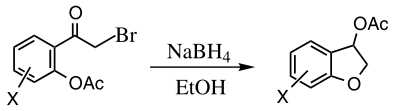
Synthesis of substituted 2,3-dihydrobenzofuran in a process involving a facile acyl migration

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

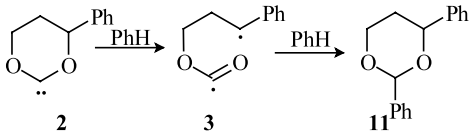


Remarkable aromatic substitution by a 1,5-diradical

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]

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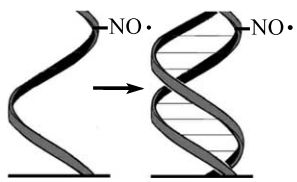
[b]*Florida State University, Department of Chemistry and Biochemistry, Tallahassee, FL 32306, USA*
[c]*Marshall University, Department of Chemistry, 400 Hal Greer Blvd, Huntington, WV 25755, USA*
[d]*Northeastern University, Chemistry Department, Boston, MA 02115-5000, USA*



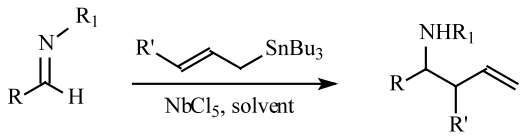
Allylation of aldimines promoted by $NbCl_5$

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 alylstannanes to aromatic aldimines. The scope and stereoselectivity of these reactions are described.



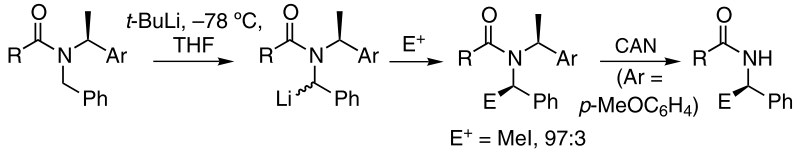
Tetrahedron Letters 43 (2002) 1955

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

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.



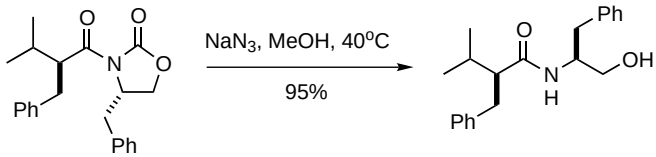
Tetrahedron Letters 43 (2002) 1961

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

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.

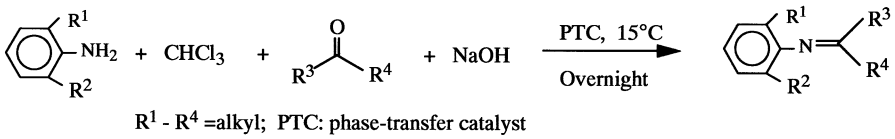


Tetrahedron Letters 43 (2002) 1965

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

John T. Lai*

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



Tetrahedron Letters 43 (2002) 1969

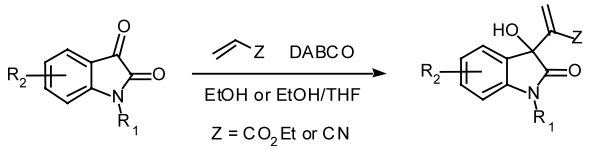
Isatin derivatives are reactive electrophilic components for the Baylis–Hillman reaction

Simon J. Garden[a,*] and Janet M. S. Skakle[b]

[a]*Instituto de Química, Departamento de Química Orgânica, Universidade Federal do Rio de Janeiro, Ilha do Fundão, Rio de Janeiro, CEP 21945-970, Brazil*

[b]*Chemistry 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.

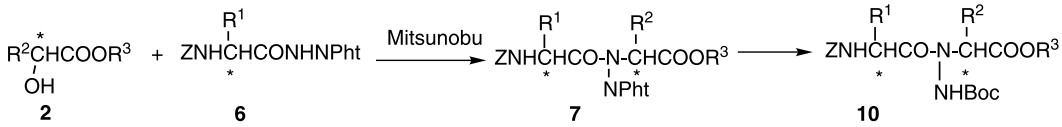


Original and efficient method for the preparation of *N*-aminoamide pseudodipeptides in high optical purity

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

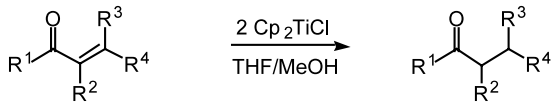


Cp_2TiCl-mediated selective reduction of α,β-unsaturated ketones

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



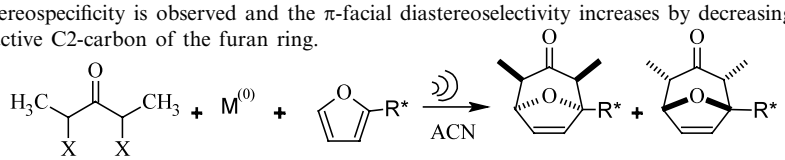
Induction of asymmetry on the [4+3] cycloaddition reaction of C2-functionalized furans

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.



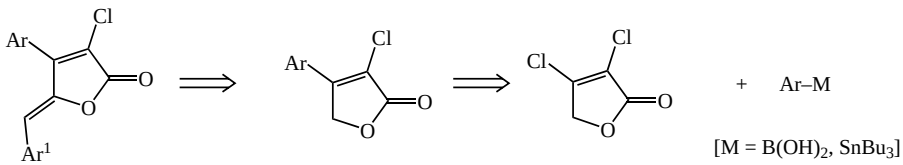
X = I, Br R*= Chiral auxiliary
M = Zn, Cu

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



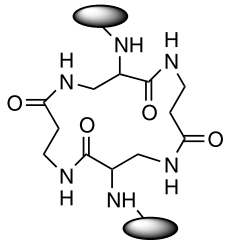
Tetrahedron Letters 43 (2002) 2029

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 trough 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.

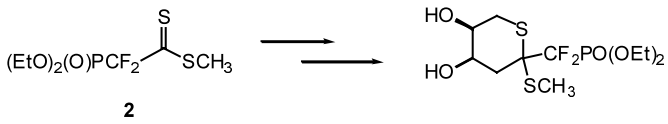


Tetrahedron Letters 43 (2002) 2033

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

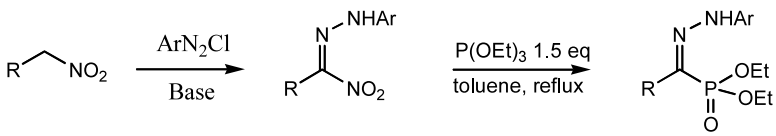


Tetrahedron Letters 43 (2002) 2037

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



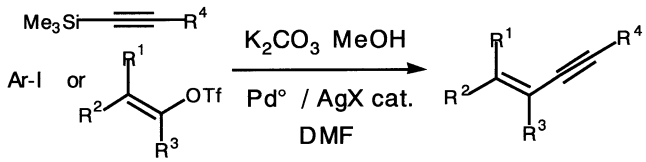
Tetrahedron Letters 43 (2002) 2039

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 $Pd(PPh_3)_4$.

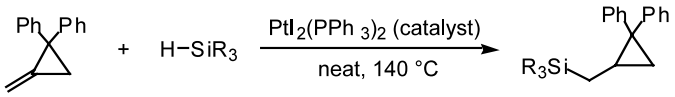


Tetrahedron Letters 43 (2002) 2059

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



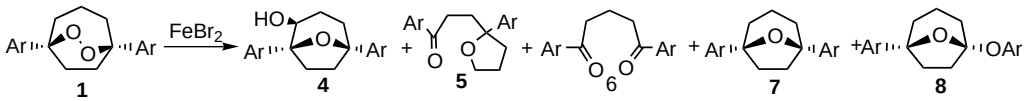
Tetrahedron Letters 43 (2002) 2063

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]

[a]*Department of Chemistry, Faculty of Education and Human Science, Niigata University, Ikarashi, Niigata 950-2181, Japan*
[b]*Faculty of Pharmaceutical Sciences, Okayama University, Tsushima, Okayama 700-8530, Japan*

Reactions of **1** with $FeBr_2$ afforded various rearrangement and fragmentation products. The mechanism was proposed and the antimalarial activities of **1** were evaluated.

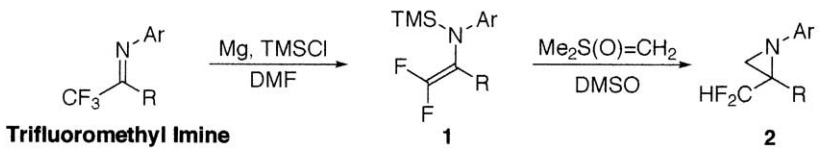


Tetrahedron Letters 43 (2002) 2069

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



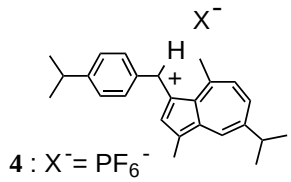
Tetrahedron Letters 43 (2002) 2073

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 tetrafluoroboronic 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.



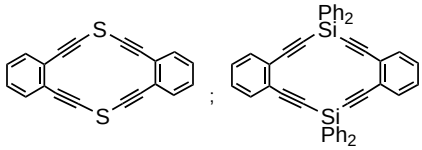
4 : $X^- = PF_6^-$
5 : $X^- = BF_4^-$

Tetrahedron Letters 43 (2002) 2079

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

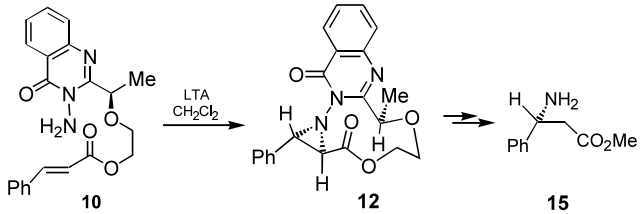


Tetrahedron Letters 43 (2002) 2083

Completely diastereoselective aziridination of α,β-unsaturated acids via intramolecular reaction of 3-acetoxyaminoquinazolin-4(3*H*)-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*



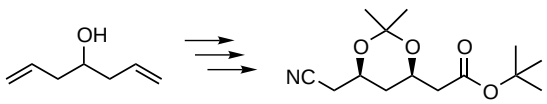
Tetrahedron Letters 43 (2002) 2087

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.

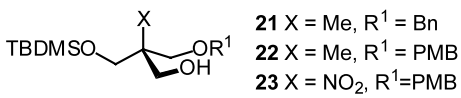


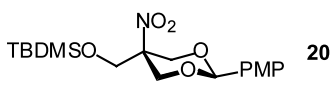
Tetrahedron Letters 43 (2002) 2091

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*





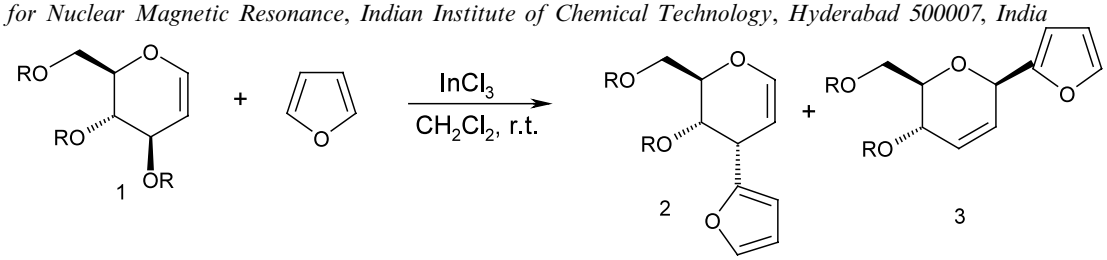
Chiral trishydroxymethylmethanes **21**–**23** were prepared. X-Ray analysis established the stereostructure of nitroacetal **20**.

$InCl_3$-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]

[a]*Division of Organic Chemistry, Indian Institute of Chemical Technology, Hyderabad 500007, India*
[b]*Centre for Nuclear Magnetic Resonance, Indian Institute of Chemical Technology, Hyderabad 500007, India*

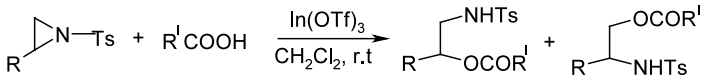


Indium triflate-catalyzed ring opening of aziridines with carboxylic acids

Tetrahedron Letters 43 (2002) 2099

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

Division of Organic Chemistry, Indian Institute of Chemical Technology, Hyderabad 500007, India

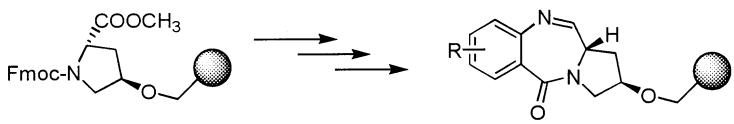


Efficient solid-phase synthesis of DNA-interactive pyrrolo[2,1-*c*][1,4]benzodiazepine antitumour antibiotics

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.