Convenient procedure of Horner-Wadsworth-Emmons olefination for the synthesis of simple and functionalised α,β -unsaturated nitriles

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Synthesis of a benzotriazole azo dye phosphoramidite for labelling of oligonucleotides

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Department of Pure and Applied Chemistry, University of Strathclyde, 295 Cathedral Street, Glasgow G1 1XL, UK

The first synthesis of a benzotriazole azo dye phosphoramidite and subsequent incorporation in an oligonucleotide is reported.

Tetrahedron Letters 44 (2003) 1339

Tetrahedron Letters 44 (2003) 1343

Reaction of lithium eneselenolates derived from selenoamides with ketones: a highly diastereoselective synthetic route to β , β -disubstituted β -hydroxy selenoamides

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Microwave assisted free radical cyclisation of alkenyl and alkynyl isocyanides with thiols

Tetrahedron Letters 44 (2003) 1347

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Alkenyl and alkynyl isocyanides were synthesised and then reacted, using microwave flash-heating technology, with thiophenol, 2-mercaptoethanol and ethanethiol, in the presence of a radical initiator, to give highly functionalised pyrrolines and pyroglutamates. Direct comparison with results obtained using traditional heating techniques showed the advantage of using the microwave technology in terms of faster reactions and better yields.

A selective Cu(II)/Fe(III)-mediated hydrogenation of steroidal haloalkenes in the presence of hydrazine

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Guest-binding properties of functionally porous crystal based on metal complex of *p-tert*-butylthiacalix[6]arene

Tetrahedron Letters 44 (2003) 1355

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Synthesis of novel rigid triazine-based calix[6]arenes

Xiaoping Yang and Christopher R. Lowe*

Institute of Biotechnology, University of Cambridge, Tennis Court Road, Cambridge CB2 1QT, UK

The stepwise synthesis of a novel rigid functionalised macrocycle 2 based on triazine and phenylenediamine linkers, is presented. Poor recognition of the macrocyle 2 for its substrates is observed.

Tetrahedron Letters 44 (2003) 1359

Further developments in the synthesis of lamellarin alkaloids via direct metal-halogen exchange

Tetrahedron Letters 44 (2003) 1363

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Mahidol University, Rama 6 Road, Bangkok 10400, Thailand *Department of Chemistry, Mahidol University, Rama 6 Road, Bangkok 10400, Thailand

d Programme on Research and Development of Synthetic Drugs, Institute of Science and Technology for Research and Development, Mahidol University, Salaya Campus, Thailand

MeO
MeO
MeO
MeO
MeO
X = OEt, NEt₂ R
R = H or OMe

MeO
R
R
R
R = H or OMe

MeO
R
R
R
R = H or OMe

2,5-Diamidofuran anion receptors

Salvatore Camiolo, Philip A. Gale,* Michael B. Hursthouse,

Mark E. Light and Colin N. Warriner

School of Chemistry, University of Southampton, Southampton SO17 1BJ, UK

Lipase-catalysed polyester synthesis in 1-butyl-3-methylimidazolium hexafluorophosphate ionic liquid

Tetrahedron Letters 44 (2003) 1371

Susheel J. Nara, Jitendra R. Harjani, Manikrao M. Salunkhe, Ankush T. Mane and Prakash P. Wadgaonkarb

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^bPolymer Chemistry Division, National Chemical Laboratory, Pune 411 008, India

NaIO₄-DMF: a novel reagent for the oxidation of organic halides to carbonyl compounds

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^bDabur Research Foundation, Ghazidabad, UP, India

$$\stackrel{X}{\underset{R}{\longleftarrow}}_{R'} \quad \stackrel{NaIO_4/\,DMF}{\longrightarrow} \quad \stackrel{O}{\underset{R}{\longleftarrow}}_{R}$$

X= Br, Cl R'= H, Alkyl, Aryl Tetrahedron Letters 44 (2003) 1375

Synthesis of fused bicyclic imidazoles by ring-closing metathesis

Tetrahedron Letters 44 (2003) 1379

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Department of Chemistry and Biochemistry, The University of Texas at Arlington, Arlington, TX 76019, USA

The preparation and ring-closing metathesis reactions of a number of imidazole derived dienes are reported.

$$\begin{array}{c|c} N & & p\text{-TsOH then} \\ N & & & \\ N & & \\ Me_2NO_2S & & & \\ Me_2NO_2S & & & \\ \end{array}$$

New 1-alk(en)yl-1,3,5-trihydroxycyclohexanes from the Dufour gland of the African ant *Crematogaster nigriceps*

Pascal Laurent,^a Anissa Hamdani,^a Jean-Claude Braekman,^{a,*} Désiré Daloze,^{a,*} Lynne A. Isbell,^b Jean-Christophe de Biseau^c and Jacques M. Pasteels^c

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^cLaboratory of Animal and Cellular Biology CP 160/12, University of Brussels, 50 Av. F.D. Roosevelt, 1050 Brussels, Belgium

OH OH

1a-1c:
$$R_1 = C_{17}H_{33}$$

1d-1f: $R_1 = C_{19}H_{37}$

Palladium(II) chloride catalyzes the cross-coupling reaction of 2,5-bis-(butyltelluro)-furan and 1-alkynes

Tetrahedron Letters 44 (2003) 1387

Gilson Zeni,^{a,*} Cristina W. Nogueira,^a Dagoberto O. Silva,^a Paulo H. Menezes,^b Antonio L. Braga,^a Hélio A. Stefani^c and João B. T. Rocha^a

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^cFaculdade de Ciências Farmacêuticas, USP, São Paulo, SP Brazil

$$R^{2} = \begin{pmatrix} 1 & H & R^{1} \\ 0 & R^{1} & 2 \end{pmatrix} H = \begin{pmatrix} R^{1} \\ 2 & R^{2} \end{pmatrix} BuTe \begin{pmatrix} 1 & H & R^{1} \\ 0 & R^{1} \end{pmatrix} Conditions$$

$$R^{1} = \begin{pmatrix} 1 & H & R^{1} \\ 0 & R^{1} \end{pmatrix}$$

$$Conditions = \begin{pmatrix} 1 & H & R^{1} \\ 0 & R^{1} \end{pmatrix}$$

Esterification of sterically hindered acids and alcohols in fluorous media

Tetrahedron Letters 44 (2003) 1391

Badra Gacem and Gérard Jenner*

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

Yields are higher by a factor of 1.2-5 in C_6F_{14} versus toluene. Fluorophobic interactions are likely to be responsible.

Stereochemistry of iejimalide B

Tetrahedron Letters 44 (2003) 1395

Masashi Tsuda,^a Kohei Nozawa,^a Kazutaka Shimbo,^a Haruaki Ishiyama,^a Eri Fukushi,^b Jun Kawabata^b and Jun'ichi Kobayashi^{a,*}

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

^bGraduate School of Agriculture, Hokkaido University, Sapporo 060-8589, Japan

A new route for the construction of the AB-ring core of Taxol

Yumi Shimada, a Makoto Nakamura, b Toshimasa Suzuka, a Junji Matsui, a

Ryo Tatsumi,^a Ken Tsutsumi,^a Tsumoru Morimoto,^a Hideo Kurosawa^b and Kiyomi Kakiuchi^{a,*}

^aGraduate School of Materials Science, Nara Institute of Science and Technology (NAIST), Takayama, Ikoma, Nara 630-0101, Japan

^bDepartment of Applied Chemistry, Faculty of Engineering, Osaka University, Suita, Osaka 565-0871, Japan

Reversible stereocontrol in the Lewis acid promoted reaction of alkoxyaldehydes toward various allyltins

Tetrahedron Letters 44 (2003) 1405

Yutaka Nishigaichi* and Akio Takuwa

Department of Material Science, Faculty of Science and Engineering, Shimane University, 1060 Nishikawatsu-cho, Matsue, Shimane 690-8504, Japan

Non-chelation control

 $(R^{E}, R^{Z}) = (H, D), (alkyl, H), (Ph, H), (alkyl, alkyl)$

A novel one-pot synthesis of 1,2,4-triazole-3,5-diamine derivatives from isothiocyanates and mono-substituted hydrazines

Tetrahedron Letters 44 (2003) 1409

Chunjian Liu* and Edwin J. Iwanowicz

Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ 08543-4000, USA

$$\begin{array}{c} \text{i. NaNHCN} \\ \hline \\ \text{ii. R}_2\text{NHNH}_2 \\ \text{EDC} \\ \end{array} \begin{array}{c} \text{ii. NaNHCN} \\ \text{R}_1 \\ \text{N} \\ \text{N}$$

 R_1 , R_2 = alkyl or aryl, yield = 42-90%, **1**:**2** = 3.0:1-100:0

Efficient and stereoselective synthesis of novel *cis-*4-substituted proline analogues

Tetrahedron Letters 44 (2003) 1413

Junyi Zhang,^a Wei Wang,^{b,*} Chiyi Xiong^a and Victor J. Hruby^{a,*}

^aDepartment of Chemistry, University of Arizona, 1306 E. University Boulevard, Tucson, AZ 85721, USA

^bGenomics Institute of the Novartis Research Foundation, 10675 John Jay Hopkins Drive, San Diego, CA 92121, USA

Thioglycoside and trichloroacetimidate donors in regioselective glycosidations. Comparison with n-pentenyl glycosides

Tetrahedron Letters 44 (2003) 1417

J. Cristóbal López, a.* Ana M. Gómez, a Clara Uriela and Bert Fraser-Reidb.*

^aInstituto de Química Orgánica General (CSIC), Juan de la Cierva 3, 28006 Madrid, Spain ^bNatural Products and Glycotechnology Research Institute, Inc., 4118 Swarthmore Road, Durham, NC 27707, USA

Solid state and solution conformation of 2-pyridinecarboxylic acid hydrazides: a new structural motif for foldamers

Tetrahedron Letters 44 (2003) 1421

Joachim Garric,^a Jean-Michel Léger,^b Axelle Grelard,^a Masakazu Ohkita^c and Ivan Huc^{a,*}

^aInstitut Européen de Chimie et Biologie, 16 av. Pey Berland, 33607 Pessac Cedex, France

^bLaboratoire de Pharmacochimie, Université Victor Segalen Bordeaux II, 146 rue Léo Saignat, 33076 Bordeaux, France

Department of Applied Chemistry, Nagoya Institute of Technology, Nagoya 466-8555, Japan

Nitrilimine cycloaddition to 4-(pyrazol-5-yl)carbonyl-2-azetidinone and 4-(pyrazol-4-yl)carbonyl-2-azetidinone

Tetrahedron Letters 44 (2003) 1425

Paola Del Buttero, a,* Giorgio Moltenia and Tullio Pilatib

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Zinc halide-promoted cyclization of propargyl amide enynes: novel six-membered ring formation

Tetrahedron Letters 44 (2003) 1429

Shoko Yamazaki,* Sakiko Inaoka and Kuriko Yamada

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Application of Pd-catalysed amines arylation for the synthesis of benzopolyazamacrocycles

Irina P. Beletskaya, a,* Alexei D. Averin, a,b Anatolii A. Borisenko, Franck Denatb and Roger Guilardb,*

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Synthesis of 2,3-diaminodihydropyrroles via thioimidate cyclopropane rearrangement

Tetrahedron Letters 44 (2003) 1437

Scott D. Kuduk,* Christina Ng, Ronald K. Chang and Mark G. Bock

Department of Medicinal Chemistry, Merck Research Laboratories, WP14-3, Sumneytown Pike, PO Box 4, West Point, PA 19486, USA

Trimethylsilyl derivatives of N^4 -Boc-cytosine and their effect on I_2 -mediated nucleosidation of O-MTM ethers

Tetrahedron Letters 44 (2003) 1441

Yumei Huang, Subhakar Dey and Philip Garner*

Department of Chemistry, Case Western Reserve University, Cleveland, OH 44106-7078, USA

Mono- and bis(trimethylsilyl) derivatives of N^4 -Boc-cytosine were synthesized and characterized by 1 H NMR. Only the mono(trimethylsilyl)- N^4 -Boc-cytosine participates in the iodine-mediated nucleosidation of N-Fmoc-O-methylthiomethyl serine benzyl ester to produce the cytosine nucleoamino acid, while the bis(trimethylsilyl) derivative failed to give any product.

First synthesis of naturally occurring (±)-epi-conocarpan

Tetrahedron Letters 44 (2003) 1445

Shi-Long Zheng, a,* Wing-Yiu Yu, Ming-Xia Xua and Chi-Ming Cheb,*

^aWest China School of Pharmacy, Sichuan University, Chengdu 610041, PR China

^bDepartment of Chemistry, The University of Hong Kong, Pokfulam Road, Hong Kong

(\pm)-epi-Conocarpan 1 was achieved via the intermediate cis-2,3-dihydrobenzofuran 6 starting from the commercially available 5-bromo-2-hydroxyacetophenone.

Fluorous chiral bisoxazolines. Synthesis and applications to an asymmetric allylic alkylation

Jerome Bayardon and Denis Sinou*

Laboratoire de Synthèse Asymétrique, associé au CNRS, CPE, Université Claude Bernard Lyon 1, 43, boulevard du 11 novembre 1918, 69622 Villeurbanne Cedex, France

An efficient method for the conversion of aromatic and aliphatic nitriles to the corresponding N-tert-butyl amides: a modified Ritter reaction

Tetrahedron Letters 44 (2003) 1453

K. Laxma Reddy

Process Research and Development, Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492-7660, USA

Aromatic and aliphatic nitriles react with *tert*-butyl acetate in the presence of a catalytic amount of sulfuric acid to give the corresponding *N-tert*-butyl amides in excellent yields.

X= H, Me, OH, MeO, CF₃, CF₃O, CO₂Me, F, Br and SMe
Ar = phenyl, naphthyl, pyridyl and thiophenyl

Metallacrown ethers: synthesis and structural investigation of silver metallamacrocycles

Tetrahedron Letters 44 (2003) 1457

Philippe Grosshans, Abdelaziz Jouaiti, Mir Wais Hosseini,* André De Cian and Nathalie Kyritsakas-Gruber Institut Le Bel, Université Louis Pasteur, 4, rue Blaise Pascal, F-67000 Strasbourg, France

The bis-monodentate ligands 1 and 2 based on the interconnection of two pyrazolyl units by CH_2 – $(CH_2$ – $OCH_2)_n$ – CH_2 (n = 3 or 4) spacers, respectively, lead to the formation of either mono nuclear silver metallamacrocycle 10 in the case of 1 or binuclear silver metallamacrocycle 11 in the case of 2. Both complexes have been characterised in the solid state by X-ray diffraction on single crystals.

Conjugate additions of lithium dialkynylcuprates $[(RC=C)_2CuLi]$ to activated chromones. Unexpected formation of the 6H-bis[1]-benzopyrano[2,3-b:3',4'-e]pyridine system

Tetrahedron Letters 44 (2003) 1461

Dan E. Daia,^a Christopher D. Gabbutt,^{a,*} B. Mark Heron,^a John D. Hepworth,^b Michael B. Hursthouse^c and K. M. Abdul Malik^d

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$$X = CHO, COR, CN, CO_2Me$$

A simple, mild and efficient procedure for selective cleavage of prenyl esters using silica-supported sodium hydrogen sulphate as a heterogenous catalyst

C. Ramesh, G. Mahender, N. Ravindranath and Biswanath Das*

Organic Chemistry Division I, Indian Institute of Chemical Technology, Hyderabad 500 007, India

Shape-persistent cyclyne-type azamacrocycles: synthesis, unusual light-emitting characteristics, and specific recognition of the Sb(V) ion

Tetrahedron Letters 44 (2003) 1469

Shigeya Kobayashi,^a Yoshihiro Yamaguchi,^a Tateaki Wakamiya,^a Yoshio Matsubara,^a Kunihisa Sugimoto^b and Zen-ichi Yoshida^{a,*}

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Reactions of the carbanion of chloromethyl methyl sulfone with aldehydes and ketones

Tetrahedron Letters 44 (2003) 1473

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$$\begin{array}{c} R^{1} \\ R^{2} \end{array} + CI \\ SO_{2}CH_{3} \\ \hline \\ R^{2} \end{array} + CI \\ SO_{2}CH_{3} \\ \hline \\ 3 \text{ eq } t\text{-BuOK} \\ \hline \\ THF, -78^{\circ}C \\ \hline \\ OH \\ \end{array} \\ \begin{array}{c} R^{1} \\ SO_{2}CH_{3} \\ R^{2} \\ \hline \\ R^{2} \\ \end{array}$$

Rapid synthesis of new discotic liquid crystals based on diquinoxalino[2,3-a:2',3'-c]phenazine containing hexakis(alkoxy) side arms

Tetrahedron Letters 44 (2003) 1477

Chi Wi Ong, a,* Su-Chih Liao, Tsu Hsing Chang and Hsiu-Fu Hsub

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bDepartment of Chemistry, Tamkang University, Tamsui, 251 Taiwan, ROC

The condensation of 1,2-bisalkoxy-4,5-diaminobenzene derivatives with hexaketocyclohexane gives hexakis-(alkoxy)diquinoxalino[2,3-a:2',3'-c]phenazine in good yield. DSC and polarization microscopy showed

HATOC6 has both the crystalline (K) to mesophase (M) and the mesophase (M) to isotropic (I) phase transitions.

cat.H⁺, EtOH

 H_2N

OR

Direct palladium(0)-catalyzed amination of allylic alcohols with aminonaphthalenes

Yi-Jen Shue, Shyh-Chyun Yang* and Hwe-Chen Lai

Graduate Institute of Pharmaceutical Sciences, Kaohsiung Medical University, Kaohsiung 80708, Taiwan, ROC

Solid-phase synthesis of novel hybrid opiates

Tetrahedron Letters 44 (2003) 1487

Ming-Lei Wang and Bahman E. Nassim*

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Low temperature syntheses of thioketals from enol ethers and carbonyl compounds

Tetrahedron Letters 44 (2003) 1491

Arnaud Martel, Sopa Chewchanwuttiwong, Gilles Dujardin* and Eric Brown

Laboratoire de Synthèse Organique, UMR 6011 CNRS, Faculté des Sciences, Avenue Olivier Messiaen, F-72085 Le Mans Cedex 9, France

Austrodoral and austrodoric acid: nor-sesquiterpenes with a new carbon skeleton from the Antarctic nudibranch Austrodoris kerguelenensis

Tetrahedron Letters 44 (2003) 1495

Margherita Gavagnin,* Marianna Carbone, Ernesto Mollo and Guido Cimino

Istituto di Chimica Biomolecolare, CNR, Via Campi Flegrei 34, I 80078 Pozzuoli (Na), Italy

Two unprecedented *nor*-sesquiterpenes (4 and 5) have been found in the ether extract of the skin of the marine mollusc *A. kerguelenensis*. A probable role of stress-metabolites has been suggested for these compounds.

A new, mild preparation of sulfonyl chlorides

Grzegorz Blotny*

Department of Chemistry and Biochemistry, University of Maryland Baltimore County, 1000 Hilltop Circle, Baltimore, MD 21250, USA

2-(Fluorophenyl)pyridines by the Suzuki-Miyaura method: Ag_2O accelerates coupling over undesired *ipso* substitution (S_NAr) of fluorine

Jing Chen and Arthur Cammers-Goodwin*

University of Kentucky, Department of Chemistry, Lexington,
KY 40506-0055, USA

Problematic *ipso* substitution was observed in the Suzuki–Miyaura coupling of pentafluorophenylboronic acid to make 2-pentafluorophenylpyridine. Strong bases favored coupling, but under these conditions fluorine in the product tended to undergo nucleophilic substitution. Inclusion of Ag₂O accelerated coupling over *ipso* substitution.

Tetrahedron Letters 44 (2003) 1503

Highly sustainable catalytic dehydrogenation of alcohols with evolution of hydrogen gas

Tetrahedron Letters 44 (2003) 1507

G. B. W. L. Ligthart, R. H. Meijer, M. P. J. Donners, J. Meuldijk, J. A. J. M. Vekemans and L. A. Hulshof*

Eindhoven University of Technology, Laboratory of Macromolecular and Organic Chemistry, PO Box 513, 5600 MB Eindhoven, The Netherlands

An efficient synthesis of 6-hydroxymelatonin, a human metabolite of melatonin

Tetrahedron Letters 44 (2003) 1511

Omar Karam,* Fabien Zunino,* Vincent Chagnaut, Marie Paule Jouannetaud and Jean Claude Jacquesy Laboratoire 'Synthèse et Réactivité des Substances Naturelles', UMR 6514, 40, Avenue du Recteur Pineau, F-86022 Poitiers Cedex, France

Cyclopentene-regioselective palladium-catalyzed cycloisomerization under neutral and bis-cationic reaction conditions

Andreas Heumann,* Laurent Giordano and Alphonse Tenaglia

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