GRAPHICAL ABSTRACTS

THE SYNTHESIS OF LYSINE α-KETOAMIDE THROMBIN INHIBITORS VIA AN EPOXY AMIDE RING OPENING.

Joseph Cacciola*, Richard S. Alexander, John M. Fevig and Pieter F.W. Stouten, Department of Chemical and Physical Sciences, *The Dupont Merck Pharmaceutical Company*, P.O. Box 80500, Wilmington DE 19880-0500.

We describe a novel route for the preparation of substituted α -ketoamides of lysine. These compounds, due to the presence of an electrophilic carbonyl, display submicromolar activity toward the enzyme thrombin.

Tetrahedron Letters, 1997, 38, 5741

THERMAL REACTIONS OF BENZOCYCLOBUTENONE

WITH ALCOHOLS. Zhi Yuan Wang*, Laurence Suzzarini and Jian Ping Gao, Ottawa-Carleton Chemistry Institute, Department of Chemistry, Carleton University, 1125 Colonel By Drive, Ottawa, Canada K1S 5B6

Thermolysis of 1 at 250 °C yielded the isocoumarin 3 in 60% yield. In the presence of stoichiometric amounts of alcohols at 170-210 °C, the corresponding esters 4 and 5 were formed in quantitative yields.

CH₃
$$\xrightarrow{\text{neat}}$$
 $\xrightarrow{\text{1}}$ $\xrightarrow{\text{1$

Tetrahedron Letters, 1997, 38, 5747

Tetrahedron Letters, 1997, 38, 5745

An Acyliminium Ion Approach Towards The Synthesis of β-Substituted 3,4-Dihydroisoquinolone Propionates

Matthew J. Fisher*, Bruce P. Gunn, Suzane L. Um, and Joseph A. Jakubowski

Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, Indiana, 46285 A method for the preparation of α-methoxy-3,4-dihydroisoquinolones and their subsequent reaction with 1-tert-butoxy-1-tert-butyldimethylsiloxy ethene in the presence of BF₃-Et₂O to yield β-substituted isoquinolone propionates are described.

Automated Synthesis of Double Dye-Labeled

Tetrahedron Letters, 1997, 38, 5751

Oligonucleotides using Tetramethylrhodamine (TAMRA) Solid Supports.

Bashar Mullah* and Alex Andrus, Applied Biosystems Division, Perkin Elmer Corporation, 850 Lincoln Centre Drive, Foster City, CA 94404, USA

Enantioselective Diels-Alder Reactions Between Cyclopentadiene and α,β -Acetylenic Aldehydes Catalyzed by a Chiral Super Lewis Acid

E. J. Corey* and Thomas W. Lee Department of Chemistry and Chemical Biology Harvard University, Cambridge, Massachusetts 02138

ENERGY TRANSFER ASSEMBLIES COMPOSED OF EXPANDED PORPHYRIN-OLIGONUCLEOTIDE CONJUGATES. Darren Magda,*,a

Shaun Crofts, a Jonathan L. Sessler, b Petra Sansom, Stacy L. Springs, and Yuichi Ohya, a Pharmacyclics, Incorporated, 995 East Arques, Sunnyvale, California 94086, b Department of Chemistry and Biochemistry, University of Texas at Austin, Austin, Texas 78712

Energy transfer assemblies composed of expanded porphyrin-oligonucleotide conjugates 1-3 are described.

1 3'-sapphyrin-PO_{4'}AAA AAG TCG TCA TCA G-5'

Tetrahedron Letters, 1997, 38, 5759

2 5'-Y(III) texaphyrin-PO₄-TTT TTC AGC AGT AGT C-3'

Tetrahedron Letters, 1997, 38, 5763

3 5'-Dy(III) texaphyrin-PO₄-TTT TTC AGC AGT AGT C-3'

A MUTASE MIMIC WITH COBALAMIN

LINKED TO CYCLODEXTRIN. Miroslav Rezac

and Ronald Breslow*, Department of Chemistry, Columbia University, New York NY 10027 USA

Caesalpinin, a Rearranged Cassane Furanoditerpene of Caesalpinia bonducella

Tetrahedron Letters, 1997, 38, 5767

Sonia R. Peter, Winston F. Tinto, A Stewart McLean, William F. Reynolds, Li-Lin Tay

*Laboratory of Bioorganic Chemistry, Department of Biological and Chemical Sciences, University of the West Indies, Cave Hill Campus, Barbados Department of Chemistry, University of Toronto, Toronto, Ontario, M5S 1A1, Canada

A new rearranged cassane furanoditerpene, caesalpinin (1), was isolated from the roots of Caesalpinia bonducella and the structure determined by 2D NMR spectroscopy.

Stereospecific Synthesis of Tetrasubstituted Z-Enol Silyl Ethers By A Three Component Coupling Process

Tetrahedron Letters, 1997, 38, 5771

E. J. Corey,* Shouzhong Lin and Guanglin Luo Department of Chemistry and Chemical Biology Harvard University, Cambridge, Massachusetts 02138

Tetrahedron Letters, 1997, 38, 5775

One-Pot, Three-Component Synthesis of Arylidenesuccinates and Related Compounds. Stuart W. McCombie* and Courtney. A. Luchaco, Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033-0539

- * Yields: 71 95%.
- * Also for heterocyclic, aliphatic and unsaturated aldehydes.

Synthesis of Aromatic Amines Using Allyl Azide

Tetrahedron Letters, 1997, 38, 5777

George W. Kabalka,* and Guisheng Li Departments of Chemistry and Radiology The University of Tennessee Knoxville, TN 37996-1600

Aromatic amines are conveniently prepared in good yields by reaction of aromatic Grignard and lithium reagents with allyl azide, followed by hydrolysis.

ArM +
$$\frac{-78 \text{ °C to RT}}{\text{Solvent}}$$
 NNN NHAr $\frac{\text{H}_3\text{O}^+}{\text{ArNH}_2}$ ArNH₂

SYNTHESIS OF 6-DEOXY-6,6-DIFLUORO-α-D-GLUCOPYRANOSYL

Tetrahedron Letters, 1997, 38, 5779

FLUORIDE Lincoln A. Noecker and John R. Edwards*, Department of Chemistry, Villanova University, Villanova, PA 19085 USA

Tetrahedron Letters, 1997, 38, 5785

Aromatic Stacking in Folded Architectures through Hydrogen Bonding.

Yaun-Shek Chen, Jeff W. Kampf and Richard G. Lawton*

Department of Chemistry, The University of Michigan, Ann Arbor, Michigan 48109

The α , α' -annelation of the enamine of 1,3-dihydro-2-phenalenone with methyl α -(bromomethyl)acrylate affords an aromatic bicyclic framework. The acid derivatives of this framework dimerize affording a "sandwich" structure. Both NMR and fluorescence techniques probe this dimeric association.

An Efficient Synthetic Route to 2-(1,2-Dithiolan-3-yl)acetic acid.

Trisnorlipoic Acid and Amide Derivatives.

Yaun-Shek Chen and Richard G. Lawton*, Department of Chemistry, The University of Michigan Ann Arbor, Michigan 48109-1055

A simple, efficient synthesis of 2-(1,2-dithiolan-3-yl)acetic acid from Meldrum's acid, acrolein and thioacetic acid is described.

Facile Preparation of Chloromethylphenyl Solid Supports Using Methanesulfonyl Chloride and Hunig's Base. David A. Nugiel*, Dean A. Wacker and Gregory A. Nemeth The DuPont Merck Pharmaceutical Company, P.O. Box 80500, Wilmington, DE 19880-0500

Tetrahedron Letters, 1997, 38, 5789

Abstract: Several commercially availbe hydroxymethylaryl resins were converted to their corresponding chloromethyl analogs by simple treatment with methanesulfonyl chloride and Hunig's base in DMF at 25 °C over 3 days. This mild method gave quantitative conversions as determined by elemental anlysis and ¹³C NMR.

SYNTHESIS OF METALLATED (METAL = SI, GE, SN) PYRIDAZINES BY CYCLOADDITION OF METAL SUBSTITUTED ALKYNES TO 1,2,4,5-TETRAZINE

Tetrahedron Letters, 1997, 38, 5791

Dieter K. Heldmann and Jürgen Sauer*, Institute of Organic Chemistry, University of Regensburg, D-93040 Regensburg, Germany Preparative and kinetic aspects of the title reactions are reported. The stannylated pyridazines were cross-coupled with various aromatic carbon electrophiles under Pd-catalysis.

SYNTHESIS OF MODEL TRICYCLIC C-O-D-O-E-F-O-G RING OF TEICOPLANIN

Tetrahedron Letters, 1997, 38, 5795

Michèle Bois-Choussy, Caroline Vergne, Luc Neuville, René Beugelmans, Jieping Zhu*
Institut de Chimie des Substances Naturelles, 91198 Gif-sur-Yvette, France

Synthesis of model tricyclic C-O-D-O-E-F-O-G rings (2) by means of efficient S_NAr based cycloetherification methodology is reported.

STRUCTURES OF TWO NEW MYCOSPORINES AMINO ACIDS FROM POCILLOPORA EYDOUXI

Taivini T.TEAI and Paul M.V. MARTIN, ITRM LM, BP 30 Papeete, Tahiti, Polynésie Française

Phila RAHARIVELOMANANA, Jean-Pierre BIANCHINI, Robert FAURE and Aimé CAMBON, UFP, CUPF, BP 6570 Faaa Aéroport, Tahiti, Polynésie Française

Two new Mycosporine Amino Acids molecules Palythine-serine (1) and N-Methylpalythine-serine (2) were isolated from *Pocillopora eydouxi* and characterized

Tetrahedron Letters, 1997, 38, 5799

1 R=H, 2 R=CH₃

RAPID ACCESS TO A 14-MEMBERED DIKETAL DILACTAM RING

Tetrahedron Letters, 1997, 38, 5801

Denise Dugat, Angèle Chiaroni, Claude Riche, Jacques Royer and Henri-Philippe Husson, Institut de Chimie des Substances Naturelles, CNRS, 1 Ave de la Terrasse,

91198 Glf-sur-Yvette, France; ¶ On leave from URA CNRS 485, SEESIB, Université Blaise Pascal, Clermont-Ferrand, France

A macrocyclic dioxadilactam was obtained in two steps by acidic cyclization of a chiral amido-acetal issue from R(-)-phenylglycinol

LUTOSIDE: AN ACYL-1-(ACYL-6'-MANNOBIOSYL)-3-GLYCEROL ISOLATED FROM THE SPONGE-ASSOCIATED BACTERIUM *MICROCOCCUS LUTEUS*.

Tetrahedron Letters, 1997, 38, 5805

BACTERIUM MICROCOCCUS LUTEUS.

Valérie Bultel-Poncé^a, Cécile Debitus^b, Alain Blond^a, Claude Cerceau^a, Michèle Guyot^{a*},

^a Laboratoire de Chimie du Museum National d'Histoire Naturelle-CNRS, 63 rue Buffon, 75005 Paris, France.

b ORSTOM Nouméa, Nouvelle-Calédonie.

Lutoside, an unusual acyl-1-(acyl-6'-mannobiosyl)-3-glycerol 1 was isolated from the sponge-associated bacterial strain *Microccocus luteus*.

Tetrahedron Letters, 1997, 38, 5811

Stereoselective Synthesis of 1,3-Disubstituted Hexahydro-1,4-diazepin-2-ones.

Adriana Pohlmann. Dominique Guillaume*. Jean-Charles Quirion. Henri-Philippe Husson. Laboratoire de Chimie Thérapeutique associé au CNRS. Faculté des Sciences Pharmaceutiques et Biologiques. Université R. Descartes.

Av. de l'Observatoire, 75270 Paris Cedex 06, France.

SYNTHESIS OF THE THF MOIETY OF ANNONACIN BASED ON ALDOLISATION AND BAEYER-VILLIGER OXIDATION.

J.-P. Gesson*, B. Renoux and E. Schulten

Laboratoire de Chimie 12, Université de Poitiers et CNRS, 40, Avenue du Recteur Pineau, F-86022 Poitiers Cedex

Erythro (or threo)-trans (or cis)-threo esters C are prepared in few steps from Z (or E) anti aldol A using diastereoselective Baever-Villiger reaction of ketone

AN URIDINE DERIVATIVE CONTAINING A HYDROPHOBIC FLUORESCENT PROBE AT THE 2'-POSITION: SYNTHESIS AND ITS INCORPORATION INTO OLIGONUCLEOTIDES

Kazushige Yamana,* Tuneo Mitsui, Haruhisa Hayashi, and Hidehiko Nakano Department of Applied Chemistry, Himeji Institute of Technology, 2167 Shosha, Himeji, Hyogo 671-22, Japan

The synthesis of 2'-(6-dimethylamino-2-naphthamide)uridine [U(DAN)] has been described. The nucleoside was converted to the 5'-dimethoxytrityl nucleoside phosphoramidite which could be used for incorporation of U(DAN) into the desired positions of the oligonucleotide sequence.

Tetrahedron Letters, 1997, 38, 5815

Tetrahedron Letters, 1997, 38, 5819

ISOLATION AND SYNTHESIS OF 1-DEOXY-1-DIMETHYLARSINOYLRIBITOL -5-SULFATE, A NATURAL CONSTITUENT OF CHONDRIA CRASSICAULIS AND OTHER RED ALGAE

John S. Edmonds*, Yasuyuki Shibata, Fuquan Yang† and Masatoshi Morita

National Institute for Environmental Studies, 16-2 Onogawa, Tsukuba, Ibaraki 305, Japan

Abstract: 1-deoxy-1-dimethylarsinoylribitol-5-sulfate, 1, synthesised from ribitol, 2, was identical with a natural constituent of Chondria crassicaulis and other red algae, some of which are eaten in Japan.

SYNTHESES OF 1,2,5-BENZOTRICHALCOGENEPINS. REACTIONS OF 1,3,2-BENZODICHALCOGENASTANNOLES WITH THIIRANES

Tetrahedron Letters, 1997, 38, 5821

Ryu Sato,* Satoshi Sanada, Masako Okanuma, Takeshi Kimura, and Satoshi Ogawa

Department of Applied Chemistry and Molecular Science, Faculty of Engineering, Iwate University, Morioka 020, Japan

R1: H. Pro

R2: H, - (CH2)4 -

Ch1, Ch2: S, Se

CHEMILUMINESCENCE OF SPIRO[1,2-DIOXETANE-3,1'-DIHYDROISOBENZOFURAN]S, SPIRO[1,2-DIOXETANE-3,1'-

Tetrahedron Letters, 1997, 38, 5825

ISOCHROMANJS AND A SPIRO[1,2-DIOXETANE-3,1'-(2-BENZOXEPANE)]

Masakatsu Matsumoto,* Nobuko Watanabe, Tamaki Shiono, Hiroyuki Suganuma, and Jyunya Matsubara, Department of Materials Science, Kanagawa University, Tsuchiya, Hiratsuka, Kanagawa 259-12, Japan

Spiro[1,2-dioxetane-3,1'-dihydroisobenzofuran]s (3), spiro[1,2-dioxetane-3,1'-isochroman]s (5) and a spiro[1,2-dioxetane-3,1'-(2-benzoxepane)] (7) were synthesized. Desilylation of these new dioxetanes with TBAF in DMSO induced light emission with half-lives far longer than those of the parent dioxetanes (1).

PREPARATION AND REACTIONS OF γ,γ-DIALKOXYALLYLIC ZIRCONIUM SPECIES: α,β-UNSATURATED ACYL ANION EQUIVALENT

Tetrahedron Letters, 1997, 38, 5829

Hisanaka Ito and Takeo Taguchi*

Tokyo University of Pharmacy and Life Science, 1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

2,4-Dinitrobenzenesulfonamides: A Simple and Practical Method for the Preparation of a Variety of Secondary Amines and Diamines.

Tetrahedron Letters, 1997, 38, 5831

Tohru Fukuyama,*† Mui Cheung,‡ Chung-Kuang Jow,‡ Yuko Hidai,† and Toshiyuki Kan† †Faculty of Pharmaceutical Sciences, University of Tokyo, 7-3-1 Hongo, Bunkyo-ku, Tokyo 113, Japan. ‡Department of Chemistry, Rice University, Houston, Texas 77005-1892.

DI-TERT-BUTYL PYROCARBONATE MEDIATED SYNTHESIS OF MACROCYCLIC LACTONES FROM $\,\omega\textsc{-}\textsc{Hydroxy}$ ACIDS

Tetrahedron Letters, 1997, 38, 5835

M. Nagarajan, V. Satish Kumar and B. Venkateswara Rao

Indian Institute of Chemical Technology, Hyderabad - 500 007, India

6 - Examples

STEREOSPECIFIC SYNTHESIS OF A NOVEL AZETIDO-[2,1-c][1,4]BENZODIAZEPINE RING SYSTEM WITH DNA RECOGNITION POTENTIAL

D. Subhas Bose*, P. Srinivas and M. K. Gurjar

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

Tetrahedron Letters, 1997, 38, 5839

ENANTIOSELECTIVE SYNTHESIS OF 2-BENZOTHIAZOLYL OXIRANES

Tetrahedron Letters, 1997, 38, 5843

Saverio Florio,* Vito Capriati and Vincenzo Russo Dipartimento Farmaco-Chimico, Università di Bari, Via Orabona 4, 70125 Bari, Italy.

Tetrahedron Letters, 1997, 38, 5847

STEREOSELECTIVE SULFOXIDE DIRECTED REDUCTION OF 1,2-DIKETO-DERIVATIVES TO ENANTIOMERICALLY PURE

SYN AND ANTI 1,2-DIOLS.

Guy Solladié*, Gilles Hanquet, Catherine Rolland

Laboratoire de Stéréochimie associé au CNRS, ECPM, Université Louis Pasteur

1 rue Blaise Pascal, 67008-Strasbourg, France

HYDROXYPINANONE: SOLUTE/SOLUTE INTERACTIONS AND NON-LINEAR CHIROPTICAL PROPERTIES

Tetrahedron Letters, 1997, 38, 5851

Solladié-Cavallo A., Andriamiadanarivo R.; Laboratoire de Stéréochimie Organométallique associé au CNRS, ECPM/Université Louis Pasteur, 1 rue B. Pascal, 67008, Strasbourg France

Hydroxypinanone 1 exhibits non-linear behavior of the optical rotation and even EtOH leads to significant discrepencies.

1(+)-100% e.e from GC: $[\alpha_0]_D^{24} = +41.4$ (c=3, CHCl₃) +22.9 (c=3, EtOH)

1(+)-90% e.e from GC: $[\alpha]_D^{24}$ Max = +44.5 (c=3, CHCl₃) overestimated +21.7 (c=3, EtOH) underestimeted

1(+)-70% e.e from GC: $[\alpha]_D^{24}$ Max = +35.7 (c=3, CHCl₃) underestimeted +19.8 (c=3, EtOH) underestimeted

A FACILE SYNTHESIS OF AN OXATRICYCLIC TRANS-SYN-TRANS-SUBSTITUTED OXEPANYL FRAMEWORK

Tetrahedron Letters, 1997, 38, 5853

Eduardo Manta,*a Laura Scarone, Gonzalo Hernández, Raul Mariezcurrena, Leopoldo Suescun, Iván Brito, Ignacio Brouard, M. Carmen González, de Ricardo Pérez, de and Julio D. Martín de Catedra de Química Farmacéutica, Universidad de la República, Uruguay; ^bCátedra de Cristalografía, Universidad de la República, Uruguay; ^cFacultad de Ciencias Básicas, Universidad de Antofagasta, Chile; dInstituto de Investigaciones Químicas, CSIC, Isla de La Cartuja, Sevilla, Spain

THE ASYMMETRIC REDUCTION OF KETONES USING CHIRAL AMMONIUM FLUORIDE

Tetrahedron Letters, 1997, 38, 5857

Tetrahedron Letters, 1997, 38, 5861

SALTS AND SILANES

Mark D. Drew, Nicholas J. Lawrence,* Dept. of Chemistry, UMIST, PO Box 88, Manchester, M60 1QD, UK. William Watson, Lancaster Synthesis Ltd., Eastgate, White Lund, Morecambe, Lancs. LA3 3DY

and Stephen A. Bowles, British Biotech, Watlington Road, Oxford, OX4 5LY

Ketones are reduced with moderate enantioselectivity by silanes bearing alkoxyl or siloxy groups and chiral ammonium fluoride salts derived from cinchona alkaloids.

N-benzylguinidinium fluoride (10 mol%)

(Me₃SiO)₃SiH (1.5 eq.)

2. NaOH, H₂O

99%, 78% e.e.

CHEMOENZYMATIC SYNTHESIS OF THE GM3, LEWIS X AND SIALYL LEWIS X OLIGOSACCHARIDES IN 13C-ENRICHED FORM

Mark A. Probert, Mark J. Milton, Richard Harris, Sergio Schenkman, Jonathan M. Brown, Steven W. Homans and Robert A. Field* School of Chemistry and Centre for Biomolecular Sciences, University of St Andrews, St Andrews, Fife KY16 9ST, U.K.

a Dept. de Microbiologia, Imunologia e Parasitologia, Escola Paulista de Medicina, UNIFESP, 04023-062 Sao Paulo, BRAZIL

b Martek Biosciences Corporation, 6480 Dobbin Road, Columbia, MD 21045, U.S.A.

The chemoenzymatic synthesis of biologically important oligosaccharides in ¹³C-enriched form is reported.

Example:
$$\bullet = {}^{13}C$$

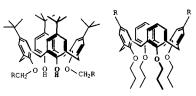
CALIX[4]ARENE BASED α-AMINOPHOSPHONATES: NOVEL CARRIERS FOR ZWITTERIONIC AMINO ACIDS TRANSPORT. I.S. Antipin. * I.I. Stoikov,

FOR ZWITTERIONIC AMINO ACIDS TRANSPORT. I.S.Antipin,* I.I.Stoikov, E.M.Pinkhassik, N.A.Fitseva, I.Stibor, A.I.Konovalov

Kazan State University, Kremlevskaja 18, 420008 Kazan, Russia Institute of Chemical Technology, Technicka 5, 16628 Prague, The Czech Republic

The calix[4] arene based α -aminophosphonates exhibit remarkable selectivity as carriers for the membrane transport of the zwitterionic form of aromatic amino acids.

Tetrahedron Letters, 1997, 38, 5865



 $R \equiv CH_2NHCR'_2P(O)(OEt)_2$

Tetrahedron Letters, 1997, 38, 5869

SYNTHESIS OF CASUARINES [PENTAHYDROXYLATED PYRROLIZIDINES] BY SODIUM HYDROGEN TELLURIDE-INDUCED CYCLISATIONS OF AZIDODIMESYLATES

A. A. Bell, L. Pickering, A. A. Watson, Robert J. Nash, Y. T. Pan, A. D. Elbein and G. W. J. Fleet*
Dyson Perrins Laboratory, Oxford University, South Parks Road, Oxford OX1 3QY UK; Institute of Grassland and
Environmental Research, Plas Gogerddan, Aberystwyth, Cardiganshire SY23 3EB UK; University of Arkansas for
Medical Sciences, Department of Biochemistry & Molecular Biology, Little Rock, Arkansas 72205, USA

The first synthesis of diastereomers of the very highly oxygenated pyrrolizidine casuarine relies on the Suzuki-Takaoka NaTeH reduction of azidomesylates, followed by high yield concommitant bicyclisation.

THE FIRST PREPARATION OF EPISULFONES FROM EPISULFIDES: OXIDATION USING OXONE®/TRIFLUOROACETONE

Tetrahedron Letters, 1997, 38, 5873

Paul Johnson and Richard J. K. Taylor*

Department of Chemistry, University of York, Heslington, York YO1 5DD, UK

CYCLIZATION BY FREE RADICAL ADDITION OF STANNYL OR THIYL RADICAL TO 3'-β-ETHYNYL URIDINE.

Tetrahedron Letters, 1997, 38, 5877

IS THE 3'-B-ETHYNYL GROUP A SPIN TRAP IN RIBONUCLEOTIDE REDUCTASE? Pierre M. J. Jung, Jérôme Dauvergne, Alain Burger*, and Jean-François Biellmann. Laboratoire de Chimie Organique Biologique associé au CNRS URA 31, Faculté de Chimie, Université Louis Pasteur, 1 rue Blaise Pascal, 67008 Strasbourg, France.

SYNTHESIS AND APPLICATION OF CATIONIC 2,6-BIS(2-OXAZOLINYL)PHENYLPALLADIUM(II) COMPLEXES

Tetrahedron Letters, 1997, 38, 5881

Tetrahedron Letters, 1997, 38, 5885

Mark A. Stark and Christopher J. Richards

Department of Chemistry, University of Wales, Cardiff, PO Box 912, Cardiff, CF1 3TB, UK

USE OF A SULFINYL TETHER TO CONTROL DIASTEREOFACIAL SELECTIVITY IN [5C + 2C] PYRONE-ALKENE CYCLOADDITIONS

Antonio Rumbo, Luis Castedo, and José L. Mascareñas*

Departamento de Química Orgánica y Unidad Asociada al C.S.I.C. 15706, Santiago de Compostela. Spain

The presence of a sulfoxide group in a disposable tether linking an alkene to a 5-silyloxy-4-pyrone induces moderate levels of diastereofacial selectivity in their internal thermal [5+2] cycloaddition

NOVEL RING OPENING REACTIONS OF METHYLENEAZIRIDINES

Julie Ince and Michael Shipman*

Department of Chemistry, University of Exeter, Stocker Road, Exeter, Devon, EX4 4QD, U.K.

David S. Ennis

SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Third Avenue, Harlow, Essex, CM19 5AW, U.K.

Methyleneaziridines can be ring opened with chloroformates and acid chlorides to functionalised enamines under mild reaction conditions.

CONJUGATE ADDITION OF NITROGEN NUCLEOPHILES TO AN $\alpha\beta\textsubscript{-}J$ UNSATURATED PYRROLIDINONE

Tetrahedron Letters, 1997, 38, 5891

Tetrahedron Letters, 1997, 38, 5887

P.W.H. Chan, I.F. Cottrell and M.G. Moloney

Dyson Perrins Laboratory, University of Oxford, South Parks Rd, Oxford. OX1 3QY, and Merck Sharp and Dohme Research Laboratories, Hertford Rd, Hoddesdon, Herts. EN11 9BU.

CONJUGATE ADDITION REACTIONS OF A (DIETHOXYPHOSPHINOYL)DIFLUOROMETHYL ANION EQUIVALENT TO ACYCLIC AND CYCLIC VINYL SULFONES

Kevin Blades, Dominique Lapôtre and Jonathan M. Percy

Generating the conjugate base of diethyl difluoromethanephosphonate in the presence of cerium(III) chloride allows conjugate addition to cyclic vinyl sulfones in moderate to good yield. Desulfonation occurred under mild amalgam conditions.

$$\begin{array}{c} X \\ & \\ \\ \end{array} \\ \begin{array}{c} X \\ \\ \end{array} \\$$

SUBSTITUENT EFFECTS IN THE STEREOCONVERGENT SYNTHESIS OF β -HYDROXYPHENYLALANINE DERIVATIVES.

Tetrahedron Letters, 1997, 38, 5899

Craig A. Hutton, School of Chemistry, The University of Melbourne, Parkville, Victoria 3052, Australia

The degree of stereoconvergence in the synthesis of β -hydroxyarylalanine derivatives from the corresponding β -bromoarylalanine derivatives is governed by the electronic nature of the aryl substituents.

CHELATED [3,3]-REARRANGEMENTS OF DIFLUOROALLYLIC ALCOHOLS

Tetrahedron Letters, 1997, 38, 5903

Michael J. Broadhurst, Jonathan M. Percy and Michael E. Prime

Chelated ester enolates derived from difluoroallylic alcohols underwent smooth [3,3]-rearrangements as their silyl ketene acetals. The enol acetal function in the crude products was unmasked under mild conditions to afford highly functionalised products conatining a CF₂ group, and in one case, a butenolide.

$$F \xrightarrow{OMEM} R^1 \longrightarrow MeO_2C \xrightarrow{F} F$$

$$R^2O \xrightarrow{O} R^1 \longrightarrow R^2O \xrightarrow{R^2O} F$$

RADICAL CYCLISATION OF AMINO ALDEHYDES LEADING TO HYDROXY PYRROLIDINES AND PIPERIDINES

Tetrahedron Letters, 1997, 38, 5907

Andrew F. Parsons* and Robert M. Pettifer, Department of Chemistry, University of York, Heslington, York, YO1 5DD, UK

The Bu₃SnH mediated cyclisation of amino aldehydes bearing an electron rich or poor double bond gives rise to disubstituted pyrrolidines and piperidines.