### Simple and versatile synthesis of 1-pyrroline derivatives through thermal rearrangement of N-cyclopropylimines

Tetrahedron Letters 43 (2002) 8811

Pedro J. Campos,\* Alberto Soldevilla, Diego Sampedro and Miguel A. Rodríguez\*

Departamento de Química, Universidad de La Rioja, Grupo de Síntesis Química de La Rioja, Unidad Asociada al CSIC, Madre de Dios, 51, E-26006 Logroño, Spain

*N*-Cyclopropylimines rearrange under thermal conditions to give 1-pyrrolines. This methodology allows the presence of different substituents and the reaction proceeds regiospecifically.

# A new stereocontrolled access to $\beta$ -D-mannopyranosides and 2-acetamido-2-deoxy- $\beta$ -D-mannopyranosides starting from $\beta$ -D-galactopyranosides

Tetrahedron Letters 43 (2002) 8815

Emanuele Attolino, Giorgio Catelani\* and Felicia D'Andrea

Dipartimento di Chimica Bioorganica e Biofarmacia, Università degli Studi di Pisa, Via Bonanno, 33, I-56126 Pisa, Italy

# Semi-orthogonality of O-pentenyl and S-ethyl glycosides: application for the oligosaccharide synthesis

Tetrahedron Letters 43 (2002) 8819

Alexei V. Demchenko\* and Cristina De Meo

Department of Chemistry and Biochemistry, University of Missouri-St. Louis, 8001 Natural Bridge Road, St. Louis, MO 63121, USA

# Room temperature dehalogenation of chloroarenes by polymethylhydrosiloxane (PMHS) under palladium catalysis

Tetrahedron Letters 43 (2002) 8823

Ronald J. Rahaim, Jr. and Robert E. Maleczka, Jr.\*

Department of Chemistry, Michigan State University, East Lansing, MI 48824, USA

## Enantioselective ring opening of *meso*-epoxides with tetrachlorosilane catalyzed by chiral bipyridine N,N'-dioxide derivatives

Makata Nakajima \* Makata Saita Mishinga Hamura and Shunjahi Hashimata

Makoto Nakajima,\* Makoto Saito, Michinao Uemura and Shunichi Hashimoto

Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo 060-0812, Japan

# Greatly enhanced enantioselectivity by an apparently remote steric effect in the 1,1'-binaphthyl-catalyzed alkynylzinc addition to aldehydes

Tetrahedron Letters 43 (2002) 8831

David Moore, Wei-Sheng Huang, Ming-Hua Xu and Lin Pu\*

Department of Chemistry, University of Virginia, Charlottesville, VA 22904-4319, USA

Ph—H + R'-CHO 
$$\xrightarrow{\text{chiral ligand}}$$
 R  $\xrightarrow{\text{CH}}$  R'

THF, rt up to 92% ee

#### Phloroglucinol based podands, versatile tripodal ligands

Tetrahedron Letters 43 (2002) 8835

Gilles Ulrich,\* Sébastien Bedel and Claude Picard

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

# Synthesis of antifungal N-isoprenyl-indole alkaloids from the fungus $Aporpium\ caryae$

Tetrahedron Letters 43 (2002) 8839

Giorgio Della Sala, Daniela Capozzo, Irene Izzo, Assunta Giordano, Antonella Iommazzo and Aldo Spinella\*

Dipartimento di Chimica, Università di Salerno, Via S. Allende, 84081 Baronissi, Salerno, Italy

### Selective solvent-free oxidation of alcohols with potassium dichromate

Ji-Dong Lou<sup>a,\*</sup> and Zhi-Nan Xu<sup>b</sup>

<sup>a</sup>Institute of Chemical and Biological Technology, New University of Lisbon, 2780 Oeiras, Portugal

<sup>b</sup>Department of Chemical Engineering and Bioengineering, Zhejiang University, Hangzhou, Zhejiang 310027, China

#### A practical preparation of 5-(ketoaryl)thiazoles

Tetrahedron Letters 43 (2002) 8845

Karen M. Marcantonio,\* Lisa F. Frey, Jerry A. Murry and Cheng-yi Chen

Department of Process Research, Merck Research Laboratories, PO Box 2000, Rahway, NJ 07065, USA

This article describes a facile synthesis of 2-substituted-5-(ketoaryl)thiazoles which are important intermediates for the synthesis of biologically active compounds. A variety of 2-substituted thiazole anions were added to aryl nitriles to provide the desired ketones after aqueous hydrolysis.

# Radical-mediated bromination of carbohydrate derivatives: searching for alternative reaction conditions without carbon tetrachloride

Tetrahedron Letters 43 (2002) 8849

Katalin Czifrák and László Somsák\*

Department of Organic Chemistry, University of Debrecen, H-4010, POB 20, Debrecen, Hungary

The applicability of these reaction conditions has been demonstrated with 12 other monosaccharide derivatives.

AcO OAc 
$$R = H$$

$$AcO OAc$$

$$AcO CN$$

$$AcO CN$$

$$AcO CN$$

$$R = H$$

$$99 \% KBrO_3-Na_2S_2O_4$$

$$CH_2Cl_2-H_2O, r. t.$$

$$R = Br$$

# An efficient synthesis of 4-alkenyl/alkynyl-6-methyl-2-pyrones via Pd-catalysed coupling on 4-bromo-6-methyl-2-pyrone

Lester R. Marrison,<sup>a</sup> Julia M. Dickinson,<sup>a</sup> Razwan Ahmed<sup>a</sup> and Ian J. S. Fairlamb<sup>a,b,\*</sup>

<sup>a</sup>Department of Chemistry and Materials, John Dalton Building, the Manchester Metropolitan University, Chester Street, Manchester M1 5GD, UK

<sup>b</sup>Department of Chemistry, University of York, Heslington, York YO10 5DD, UK Tetrahedron Letters 43 (2002) 8853

Br Pd/C, PPh<sub>3</sub>, Cul,
Et<sub>3</sub>N, CH<sub>3</sub>CN, 
$$\triangle$$

Pd(OAc)<sub>2</sub>, PPh<sub>3</sub>, benzene, Na<sub>2</sub>CO<sub>3</sub>,  $\triangle$ 

R

B(OH)<sub>2</sub>

Or R

B

O

#### Aerobic oxidation of ethane to acetic acid catalyzed by N,N'dihydroxypyromellitimide combined with Co species

Akihiro Shibamoto, Satoshi Sakaguchi and Yasutaka Ishii\*

Department of Applied Chemistry, Faculty of Engineering and High Technology Research Center, Kansai University,

Suita, Osaka 564-8680, Japan

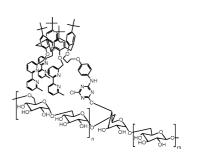
$$cat.$$
 O O O HON NOH O NDHPI O  $cat.$  CoCl<sub>2</sub> CH<sub>3</sub>CN, 150 °C,  $cat.$  CH<sub>3</sub>COOH

#### A bifunctional calixarene designed for immobilisation on a natural polymer and for metal complexation

Philippe Engrand and Jean-Bernard Regnouf-de-Vains\*

GEVSM, UMR 7565 CNRS-UHP, Faculté de Pharmacie, 5, rue Albert Lebrun, F-54001 Nancy Cedex, France

Tetrahedron Letters 43 (2002) 8863



Tetrahedron Letters 43 (2002) 8867

#### Reactivity switching on solid support: solid-phase synthesis of tertiary amines by reduction of tertiary amides with LiAlH<sub>4</sub>

Hisashi Akamatsu, Shoichi Kusumoto and Koichi Fukase\*

Department of Chemistry, Graduate School of Science, Osaka University, Machikaneyama-cho 1-1, Toyonaka, Osaka 560-0043, Japan

#### Regio- and stereoselective α-allylation of quinolines activated by chloroformate and triflate ion by means of chiral allylsilane: a synthesis of chiral 2-substituted 1,2-dihydroquinolines

Ryohei Yamaguchi, a.\* Masato Tanaka, a

Tomohiko Matsuda, a Toshihiko Okano, a Teruno Nagura a R and Ken-ichi Fujita<sup>b</sup>

<sup>a</sup>Graduate School of Human and Environmental Studies, Kyoto University, Yoshida, Kyoto 606-8501, Japan

<sup>b</sup>Graduate School of Global Environmental Studies, Kyoto University, Yoshida, Kyoto 606-8501, Japan

Tetrahedron Letters 43 (2002) 8871

Addition reactions of a chiral allylsilane to a variety of quinolines activated by phenyl chloroformate and triflate ion proceed with high regio- and stereoselectivities to afford various chiral 2-allylated 1,2-dihydroquinolines in good yields.

## Convenient regioselective functionalization at the upper-rim of *p-tert*-butylcalix[8]arene through a protection—deprotection procedure

Carmine Gaeta, Luisa Gregoli, Marco Martino and Placido Neri\* Dipartimento di Chimica, Università di Salerno, Via S. Allende 43, I-84081 Baronissi (SA), Italy Tetrahedron Letters 43 (2002) 8875

## Oligomerization of a rhamnanic trisaccharide repeating unit of O-chain polysaccharides from phytopathogenic bacteria

Tetrahedron Letters 43 (2002) 8879

Emiliano Bedini, a,b Michelangelo Parrillia,\* and Carlo Unverzagtb,\*

<sup>a</sup>Dipartimento di Chimica Organica e Biochimica, Università di Napoli 'Federico II', Complesso Universitario Monte Santangelo, Via Cintia 4, 80126 Napoli, Italy

<sup>b</sup>Bioorganische Chemie, Gebäude NWI, Universität Bayreuth, 95440 Bayreuth, Germany

The nonasaccharide 11 was obtained by stepwise oligomerization of trirhamnoside building blocks.

#### Synthesis of C1-C11 fragment of callystatin A

Tetrahedron Letters 43 (2002) 8883

Luiz C. Dias\* and Paulo R. R. Meira

Instituto de Química, Universidade Estadual de Campinas, UNICAMP, C.P. 6154, 13083-970 Campinas, SP, Brazil

# Selective Wacker-type oxidation of terminal alkenes and dienes using the Pd(II)/molybdovanadophosphate (NPMoV)/O<sub>2</sub> system

Tetrahedron Letters 43 (2002) 8887

Takahiro Yokota, Aki Sakakura, Masayuki Tani, Satoshi Sakaguchi and Yasutaka Ishii\*

Department of Applied Chemistry, Faculty of Engineering, Kansai University, Suita, Osaka 564-8680, Japan

$$n-Bu$$
 +  $O_2$   $cat. Pd(OAc)_2/C - cat. NPMoV$  +  $n-Bu$  +  $n-Bu$ 

# Formation of indole nucleus via intramolecular cyclization of aminophenylpropenyltriphenylphosphonium salts with one-carbon degradation

Shin'ichi Taira, Hiroshi Danjo and Tsuneo Imamoto\*

Department of Chemistry, Faculty of Science, Chiba University, Yayoi-cho, Inage-ku, Chiba 263-8522, Japan

## Laser-induced in vitro isomerization of urocanic acid in UVA region and the origin of excited triplet state

Tetrahedron Letters 43 (2002) 8897

Taj Mohammad\*

Department of Chemistry, Purdue University, West Lafayette, IN 47907-1393, USA

(E)-Urocanic Acid [(E)-UA]

(Z)-Urocanic Acid [(Z)-UA]

# Carbon-carbon bond construction at the 2-position of polysubstituted pyrimidinones

Tetrahedron Letters 43 (2002) 8901

Dawei Zhang,\* Kelvin Sham, Guo-Qiang Cao, Randall Hungate and Celia Dominguez

Department of Small Molecule Drug Discovery, AMGEN Inc., One AMGEN Center Drive, Thousand Oaks, CA 91320, USA

This letter reveals a novel synthesis of 2-carbon substituted pyrimidinones via Grignard addition to 2-cyanopyrimidinones.

#### A drug targeting motif for glycosidase inhibitors: an iminosugarboronate shows unexpectedly selective $\beta$ -galactosidase inhibition

Tetrahedron Letters 43 (2002) 8905

Leland L. Johnson, Jr. a and Todd A. Houston a,b,\*

<sup>a</sup>Department of Chemistry, Virginia Commonwealth University, Richmond, VA 23284-2006, USA

<sup>b</sup>School of Science, Griffith University, Nathan, QLD 4111, Australia

The presence of a boronic acid in 8 versus 9 increases  $\beta$ -galactosidase inhibition while diminishing  $\alpha$ -mannosidase inhibition.

### A novel solid-phase chlorinating reagent for the synthesis of acyl chlorides

Tetrahedron Letters 43 (2002) 8909

Guanglin Luo,\* Li Xu and Graham S. Poindexter

Bristol-Myers Squibb Pharmaceutical Research Institute, Department of Chemistry, 5 Research Parkway, Wallingford, CT 06492, USA

Cyanuric chloride was loaded onto a modified Wang resin, which was successfully used to convert carboxylic acids to their corresponding acyl chlorides. The formation of acyl chlorides were confirmed by condensation with various amines or alcohols to form the corresponding amides or esters.

## An anionic condensation and fragmentation approach to substituted 3-pyrrolines

Tetrahedron Letters 43 (2002) 8913

G. Mahika Weeresakare, b Qing Xua and Jon D. Rainierb,\*

<sup>a</sup>Department of Chemistry, The University of Arizona, Tucson, AZ 85721, USA

<sup>b</sup>Department of Chemistry, University of Utah, 315 South 1400 East, Salt Lake City, UT 84112, USA

## Synthesis of substituted 1H-imidazol-1-ylmethylpiperidines. Facile separation of 1,4- and 1,5-disubstituted imidazoles

Tetrahedron Letters 43 (2002) 8917

Jocelyn Rivera, Nilukshi Jayasuriya, Dinanath Rane, K. Keertikar, J. Albert Ferreira, Jianping Chao, Keith Minor and Timothy Guzi\*

Chemical Research, Infectious Diseases and Tumor Biology, Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ, 07033, USA

$$CO_2Et$$

# Pd/P(i-BuNCH<sub>2</sub>CH<sub>2</sub>)<sub>3</sub>N: an efficient catalyst for Suzuki cross-coupling of aryl bromides and chlorides with arylboronic acids

Tetrahedron Letters 43 (2002) 8921

Sameer Urgaonkar, M. Nagarajan and J. G. Verkade\*

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

$$R = \text{Br, Cl}$$

$$R = \text{Br, Cl}$$

$$R = \text{Pd}(\text{OAc})_2 \text{P($i$-BuNCH}_2\text{CH}_2\text{)}_3\text{N}}$$

### Amines addition to $\alpha$ -nitrohydrazones: application to amidrazones and triazoles formation

Laurent El Kaim,\* Laurence Grimaud, Nirmal K. Jana, Franck Mettetal and Cornelia Tirla

Laboratoire Chimie et Procédés, Ecole Nationale Supérieure de Techniques Avancées, 32 Boulevard Victor, 75015 Paris, France

# Studies on the non-mevalonate isoprenoid biosynthetic pathway. Simple methods for preparation of isotope-labeled (E)-1-hydroxy-2-methylbut-2-enyl 4-diphosphate

Tetrahedron Letters 43 (2002) 8929

Stefan Hecht, a Sabine Amslinger, a Johann Jauch, Klaus Kis, Viola Trentinaglia, Petra Adam, Wolfgang Eisenreich, Adelbert Bacher and Felix Rohdich.

<sup>a</sup>Lehrstuhl für Organische Chemie und Biochemie, Technische Universität München, Lichtenbergstraße 4, D-85747 Garching, Germany <sup>b</sup>Lehrstuhl für Organische Chemie I, Technische Universität München, Lichtenbergstraße 4, D-85747 Garching, Germany

(E)-1-Hydroxy-2-methylbut-2-enyl 4-diphosphate was synthesized from 2-methyl-2-vinyloxirane in two reaction steps.

## Stereoselective synthesis of C-ketosides by Lewis acid-catalyzed C-glycosylation of alkynyl-ketoses

Tetrahedron Letters 43 (2002) 8935

Ana M. Gómez, a,\* Clara Uriel, Serafín Valverde, Slawomir Jarosz and J. Cristóbal Lópeza,\*

<sup>a</sup>Instituto de Química Orgánica General, CSIC, Juan de la Cierva 3, 28006 Madrid, Spain

<sup>b</sup>Institute of Organic Chemistry, Polish Academy of Sciences, Kasprzaka 44, 01-224, Warszawa, Poland

## Synthesis of optically pure pyrroloquinolones via Pictet-Spengler and Winterfeldt reactions

Tetrahedron Letters 43 (2002) 8941

Weiqin Jiang,<sup>a,\*</sup> Zhihua Sui<sup>a</sup> and Xin Chen<sup>b</sup>

<sup>a</sup>Drug Discovery, Johnson & Johnson Pharmaceutical Research & Development L.L.C., 1000 Route 202 S., PO Box 300, Raritan, NJ 08869, USA

<sup>b</sup>Computer Aided Drug Discovery, Johnson & Johnson Pharmaceutical Research & Development L.L.C., 1000 Route 202 S., PO Box 300, Raritan, NJ 08869, USA

### *p*-Hydroxyphenacyl bromide as photoremoveable thiol label: a potential phototrigger for thiol-containing biomolecules

Alexandre Specht, a Sandra Loudwig, Ling Pengb and Maurice Goeldnera,\*

<sup>a</sup>Laboratoire de Chimie Bioorganique, UMR 7514 CNRS Faculté de Pharmacie, Université Louis Pasteur Strasbourg, BP24-67401 Illkirch cedex, France

<sup>b</sup>AFMB CNRS UMR 6098, Département de chimie, 163 Avenue de Luminy, F-13288 Marseille Cedex 09, France

## A natural phosphate and doped-catalyzed Michael addition of mercaptans to $\alpha,\beta$ -unsaturated carbonyl compounds

Tetrahedron Letters 43 (2002) 8951

Younes Abrouki, Mohamed Zahouily,\* Ahmed Rayadh, Bouchaïb Bahlaouan and Saïd Sebti

UFR de Chimie Appliquée, Laboratoire de Synthèse Organique et Traitement de l'Information, Faculté des Sciences et Techniques, Université Hassan II, Mohammadia BP 146, 20650 Morocco

X = H, p-Cl and m-NO<sub>2</sub> R = Ph, o-NH<sub>2</sub>Ph and CH<sub>2</sub>-CO<sub>2</sub>Et

Good to very high yields

#### Synthesis of bicyclic dioxetanes bearing a 3-hydroxy-4isoxazolylphenyl moiety: new CIEEL-active dioxetanes emitting light with remarkable high-efficiency in aqueous medium

Tetrahedron Letters 43 (2002) 8955

Masakatsu Matsumoto,\* Toshimitsu Sakuma and Nobuko Watanabe

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

# Iron(III) chloride-catalyzed effective allylation reactions of aldehydes with allyltrimethylsilane

Tetrahedron Letters 43 (2002) 8959

Tsutomu Watahiki and Takeshi Oriyama\*

Faculty of Science, Ibaraki University, Bunkyo, Mito 310-8512, Japan

R = Ph, PhCH<sub>2</sub>CH<sub>2</sub>-, c-C<sub>6</sub>H<sub>11</sub>-, Ph<sub>2</sub>CH-, t-Bu-

92~99%

# An interesting dichotomy in the cyclisation of exocyclic enamines with protected dehydroamino acids leading to different $\beta$ -turn templates

Tetrahedron Letters 43 (2002) 8963

Jane M. Berry, Paul M. Doyle and Douglas W. Young\*

Sussex Centre for Biomolecular Design and Drug Development, CPES, University of Sussex, Falmer, Brighton, BN1 90J, UK

# Indium/indium trichloride mediated pinacol cross-coupling reaction of aldehydes and chalcones in aqueous media: a facile stereoselective synthesis of substituted but-3-ene-1,2-diols

Tetrahedron Letters 43 (2002) 8967

Vijay Nair,<sup>a,\*</sup> Sindu Ros,<sup>a</sup> C. N. Jayan<sup>a</sup> and Nigam P. Rath<sup>b</sup>

<sup>a</sup>Organic Chemistry Division, Regional Research Laboratory (CSIR), Trivandrum 695 019, India

<sup>b</sup>Department of Chemistry, University of Missouri, St. Louis, MO 63121-4499, USA

A facile synthesis of substituted but-3-ene-1,2-diols by the reaction of aldehydes and chalcones mediated by indium/indium trichloride in aqueous media is described.

#### A novel CAN-mediated oxidative rearrangement of monoterpenes

Tetrahedron Letters 43 (2002) 8971

Vijay Nair, a,\* Roshini Rajan, Lakshmi Balagopal, Siji Thomas and K. Narasimlub

<sup>a</sup>Organic Chemistry Division, Regional Research Laboratory (CSIR), Trivandrum 695 019, India <sup>b</sup>Indian Institute of Chemical Technology (CSIR), Hyderabad 500 007, India

A facile CAN-mediated oxidative rearrangement of monoterpenes of the pinene family to afford bisamides and ether derivatives is described.

CAN, CH<sub>3</sub>CN RT, 3 h, 72%

## Molecular baskets based on tetramercaptotetrathiacalix[4]arene and tetrathiacalix[4]arene

Tetrahedron Letters 43 (2002) 8975

Huriye Akdas, Laurent Bringel, Véronique Bulach, Ernest Graf, Mir Wais Hosseini\* and André De Cian

Institut Le Bel, Université Louis Pasteur, 4, rue Blaise Pascal, F-67000 Strasbourg, France

The treatment of p-'but-tetrathiacalix[4]arene and p-'but-tetrathiatetramercaptocalix[4]arene by 1,2-dibromoethane in the presence of  $K_2CO_3$  leads to the formation of two new basket-type derivatives which were structurally characterised in the solid state.

### Solution- and solid-phase synthesis of enantiomerically pure spiro oxindoles

Tetrahedron Letters 43 (2002) 8981

A. K. Ganguly, \*\*, \* N. Seah, \* V. Popov, \* C. H. Wang, \* R. Kuang, \* A. K. Saksena, \* B. N. Pramanik, \* T. M. Chan and A. T. McPhail

<sup>a</sup>Stevens Institute of Technology, Hoboken, NJ 07030, USA

<sup>b</sup>Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033-1300, USA

°P. M. Gross Chemical Laboratory, Duke University, Box 90346, Durham, NC 27708-0346, USA

## Potential kinetic control of ultrasonic ${}^{1}H \rightarrow {}^{2}H$ isotopic exchange by transition metal doping of Raney-nickel® catalysts

Tetrahedron Letters 43 (2002) 8985

Eugene A. Cioffi,\* Kristen E. Alston and Ami M. Patel

Department of Chemistry, University of South Alabama, Mobile, AL 36688-0002, USA

Raney-nickel®-catalyzed  ${}^{1}H \rightarrow {}^{2}H$  isotopic exchange rates are modulated by the presence of transition metals. Other typical hydrogenation catalysts were ineffective or caused product decomposition. Only Ni containing Raney®-type catalysts are effective.

Carbohydrates + R. Ni + ultrasound = Stereospecific  $C-H \rightarrow C-D$  exchange

## On the regiochemistry of nucleophilic attack on 2-halo $\pi$ -allyl complexes. Part 3: The electronic effect of phenoxide ion and the ligand

Tetrahedron Letters 43 (2002) 8989

Michael G. Organ,\* Elena A. Arvanitis and Stephen J. Hynes

The Department of Chemistry, York University, 4700 Keele Street, Toronto, Ontario, Canada, M3J 1P3

2-Bromo  $\pi$ -allyl Pd complex with triphenylphosphine ligands on the metal gives almost exclusively the products of central carbon attack in the presence of both malonate and phenoxide nucleophile. In the presence of a bidentate ligand (e.g. DPPP), products arising from the attack of phenoxide are all but eliminated and yet central attack by malonate dominates the reaction mixture.

$$X = \text{halide or psuedohalide}$$

$$E = \frac{CO_2CH_3}{CO_3CH_3}$$

$$E = \frac{CO_2CH_3}{CO_3CH_3}$$

$$E = \frac{CO_2CH_3}{CO_3CH_3}$$

$$E = \frac{CO_3CH_3}{CO_3CH_3}$$

$$E = \frac{CO_3CH_3}{CO_3CH_3$$