A new synthetic approach for novel 4-substituted-5-nitroimidazoles

Tetrahedron Letters 43 (2002) 4127

Maxime D. Crozet, a,b Patricia Perfetti, Mustapha Kaafarani, Patrice Vanelle, and Michel P. Crozet A

^aLCMO, UMR 6517, Avenue Escadrille Normandie-Niemen, BP 562, 13997 Marseille Cedex 20, France ^bLaboratoire de Chimie Organique, Faculté de Pharmacie, 27 Bd J. Moulin, 13385 Marseille Cedex 05, France

2-Phosphonocyclopenten-2-ones from ϵ -tert-butyldimethylsilyloxy- α -diazo- β -ketophosphonates via a rhodium(II)-catalysed C-H insertion reaction

Tetrahedron Letters 43 (2002) 4131

Wissam Dayoub, a Youssef Diab and Alain Doutheaua,*

^aLaboratoire de Chimie Organique, Département de Biochimie, Institut National des Sciences Appliquées, 20 avenue A. Einstein, 69621 Villeurbanne, France

^bUniversité Libanaise, Faculté des Sciences-2, Fanar, Jdaidet el-Matn, Lebanon

R OTBDMS

Rh₂(OAc)₄

Toluene reflux
$$62-74\%$$

PO(OMe)₂

PO(OMe)₂

When certain primary ϵ -tert-butyldimethylsilyloxy- α -diazo- β -ketophosphonates of type 1 were thermolysed in refluxing toluene in the presence of a catalytic amount of Rh₂(OAc)₄, they gave rise to cyclopenten-2-ones 2 in fairly good yields.

Synthesis of new C_{60} derivatives containing biologically active 4-aryl-1,4-dihydropyridines

Tetrahedron Letters 43 (2002) 4133

Beatriz Illescas, ^a M. Angeles Martínez-Grau, ^a M. Luisa Torres, ^a Javier Fernández-Gadea ^b and Nazario Martín ^{a,*}

^aFacultad de Química, Departamento de Química Orgánica, Universidad Complutense, E-28040 Madrid, Spain

^bDepartamento de Investigación Básica, Janssen-Cilag S.A., C/Jarama s/n, Polígono Industrial, E-45007 Toledo, Spain

A new boranophosphorylation reaction for the synthesis of deoxyribonucleoside boranophosphates

Tetrahedron Letters 43 (2002) 4137

Takeshi Wada,* Mamoru Shimizu, Natsuhisa Oka and Kazuhiko Saigo*

Department of Integrated Biosciences, Graduate School of Frontier Sciences, The University of Tokyo Bioscience Building 702, Kashiwanoha, Kashiwa 277-8562, Japan

DMTrO B MeO P O HNEt₃ DMTrO B DMTrO B
$$H_4$$
 D H_4 D H_4

Efficient and practical synthesis of D-cyclopent-2-enone, the key intermediate for the synthesis of carbocyclic nucleosides

Tetrahedron Letters 43 (2002) 4141

Yun H. Jin and Chung K. Chu*

Department of Pharmaceutical and Biomedical Sciences, College of Pharmacy, The University of Georgia, Athens, GA 30602-2352, USA

Chiral modification of adamantane

Tetrahedron Letters 43 (2002) 4145

Masatoshi Shibuya, Takahiko Taniguchi, Michiyasu Takahashi and Kunio Ogasawara*

Pharmaceutical Institute, Tohoku University, Aobayamar, Sendai 980-8578, Japan

$$\begin{array}{c} OH \\ OH \\ OH \\ CI \\ (+) \end{array} : \begin{array}{c} OMe \\ OH \\ H \end{array} : \begin{array}{c} CI \\ NMe_2 \\ OH \\ (-) \end{array}$$

Indenyl esters as potential electronically modified cyclopentadienyl ligands for transition metal complexes

Tetrahedron Letters 43 (2002) 4149

Reko Leino,* Hendrik J. G. Luttikhedde, Lena Långstedt and Antti Penninkangas Laboratory of Polymer Technology, Åbo Akademi University, FIN-20500 Åbo, Finland

Preparation of 2-mesitoyl and 2-adamantanoyl ester functionalized indenes $\mathbf{1}$ and $\mathbf{2}$ is described. Both compounds can be deprotonated with n-BuLi rendering them as potential electronically modified Cp ligands for transition metal complexes.

Synthesis and alkali cation extraction ability of 1,3-alt-thiacalix-[4]bis(crown) ethers

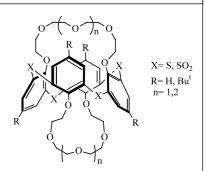
Tetrahedron Letters 43 (2002) 4153

Alajos Grün,^a Viktor Csokai,^a Gyula Parlagh^b and István Bitter^{a,*}

^aDepartment of Organic Chemical Technology, Budapest University of Technology and Economics, H-1521 Budapest, Hungary

^bDepartment of Physical Chemistry, Budapest University of Technology and Economics, H-1521 Budapest, Hungary

Thiacalix[4]bis(crown) ethers were synthesized for the first time and their alkali cation extractabilities were determined.



The reactivity of 3-methyl-4-nitro-5-styrylisoxazole with some bis-enolisable ketones

Tetrahedron Letters 43 (2002) 4157

Mauro F. A. Adamo, a,* Stefano Chimichi, Francesco De Sio, Donato Donati and Piero Sarti-Fantoni Donati

^aDyson Perrins Laboratory, University of Oxford, South Park Road, Oxford OX1 3QY, UK
^bDipartimento di Chimica Organica 'U. Schiff', Università di Firenze, Via della Lastruccia 13, 50019 Sesto Fiorentino, Italy
^cDipartimento di Chimica, Università di Siena, Via Aldo Moro, 53100 Siena, Italy

New observations on peptide bond formation using CDMT

Tetrahedron Letters 43 (2002) 4161

Christine E. Garrett,* Xinglong Jiang,* Kapa Prasad and Oljan Repič

Process Research & Development, Novartis Institute for Biomedical Research, One Health Plaza, East Hanover, NJ 07936, USA

Reactivity of (Z)-4-arylidene-5(4H)-oxazolones: [4+2] cycloaddition versus [4+3] cycloaddition/nucleophilic trapping

Tetrahedron Letters 43 (2002) 4167

Alberto Avenoza, a,* Jesús H. Busto, Carlos Cativiela and Jesús M. Peregrina, Alberto Avenoza, a,* Jesús H. Busto, Carlos Cativiela

^aDepartamento de Química, Universidad de La Rioja, Grupo de Síntesis Química de La Rioja, UA-CSIC, 26006 Logroño, Spain

^bDepartamento de Química Orgánica, Instituto de Ciencia de Materiales de Aragón, Universidad de Zaragoza-CSIC, 50009 Zaragoza, Spain

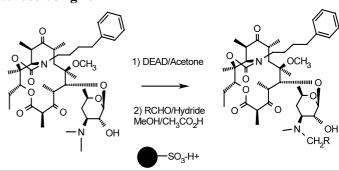
Novel N-demethylation of ketolide: application to the solution phase parallel synthesis of N-desosaminyl-substituted ketolides using ion exchange resins

Tetrahedron Letters 43 (2002) 4171

Alexis Denisa,* and Christelle Renoub

^aMedicinal Chemistry Department, Aventis Pharma, 102 route de Noisy, F-93235 Romainville, France ^bMillennium Pharmaceuticals, GP12 Granta Park, Great Abington, Cambridge CB1 6ET, UK

A ketolide scaffold was *N*-demethylated with diethyl azodicarboxylate and further *N*-substituted in parallel using a solid phase extraction protocol with ion exchange resins.



Optimization of ring-contraction of the *meso* enedione epoxide for chiral building block synthesis

Tetrahedron Letters 43 (2002) 4175

Hideyuki Tanaka, Yoshihiro Kozuki and Kunio Ogasawara*

Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980-8578, Japan

$$(\pm) \quad \bigcirc$$

$$(\pm) \quad \bigcirc$$

$$(\pm) \quad \bigcirc$$

$$(\pm) \quad \bigcirc$$

$$(+)-\text{tanikolide}$$

Comparative nucleophilic reactivities in phosphodiester cleavage

Tetrahedron Letters 43 (2002) 4179

Robert A. Moss* and Barbara A. McKernan

Department of Chemistry and Chemical Biology, Rutgers, The State University of New Jersey, New Brunswick, NJ 08903, USA

o-Iodosobenzoate and 2,3-iodosonaphthoate cleave phosphodiester substrate NNP in cationic micelles at pH 9 with rate accelerations of 1200 or 5800, respectively.

Increased Felkin–Anh selectivity in nucleophilic additions to α -chiral aldehydes using vinylalanes

Tetrahedron Letters 43 (2002) 4183

Claude Spino,* Marie-Claude Granger, Luc Boisvert and Christian Beaulieu Université de Sherbrooke, Département de Chimie, Sherbrooke, Québec, Canada J1K 2R1

Vinylalanes were added directly to aldehydes bearing an alpha chiral carbon to give good yields of the corresponding alcohols. The addition was more stereoselective than the addition of the corresponding vinyllithium or vinylmagnesium bromide.

The first stereoselective synthesis of orostanal, a novel abeo-sterol inducing apoptosis in leukemia cells

Tetrahedron Letters 43 (2002) 4187

Bo Liu and Weishan Zhou*

Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Road, Shanghai 200032, PR China

Orostur

Radical cyclisation onto pyrazoles: synthesis of withasomnine

Tetrahedron Letters 43 (2002) 4191

Steven M. Allin, a, william R. S. Barton, W. Russell Bowman a, and Tom McInally b, *

^aDepartment of Chemistry, Loughborough University, Loughborough LE11 3TU, UK

^bAstraZeneca R&D Charnwood, Bakewell Road, Loughborough LE11 5RH, UK

A protocol for the synthesis of [1,2-b]-fused bicyclic pyrazoles has been developed using radical cyclisation of pyrazole-1- $(\omega$ -alkyl) radicals generated from 1- $[\omega$ -(phenylselenyl)-alkyl]-pyrazole precursors. The pyrazole natural product, with a somnine (3-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole) has been synthesised.

Synthetic applications of the amino-Cope rearrangement: enantioselective synthesis of some tetrahydropyrans

Tetrahedron Letters 43 (2002) 4195

Steven M. Allin,* Robert D. Baird and Roger J. Lins

Department of Chemistry, Loughborough University, Loughborough, Leicestershire, LE11 3TU, UK

Towards the synthesis of chiral isochromanquinones. The use of Corey-Bakshi-Shibata reductions

Tetrahedron Letters 43 (2002) 4199

Charles B. de Koning,^a Robin G. F. Giles,^b Ivan R. Green^{c,*} and Nazeem M. Jahed^c

^aMolecular Sciences Institute, School of Chemistry, University of the Witwatersrand, PO Wits 2050, South Africa

^bDepartment of Chemistry, Murdoch University, Murdoch, WA 6150, Australia

^cDepartment of Chemistry, University of the Western Cape, Private Bag X17, Bellville 7530, South Africa

Synthesis of novel phosphatidylcholine lipids with fatty acid chains bearing aromatic units. Generation of oxidatively stable, fluid phospholipid membranes

Tetrahedron Letters 43 (2002) 4203

Santanu Bhattacharya* and Marappan Subramanian

Department of Organic Chemistry, Indian Institute of Science, Bangalore 560 012, India

Novel phosphatidylcholine lipids bearing aromatic units in their acyl chains (1–3) have been synthesized. These produce stable fluid vesicular membranes in water as revealed by electron microscopy and differential scanning calorimetry.

Efficient atom economic approaches towards macrocyclic crownamides via ring closure metathesis

Tetrahedron Letters 43 (2002) 4207

Yehia A. Ibrahim,* Haider Behbehani and Maher R. Ibrahim

Chemistry Department, Faculty of Science, Kuwait University, PO Box 5969, Safat 13060, Kuwait

Cerium-promoted formation of metal-free phthalocyanines

Tetrahedron Letters 43 (2002) 4211

Chi-Hang Lee and Dennis K. P. Ng*

Department of Chemistry, The Chinese University of Hong Kong, Shatin, NT, Hong Kong, China

A simple and general procedure for the synthesis of metal-free phthalocyanines is reported which involves the treatment of phthalonitriles with a catalytic amount of CeCl₃ or Ce(acac)₃ in refluxing 1-pentanol.

A reinvestigation of the mechanism of epoxidation of alkenes by peroxy acids. A CASSCF and UQCISD study

Tetrahedron Letters 43 (2002) 4215

Sergiy Okovytyy, a,b Leonid Gorba and Jerzy Leszczynskia,*
aComputational Center of Molecular Structure and Interactions, Department of Chemistry,

^bDnepropetrovsk National University, Dnepropetrovsk 49625, Ukraine

Jackson State University, Jackson, MS 39217, USA

Palladium-catalyzed N-arylation of O-methylamidoximes

Tetrahedron Letters 43 (2002) 4221

Mariappan Anbazhagan, Chad E. Stephens and David W. Boykin* Department of Chemistry, Georgia State University, Atlanta, GA 30303, USA

Fluorous-tethered quenching reagents for solution phase parallel synthesis

Tetrahedron Letters 43 (2002) 4225

Craig W. Lindsley,* Zhijian Zhao and William H. Leister

Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck Research Laboratories, PO Box 4, West Point, PA 19486, USA

An air oxidizable bimetallic palladium(II) catalyst for asymmetric allylic oxidation of olefins in acetic acid

Tetrahedron Letters 43 (2002) 4229

Arab K. El-Qisiari, Hanan A. Qaseer and Patrick M. Henry*

Department of Chemistry, Loyola University of Chicago, Chicago, IL 60626, USA

A bimetallic palladium(II) complex containing a triketone ligand and a chiral bidentate ligand oxidizes olefins in acetic acid to optical active allylic acetates by a direct air oxidation.

OAC

$$(CH_2)_n$$
 $(CH_2)_n$
 $(CH_2)_n$

Chelation-assisted β -alkylation of α,β -unsaturated ketone using Rh(I) catalyst and dialkyl amine

Tetrahedron Letters 43 (2002) 4233

Chul-Ho Jun,* Choong Woon Moon, Young-Min Kim, Hyuk Lee and Jun Hee Lee

Department of Chemistry, Yonsei University, Seoul 120-749, South Korea

Asymmetric synthesis of stereodefined α -alkyl- γ -benzyloxymethyl- β -trimethylsilyl- γ -butyrolactones that serve as an efficient precursor

Tetrahedron Letters 43 (2002) 4237

for constructing carbon skeletons having a tertiary or quaternary stereogenic center

Kwangho Kim, Sentaro Okamoto, Yuuki Takayama and Fumie Sato*

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

The optically active lactone 1 can be transformed to a chiral building block or intermediate for constructing carbon skeletons containing either a tertiary or quaternary stereogenic center by taking advantage of the steric bulk as well as the reactivity of a trimethylsilyl group at the β -position of 1.

$$R^{1} \xrightarrow{\text{OBn}} OH \xrightarrow{\text{R}^{1}} OH \xrightarrow{\text{R}^{2}} OH$$

A carbene insertion route to \(\beta \)-lactam fused cyclic enedignes

Tetrahedron Letters 43 (2002) 4241

Amit Basak* and Subrata Mandal

Department of Chemistry, Indian Institute of Technology, Kharagpur 721 302, India

Syntheses of bis(indolyl)-piperazine alkaloids, dragmacidin B and C, and dihydrohamacanthin A

Tetrahedron Letters 43 (2002) 4245

Tomomi Kawasaki,* Kohsuke Ohno, Hidetaka Enoki, Yasuko Umemoto and Masanori Sakamoto Meiji Pharmaceutical University, 2-522-1 Noshio, Kiyose, Tokyo 204-8588, Japan

Reversed diastereoselectivity in the Yang photocyclization upon introducing a cyclopropyl group at the alpha position to carbonyls

Tetrahedron Letters 43 (2002) 4249

Dong Jo Chang,^a Keepyung Nahm^b and Bong Ser Park^{a,*}

^aDepartment of Chemistry, Dongguk University, Seoul 100-715, South Korea ^bDepartment of Chemistry, Yeungnam University, Kyungsan 712-749, South Korea

First observation of the circular dichroism spectra of chiral subphthalocyanines with C_3 symmetry

Tetrahedron Letters 43 (2002) 4253

Nagao Kobayashi* and Taro Nonomura

Department of Chemistry, Graduate School of Science, Tohoku University, Sendai 980-8578, Japan

xvi

Racemic auxiliaries: applications to asymmetric synthesis

Tetrahedron Letters 43 (2002) 4257

Claudia Neri and Jonathan M. J. Williams*

Department of Chemistry, University of Bath, Claverton Down, Bath BA2 7AY, UK

Ac₂O-Py/basic alumina as a versatile reagent for acetylations in solvent-free conditions under microwave irradiation

Tetrahedron Letters 43 (2002) 4261

Satya Paul,^a Puja Nanda,^a Rajive Gupta^{a,*} and André Loupy^b

^aDepartment of Chemistry, University of Jammu, Jammu 180 006, India

^bLaboratoire des Réactions Sélectives sur Supports, CNRS UMR 8615, Université Paris-Sud, 91405 Orsay Cedex, France

Acetic anhydride—pyridine over basic alumina has been used in order to carry out acetylations of hydroxy, thiol and amino groups in solvent-free conditions under microwave irradiation. The technique can be extended for selective acetylations by regulation of the time of irradiation.

Re-evaluation of the outcome of a multiple component reaction— 2- and 3-amino-imidazo[1,2-a]pyrimidines?

Tetrahedron Letters 43 (2002) 4267

Gurjit S. Mandair, Mark Light, Andrea Russell, Mike Hursthouse and Mark Bradley* Combinatorial Centre of Excellence, Department of Chemistry, University of Southampton, Highfield, Southampton SO17 1BJ, UK

Multi-component reactions between aldehydes, isonitriles and 2-aminoazines do not always give the expected products.

Ytterbium triflate catalyzed electrophilic substitution of indoles: the synthesis of unnatural tryptophan derivatives

Tetrahedron Letters 43 (2002) 4271

Adam Janczuk, Wei Zhang, Wenhua Xie, Sanzhong Lou, JinPei Cheng and Peng G. Wang*

^aDepartment of Chemistry, Wayne State University, Detroit, MI 48202, USA

^bDepartment of Chemistry, Nankai University, Tianjin 300071, PR China

Tetrahedron Letters 43 (2002) 4275

A useful conversion of alcohols to alkyl fluorides

David A. Flosser and Roy A. Olofson*

The Pennsylvania State University, Department of Chemistry, University Park, PA 16802, USA

In this process, fluoroformates are obtained by treating alcohols with COF_2 (generated in situ from triphosgene) with KF as an added acid scavenger and then cleaved to the fluorides by heating at $120-125^{\circ}C$ using hexabutylguanidinium fluoride (HBGF) as the catalyst.

Cl₃COCOCCl₃
$$\xrightarrow{\text{KF/CH}_3\text{CN}}$$
 $\xrightarrow{\text{FCF}}$ $\xrightarrow{\text{ROH}}$ $\xrightarrow{\text{ROCF}}$ $\xrightarrow{\text{HBGF } \Delta}$ R-F

Mesyl guaiacol: a versatile intermediate for the synthesis of 5-aminomethyl guaiacol and related compounds

Tetrahedron Letters 43 (2002) 4281

Nicolas Bensel,^a Virginie Pevere,^b Jean Roger Desmurs,^b Alain Wagner^{a,*} and Charles Mioskowski^{a,*} aLaboratoire de Synthèse Bioorganique, Université Louis Pasteur de Strasbourg, UMR 7514 du CNRS,

Faculté de Pharmacie, 74 route du Rhin, F-67401 Illkirch, France bRhodia Chimie, CRIT–Carrière, 85, Avenue des Frères Perret, BP-62, 69192 Saint Fons Cedex, France

Effective lithiation of 3-bromopyridine: synthesis of 3-pyridine boronic acid and variously 3-substituted pyridines

Tetrahedron Letters 43 (2002) 4285

Dongwei Cai,* Robert D. Larsen and Paul J. Reider

Department of Process Research, Merck Research Laboratories, P.O. Box 2000, Rahway, NJ 07065, USA

Regio- and stereo-controlled copper organometallic addition to a piperidinyl aziridine: synthesis of *trans* 3-amino-4-alkyl-piperidines

Tetrahedron Letters 43 (2002) 4289

X. Eric Hu,* Nick K. Kim, Benoit Ledoussal and Anny-Odile Colson

Procter & Gamble Pharmaceuticals, 8700 Mason-Montgomery Road, Mason, OH 45040, USA