I-95028 Valverde (CT), Italy*
[b]*Istituto di Strutturistica Chimica 'G. Giacomello', CNR, Area della Ricerca di Roma, Montelibretti (RM), Italy*
[c]*Dipartimento di Chimica, Università di Salerno, Via S. Allende 43, I-84081 Baronissi (SA), Italy*

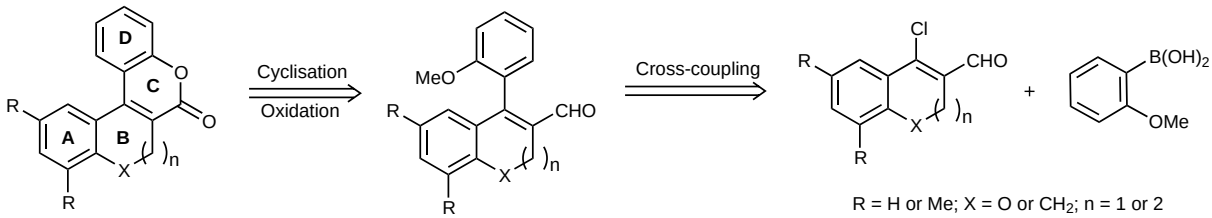


A rapid access to coumarin derivatives (using Vilsmeier–Haack and Suzuki cross-coupling reactions)

Tetrahedron Letters 43 (2002) 1213

Stéphanie Hesse and Gilbert Kirsch*

Laboratoire d'Ingénierie Moléculaire et de Biochimie Pharmacologique, Faculté des Sciences, Ile du Saulcy, 57045 Metz Cedex, France



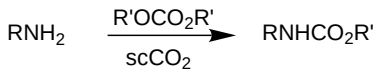
R = H or Me; X = O or CH_2; n = 1 or 2

The synthesis of alkyl carbamates from primary aliphatic amines and dialkyl carbonates in supercritical carbon dioxide

Tetrahedron Letters 43 (2002) 1217

Maurizio Selva,* Pietro Tundo and Alvise Perosa

Dipartimento di Scienze Ambientali dell'Università Ca' Foscari, Calle Larga S. Marta, 2137-30123 Venice, Italy



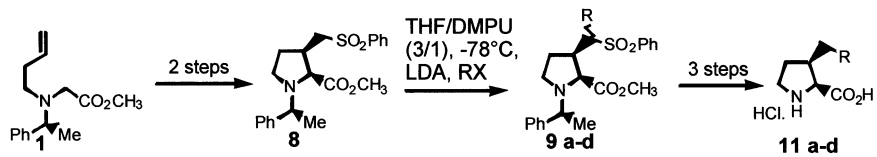
R = $C_{10}H_{23}$, (α–naphthyl)methyl, C_8H_{17}, cHex R' = CH_3, CH_2CH_3

Asymmetric synthesis of 3-alkyl substituted prolines by alkylation of a chiral sulfone

Tetrahedron Letters 43 (2002) 1221

Philippe Karoyan* and Gérard Chassaing

UMR 7613, 'Structure et Fonction de Molécules Bioactives', Université Paris VI, case 182, 4 place Jussieu, 75252 Paris cedex 05, France



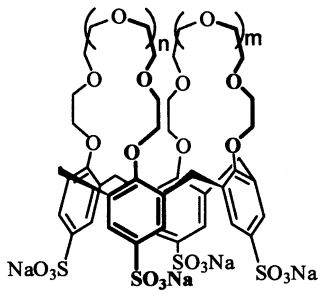
Water-soluble *para*-sulfonated 1,2;3,4-calix[4]arene-biscrowns in the cone conformation

Tetrahedron Letters 43 (2002) 1225

Alexandre Mathieu,* Zouhair Asfari* and Jacques Vicens*

ECPM, Laboratoire de Chimie des Interactions Moléculaires Spécifiques (CNRS UMR 7512), 25, rue Becquerel, F-67087 Strasbourg, France

Water-soluble 1,2;3,4-calix[4]arene-biscrowns (**14**)–(**18**) have been synthesized in two or three steps via chlorosulfonation. Cs^+-ligand interactions were studied in aqueous media by ^1H NMR.

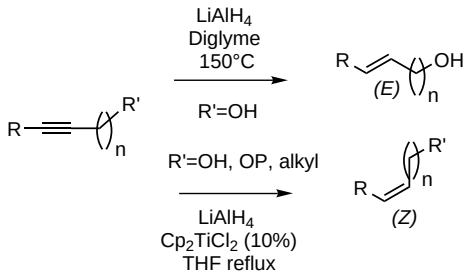


CP_2TiCl_2-catalyzed hydroalumination of internal alkynes: an access to (*Z*)-olefins

Tetrahedron Letters 43 (2002) 1231

Arnaud Parenty and Jean-Marc Campagne*

Institut de Chimie des Substances Naturelles, CNRS, F-91198 Gif-sur-Yvette, France



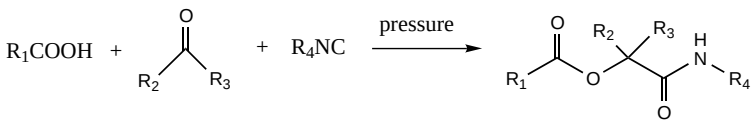
Effect of high pressure on sterically congested Passerini reactions

Tetrahedron Letters 43 (2002) 1235

Gérard Jenner*

Laboratoire de Piézochimie Organique (UMR 7123), Faculté de Chimie, Université Louis Pasteur, 67008 Strasbourg, France

The promoting effect of pressure increases with increasing bulkiness of R_1, R_2 or R_3, R_4. Highly hindered Passerini adducts can be obtained only under pressure.



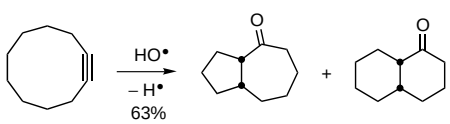
Radical oxygenations with inorganic radicals: can hydroxyl radicals ($HO^\bullet$) act as donors of oxygen atoms?

Tetrahedron Letters 43 (2002) 1239

Uta Wille*

Institut für Organische Chemie der Christian-Albrechts-Universität zu Kiel, Olshausenstraße 40, 24098 Kiel, Germany

Hydroxyl radicals ($HO^\bullet$) were shown to act, upon addition to the C–C triple bond in cyclic and open-chain alkynes, as oxygen atom donors in an oxidative radical cyclization sequence.



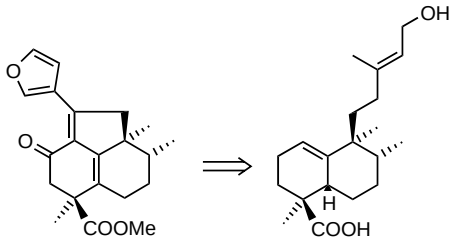
Synthesis and absolute configuration of (−)-chettaphanin II

Tetrahedron Letters 43 (2002) 1243

I. S. Marcos,* F. A. Hernández, M. J. Sexmero, D. Díez, P. Basabe, A. B. Pedrero, N. García, F. Sanz and J. G. Urones

Departamento de Química Orgánica, Facultad de Ciencias Químicas, Universidad de Salamanca, Plaza de los Caídos 1-5, 37008 Salamanca, Spain

An efficient synthesis of chettaphanin II has been achieved from *ent*-halimic acid. The absolute configuration of the natural product was established and corroborated by X-ray analysis of chettaphanin II.



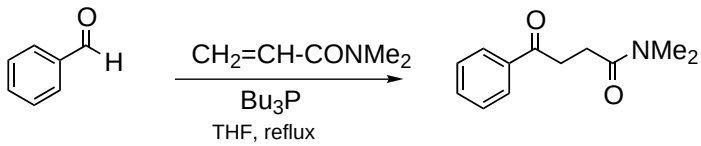
chettaphanin II **ent-halimic acid**

Tributylphosphine-catalyzed Stetter reaction of *N,N*-dimethylacrylamide: synthesis of *N,N*-dimethyl-3-aroylpropionamides

Tetrahedron Letters 43 (2002) 1247

Ji Hyeon Gong, Yang Jin Im, Ka Young Lee and Jae Nyoung Kim*

Department of Chemistry and Institute of Basic Science, Chonnam National University, Kwangju 500-757, South Korea

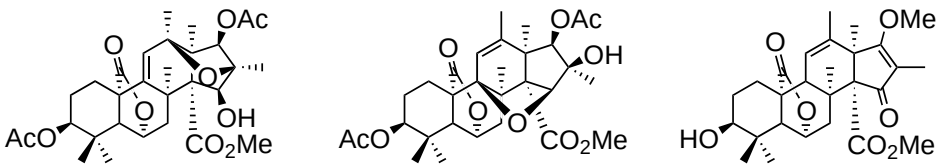


Structure and absolute configuration of citreohybridones isolated from *Penicillium* species

Tetrahedron Letters 43 (2002) 1253

Seiji Kosemura*

Department of Chemistry, Hiyoshi Campus, Keio University, 4-1-1 Hiyoshi Kohoku-ku, Yokohama 223-8521, Japan



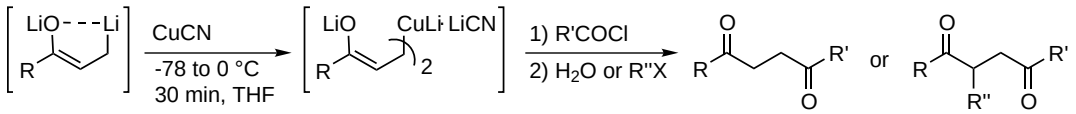
citreohybridone J citreohybridone K isocitreohybridone C

Chemistry of ketone α,β-dianions. Acylation reactions of dianion cuprates by acid chlorides

Tetrahedron Letters 43 (2002) 1257

Ilhyong Ryu,* Masanobu Ikebe, Noboru Sonoda, Shin-ya Yamato, Go-hei Yamamura and Mitsuo Komatsu

Department of Chemistry, Faculty of Arts and Sciences, Osaka Prefecture University, Sakai, Osaka 599-8531, Japan and Department of Applied Chemistry, Graduate School of Engineering, Osaka University, Suita, Osaka 565-0871, Japan



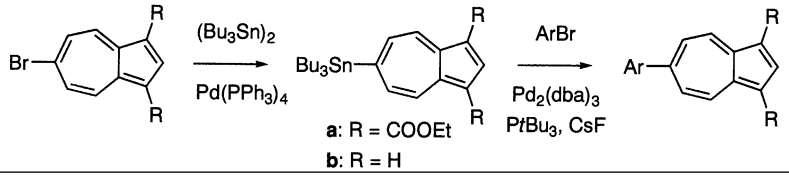
Preparation and Stille cross-coupling reaction of the first organotin reagents of azulenes. An efficient Pd(0)-catalyzed synthesis of 6-aryl- and biazulenes

Tetrahedron Letters 43 (2002) 1261

Tetsuo Okujima, Shunji Ito* and Noboru Morita

Department of Chemistry, Graduate School of Science, Tohoku University, Sendai 980-8578, Japan

The first versatile organometallic reagents of azulenes, 6-(tri-*n*-butylstannyl)azulenes, have been prepared and the utility of the reagents was demonstrated by the Stille cross-coupling reaction.

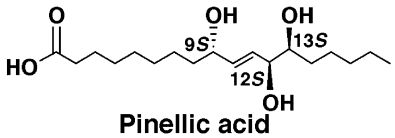


Total synthesis of pinellic acid, a potent oral adjuvant for nasal influenza vaccine. Determination of the relative and absolute configuration

Tetrahedron Letters 43 (2002) 1265

Toshiaki Sunazuka,[b,e] Tatsuya Shirahata,[b] Kiminari Yoshida,[b] Daisuke Yamamoto,[b] Yoshihiro Harigaya,[b] Takayuki Nagai,[c,d] Hiroaki Kiyohara,[c,d] Haruki Yamada,[c,d] Isao Kuwajima[d,e] and Satoshi Ōmura[a,d,*]

[a]*The Kitasato Institute, Shirokane, Minatoku, Tokyo 108–8641, Japan*
[b]*School of Pharmaceutical Sciences, Kitasato University, Shirokane, Minatoku, Tokyo 108–8641, Japan*
[c]*Oriental Medicine Research Center, the Kitasato Institute, Shirokane, Minatoku, Tokyo 108–8641, Japan*
[d]*Kitasato Institute for Life Sciences, Kitasato University, Shirokane, Minatoku, Tokyo 108–8641, Japan*
[e]*CREST, The Japan Science and Technology Corporation (JST), Shirokane, Minatoku, Tokyo 108–8641, Japan*



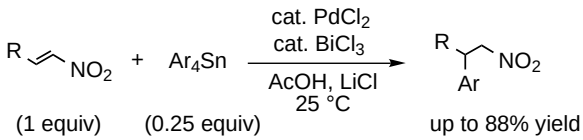
A novel catalytic activity of bismuth(III) salts in palladium(II)-catalyzed atom economical Michael-type hydroarylation of nitroalkenes with aryltin compounds

Tetrahedron Letters 43 (2002) 1269

Toshiyuki Ohe and Sakae Uemura*

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

A novel palladium(II)-catalyzed Michael-type hydroarylation of nitroalkenes with aryltin compounds has been disclosed. In this system, slightly fewer than four aryl groups of tetraaryltins can be transferred to the products.



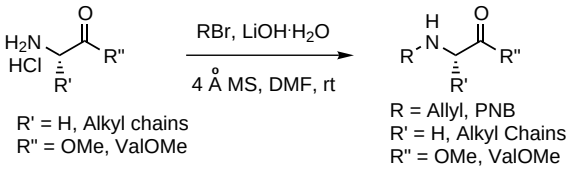
LiOH-mediated *N*-monoalkylation of α-amino acid esters and a dipeptide ester using activated alkyl bromides

Tetrahedron Letters 43 (2002) 1273

Jong Hyun Cho and B. Moon Kim*

Center for Molecular Catalysis, School of Chemistry and Molecular Engineering, Seoul National University, Seoul 151-747, South Korea

Selective *N*-monoalkylation of α-amino esters and dipeptide esters with activated alkyl bromides was accomplished.

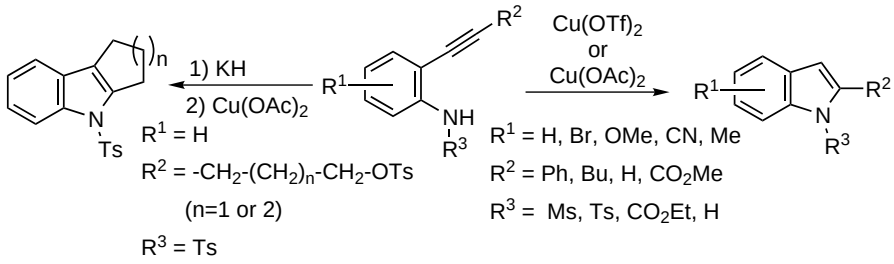


Tetrahedron Letters 43 (2002) 1277

Efficient construction of indole rings from 2-ethynylaniline derivatives catalyzed by copper(II) salts and its application to the tandem cyclization reactions

Kou Hiroya,* Shin Itoh, Mika Ozawa, Yuichi Kanamori and Takao Sakamoto

Graduate School of Pharmaceutical Sciences, Tohoku University, Aoba-ku, Sendai 980-8578, Japan

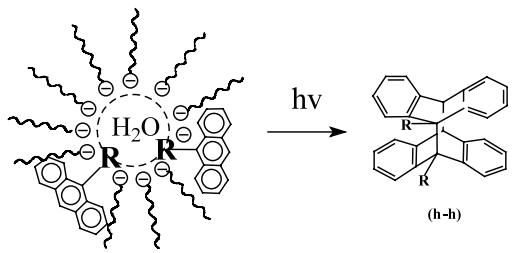


Tetrahedron Letters 43 (2002) 1281

Water-in-oil microemulsions as microreactors to control the regioselectivity in the photocycloaddition of 9-substituted anthracenes

Da-Yong Wu, Li-Ping Zhang, Li-Zhu Wu, Bo-jie Wang and Chen-Ho Tung*

Technical Institute of Physics and Chemistry, Chinese Academy of Sciences, Beijing 100101, China



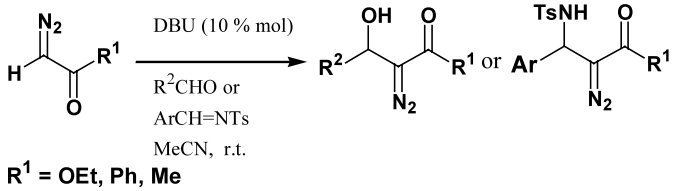
Tetrahedron Letters 43 (2002) 1285

DBU-promoted condensation of acyldiazomethanes to aldehydes and imines under catalytic conditions

Nan Jiang and Jianbo Wang*

Key Laboratory of Bioorganic Chemistry and Molecular Engineering of the Ministry of Education, Department of Chemical Biology, College of Chemistry, Peking University, Beijing 100871, China

The condensation of acyldiazomethanes to aldehydes and imines can be promoted with a catalytic amount of DBU. The condensation gives β-hydroxy α-diazo carbonyl compounds or β-amino α-diazo carbonyl compounds in high yields.



Tetrahedron Letters 43 (2002) 1289

A new coupling reaction of propargyl carbonates mediated by $Ti(O\textit{i}Pr)_2Cl_2/Mg$

Fanglong Yang,[a] Gang Zhao,[a,*] Yu Ding,[a,*] Zongbao Zhao[a] and Yueqing Zheng[b]

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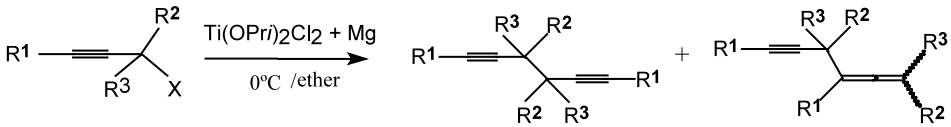

R^1= Ph; ^{n}Bu; Me_3Si; H. R^2,R^3= -$(CH_2)_4$-; Me,Me; Ph,H; Me,H; ^{n}Pr,H; 4-FC_6H_4,H; 4-$CH_3C_6H_4$,H; 4-$O_2NC_6H_4$,H.

Tetrahedron Letters 43 (2002) 1295

Novel formation of 6-acyl-5-(2-pyrrolyl)-3*H*-pyrrolizines by base-catalysed condensation of pyrrole-2-aldehyde with methyl ketones

Asok K. Mallik,[a,*] Sankar P. Dey,[a] Falguni Chattopadhyay[a] and Amarendra Patra[b,*]

[a]*Department of Chemistry, Jadavpur University, Kolkata 700 032, India*

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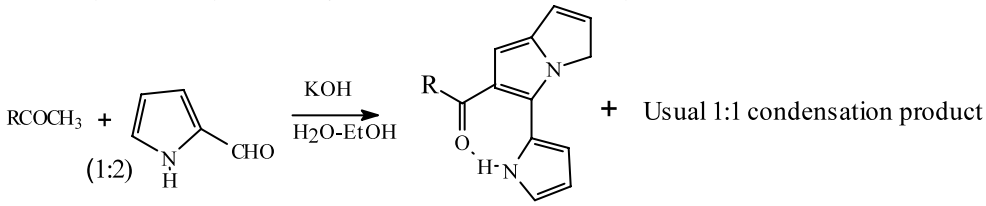
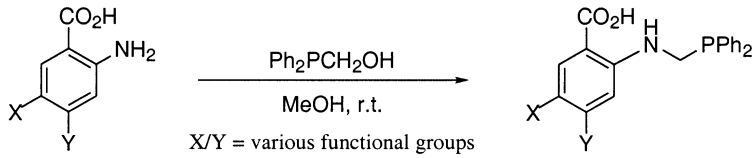


Tetrahedron Letters 43 (2002) 1299

Mannich-based condensation reactions as a practical route to new aminocarboxylic acid tertiary phosphines

Martin B. Smith* and Mark R. J. Elsegood

Department of Chemistry, Loughborough University, Loughborough, Leics LE11 3TU, UK



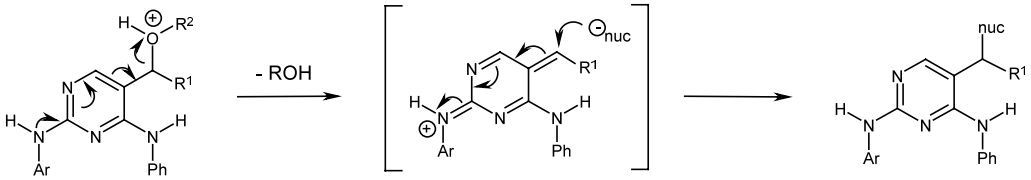
Tetrahedron Letters 43 (2002) 1303

The 'Eenie–Meenie reaction'. Displacement reactions of bisanilinopyrimidines

Stuart E. Pearson* and Robin Wood

AstraZeneca, Alderley Park, Macclesfield, Cheshire SK10 4TG, UK

Acid-catalysed nucleophilic displacement reactions of 5-(alkoxymethyl)pyrimidines with a wide range of nucleophiles.



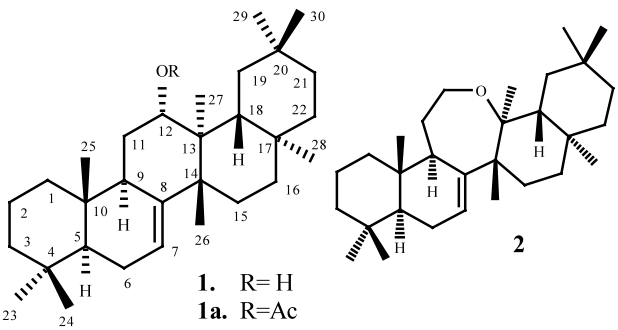
Tetrahedron Letters 43 (2002) 1307

An unusual novel triterpenoid ether, multiflor-7-en-12,13-ether and a new multiflor-7-en-12α-ol from *Wattakaka volubilis*

V. L. Niranjan Reddy, V. Ravikanth, A. Vijender Reddy, T. Prabhakar Rao and Y. Venkateswarlu*

Natural Products Laboratory, Organic Chemistry Division-I, Indian Institute of Chemical Technology, Hyderabad 500 007, India

A new 12α-hydroxymultiflorene **1** and a novel multiflorene-ether **2** triterpenoid were isolated from the leaves of *Wattakaka volubilis* and their structures were elucidated by means of spectroscopic analysis.



1. R= H
1a. R=Ac

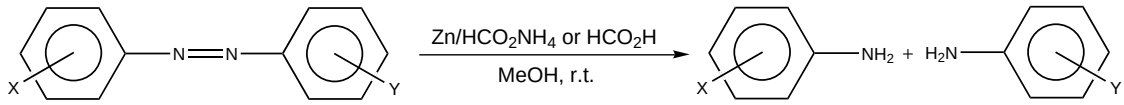
Tetrahedron Letters 43 (2002) 1329

Reductive cleavage of azo compounds catalyzed by commercial zinc dust using ammonium formate or formic acid

Shankare Gowda, K. Abiraj and D. Channe Gowda*

Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, Karnataka 570 006, India

Symmetric and unsymmetric azo compounds are cleaved reductively into amine(s) by using low-cost zinc dust and ammonium formate or formic acid. The cleavage is fast, clean and high yielding.



X or Y = -H, halogen, -OH, $-OCH_3$, -COOH, $-CH_3$, $-COCH_3$, etc.

Tetrahedron Letters 43 (2002) 1333

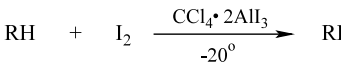
First examples of superelectrophile initiated iodination of alkanes and cycloalkanes

Irena Akhrem,* Alexander Orlinkov, Sergei Vitt and Anatolii Chistyakov

A.N. Nesmeyanov Institute of Organoelement Compounds, Russian Academy of Sciences, 119991 Moscow, GSP-1, 28 Vavilova st., Russia

The reactions of saturated hydrocarbons with I_2 in the presence of $CCl_4 \cdot 2AlI_3$ at −20 °C afforded monoiodides in good yields and selectivities.

RH = propane, cyclopentane, cyclohexane, norbornane, adamantane

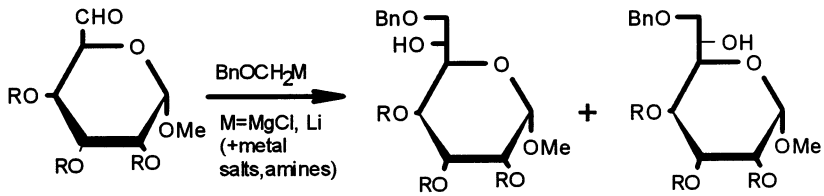


Tetrahedron Letters 43 (2002) 1337

Improving the stereoselectivity of one-carbon atom homologation of hexoses at the terminal position

Mikhail Kim, Barbara Grzeszczyk and Aleksander Zamojski*

Institute of Organic Chemistry, Polish Academy of Sciences, 01-224 Warsaw, Poland

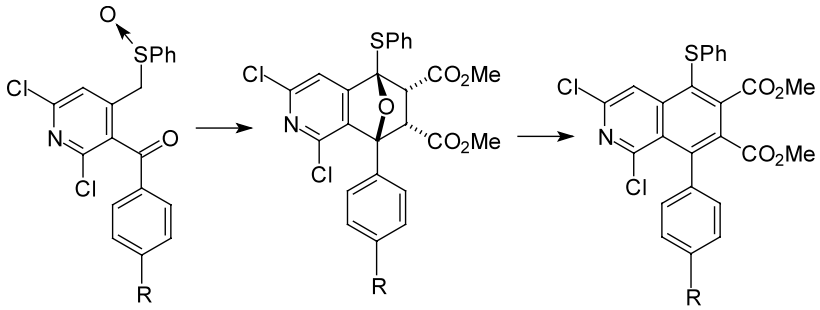


Tetrahedron Letters 43 (2002) 1341

A Pummerer-based generation and trapping of furo[3,4-*c*]pyridines: an approach to nitrogen containing heterocyclic analogues of 1-arylnaphthalene lignans

Tarun K. Sarkar,* Sankar Basak and Niranjan Panda

Department of Chemistry, Indian Institute of Technology, Kharagpur 721302, India



R= H, Me, OMe.