

Synthesis of carbo- and aza-bicyclo[4.3.0] and [4.4.0] compounds by Ti(II)-mediated cyclization of 2,7- or 2,8-enynyl-1-ol derivatives Tetrahedron Letters 43 (2002) 6511

Yongcheng Song, Sentaro Okamoto and Fumie Sato\*

Department of Biomolecular Engineering, Tokyo Institute of Technology, 4259 Nagatsuta-cho, Midori-ku, Yokohama, Kanagawa 226-8501, Japan

The reaction of 2,7- and 2,8-enynyl-1-ol derivatives where the ene moiety is a part of a ring with a  $Ti(O-i-Pr)_4/2$  *i*-PrMgCl reagent proceeds smoothly with excellent stereoselectivity to afford carbo- or aza-bicyclo[4.3.0] and [4.4.0] compounds, respectively, in high yields.





### Syntheses of novel photoaffinity probes for bioorganic studies on nyctinasty of leguminous plants Takanori Sugimoto,<sup>a</sup> Tomohiko Fujii,<sup>a</sup> Yasumaru Hatanaka,<sup>b</sup> Shosuke Yamamura<sup>a</sup> and Minoru Ueda<sup>a,\*</sup> <sup>a</sup>Laboratory of Natural Products, Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama 223-8522, Japan <sup>b</sup>Research Institute for Wakan-yaku, Toyama Medical and Pharmaceutical University, Sugitani 2630, Toyama 930-01, Japan Novel and non-radioactive photoaffinity probes for the bioorganic study of nyctinasty are designed and synthesized based on potassiumisolespedezate, a leaf-opening substance of Cassia mimosoides L.

### Tetrahedron Letters 43 (2002) 6533

### cyclohex-2-en-1-yl ethers to phenols Pascal Carato, Guillaume Laconde, Chehla Ladjel, Patrick Depreux\* and Jean-Pierre Hénichart

A new mild and rapid deprotecting method for aryl

Institut de Chimie Pharmaceutique Albert Lespagnol, 3 rue du Professeur Laguesse, BP83, 59006 Lille, France

HCI Ether

Deprotection of cyclohex-2-en-1-yl ether to phenol with a new mild and rapid method.



1. Base, RX

2. Pummerer

R

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Tetrahedron Letters 43 (2002) 6541

Alessandro Volonterio,<sup>b,\*</sup> Pierfrancesco Bravo<sup>a,b</sup> and Matteo Zanda<sup>a,\*</sup>

<sup>a</sup>CNR, Istituto di Chimica del Riconoscimento Molecolare, sezione 'A. Quilico', via Mancinelli 7, I-20131 Milan, Italy <sup>b</sup>Dipartimento di Chimica, Materiali ed Ingegneria Chimica 'G. Natta' del Politecnico di Milano, via Mancinelli 7, I-20131 Milan, Italy

### Rational synthesis of regioregular oligothiophenes via palladium catalyzed coupling reactions

Guillaume Loire,<sup>a,c</sup> Damien Prim,<sup>b</sup> Bruno Andrioletti,<sup>a</sup> Eric Rose,<sup>a,\*</sup> André Persoons,<sup>c,\*</sup> Sonja Sioncke<sup>c</sup> and Jacqueline Vaissermann<sup>d</sup>

1. Base, RX

2. chloro-Pummerer

<sup>a</sup>Laboratoire de Synthèse Organique et Organométallique UMR 7611, Université P. et M. Curie, Tour 44-45, case 181, 4, Place Jussieu, 75252 Paris cedex 05, France

<sup>b</sup>Laboratoire SIRCOB UPRESA 8086, Université de Versailles, 45, Avenue des Etats-Unis, 78035 Versailles cedex, France

R = alkyl X = halogen

<sup>c</sup>Laboratory for Chemical and Biological Dynamics, University of Leuven, Celestijnenlaan 200D, B-3001 Heverlee, Belgium <sup>d</sup>LCIM<sup>2</sup> UA 7071 Université P. et M. Curie, Batiment F, case 42, 4, Place Jussieu, 75252 Paris cedex 05, France

Antifungal cyclopeptides from *Halobacillus litoralis* YS3106 of

marine origin

Ling Yang,<sup>a</sup> Ren-xiang Tan,<sup>a,\*</sup> Qian Wang,<sup>b,\*</sup> Wei-yi Huang<sup>c</sup> and Yong-xian Yin<sup>c</sup>

<sup>a</sup>Institute of Functional Molecules, School of Life Sciences, Nanjing University, Nanjing 210093, PR China <sup>b</sup>Department of Chemistry, The Scripps Research Institute, 10550 North Torrey Pines Road, La Jolla, CA 92037, USA <sup>c</sup>Department of Microbiology, Nanjing Agricultural University, Nanjing 210095, PR China

A group of unexpected cyclic peptides, constructed exclusively with highly repeated units of hydrophobic L-amino acid residues, were isolated from a high salty marine sediment-derived bacterium strain.



### Tetrahedron Letters 43 (2002) 6545



### Synthesis of naphthoxylosides on solid support

Tetrahedron Letters 43 (2002) 6549

Mårten Jacobsson and Ulf Ellervik\*

Organic and Bioorganic Chemistry, Center for Chemistry and Chemical Engineering, Lund University, PO Box 124, SE-221 00 Lund, Sweden

The 14 possible  $\beta$ -D-xylopyranosides of dihydroxynaphthalenes were synthesized on solid support. The aromatic residue was first coupled to the resin and then xylosylated under BF<sub>3</sub>·OEt<sub>2</sub> mediated conditions. Deprotection and cleavage from the resin gave xylosides in 6–42% yield with virtually no formation of  $\alpha$ -xyloside.









# A facile access to natural and unnatural dialkylsubstituted maleic anhydrides

Anirban Kar and Narshinha P. Argade\*

Bart M. J. M. Suijkerbuijk,<sup>a</sup> Martijn Q. Slagt,<sup>a</sup>

Division of Organic Chemistry (Synthesis), National Chemical Laboratory, Pune 411 008, India

A facile route to naturally occurring 1a and unnatural 11 has been described via a chemoselective  $S_N 2'$  Grignard reaction.



Robertus J. M. Klein Gebbink,<sup>a</sup> Martin Lutz,<sup>b</sup> Anthony L. Spek<sup>b</sup> and Gerard van Koten<sup>a,\*</sup> <sup>a</sup>Debye Institute, Department of Metal-Mediated Synthesis, Utrecht University, Padualaan 8, 3584 CH Utrecht, Netherlands <sup>b</sup>Bijvoet Center for Biomolecular Research, Department of Crystal and Structural Chemistry, Utrecht University, Padualaan 8, 3584 CH Utrecht, Netherlands <sup>I</sup> Me<sub>2</sub>N-Pt-NMe<sub>2</sub> <sup>I</sup> Me<sub>2</sub>N-Pt-NMe<sub>2</sub>

# Studies of organic-inorganic solids possessing sensitive oligoarylene-vinylene chromophore-terminated phosphonatesTetrahedron Letters 43 (2002) 6569Richard Frantz,\* Céline Carbonneau, Michel Granier,<br/>Jean-Olivier Durand, Gérard F. Lanneau and Robert J. P. Corriu<br/>Chimie Moléculaire et Organisation du Solide UMR 5637, case courrier 007,<br/>Université Montpellier 2, place Eugène Bataillon,<br/>F-34095 Montpellier cedex 05, France $\rho$ <br/>(ZO)2P-CH2-R-Si(OR')3 + x Si(OR')4 $\rightarrow$ <br/> $\rho$ <br/>(ZO)2P-CH2-R-SiO<sub>1.5</sub>, xSiO<sub>2</sub>The syntheses of xerogels possessing a phosphonate group covalently linked<br/>to a silica matrix through a fluorescent carbon backbone are described.R': Et, Me Z = Et, t-Bu<br/>R: Oligoarylene- vinylene Fluorophore

BET and fluorescent analyses showed different behaviors for closely related structures. Hydrolysis of Et and *t*-Bu phosphonates in the presence of sensitive  $\pi$ -conjugated anthryl chromophore is described.

# Ionic liquids as solvents of choice for electrophilic fluorination: fluorination of indoles by $F-TEDA-BF_4$

Laboratoire des Fonctions Azotées et Oxygénées Complexes, IRCOF et UMR 6014, Faculté des Sciences, Université de Rouen, F-76821 Mont-Saint-Aignan, France

Jérôme Baudoux, Anne-Frédérique Salit, Dominique Cahard and Jean-Christophe Plaquevent\*

F-TEDA- $BF_4$  was shown to be soluble in ionic liquids, thus allowing the 'green' electrophilic fluorination of indolic derivative in high chemoselectivity and yield.



Tetrahedron Letters 43 (2002) 6573

Tetrahedron Letters 43 (2002) 6563

# Ar = aryl, R<sub>1</sub> = alkyl, R<sub>2</sub> = alkyl or aryl Tetrahedron Letters 43 (2002) 6579 Convenient indole synthesis from ethynylanilines with a polymer-supported fluoride Akito Yasuhara,\* Naoyuki Suzuki, Takashi Yoshino, Yousuke Takeda and Takao Sakamoto\* Graduate School of Pharmaceutical Sciences, Tohoku University, Aobaku, Sendai 980-8578, Japan $B = H \text{ or } B^1$ R<sup>1</sup>= COOEt, Ac, Boc, Ms $R^2 = Ar, Bu$ R<sup>3</sup>= MeO, CN, CI, H

## Division of Molecular Chemistry, Graduate School of Engineering, Hokkaido University, Sapporo 060-8628, Japan

Tsuyoshi Fukuhara, Yuriko Akiyama, Norihiko Yoneda, Takahisa Tada and Shoji Hara\*

Effective synthesis of diffuorocyclohexadienones by electrochemical

oxidation of phenols



### Unusual formation of cyclic-orthoesters by Pd(II)-mediated cyclization-carbonylation of propargylic acetates

Keisuke Kato,<sup>a,\*</sup> Yasuhiro Yamamoto<sup>b</sup> and Hiroyuki Akita<sup>a,\*</sup>

R<sub>2</sub> CO balloon

<sup>a</sup>School of Pharmaceutical Sciences, Toho University, 2-2-1 Miyama, Funabashi, Chiba 274-8510, Japan <sup>b</sup>Department of Chemistry, Faculty of Science, Toho University, 2-2-1 Miyama, Funabashi, Chiba 274-8510, Japan

The oxidative cyclization-methoxycarbonylation of propargylic acetates 1 in the presence of  $(CH_3CN)_2PdCl_2/p$ -benzoquinone in methanol under carbon monoxide atmosphere (balloon) afforded (E)-cyclic-orthoesters 2 in moderate yields.

`o´ 2

CO<sub>2</sub>CH<sub>3</sub> R<sub>1</sub>, R<sub>2</sub>=alkyl

R<sub>3</sub>=alkyl or phenyl

Tetrahedron Letters 43 (2002) 6575

Weijiang Zhang,\* Anthony R. Haight and Margaret C. Hsu GPRD Process Chemistry & Engineering, Abbott Laboratories, 1401 Sheridan Rd., North Chicago, IL 60064, USA

The palladium-catalyzed coupling of alkynes with alcohols and carboxylic acids to give allylic ethers and esters has been achieved. With phenols, these conditions furnish the C-alkylation products.







Tetrahedron Letters 43 (2002) 6587

Tetrahedron Letters 43 (2002) 6583

### Novel anionic polycyclisation cascade. Highly stereocontrolled assembly of functionalised tetracycles akin to the middle core of the manzamines

Tetrahedron Letters 43 (2002) 6591

Tetrahedron Letters 43 (2002) 6597





# Synthesis of *ortho*-hydroxyacetophenone derivatives from Baylis–Hillman acetates

Jae Nyoung Kim,\* Yang Jin Im and Jeong Mi Kim

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



# Metal zinc-promoted *gem*-bisallylation of acid chlorides with allyl chlorides in the presence of chlorotrimethylsilane

Tetrahedron Letters 43 (2002) 6601

Yoshio Ishino,\* Masatoshi Mihara and Manabu Kageyama

Osaka Municipal Technical Research Institute, 1-6-50, Morinomiya, Joto-ku, Osaka 536-8553, Japan

Treatment of acid chlorides (2) with allyl chlorides (1) in the presence of zinc dust and a catalytic amount of TMSCl brought about highly facile and effective coupling to give the corresponding bis-allylation products, 4-hydroxy-penta-1,6-dienes (3), in good to excellent yields.



### Tetrahedron Letters 43 (2002) 6605 Brønsted- and Lewis acid-catalyzed cyclization giving rise to substituted anthracenes and acridines Raf Goossens, Mario Smet and Wim Dehaen\* Department of Chemistry, Katholieke Universiteit Leuven, Celestijnenlaan 200F, B-3001 Heverlee (Leuven), Belgium A versatile acid-catalyzed strategy for the preparation of ĊНО substituted anthracenes and acridines is explored. The H<sub>3</sub>CO OCH<sub>3</sub> compounds prepared may be of interest for NLO X = C-Ar, C-CHO, N applications. H<sub>2</sub>CC OCH<sub>3</sub>

# An enantioselective formal synthesis of the proteasome inhibitor (+)-lactacystin

Tetrahedron Letters 43 (2002) 6609

Martin P. Green,<sup>a</sup> Jeremy C. Prodger<sup>b</sup> and Christopher J. Hayes<sup>a,\*</sup>

<sup>a</sup>The School of Chemistry, The University of Nottingham, University Park, Nottingham NG7 2RD, UK <sup>b</sup>GlaxoSmithKline, Medicines Research Centre, Gunnels Wood Road, Stevenage, Hertfordshire SG1 2NY, UK





Highly enantioselective biotransformations of 2-aryl-4-Pentenenitriles, a novel chemoenzymatic approach to (R)-(-)baclofen Mei-Xiang Wang\* and Sheng-Min Zhao Center for Molecular Science, Institute of Chemistry, Chinese Academy of Sciences, Beijing 100080, China  $Ar_r$  H  $CONH_2$  Ar (+/-)-1  $HO_2C$  S-(+)-3  $CONH_2$   $CONH_2$   $COO_2H$ (R)-(-)-Baclofen



# Highly enantioselective resolution of terminal epoxides using polymeric catalysts

Yuming Song, Xiaoquan Yao, Huilin Chen, Changmin Bai, Xinquan Hu and Zhuo Zheng\* Dalian Institute of Chemical Physics, The Chinese Academy of Sciences, Dalian 116023, PR China





A comparative study on photosensitized oxidation of *trans-2*-vinylthiophenes with *trans-3*-vinylthiophenes

Tetrahedron Letters 43 (2002) 6633

Kai Song, Ming-Li Peng, Ming Xu, Li-Zhu Wu,\* Li-Ping Zhang and Chen-Ho Tung\*

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

$$Ar \xrightarrow{h_V / O_2 / TPP} Ar - CHO + S CHO + S Ar$$

# Construction of functionalised medium rings by stereospecific expansions of 2,3-epoxy alcohols under mild conditions

Tetrahedron Letters 43 (2002) 6637

Charles M. Marson,<sup>a,\*</sup> Afzal Khan,<sup>b</sup> Rod A. Porter<sup>c</sup> and Alexander J. A. Cobb<sup>a</sup>

<sup>a</sup>Department of Chemistry, University College London, Christopher Ingold Laboratories, 20 Gordon Street, London WC1H 0AJ, UK <sup>b</sup>Department of Chemistry, Queen Mary College, University of London, London E1 4NS, UK <sup>c</sup>SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Third Avenue, Harlow CM19 5AW, UK

SminKine Beecham Pharmaceuticais, New Frontiers Science Park, Inira Avenue, Harlow CM19 SAW, UK

Highly stereocontrolled ring expansions afford aldol products formally derived from medium ring ketones.

 $\begin{array}{c}
HO \quad O \\
8 \\
\hline
 & -78 \, ^{\circ}C, \, 15 \, \min \end{array} \quad \begin{array}{c}
O \\
9 \\
9 \\
\hline
 & H \\
(62\%)
\end{array}$ 

# Palladium-catalyzed dehydrogenation of benzylic alcohols in molten ammonium salts, a recyclable system Tetrahedron Letters 43 (2002) 6641 Benjamin Ganchegui, Sandrine Bouquillon, Françoise Hénin and Jacques Muzart\* Unité Mixte de Recherche 'Réactions Sélectives et Applications', CNRS-Université de Reims Champagne-Ardenne, B.P. 1039, 51687 Reims Cedex 2, France













Tetrahedron Letters 43 (2002) 6661

Richard V. Connors, Alex J. Zhang and Stephen J. Shuttleworth\*

Tularik Inc., Two Corporate Drive, South San Francisco, CA 94080, USA



# Solid-phase synthesis of a folate conjugate of a DNA binding polyamide

Solid-phase synthesis of a folate tripyrrolocarboxamide conjugate of a DNA binding polyamide is described. The synthesis of a new building block

Sanjay K. Sharma and J. William Lown\* Department of Chemistry, University of Alberta, Edmonton, AB, Canada T6G 2G2

monomer Boc-Py-[(CH<sub>2</sub>)<sub>3</sub>-NHFmoc)] acid is also reported.







Tetrahedron Letters 43 (2002) 6677

# Enantioselective synthesis of $\alpha$ -carbon deuterium-labelled L- $\alpha$ -amino acids

Barry Lygo\* and Luke D. Humphreys

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

A simple and efficient method for the synthesis of  $\alpha$ -carbon deuterium labelled L- $\alpha$ -amino acids via asymmetric PTC alkylation of a benzophenone-derived glycine imine is described.

