Modulation of the relative reactivities of carbohydrate secondary hydroxyl groups. Modification of the hydrogen bond network

Tetrahedron Letters 44 (2003) 1731

Me

Nicolas Moitessier* and Yves Chapleur

Groupe SUCRES, Unité Mixte 7565 CNRS, Université Henri Poincaré-Nancy 1, B.P. 239, F-54506 Nancy-Vandoeuvre, France

Stereoselective synthesis of (+)-hyptolide

Tetrahedron Letters 44 (2003) 1737

Juan Murga,^a Jorge García-Fortanet,^a Miguel Carda^{a,*} and

J. Alberto Marcob,*

^aDepart. de Q. Inorgánica y Orgánica, Univ. Jaume I, Castellón, E-12080 Castellón, Spain

^bDepart. de Q. Orgánica, Univ. de Valencia, E-46100 Burjassot, Valencia, Spain

(+)-Hyptolide, a naturally occurring, cytotoxic lactone, has been obtained from ethyl L-lactate in a stereoselective way through a synthetic 15-step sequence including an asymmetric allylboration, an asymmetric ethynylation and a ring-closing olefin metathesis.

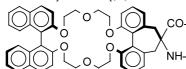
Towards peptide versions of Cram's host-guest chemistry: the synthesis of $C^{\alpha,\alpha}$ -disubstituted glycines with binaphthol-based crowned side-chains

Tetrahedron Letters 44 (2003) 1741

Jean-Paul Mazaleyrat,* Karen Wright, Maria-Vittoria Azzini, Anne Gaucher and Michel Wakselman

SIRCOB, UMR CNRS 8086, Bâtiment Lavoisier, University of Versailles, F-78000 Versailles, France

A new series of $C^{\alpha,\alpha}$ -disubstituted glycines bearing binaphthol-based crown-ethers: [(R)-Binol-22-C-6]-(R)-Bip, [(R)-Binol-22-C-6]-(B)-Bip, [(R)-Binol-20-C-6]-(L)-Mdp, have been synthesized.



[(R)-Binol-22-C-6]-(R)-Bip

[(R)-Binol-21-C-6]-Hms

[(R)-Binol-20-C-6]-(L)-Mdp

Regioselective electrophilic trifluoromethylation of substituted anilines and derivatives in superacid

Sébastien Debarge, Bruno Violeau, Nohair Bendaoud, 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

NHAc

NHAc

$$\begin{array}{c}
1) \text{ HF/SbF}_{g}/CCI_{4} \\
2) \text{ HF/Pyridine}
\end{array}$$
 $\begin{array}{c}
X = H \\
CF_{3} \\
NHAc
\end{array}$
 $\begin{array}{c}
CF_{3} \\
CI
\end{array}$
 $\begin{array}{c}
F_{2} \\
Pd/C
\end{array}$
 $\begin{array}{c}
CF_{3} \\
Pd/C
\end{array}$
 $\begin{array}{c}
CF_{3} \\
Pd/C
\end{array}$
 $\begin{array}{c}
CF_{3} \\
Pd/C
\end{array}$

Saturated resins or stress of the resin

Glòria Sanclimens, a,b Laia Crespo,b Miquel Pons,b Ernest Giralt, a,b Fernando Albericio^{a,b,*} and Miriam Royo^{b,c,*}

^aBarcelona Biomedical Research Institute, Barcelona Science Park, University of Barcelona, Josep Samitier 1, 08028 Barcelona, Spain

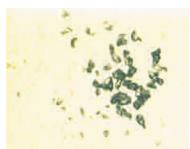
^bDepartament of Organic Chemistry, University of Barcelona, 08028 Barcelona, Spain

^cCombinatorial Chemistry Unit, Barcelona Science Park, University of Barcelona, Josep Samitier 1, 08028 Barcelona, Spain

The synthesis of polyproline-based dendrimers has provided evidence that the capacity of the bead is limited. This phenomenon, which can be interpreted as saturation or stress of the resin, can lead to a complete breakdown of the bead structure.



Tetrahedron Letters 44 (2003) 1751



Tetrahedron Letters 44 (2003) 1755

A convenient synthesis of coumarin-3-carboxylic acids via Knoevenagel condensation of Meldrum's acid with orthohydroxyaryl aldehydes or ketones

Aimin Song, Xiaobing Wang and Kit S. Lam*

Division of Hematology and Oncology, Department of Internal Medicine, UC Davis Cancer Center, University of California, Davis, 4501 X Street, Sacramento, CA 95817, USA

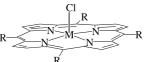
$$X \longrightarrow OH$$
 R
 NH_3
 NH
 R
 R
 R
 R
 R
 R
 R

Syntheses of manganese and iron tetraspirobifluorene porphyrins as new catalysts for oxidation of alkenes by hydrogen peroxide and iodosylbenzene

Cyril Poriel,^a Yann Ferrand,^a Paul Le Maux,^a Joelle Rault-Berthelot^b and Gérard Simonneaux^{a,*}

^aLaboratoire de Chimie Organométallique et Biologique, UMR CNRS 6509, Université de Rennes 1, 35042 Rennes cedex, France

^bLaboratoire de Synthèse et Electrosynthèse Organiques, UMR CNRS 6510, Université de Rennes 1, 35042 Rennes cedex, Tetrahedron Letters 44 (2003) 1759



(TSP)MnCl 3 M=Mn — M=Fe — (TSP)FeCl 4

Stereoselective synthesis of C15–C24 and C25–C30 fragments of dolabelides

Nicolas Desroy, a Rémi Le Roux, a Phannarath Phansavath, a Lucia Chiummiento, b Carlo Boninib,* and Jean-Pierre Genêta,*

^aLaboratoire de Synthèse Sélective Organique et Produits Naturels, UMR CNRS 7573, Ecole Nationale Supérieure de Chimie de Paris,

11, rue Pierre et Marie Curie, 75231 Paris cedex 05, France

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

The stereocontrolled synthesis of C15-C24 and C25-C30 fragments of dolabelides is reported using ruthenium-mediated asymmetric hydrogenation reactions and regioselective ring opening of chiral epoxy alcohol as key steps.

Tetrahedron Letters 44 (2003) 1763

dolabelide A R = Acdolabelide B R = H

A novel pathway for the synthesis of a carboxylic acid-functionalised Ru(II) terpyridine complex

Jérôme Husson, Marc Beley* and Gilbert Kirsch

Laboratoire d'Ingénierie Moléculaire et Biochimie Pharmacologique, Université de Metz, Ile du Saulcy, F-57045 Metz Cedex, France

A new ruthenium(II) terpyridine complex bearing a carboxylic acid function was synthesised. A route based on oxidation of a furan ring directly on the complex is described.

Synthesis of racemic *cis*-1-alkyl- and 1-aryl-2-aminocyclopropane-carboxylic esters

Tetrahedron Letters 44 (2003) 1771

Sven Mangelinckx and Norbert De Kimpe*

Department of Organic Chemistry, Faculty of Agricultural and Applied Biological Sciences, Ghent University, Coupure Links 653, B-9000 Gent, Belgium

First total synthesis of a new sesquiterpenoid natural product, (\pm) -3-(2,4-dihydroxybenzoyl)-4,5-dimethyl-5-(4,8-dimethyl-3(E), 7(E)-nonadien-1-yl)tetrahydro-2-furanone

Tetrahedron Letters 44 (2003) 1775

Hidemi Yoda,* Kazuhide Maruyama and Kunihiko Takabe

Department of Molecular Science, Faculty of Engineering, Shizuoka University, Johoku 3-5-1, Hamamatsu 432-8561, Japan

Sonogashira cross-coupling reaction of organotellurium dichlorides with terminal alkynes

Tetrahedron Letters 44 (2003) 1779

Antonio L. Braga,^{a,*} Diogo S. Lüdtke,^a Fabrício Vargas,^a Ricardo K. Donato,^a Claudio C. Silveira,^a Hélio A. Stefani^b and Gilson Zeni^a

^aDepartamento de Química, Universidade Federal de Santa Maria, CEP-97105-900, Santa Maria, RS, Brazil ^bFaculdade de Ciências Farmacêuticas, USP, São Paulo, SP, Brazil

$$R^{2} + R = H \xrightarrow{PdCI_{2}/CuI} + R^{2}$$

$$1 \qquad 2 \qquad R^{1}$$

Microwave enabled external carboxymethyl substituents in the ring-closing metathesis

Cangming Yang, William V. Murray and Lawrence J. Wilson*

Johnson & Johnson Pharmaceutical Research & Development LLC, 920 Route 202, PO Box 300, Raritan, NJ 08869, USA

The microwave enhanced ring closing metathesis of diolefin substrates containing an external carboxymethyl substituent is presented. The reaction results in the formation of carboxymethyl substituted dehydropyrrolidines, dihydrofurans, cyclopentenes, and pyrroles. In certain cases, pyrroles are formed through further in situ oxidation.

Mes
$$N$$
 Mes C_{N} Mes C_{N

A short synthesis of the trisaccharide building block of the N-linked glycans

Tetrahedron Letters 44 (2003) 1787

Vadim Y. Dudkin* and David Crich*

Department of Chemistry, University of Illinois at Chicago, 845 West Taylor St., Chicago, IL 60607, USA

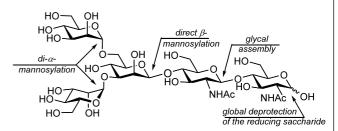
A concise route to the core pentasaccharide of N-linked glycoproteins

Tetrahedron Letters 44 (2003) 1791

Vadim Y. Dudkin, a,* Justin S. Miller and Samuel J. Danishefsky b,*

^aLaboratory for Bioorganic Chemistry, The Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA

^bDepartment of Chemistry, Columbia University, Havemeyer Hall, New York, NY 10027, USA



Radical cyclisation reactions with indoles

Tetrahedron Letters 44 (2003) 1795

Stuart R. Flanagan, David C. Harrowven* and Mark Bradley

Department of Chemistry, The University of Southampton, Southampton, SO17 1BJ, UK

Tetrahedron Letters 44 (2003) 1803

A new method for enol lactone synthesis by a Michael addition/ cyclization sequence

Kennosuke Itoh^b and Shuji Kanemasa^{a,*}

^aInstitute of Advanced Material Study, CREST of JST (Japan Science and Technology), Kyushu University, 6-1 Kasugakoen, Kasuga 816-8580, Japan

^bDepartment of Molecular and Material Sciences, Graduate School of Engineering Sciences, Kyushu University,

6-1 Kasugakoen, Kasuga 816-8580, Japan

Ni(ClO₄)₂•6H₂O/TMP (10 mol% each), at rt for 4 h, in THF

Enol lactone synthesis by Michael addition and cyclization sequence under the double catalytic activation conditions.

π - π Chelation controlled chemoselective conjugate addition of lithium dimethylcuprate

Naoki Asao, Sunyoung Lee and Yoshinori Yamamoto*

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

$$\begin{array}{c|c} & & & \\ \hline & & \\ & & \\ \hline & & \\$$

Synthesis and properties of novel thiaarenecyclynes

Tetrahedron Letters 44 (2003) 1807

Shigeya Kobayashi,^a Shinji Wakumoto,^a Yoshihiro Yamaguchi,^a

Tateaki Wakamiya, a Kunihisa Sugimoto, b Yoshio Matsubara and Zen-ichi Yoshida, **

^aFaculty of Science and Engineering, Kinki University, 3-4-1 Kowakae, Higashi Osaka, 577-8502, Japan

^bRigaku Corporation (X-ray Research Laboratory), 3-9-12 Matsubara, Akishima, Tokyo, 196-8666, Japan

Diastereoselective cycloadditions of chiral homoallylic alcohols with benzonitrile oxide

Tetrahedron Letters 44 (2003) 1811

Martin G. Kociolek* and Chayanant Hongfa

Penn State Erie, The Behrend College, School of Science, Erie, PA 16563, USA

Tetrahedron Letters 44 (2003) 1819

Ionic liquid promoted regioselective monobromination of aromatic substrates with N-bromosuccinimide

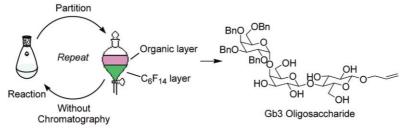
R. Rajagopal, D. V. Jarikote, R. J. Lahoti, Thomas Daniel and K. V. Srinivasan*

Division of Organic Chemistry; Technology, National Chemical Laboratory, Dr. Homi Bhabha Road, Pune 411 008, India

Rapid synthesis of oligosaccharide moieties of globotriaosylceramide using fluorous protective group

Tsuyoshi Miura and Toshiyuki Inazu*

The Noguchi Institute, 1-8-1 Kaga, Itabashi-ku, Tokyo 173-0003, Japan



The synthesis of 2-ketopiperazine acetic acid esters and amides from ethylenediamines with maleates and maleimides

Tetrahedron Letters 44 (2003) 1823

Matthew M. Abelman, a.* Karl J. Fisher, Edward M. Doerffler and Paul J. Edwards

^aSignature BioScience, Inc., 1240 S. 47th St., Richmond, CA 94804, USA

^bPfizer Global Research and Development, Sandwich, Kent CT13 9NJ, UK

Diastereospecific synthesis of novel [3.6.6.4.7]-fused pentacyclic β -lactams by 6-exo-trig, 7-endo-dig tandem radical cyclization

Tetrahedron Letters 44 (2003) 1827

Sudhir N. Joshi, a U. D. Phalgune, B. M. Bhawal and A. R. A. S. Deshmukh a,*

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

^bEmcure Pharmaceuticals Ltd., Emcure House, T-184, M.I.D.C. Bhosari, Pune 411026, India

An efficient synthesis of pentacyclic β -lactams has been achieved via a novel 6-exo-trig, 7-endo-dig tandem radical cyclization.

Tetrahedron Letters 44 (2003) 1835

Microwave oven synthesis of esters promoted by imidazole

Takuji Hirose, Benjamin G. Kopek, Zhao-Hui Wang, Ritsuko Yusa and Bruce W. Baldwin*

Department of Chemistry, Spring Arbor University, Spring Arbor, MI 49283 USA

Using imidazole as promotion agent, primary, secondary and phenolic alcohol compounds were esterified with aliphatic and aromatic carboxylic acid anhydrides. Heating a ternary mixture of alcohol, anhydride and imidazole in an unmodified microwave oven produced esters in low to high yields, depending on the steric bulk of the alcohol.

Room temperature ionic liquid promoted synthesis of 1,5-benzodiazepine derivatives under ambient conditions

D. V. Jarikote, S. A. Siddiqui, R. Rajagopal, Thomas Daniel, R. J. Lahoti and K. V. Srinivasan*

Division of Organic Chemistry; Technology, National Chemical Laboratory, Dr. Homi Bhabha Road, Pune 411 008, India

R' = CH3, Ph, CH(CH3),

An efficient synthesis of a hexasaccharide—the repeating unit of the exopolysaccharide from *Cryptococcus neoformans* serovar A

Tetrahedron Letters 44 (2003) 1839

Jianjun Zhang and Fanzuo Kong*

Research Center for Eco-Environmental Sciences, Academia Sinica, PO Box 2871, Beijing 100085, P.R. China

D-GlcpA D-Xylp D-Xylp
$$\beta \begin{vmatrix} 1 & \beta \end{vmatrix} = \alpha$$
-D-Manp(1 \rightarrow 3)- α -D-Manp-1-OMe

A new and convenient synthesis of 13,16-diazaestrone analogs

Tetrahedron Letters 44 (2003) 1843

J. A. Parihar and M. M. V. Ramana*

Department of Chemistry, University of Mumbai, Vidyanagari, Santacruz (E), Mumbai-400098, India

Studies on uracils: synthesis of novel pyrido[2,3-d]pyrimidine oxides via ring transformation of isoxazolo[3,4-d]pyrimidine

P. J. Bhuyan,* H. N. Borah and R. C. Boruah

Medicinal Chemistry Division, Regional Research Laboratory, Jorhat 785006, Assam, India

The reaction of isoxazolo[3,4-d]pyrimidine 1 and cyanoolefins 2 in the presence of triethylamine as a catalyst afforded an unprecedented one-pot synthesis of biologically important pyrido[2,3-d]pyrimidine oxides 3 in excellent yields.

A commentary on the self assembly and properties of a chiral titanium alkoxide cyclic trimer

Philip Hegarty, Raymond Lau and William B. Motherwell* University College London, Chemistry Department, Christopher Ingold Laboratories, 20 Gordon Street, London, WC1H OAJ, UK

Preselection of 3,4-di-*O*-benzyl-D-manitol **1** as a ligand for the exchange reaction with titanium tetraisopropoxide immediately precludes monomer formation. Thereafter, the chemistry of self-assembly dictates the formation of the cyclic trimer **2**.

Tetrahedron Letters 44 (2003) 1851

A new reaction of vicinal sulfonyliminocarboxylates with phosphites

Tetrahedron Letters 44 (2003) 1855

Petro P. Onys'ko,* Olena A. Suvalova, Yuliya V. Rassukana,

Tetyana I. Chudakova and Anatolii D. Sinitsa

Institute of Organic Chemistry, National Academy of Sciences, 5 Murmans'ka St., Kyiv 02094, Ukraine

First formal synthesis of (+)-nimbidiol. Synthesis, X-ray structure and anticancer activity of a novel ring C aromatic diterpene: dimethyl (+)-podocarpa-8,11,13-triene-12,13-dicarboxylate

Tetrahedron Letters 44 (2003) 1859

Jorge L. Zambrano, a,* Viale Rosales and Tatsuhiko Nakano Nakano

^aDepartamento de Química, Universidad Simón Bolivar, Valle de Sartenejas, Baruta, Caracas 1080-A, Venezuela ^bCentro de Química, Instituto Venezolano de Investigaciones Científicas (I.V.I.C.), Apartado 21827, Caracas 1020-A, Venezuela

This work provides the first formal synthesis of natural (+)-nimbidiol from (+)-manool.

$$\begin{array}{c} \text{OH} \\ \text{CO}_2\text{CH}_3 \\ \text{CO}_2\text{CH}_3 \\ \text{OH} \\ \text{OH}$$

Comments on a novel synthesis of diethyl 1-aminoarylmethylphosphonates on the surface of alumina

Mirosław Soroka* and Krzysztof Kołodziejczyk

Politechnika Wrocławska, Instytut Chemii Organicznej, Biochemii i Biotechnologii, Wybrzeże Wyspiańskiego 27, PL-50370 Wrocław, Poland

For the preparation of 1-amino-1-arylmethylphosphonate via diethyl *N*-arylidene-1-amino-1-arylmethylphosphonate we recommend the direct reaction of hydrobenzamides with diethyl phosphite, instead of aromatic aldehydes, hexamethyldisilazane and alumina as has been described previously.

A new analytical method for anchoring quantification of amines on resin support

Tetrahedron Letters 44 (2003) 1867

Alfredo Paio, a,* Sylvie Gehanne, Elena Grandini, Gianna Reginato and Pierfausto Senecic,*

^aGlaxoSmithKline Medicine Research Centre, Via A. Fleming 4, 37135 Verona, Italy

^bCNR, Via G. Capponi 9, 50121 Firenze, Italy

^cNucleotide Analog Pharma AG, Landsberger Strasse 50, 80339 Munchen, Germany

$$X = \text{benzyl like, tert-butyl like}$$
 $X = \text{benzyl like, tert-butyl like}$
 $X = \text{benzyl like, tert-butyl like}$

Design, synthesis and evaluation of new RDP inhibitors

Tetrahedron Letters 44 (2003) 1871

Hallur Gurulingappa, Phillip Buckhaults, Srinivas K. Kumar, Kenneth W. Kinzler, Bert Vogelstein and Saeed R. Khan*

The Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins, Baltimore, MD 21231, USA

Aminophosphinic acid derivatives were synthesized as potential inhibitors of renal dipeptidase, an enzyme overexpressed in benign and malignant colon tumors. Several compounds showed potent enzyme-inhibitory activity.

$$H_2N$$
 P
 $COOH$
 H_2N
 P
 $COOH$
 OH
 $COOH$
 C

Total synthesis of dehydroaltenusin

Tetrahedron Letters 44 (2003) 1875

Shunya Takahashi,^{a,*} Shinji Kamisuki,^b Yoshiyuki Mizushina,^c Kengo Sakaguchi,^b Fumio Sugawara^b and Tadashi Nakata^a

^aRIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-0198, Japan

^bDepartment of Applied Biological Science, Tokyo University of Science, Noda, Chiba 278-8510, Japan

^cLaboratory of Food and Nutritional Sciences, Department of Nutritional Science, Kobe-Gakuin University, Nishi-ku, Kobe, Hyogo 651-2180, Japan

Tetrahedron Letters 44 (2003) 1883

Tetrahedron Letters 44 (2003) 1887

Tetrahedron Letters 44 (2003) 1891

An efficient route to 3-substituted cyclobutanone derivatives

George W. Kabalka* and Min-Liang Yao

Departments of Chemistry and Radiology, The University of Tennessee, Knoxville, TN 37996-1600, USA

A facile synthesis of simple alkaloids—synthesis of 2,3-polymethylene-4(3H)-quinazolinones and related alkaloids

Eung Seok Lee, Jae-Gyu Park and Yurngdong Jahng*

College of Pharmacy, Yeungnam University, Kyongsan 712-749, South Korea

n = 1,2,3,4,5

i) HCl, ii) POCl₃, iii) methyl anthranilate

Recognition of dihydroxynaphthalenes by a C_2 -symmetric host

Hae-Jo Kim, a Dohyun Moon, b Myoung Soo Lah and Jong-In Honga,*

^aSchool of Chemistry, College of Natural Sciences, Seoul National University, Seoul 151-747, South Korea ^bDepartment of Chemistry, College of Science, Hanyang University, Ansan, Kyunggi-Do 425-791, South Korea

Addition of lithium ethyl fluoroacetate to cis and trans α,β -epoxyaldehydes. Access to C_2 fluorinated butyrolactones

Magalie Collet, Michel Baltas,* Alexandre Martinez, Cécile Dehoux-Baudoin and Liliane Gorrichon

LSPCMIB, UMR 5068, Université Paul Sabatier, 118 route de Narbonne, 31062 Toulouse, France

1722

Fluxional sulfonyl derivatives of troponoids and colchicinoids

Tetrahedron Letters 44 (2003) 1895

Marino Cavazza^{a,*} and Francesco Pietra^b

^aDipartimento di Chimica e Chimica Industriale, Università di Pisa, via Risorgimento 35, I-56100 Pisa, Italy

^bVia della Fratta 9, I-55100, Lucca, Italy

The sulfonyl derivatives of troponoids and colchicinoids such as 5/6, 7/8, 9/10 are involved in fluxional processes easily detectable at high enough temperatures. MeO

Synthesis of fluorinated drimanes. Preparation of 9aF-drimenin

Tetrahedron Letters 44 (2003) 1899

Antonio Abad,* Consuelo Agulló, Ana C. Cuñat and David Pardo

Departamento de Química Orgánica, Universitat de Valencia, Dr. Moliner 50, 46100 Burjassot (Valencia), Spain

A stereoselective synthesis of the 9α -fluorinated analogue of natural drimane sesquiterpene drimenin (6) from decalone (7) is described.

Structural elucidation of the red dye obtained from reaction of 1,8-naphthalenediol with 1,1-diphenylprop-2-yn-1-ol. A correction

Tetrahedron Letters 44 (2003) 1903

Luis M. Carvalho,^a Artur M. S. Silva,^b Cristina I. Martins,^a Paulo J. Coelho^{a,*} and Ana M. F. Oliveira-Campos^c

^aDept. Química, Universidade de Trás-os-Montes e Alto Douro, 5001-911 Vila Real, Portugal

^bDept. Química, Universidade de Aveiro, 3810-193 Aveiro, Portugal ^cCentro de Química, IBQF, Universidade do Minho, 4700-320 Braga, Portugal

Nickel catalyzed cross-coupling of modified alkyl and alkenyl Grignard reagents with aryl- and heteroaryl nitriles: activation of the C-CN bond

Tetrahedron Letters 44 (2003) 1907

Joseph A. Miller and John W. Dankwardt*

DSM Pharmaceuticals, 5900 NW Greenville Blvd, Greenville, NC 27834, USA

$$R \leftarrow CN + R'MgZ \xrightarrow{NiCl_2(PMe_3)_2} R \leftarrow R'MgZ$$

R' = alkyl or alkenyl, Z = t-BuO or SPh

Synthesis of a chlorothalonil peptide conjugate mimicking protein-bound pesticide residues

Holger Hrenn, a Wolfgang Schwack, a,* Werner Seilmeier and Herbert Wieser b

^aInstitut für Lebensmittelchemie (170), Universität Hohenheim, Garbenstrasse 28, D-70593 Stuttgart, Germany

^bDeutsche Forschungsanstalt für Lebensmittelchemie, Lichtenbergstrasse 4, D-85748 Garching, Germany

An efficient strategy for the synthesis of defined structures of pesticide peptide conjugates is described. These conjugates are necessary for various investigations.

The effect of 2,2-dicyanovinyl groups as electron acceptors in push-pull substituted oligo(1,4-phenylenevinylene)s

Tetrahedron Letters 44 (2003) 1915

Herbert Meier, a,* Jürgen Gerolda and Dominic Jacobb

^aInstitute of Organic Chemistry, University of Mainz, Duesbergweg 10–14, 55099 Mainz, Germany

^bNirmala College, Muvattupuzha, India

$$\begin{array}{c|c} & & & \\ \hline & & & \\ \hline & & & \\ \hline \end{array}$$

Uncommon intramolecular palladium-catalyzed cyclization of indole derivatives

Tetrahedron Letters 44 (2003) 1919

Egle M. Beccallia,* and Gianluigi Brogginib

^aIstituto di Chimica Organica, Università degli Studi di Milano, via Venezian 21, 20133 Milano, Italy

^bDipartimento di Scienze Chimiche, Fisiche e Matematiche dell'Università dell'Insubria, via Lucini 3, 22100 Como, Italy

A novel synthetic strategy based on the intramolecular palladium-catalyzed oxidative cyclization reaction, allows the formation of C–C bond and the synthesis of β -carbolinones. The reaction has been performed in the presence of catalytic amount of $PdCl_2(CH_3CN)_2$ and benzoquinone as a reoxidant.

$$\begin{array}{c|c} & \text{PdCL}_2(\text{CH}_3\text{CN})_2 \\ & \text{benzoquinone} \\ & \text{DMF} \\ & \Delta \end{array}$$

Direct organocatalytic asymmetric Mannich-type reactions in aqueous media: one-pot Mannich-allylation reactions

Tetrahedron Letters 44 (2003) 1923

Armando Córdova and Carlos F. Barbas, III*

Skaggs Institute for Chemical Biology and Department of Molecular Biology, Scripps Research Institute, 10550 North Torrey Pines Road, La Jolla, CA 92037, USA

On the C-2 epimerisation of kainoids

Philippe Klotz* and André Mann

Laboratoire de Pharmacochimie de la Communication Cellulaire, UMR 7081, Faculté de Pharmacie, 74 route du Rhin, BP 24, F-67401 Illkirch, France

New mild conditions for the epimerisation at carbon C-2 of kainoids were found. Mechanistic aspects are discussed.

R1 —
$$CO_2R^2$$
 KHMDS
THF, 0° -> 20 °C

R1 = Ph or H

R2 = Me or H

Prot = Cbz. Nos or H

Synthesis of (E)- α , β -unsaturated ketones with total or high diastereoselectivity by using samarium diiodide or triiodide

Tetrahedron Letters 44 (2003) 1931

José M. Concellón* and Mónica Huerta

Departamento de Química Orgánica e Inorgánica, Facultad de Química, Universidad de Oviedo, Julián Clavería, 8, 33071 Oviedo, Spain

$$R^{1} \xrightarrow{O \quad OH \quad Sml_{2} \text{ or } Sml_{3}} \quad R^{1} \xrightarrow{Q} R^{2}$$

Alkaloidosteroids from the starfish Lethasterias nanimensis chelifera

Tetrahedron Letters 44 (2003) 1935

Alla A. Kicha, Natalia V. Ivanchina, Anatoly I. Kalinovsky,

Pavel S. Dmitrenok and Valentin A. Stonik*

Pacific Institute of Bioorganic Chemistry, Far-Eastern Branch of the Russian Academy of Sciences, Vladivostok-22, Prospect 100-letya Vladivostoka 159, Russia

HO OH
$$\begin{array}{c} & & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Cyclisation of 3-alkenylpyrido[1,2-a]pyrimidines to furo[2,3-d]pyrido-[1,2-a]pyrimidines

Tetrahedron Letters 44 (2003) 1939

Mustafa Güllü,* Sibel Uzun and Serkan Yalçın

Department of Chemistry, Faculty of Science, Ankara University, 06100, Tandoğan, Ankara, Turkey

3-Alkenylpyrido[1,2-a]pyrimidines react under mild conditions, in the presence of a strong acid, to give novel tricyclic furo[2,3-d]pyrido[1,2-a] pyrimidines in high yields.

$$CH_3$$
 N
 OH
 R^1
 R^2
 $A cid$
 R^2

UV irradiation of arylidene-β-ionones in the presence of dioxygen: regioselective formation of stable endoperoxides

Rajinder Singh and M. P. S. Ishar*

Department of Pharmaceutical Sciences, Guru Nanak Dev University, Amritsar-143 005, Punjab, India

Ar = Ph-,
$$p$$
-Me-Ph-, p -Cl-Ph-, p -Br-Ph-
$$(85-90\%)$$

MCC/S_NAr methodology. Part 2: Novel three-step solution phase access to libraries of benzodiazepines

Tetrahedron Letters 44 (2003) 1947

Paul Tempest,^b Liping Pettus,^a Vijay Gore^a and Christopher Hulme^{a,*}

^aDepartment of Small Molecule Drug Discovery, AMGEN, One AMGEN Center Drive, Thousand Oaks, CA 91320, USA ^bDepartment of Small Molecule Drug Discovery, AMGEN, Cambridge Research Center, Cambridge, MA, USA

This letter reveals the novel solution-phase syntheses of arrays of biologically relevant benzodiazepines 1, via multi-component condensation (MCC)/SNAr methodology.

A new method for the synthesis of carboxamides and peptides using 1,1'-carbonyldioxydi[2(1H)-pyridone] (CDOP) in the absence of basic promoters

Tetrahedron Letters 44 (2003) 1951

Isamu Shiina* and Yo-ichi Kawakita

Department of Applied Chemistry, Faculty of Science, Tokyo University of Science, Kagurazaka, Shinjuku-ku, Tokyo 162-8601, Japan

Enantioselective synthesis of (-)- α -conhydrine via cyclic sulfate methodology

Tetrahedron Letters 44 (2003) 1957

SubbaRao V. Kandula and Pradeep Kumar*

Division of Organic Chemistry: Technology, National Chemical Laboratory, Pune 411008, India

Molecular iodine-catalyzed efficient and highly rapid synthesis of bis(indolyl)methanes under mild conditions

Tetrahedron Letters 44 (2003) 1959

B. P. Bandgar* and K. A. Shaikh

Organic Chemistry Research Laboratory, School of Chemical Sciences, Swami Ramanand Teerth Marathwada University, Vishnupuri, Nanded 431606, India

Highly rapid and efficient electrophilic substitution reactions of indoles with various aldehydes and ketones were carried out using I_2 in CH_3CN to afford the corresponding bis(indolyl)methanes in excellent yields.

+ R¹ R²
$$\frac{I_2, CH_3CN}{r.t., immediately}$$

$$R^1 = H$$
, alkyl, $R^2 = alkyl$, aryl

Regioselective radical ring-opening reaction of bicyclo[4.2.0]octan-2-ones promoted by samarium(II) iodide

Tetrahedron Letters 44 (2003) 1963

Kiyomi Kakiuchi, a,* Koichi Minato, Ken Tsutsumi, Tsumoru Morimoto and Hideo Kurosawa b

^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

$$\begin{array}{c|c} R = alkyl \\ \hline \\ Sml_2 \\ \hline \\ a \\ OSml_2 \\ \end{array}$$

Preparation and photophysical properties of halogenated silicon(IV) phthalocyanines substituted axially with poly(ethylene glycol) chains

Tetrahedron Letters 44 (2003) 1967

Pui-Chi Lo,^a Shuangqing Wang,^a Andre Zeug,^b Matthias Meyer,^b Beate Röder^b and Dennis K. P. Ng^{a,*}

^aDepartment of Chemistry, The Chinese University of Hong Kong, Shatin, N.T., Hong Kong, China ^bInstitut für Physik, Humboldt-Universität Berlin, Invalidenstraße 110, 10115 Berlin, Germany

Incorporation of heavy halogen substituents onto the periphery of silicon(IV) phthalocyanines promotes intersystem crossing and enhances the singlet oxygen quantum yield of the macrocycles, making them desirable candidates for photodynamic therapy.

New enantioselective chiral imidazolidine ligands for Pd-catalyzed asymmetric allylic alkylation

Tetrahedron Letters 44 (2003) 1971

En-Kyung Lee, Sang-Han Kim, B.-H. Jung, Wha-Seung Ahn and Geon-Joong Kim*

Department of Chemical Engineering, Inha University, Inchon 402-751, South Korea

New chiral imidazolidine act as effective ligands in palladium-catalyzed asymmetric allylic alkylation.

Regioselectivity in the reductive ring-opening reaction of 1,2-O-benzylidene sugars

Katsuhiko Suzuki, Hisato Nonaka and Masanori Yamaura*

Department of Environmental Science, Faculty of Science and Engineering, Iwaki Meisei University, 5-5-1 Iino, Chuohdai, Iwaki-shi, Fukushima 970-8551, Japan

Selective reduction of alkynes catalyzed by palladium acetate with sodium methoxide as the hydride source

Tetrahedron Letters 44 (2003) 1979

Li-Lan Wei, a,b Li-Mei Wei, b Wen-Bin Pan, a,b Shiow-Piaw Leou and Ming-Jung Wua,*

^aSchool of Chemistry, Kaohsiung Medical University, Kaohsiung, Taiwan

^bFooyin University, Kaohsiung county, Taiwan

Treatment of internal alkynes (0.5 mmol) with sodium methoxide (5 equiv.) in the presence of Pd(OAc)₂ (5 mol%) and PPh₃ (5 mol%) in methanol for 48 h gave the reduction products, alkenes or alkanes in good chemical yields.

$$R^1 \longrightarrow R^2 \longrightarrow R^2 \longrightarrow R^1 \longrightarrow R^1$$