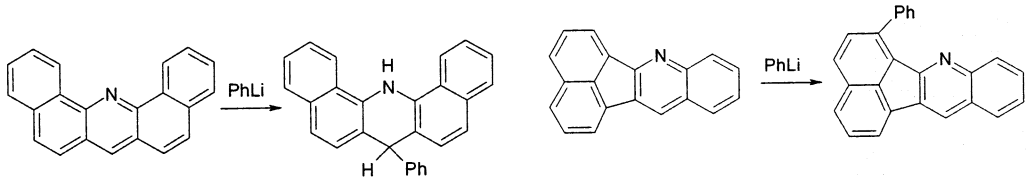
Tetrahedron Letters 44 (2003) 8641

## Direct regioselective phenylation of acridine derivatives by phenyllithium

Bishnupada Dutta, Gandhi K. Kar and Jayanta K. Ray*

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



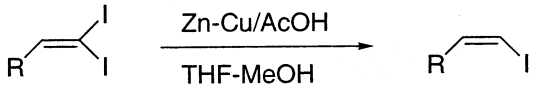

Tetrahedron Letters 44 (2003) 8645

## A simple and practical method for the stereoselective synthesis of (*Z*)-1-iodo-1-alkenes from 1,1-diiodo-1-alkenes

Isao Kadota,[a,*] Hirokazu Ueno,[b] Akio Ohno[b] and Yoshinori Yamamoto[b,*]

[a]*Research Center for Sustainable Materials Engineering, Institute of Multidisciplinary Research for Advanced Materials, Tohoku University, Sendai 980-8578, Japan*

[b]*Department of Chemistry, Graduate School of Science, Tohoku University, Sendai 980-8578, Japan*

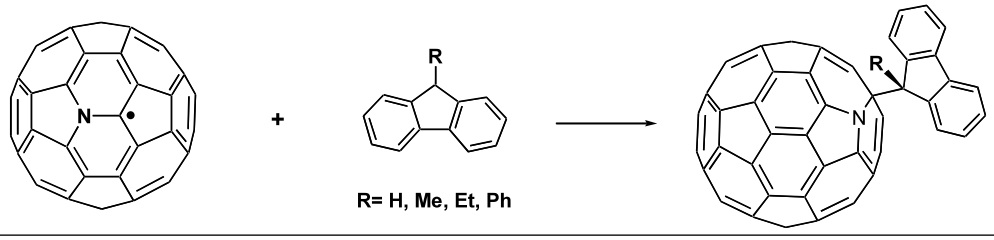



Tetrahedron Letters 44 (2003) 8649

## Functionalization of azafullerene $C_{59}N$. Radical reactions with 9-substituted fluorenes

Georgios C. Vougioukalakis and Michael Orfanopoulos*

*Department of Chemistry, University of Crete, 71409 Iraklion, Crete, Greece*



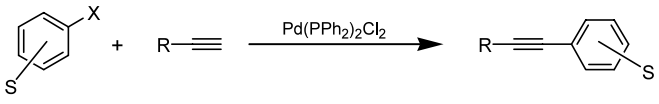

Tetrahedron Letters 44 (2003) 8653

## Rapid, easy copper-free Sonogashira couplings using aryl iodides and activated aryl bromides

Nicholas E. Leadbeater* and Bonnie J. Tominack

*Department of Chemistry, King's College London, Strand, London WC2R 2LS, UK*

We present here an easy, rapid copper-free methodology for the Sonogashira coupling reaction. It works well for a range of aryl iodides and activated aryl bromides.




*X = Br, I, S = substituent, R = Ph, $Me_3Si$, $C_4H_9$*

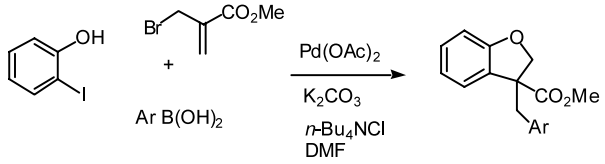
Tetrahedron Letters 44 (2003) 8659

## Palladium-catalyzed cascade allylation/carbopalladation/cross coupling: a novel three-component reaction for the synthesis of 3,3-disubstituted-2,3-dihydrobenzofurans

Magali Szlosek-Pinaud,[a] Philippe Diaz,[b] Jean Martinez[a] and Frédéric Lamaty[a,*]

[a]*Laboratoire des Aminoacides, Peptides et Protéines (LAPP), UMR 5810-CNRS-Universités Montpellier 1 et 2, Place Eugène Bataillon, 34095 Montpellier Cedex 5, France*

[b]*Galderma R&D, 635, route des Lucioles, BP 87, 06902 Sophia Antipolis Cedex, France*

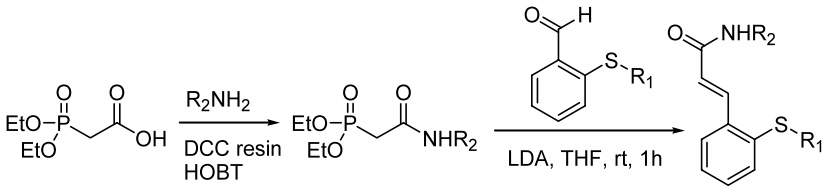



Tetrahedron Letters 44 (2003) 8661

## A concise synthesis of *ortho*-substituted aryl-acrylamides—potent activators of soluble guanylyl cyclase

Henry Q. Zhang,* Zhiren Xia, Teodozyj Kolasa and Jurgen Dinges

*Department of Medicinal Chemistry Technologies (R-4CP), Global Pharmaceutical Research and Development, Abbott Laboratories, 100 Abbott Park Road, Abbott Park, IL 60064, USA*



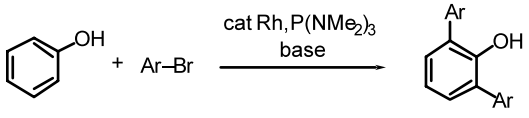

Tetrahedron Letters 44 (2003) 8665

## Rhodium-HMPT-catalyzed direct *ortho* arylation of phenols with aryl bromides

Shuichi Oi,* Shun-ichiro Watanabe, Susumu Fukita and Yoshio Inoue*

*Department of Materials Chemistry, Graduate School of Engineering, Tohoku University, Sendai 980-8579, Japan*

Direct *ortho* arylation of phenols with aryl bromides was found to be catalyzed by a rhodium complex and hexamethylphosphorous triamide (HMPT).



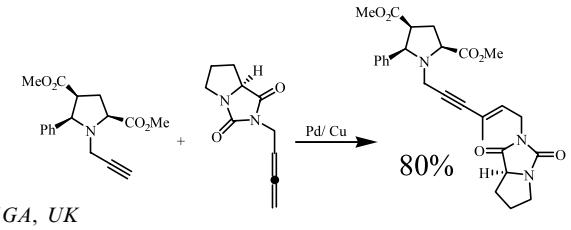

Tetrahedron Letters 44 (2003) 8669

## Highly regioselective palladium/copper-catalysed cross-coupling reactions of terminal alkynes and allenes

Didier Bruyere,[a] Ronald Grigg,[a,*] Joanne Hinsley,[a] Ruksana K. Hussain,[a] Stewart Korn,[b] Cecilia Orgaz De La Cierva,[a] Visuvanathar Sridharan[a] and Jung Wang[a]

[a]*Molecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, School of Chemistry, Leeds University, Leeds LS2 9JT, UK*

[b]*Avecia Lifesciences Molecules, PO Box 521, Leeds Road, Huddersfield HD2 1GA, UK*



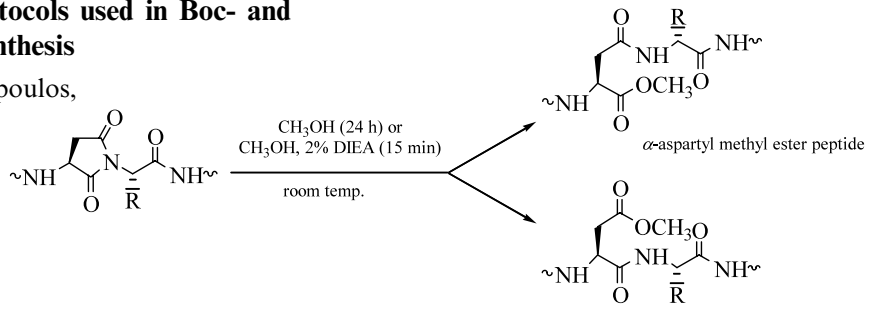

An efficient and regioselective Pd/Cu bimetallic catalytic coupling, with wide applicability in the synthesis of peptidomimetics, is reported.

Tetrahedron Letters 44 (2003) 8673

## Aspartyl methyl ester formation via aspartimide ring opening: a proposed modification of the protocols used in Boc- and Fmoc-based solid-phase peptide synthesis

Sarantos Kostidis, Panagiotis Stathopoulos, Nectarios-Ioannis Chondrogiannis, Constantinos Sakarellos and Vassilios Tsikaris*

*Department of Chemistry, University of Ioannina, Ioannina 45110, Greece*



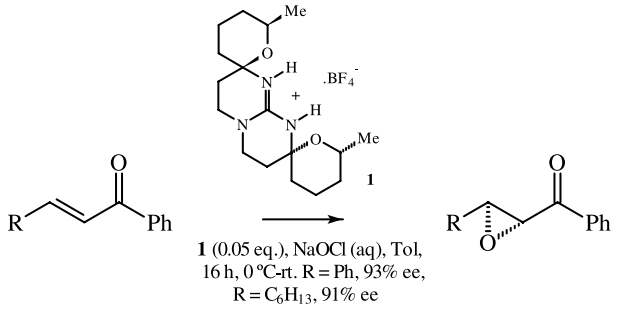

Tetrahedron Letters 44 (2003) 8677

## Synthesis and applications of $C_2$-symmetric guanidine bases

Matthew T. Allingham,[a] Andrew Howard-Jones,[a] Patrick J. Murphy,[a,*] Dafydd A. Thomas[a] and Peter W. R. Caulkett[b]

[a]*Department of Chemistry, University of Wales, Bangor, Gwynedd LL57 2UW, UK*
[b]*CVGI Department, AstraZeneca Pharmaceuticals, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, UK*

The preparation of tetracyclic $C_2$-symmetric guanidinium salts is reported together with their application to enantioselective transformations.



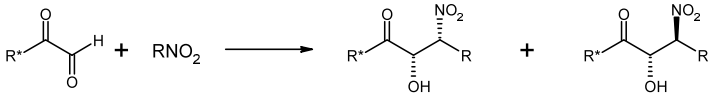

Tetrahedron Letters 44 (2003) 8681

## Highly diastereoselective nitroaldol reactions with chiral derivatives of glyoxylic acid

Iwona Kudyba,[a] Jerzy Raczko[a,b] and Janusz Jurczak[a,c,*]

[a]*Institute of Organic Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw, Poland*
[b]*ZD CHEMIPAN, Institute of Physical Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw, Poland*
[c]*Department of Chemistry, Warsaw University, Pasteura 1, 02-093 Warsaw, Poland*

*N*-Glyoxyloyl-(2*R*)-bornane-10,2-sultam and (1*R*)-8-phenylmenthyl glyoxylate react stereoselectively with simple nitroalkanes giving diastereomeric nitroalcohols with high asymmetric induction.



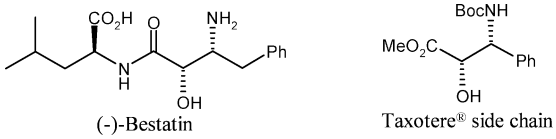

Tetrahedron Letters 44 (2003) 8685

## Synthesis of (−)-bestatin and the Taxotere® side-chain via nitroaldol reaction of (1*R*)-8-phenylmenthyl glyoxylate

Iwona Kudyba,[a] Jerzy Raczko[a,b] and Janusz Jurczak[a,c,*]

[a]*Institute of Organic Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw, Poland*
[b]*ZD CHEMIPAN, Institute of Physical Chemistry, Polish Academy of Sciences, Kasprzaka 44/52, 01-224 Warsaw, Poland*
[c]*Department of Chemistry, Warsaw University, Pasteura 1, 02-093 Warsaw, Poland*

(−)-Bestatine and the Taxotere® side-chain were obtained in a highly stereoselective way.



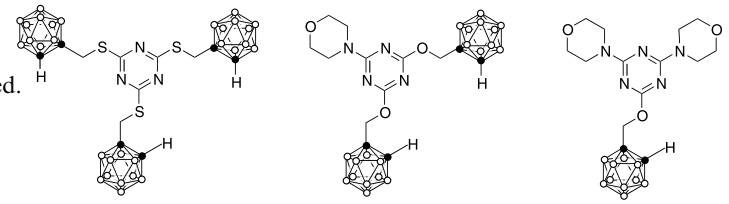
Tetrahedron Letters 44 (2003) 8689

## Cyanuric and thiocyanuric esters as carriers of boron-containing fragments and their fragmentation in mass spectrometry

Yuri A. Azev,[a,b] Thomas Dülcks[a] and Detlef Gabel[a,*]

[a]*Department of Chemistry, University of Bremen, PO Box 330440, D-28334 Bremen, Germany*
[b]*Ural Scientific Research Institute of the Technology of Medicinal Preparations, Ekaterinburg, Russia*

Starting from the propargylic esters of cyanuric and thiocyanuric acids and their amino derivatives, boron-containing analogues of *s*-triazine were produced. An unexpected fragmentation in mass spectrometry is observed.



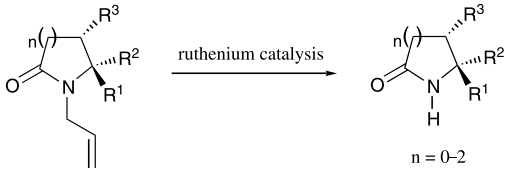
Tetrahedron Letters 44 (2003) 8693

## Novel ruthenium-catalyzed cleavage of allyl protecting group in lactams

Benito Alcaide,[a,*] Pedro Almendros[b,*] and José M. Alonso[a]

[a]*Departamento de Química Orgánica I, Facultad de Ciencias Químicas, Universidad Complutense de Madrid, 28040 Madrid, Spain*
[b]*Instituto de Química Orgánica General, CSIC, Juan de la Cierva 3, 28006 Madrid, Spain*

A convenient and general method of synthesis of *NH*-lactams via Grubbs' carbene promoted isomerization of the respective *N*-allyl lactams followed by $RuCl_3$-catalyzed enamide cleavage has been developed.



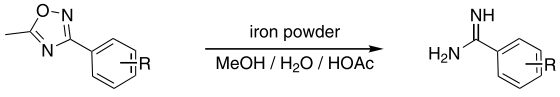

Tetrahedron Letters 44 (2003) 8697

## Environmentally friendly and efficient: iron-mediated reduction of 3-methyl-5-aryl-1,2,4-oxadiazoles to benzamidines

Martin Sendzik* and Hon C. Hui

*Department of Medicinal Chemistry, Celera, 180 Kimball Way, South San Francisco, CA 94080, USA*

A new synthetic method is described for the mild and selective reduction of 3-methyl-5-aryl-1,2,4-oxadiazoles to benzamidines employing iron powder in aqueous medium.

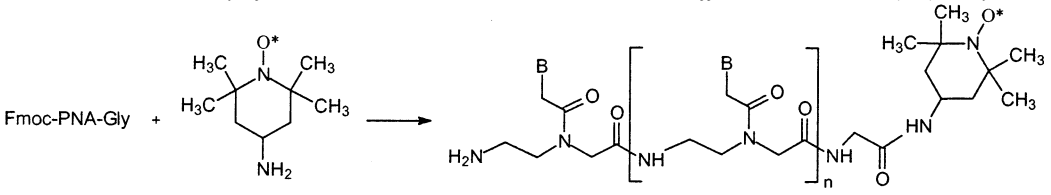



Tetrahedron Letters 44 (2003) 8701

## New synthesis of a spin-labeled peptide nucleic acid and its interactions with nucleic acids

Aurora Cerasi,[a] Enrico Millo,[b] Maria Francesca Ottaviani,[c] Gianluca Damonte,[b] Michela Cangiotti,[c] Umberto Benatti[b] and Laura Chiarantini[a,*]

[a]*Institute of Biochemistry 'Giorgio Fornaini', University of Urbino 'Carlo Bo', Via Saffi, 2, 61029 Urbino (PU), Italy*
[b]*Center of Excellence for Biomedical Research, University of Genoa, Italy*
[c]*Institute of Chemical Sciences, University of Urbino 'Carlo Bo', P. Rinascimento, 6, Via Saffi, 2, 61029 Urbino (PU), Italy*

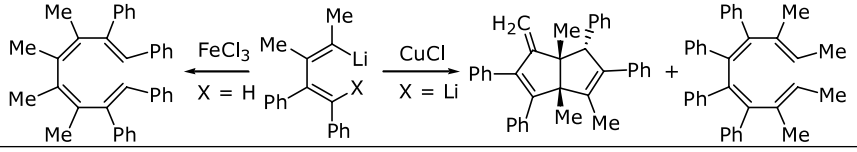


Tetrahedron Letters 44 (2003) 8705

## Formation of stereodefined multiply substituted all-*cis* octatetraenes, tricyclo[4.2.0.0$^{2,5}$]octa-3,7-dienes and pentalenes via CuCl- or $FeCl_3$-mediated dimerization of 1-lithiobutadienes and 1,4-dilithiobutadienes

Guotao Li,[a] Hongyun Fang[a] and Zhenfeng Xi[a,b,*]

[a]*Key Laboratory of Bioorganic Chemistry and Molecular Engineering of Ministry of Education, College of Chemistry, Peking University, Beijing 100871, PR China*
[b]*State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai 200032, PR China*



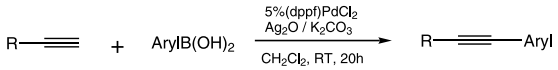

Tetrahedron Letters 44 (2003) 8709

## Cross-coupling of arylboronic acids with terminal alkynes in air

Gang Zou,* Junru Zhu and Jie Tang

*Department of Chemistry, East China Normal University, 3663 North Zhongshan Road, Shanghai 200062, PR China*

An unprecedented cross-coupling of arylboronic acids with terminal alkynes has been effected under mild conditions by a palladium-catalyzed, silver-promoted procedure.

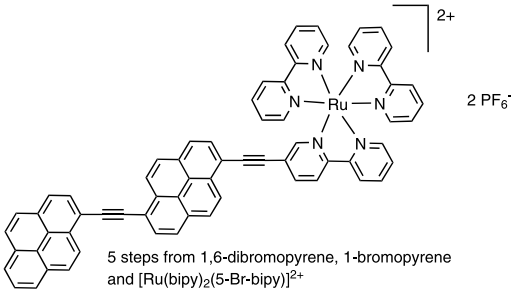



Tetrahedron Letters 44 (2003) 8713

## Synthesis of bipyridine and terpyridine based ruthenium metallosynthons for grafting of multiple pyrene auxiliaries

Christine Goze,[a] Denis V. Kozlov,[b] Felix N. Castellano[b,*] Jean Suffert[c] and Raymond Ziessel[a,*]

[a]*Laboratoire de Chimie Moléculaire, associé au CNRS, ECPM, 25 rue Becquerel, 67087 Strasbourg Cedex 02, France*
[b]*Department of Chemistry and Center for Photochemical Sciences, Bowling Green State University, Bowling Green, OH 43403, USA*
[c]*Faculté de Pharmacie, Université Louis Pasteur de Strasbourg, UMR 7081, 74 route du Rhin, 67401 Illkirch Cedex, France*

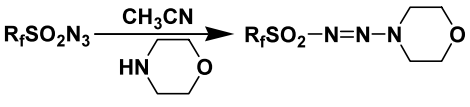


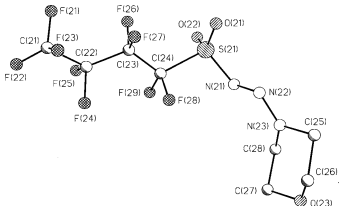

Tetrahedron Letters 44 (2003) 8717

## Unexpected formation of the novel fluorinated diazenes

Shizheng Zhu,[a,*] Guifang Jin,[a] Ping He,[a] Yong Xu[a] and Qichen Huang[b]

[a]*Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Lu, Shanghai 200032, China*
[b]*Department of Chemistry, Peking University, Beijing 100871, China*



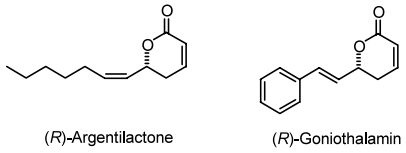
Tetrahedron Letters 44 (2003) 8721

## Total syntheses of (*R*)-argentilactone and (*R*)-goniothalamin via catalytic enantioselective allylation of aldehydes

Ângelo de Fátima and Ronaldo Aloise Pilli*

*Instituto de Química, UNICAMP, CP 6154, 13083-970, Campinas, SP, Brazil*

The total syntheses of (*R*)-argentilactone (five steps, 25% overall yield) and (*R*)-goniothalamin (three steps, 61% overall yield) via catalytic enantioselective allylation of aldehydes and ring-closing metathesis are described.



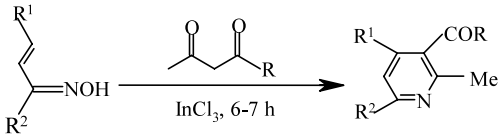

Tetrahedron Letters 44 (2003) 8725

## A novel indium-catalysed synthesis of tetra-substituted pyridine derivatives

Promod Saikia,[a] Dipak Prajapati[a,*] and Jagir S. Sandhu[b]

[a]*Department of Medicinal Chemistry, Regional Research Laboratory, Jorhat 785 006, Assam, India*
[b]*Department of Chemistry, Punjabi University, Patiala 147 002, India*

Indium trichloride was found to be an effective catalyst for the synthesis of various tetra-substituted pyridines via Michael addition of β-dicarbonyl compounds to α,β-unsaturated oximes and subsequent ring closure.

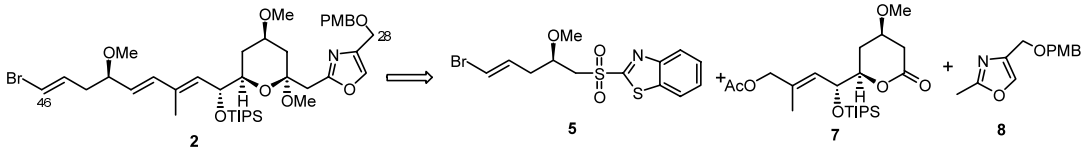



Tetrahedron Letters 44 (2003) 8729

## Studies on the synthesis of phorboxazole B: stereoselective synthesis of the C28–C46 segment

De Run Li,[a,b] Yong Qiang Tu,[b] Guo-Qiang Lin[a,*] and Wei-Shan Zhou[a]

[a]*Shanghai Institute of Organic Chemistry, 354 Fenglin Road, Shanghai 200032, PR China*
[b]*Department of Chemistry and State Key Laboratory of Applied Organic Chemistry, Lanzhou University, Lanzhou 730000, PR China*

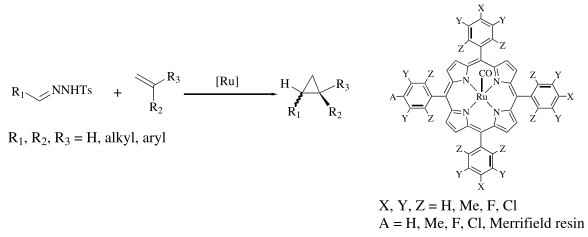



Tetrahedron Letters 44 (2003) 8733

## Ruthenium(II) porphyrin catalyzed cyclopropanation of alkenes with tosylhydrazones

Jun-Long Zhang, Philip Wai Hong Chan and Chi-Ming Che*

*Department of Chemistry and Open Laboratory of Chemical Biology of the Institute of Molecular Technology for Drug Discovery and Synthesis, The University of Hong Kong, Pokfulam Road, Hong Kong, PR China*



Tetrahedron Letters 44 (2003) 8739

# Microwave-assisted traceless synthesis of thiohydantoin

Mei-Jung Lin and Chung-Ming Sun*

*Department of Chemistry, National Dong Hwa University, Hualien 974, Taiwan*