Synthesis of α -amino γ -butyrolactone derivatives by aziridination of α -ylidene γ -butyrolactones

Tetrahedron Letters 44 (2003) 4953

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Polymer-supported triacetoxyborohydride: a novel reagent of choice for reductive amination

Tetrahedron Letters 44 (2003) 4957

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A novel polymer-supported triacetoxyborohydride reagent for reductive amination of aldehydes and ketones is reported.

$$HN-R^{2} + R^{3} + R^{4}$$

$$R^{2}, R^{3} = H, Alkyl$$

$$HN-R^{2} + R^{3} + R^{4}$$

$$R^{2}, R^{3} = H, Alkyl$$

o-Formylation of electron-rich phenols with dichloromethyl methyl ether and $TiCl_4$

Tetrahedron Letters 44 (2003) 4961

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^bBarcelona Biomedical Research Institute, Barcelona Science Park, University of Barcelona, Josep Samitier 1, E-08028 Barcelona, Spain

Formylation of electron-rich phenols is accomplished with dichloromethyl methyl ether and TiCl₄. The reaction gives excellent yields, good regioselectivity, and does not lead to diformylation.

$$R \xrightarrow{II} OH \xrightarrow{CI_2CHOCH_3} R \xrightarrow{II} OH$$

Efficient synthesis of the 6,6-spiroacetal of spirofungin A

Tetrahedron Letters 44 (2003) 4965

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The 6,6-spiroacetal segment of spirofungin A (1), an antifungal was efficiently prepared via the coupling reaction of the Weinreb amide and the alkyne which are readily available from the common intermediate.

Enantioselective hydrogenation of itaconate using rhodium bihelicenol phosphite complex. Matched/mismatched phenomena between helical and axial chirality

Daisuke Nakano and Masahiko Yamaguchi*

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The use of acetylenic aldehydes in Baylis-Hillman reactions: synthesis of versatile allyl propargyl alcohols

Tetrahedron Letters 44 (2003) 4973

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The utility of acetylenic aldehydes in Baylis-Hillman reactions giving allyl propargyl alcohols is reported.

Tin(IV) bis(perfluoroalkanesulfonyl)amide complex as a highly selective Lewis acid catalyst for Baeyer-Villiger oxidation using hydrogen peroxide in a fluorous recyclable phase

Tetrahedron Letters 44 (2003) 4977

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$$\begin{array}{c} \begin{array}{c} \text{O} \\ \text{+} \\ \text{H}_2\text{O}_2 \text{ aq. (35\%)} \end{array} \\ & \begin{array}{c} \frac{\text{Sn[N(SO}_2\text{C}_8\text{F}_{17})_2]_4 \text{ (1 mol\%)}}{\text{CF}_3\text{C}_6\text{F}_{11}} \\ \text{(CH}_2)_2\text{Cl}_2 \end{array} \\ & \begin{array}{c} \text{90-93\% vield} \end{array}$$

recyclable catalyst in organic/fluorous biphasic system

Efficient deprotection of N-benzyloxycarbonyl group from amino acids by hydroxyapatite-bound Pd catalyst in the presence of molecular hydrogen

Tetrahedron Letters 44 (2003) 4981

Makoto Murata, Takayoshi Hara, Kohsuke Mori, Masahiko Ooe, Tomoo Mizugaki, Kohki Ebitani and Kiyotomi Kaneda*

Department of Chemical Science and Engineering, Graduate School of Engineering Science, Osaka University, 1–3 Machikaneyama, Toyonaka, Osaka 560-8531, Japan

$$\begin{array}{c|c} O & CO_2H \\ \hline N & R' & \hline \\ MeOH, 40 \ ^{\circ}C, H_2 \ latm \\ \hline \end{array} \begin{array}{c} CO_2H \\ H_2N & R' \end{array}$$

Stereocontrolled total syntheses of (±)-clovan-3-one and (±)-epi-clovan-3-one and a facile total synthesis of (±)-pseudoclovene-A

Tapas Paul and Debabrata Mukherjee*

Department of Organic Chemistry, Indian Association for the Cultivation of Science, Calcutta-700 032, India

Total synthesis of (+)-crocacin A

Tetrahedron Letters 44 (2003) 4989

Tushar K. Chakraborty* and Pasunoori Laxman

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Studies towards the biomimetic synthesis of bisesquiterpene lactones

Tetrahedron Letters 44 (2003) 4993

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^bDepartment of Life Sciences, University of Dundee, Dundee DD1 5EH, UK

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$$\begin{array}{c} H \\ H \\ \hline \\ H \\ \end{array}$$

A divergent approach to apoptolidin and FD-891: asymmetric preparation of a common intermediate

Tetrahedron Letters 44 (2003) 4997

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LaCl₃·7H₂O/NaI/benzyl alcohol: a novel reagent system for regioselective hydration of glycals: application in the synthesis of 1,6-dideoxynojirimycin

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Catalytic activity and recyclability of new enantioselective chiral Co-salen complexes in the hydrolytic kinetic resolution of epichlorohydrine

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Tetrahedron Letters 44 (2003) 5005

Palladium-catalyzed cascade reactions of benzyl halides with N-allyl-N-(2-butenyl)-p-toluenesulfonamide

Tetrahedron Letters 44 (2003) 5009

Yi-min Hu, Jie Zhou, Xiang-tian Long, Jian-lin Han, Chen-jian Zhu and Yi Pan* School of Chemistry and Chemical Engineering, Nanjing University, Nanjing 210093, PR China

Reaction of benzyl halides with N-allyl-N-(2-butenyl)-p-toluenesulfonamide 1 in the presence of a palladium catalyst afforded dihydropyrroles 3 in moderate to excellent yields.

The nickel-catalyzed Sonogashira-Hagihara reaction

Tetrahedron Letters 44 (2003) 5011

Irina P. Beletskaya,* Gennadij V. Latyshev, Alexey V. Tsvetkov and Nikolai V. Lukashev

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The Sonogashira-Hagihara coupling of terminal acetylenes with aryl iodides in the presence of a nickel catalyst and CuI was investigated. The reaction proceeds rapidly in aqueous dioxane in the presence of Ni(PPh₃)₂Cl₂ with high yields of disubstituted tolanes being obtained. The reaction seems to be an inexpensive alternative to the palladium-catalyzed process.

$$R^{1} \longrightarrow I + \longrightarrow R^{2} \xrightarrow{\begin{array}{c} \mathbf{5} \% \text{ NI(PPn}_{3})_{2}\text{Cl}_{2} \\ \mathbf{10} \% \text{ Cul} \\ \mathbf{K}_{2}\text{CO}_{3} \\ \text{dioxane} : \text{H}_{2}\text{O}, \Delta \end{array}} R^{1} \longrightarrow R^{2}$$

Synthesis of novel chiral spirodione, (6R,7R)-7-phenyl-1-oxaspiro-[5.5]undec-3-ene-2,5-dione: application to the asymmetric Diels-Alder reaction with high π -facial selectivity Tetrahedron Letters 44 (2003) 5015

SubbaRao V. Kandula, Vedavati G. Puranik and Pradeep Kumara,*

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^bDivision of Physical Chemistry, National Chemical Laboratory, Pune 411008, India

Trimellitic anhydride linker (TAL)—highly orthogonal conversions of primary amines employed in the parallel synthesis of labeled carbohydrate derivatives

Tetrahedron Letters 44 (2003) 5019

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Aliphatic organolithiums by fluorine—lithium exchange: *n*-octyllithium

Tetrahedron Letters 44 (2003) 5025

Miguel Yus,* Raquel P. Herrera and Albert Guijarro

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 $[E^{+} = D_2O, MeSiCl, Bu^{\dagger}CHO, Et_2CO, ClCO_2Me, (PhCH_2S)_2, CO_2]$

Synthesis of benzoxepins via rearrangement of dihydrofurans derived from carbonyl ylide [3+2] cycloaddition

Tetrahedron Letters 44 (2003) 5029

James H. Rigby* and Mona Aasuml

Department of Chemistry, Wayne State University, Detroit, MI 48202, USA

[3+2] Cycloaddition of trimethylenemethane (TMM) to α,β -unsaturated γ -lactam. Preparation of 5,5-fused proline surrogates

Tetrahedron Letters 44 (2003) 5033

Edwin Jao,* Stephane Bogen,* Anil K. Saksena and Viyyoor Girijavallabhan

Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033, USA

Unsaturated lactam derived from (S)-pyroglutaminol undergoes a totally stereoselective cycloaddition reaction with

(2-(acetoxymethyl)-3-allyl)trimethylsilane (TMM precursor) in the presence of $Pd(P(OiPr)_3)_4$ in refluxing toluene. This reaction was efficiently used to introduce the 5,5-fused framework desired for the preparation of novel proline surrogates.

Ionic liquid-regulated sulfamic acid: chemoselective catalyst for the transesterification of β -ketoesters

Tetrahedron Letters 44 (2003) 5037

Wang Bo, Yang Li Ming* and Suo Ji Shuan

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1-Propyl-3-methylimidazolium chloride ([C₃MIm]Cl) ionic liquid regulated sulfamic acid (NH₂SO₃H), has been employed to chemoselectively catalyze transesterification of β -ketoesters. Compared with common organic solvents, [C₃MIm]Cl not only acted as a solvent to dissolve NH₂SO₃H, but also made it a chemoselective catalyst, so that the undesired reactions could be effectively inhibited because of the limited attack of alcohols to β -site of acetoacetate.

A convenient 'catch and release' synthesis of fused 2-alkylthiopyrimidinones mediated by polymer-bound BEMP

Tetrahedron Letters 44 (2003) 5041

Gregory L. Adams, Todd L. Graybill,* Robert M. Sanchez, Victoria W. Magaard, George Burton and Ralph A. Rivero

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New synthesis of (-)- and (+)-actinobolin from D-glucose

Tetrahedron Letters 44 (2003) 5047

Satoshi Imuta, Shinya Ochiai, Miho Kuribayashi and Noritaka Chida*

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The synthesis of (-)- and (+)-actinobolin is described. A three-component coupling reaction of cyclohexenone derivatives derived from D-glucose by way of Ferrier's carbocyclization was employed as the key transformation.

A novel nucleophilic attack to N-enoyl oxazolidinethiones

Aurelio Ortiz,^{a,*} Leticia Quintero,^a Guadalupe Mendoza^a and Sylvain Bernès^b

^aCentro de investigación de la Facultad de Ciencias Químicas, Puebla Pue. 72570, Mexico

^bInstituto de Ciencias de la Benemérita Universidad Autónoma de Puebla, Puebla Pue. 72570, Mexico

A new approach to β -amino acids: biotransformation of N-protected β -amino nitriles

Tetrahedron Letters 44 (2003) 5057

Margit Preiml, Kerstin Hillmayer and Norbert Klempier*

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Fluorination of α,α -dichlorosulfides: access to gem-difluorothioethers as useful building blocks

Tetrahedron Letters 44 (2003) 5061

Sonia Gouault, Cécile Guérin, Laurent Lemoucheux, Thierry Lequeux* and Jean-Claude Pommelet* Laboratoire de Chimie Moléculaire et Thioorganique, Université de Caen-ENSICaen, UMR CNRS 6507, 6 Boulevard du Maréchal Juin 14050 Caen cedex, France

The synthesis of alkylsulfanyl *gem*-difluorocarbonyl compounds is described by the Halex reaction from corresponding dichlorosulfides. Resulting difluorothioester allowed the preparation of difluoro-amides and -ketones.

$$RSCCl_{2}COY \xrightarrow{HF-Dase} RSCF_{2}COY$$

$$Lewis acid Y = OR' \text{ or } R'$$

$$PhSCF_{2}CO_{2}R \xrightarrow{R'M} PhSCF_{2}COY'$$
or R'NH₂ Y = R' or R'NH₂

Dual recognition of a C-G pyrimidine-purine inversion site: synthesis and binding properties of triplex forming oligonucleotides containing 2'-aminoethoxy-5-methyl-1*H*-pyrimidin-2-one ribonucleosides

Tetrahedron Letters 44 (2003) 5065

Sabrina Buchini and Christian J. Leumann*

Department of Chemistry and Biochemistry, University of Bern, Freiestrasse 3, CH-3012 Bern, Switzerland

Oligonucleotides containing the modified nucleoside unit A were synthesized and their triplex forming properties analyzed.

Regioselective rearrangement of 7-azabicyclo[2.2.1]hept-2-aminyl radicals: first synthesis of 2,8-diazabicyclo[3.2.1]oct-2-enes and their

Tetrahedron Letters 44 (2003) 5069

conversion into 5-(2-aminoethyl)-2,3,4-trihydroxypyrrolidines, new inhibitors of α-mannosidases Antonio J. Moreno-Vargas and Pierre Vogel*

Institut de Chimie Moléculaire et Biologique de l'Ecole Polytechnique Fédérale de Lausanne,

EPFL-BCH, CH-1015 Lausanne-Dorigny, Switzerland

Enantiomerically pure bicyclic imines (+)-5 and (-)-5 have been prepared for the first time and converted into hemiaminal 9 and its enantiomer 10, respectively, that have been assayed for their inhibitory activities toward 25 glycosidases.

Boc N Bu₃SnH O N H₂N H O OH

Ts
$$(+)$$
-5 9

anti Ethyl β -thienyl- β -amino- α -hydroxy propionate: a regio and stereoselective ring opening of *trans* ethyl 2-thienyl-glycidate

Tetrahedron Letters 44 (2003) 5075

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^bDipartimento di Chimica, Università degli Studi della Basilicata, Via Nazario Sauro 85, 85100 Potenza, Italy

Cycloaddition of methyl 2-(2,6-dichorophenyl)-2*H*-azirine-3-carboxylate to electron-rich 2-azadienes

Tetrahedron Letters 44 (2003) 5079

M. José Alves,* M. Miguel Durães and A. Gil Fortes

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tert-Butyldimethylsyliloxy-2-azadienes were reacted with 3-methyl-2-(2,6-dichlorophenyl)-2*H*-azirine carboxylate to form pyrimidones.

TBDMSO

$$R^3$$
 CO_2Me
 R^2
 R^2

Parallel synthesis of unsymmetrically substituted tetraphenyl porphyrins on Wang resin

Tetrahedron Letters 44 (2003) 5083

Baolu Shi, Martin Scobie and Ross W. Boyle^{a,*}

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^bDepartment of Chemical Technologies, Biovitrum AB, Rapsgatan 7, SE-751 82, Uppsala, Sweden

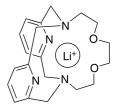
A comparison of coordination ability of hetero atoms: a Li⁺ and Na⁺ selective pyridinophane-based cryptand

Hiroyuki Takemura, a,* Hiroyuki Nakamichi, Bika Nogita, Tetsuo Iwanaga, Mikio Yasutake^c and Teruo Shinmyozu^c

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^cInstitute for Fundamental Research of Organic Chemistry, Kyushu University, Hakozaki 6-10-1, Higashi-ku, Fukuoka 812-8581, Japan



A novel domino (4+2)/(4+2)/(3+2) cycloaddition reaction leading to highly functionalized polycyclic nitroso acetals

Tetrahedron Letters 44 (2003) 5091

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Suzuki cross-coupling reactions using reverse-phase glass beads in aqueous media

Tetrahedron Letters 44 (2003) 5095

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Reverse-phase glass beads have been employed in Suzuki reactions to provide, in aqueous media, a route to diverse polar substrates in good yield and with low levels of palladium leaching.

solvent, reflux, base

A new, environment friendly protocol for iodination of electron-rich aromatic compounds

Tetrahedron Letters 44 (2003) 5099

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R = OH, OMe, NH₂, NR₂, NHCOCH₃

Stereoselective synthesis of (-)- and (+)-pentenomycins using RCM

G. Venkata Ramana and B. Venkateswara Rao*

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The synthesis of (-)- and (+)-pentenomycins are achieved by reductive iodo elimination and RCM.

Novel construction of the brassinolide side chain

Tetrahedron Letters 44 (2003) 5107

Lizeng Peng, Huawei Liu, Tao Zhang, Fengzhi Zhang, Tiansheng Mei, Yi Li and Yulin Li*

National Laboratory of Applied Organic Chemistry, Institute of Organic Chemistry, Lanzhou University, Lanzhou 730000, PR China

Oxidative dearomatization of resorcinol derivatives: useful conditions leading to valuable cyclohexa-2,5-dienones

Tetrahedron Letters 44 (2003) 5109

Ryan W. Van De Water, Christophe Hoarau and Thomas R. R. Pettus*

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Conjugate addition of indoles and thiols with electron-deficient olefins catalyzed by Bi(OTf)₃

Tetrahedron Letters 44 (2003) 5115

M. Mujahid Alam, Ravi Varala and Srinivas R. Adapa*

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Solid-phase synthesis of five-dimensional libraries via a tandem Petasis-Ugi multi-component condensation reaction

David E. Portlock, a,* Dinabandhu Naskar, b,* Laura West, Ryszard Ostaszewskic and Jack J. Chena

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Sector-V, Salt Lake City, Calcutta-700 091, India

^cWarsaw University of Technology, Noakowskiego 3, Warsaw 00-664, Poland

$$R^{1}-N$$
 $\xrightarrow{R^{3}B(OH)_{2}}$
 $R_{1}-N$
 $\xrightarrow{R^{2}}$
 $R_{1}-N$
 $\xrightarrow{R^{2}}$
 $R_{2}-N$
 $R_{2}-N$
 $R_{3}-N$
 $R_$

Two novel α-tocopheroids from the aerial roots of Ficus microcarpa

Tetrahedron Letters 44 (2003) 5125

Yi-Ming Chiang and Yueh-Hsiung Kuo*

Department of Chemistry, National Taiwan University, Taipei, Taiwan, Republic of China

A stereoselective intramolecular Diels-Alder strategy for the tricyclo[9.3.1.0^{3,8}]pentadecane core of aromatic C-ring taxanes

Tetrahedron Letters 44 (2003) 5129

David V. Smil, Alain Laurent, Nidejda S. Spassova and Alex G. Fallis*

Centre for Research in Biopharmaceuticals, Department of Chemistry, University of Ottawa, 10 Marie Curie, Ottawa, Ontario, Canada K1N 6N5

Efficient synthesis of benzopyrano[2,3-b]pyridines by sequential reactions of 1,3-bis-silyl enol ethers with 3-cyanobenzopyrylium triflates

Tetrahedron Letters 44 (2003) 5133

Peter Langer* and Bettina Appel

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Access to the noryohimban [6,5,6,5,6] ring system via an intramolecular furan Diels-Alder reaction

Tetrahedron Letters 44 (2003) 5137

Demosthenes Fokas,* Jean E. Patterson, Gregory Slobodkin and Carmen M. Baldino

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$$R_{1} \xrightarrow{\frac{6}{7} \cdot \frac{5}{8}} \xrightarrow{\frac{4}{7} \cdot \frac{3}{8}} \xrightarrow{R_{1}} \xrightarrow{R_{2}} \xrightarrow{R_{1}} \xrightarrow{R_{1}$$

Syntheses, addition-eliminations, and addition-displacements of 5-(bromomethylene)hydantoins

Tetrahedron Letters 44 (2003) 5141

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