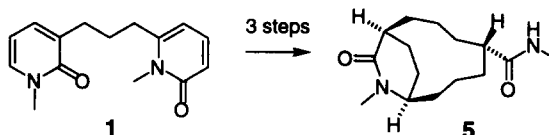


GRAPHICAL ABSTRACTS

BEYOND THE MEDIUM RING: A [4 + 4] CYCLOADDITION/FRAGMENTATION SYNTHESIS OF ELEVEN-MEMBERED RINGS

Scott McN. Sieburth,* Taleb H. Al-Tel, and David Rucando, Department of Chemistry,
State University of New York at Stony Brook, Stony Brook, New York 11794-3400

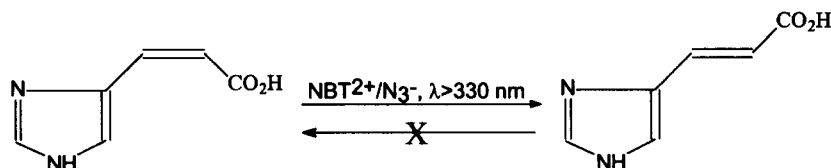
Intramolecular photo-[4 + 4] cycloaddition of bis-2-pyridone **1** yields a fused 5-8 ring system. Hydrogenation and lithium/ammonia reduction, leads to the bridged 11-membered ring **5**.



Tetrahedron Letters, 1997, 38, 8433

Evidence for Azidyl Radical Initiated Olefin Isomerization. One-way Isomerization of (Z)-Urocanic Acid

Arrah Kpissay, C. Nicole Kuhl,
Taj Mohammad, Ken Haber and Harry Morrison*
Department of Chemistry, Purdue University West Lafayette, IN 47907-1393

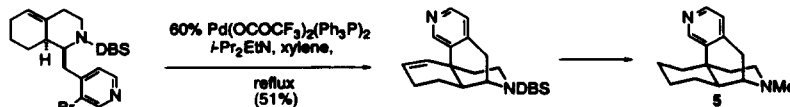


Tetrahedron Letters, 1997, 38, 8435

Pyridinomorphinans: Asymmetric Synthesis of Either Enantiomer and Opioid Receptor Binding Selectivity.

Chang Y. Hong, Larry E. Overman* and Alex Romero, Department of Chemistry, 516 Physical Sciences 1, University of California,
Irvine, CA 92697-2025, USA

Either enantiomer of a new class of morphinans in which the aryl ring is replaced by a pyridine ring can be prepared by sequential iminium ion-allylsilane cyclization and intramolecular Heck insertion. Pyridinomorphinan **5** exhibits high affinity for the μ opioid receptor.

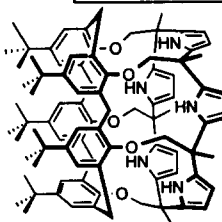


Tetrahedron Letters, 1997, 38, 8439

FIRST SYNTHESIS OF AN EXPANDED CALIXPYRROLE

Philip A. Gale, John W. Genge, Vladimír Král, M. Anthony McKervey,*
Jonathan L. Sessler,* and Andrew Walker.
Department of Chemistry and Biochemistry,
University of Texas at Austin, Austin, Texas 78712-1167, USA.

The first example of a calix[5]pyrrole has been synthesized by the use
of a calix[5]arene template.

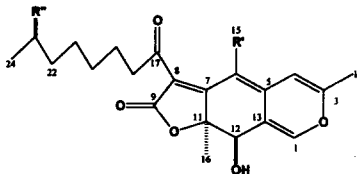


Tetrahedron Letters, 1997, 38, 8443

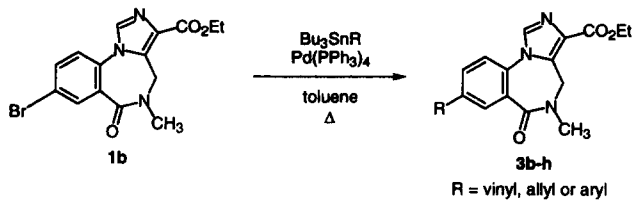
Solid Phase Synthesis of Quinazolinones.John P. Mayer*, George S. Lewis, Michael J. Curtis and Jingwen Zhang.
Amgen Inc., 3200 Walnut St., Boulder, CO, 80301.**PITHOLIDES A-D, POLYKETIDES FROM A MARINE TUNICATE-DERIVED CULTURE OF PITHOMYCES SP.** Gui-Yang-Sheng Wang,

Bethel M. Borgeson and Phillip Crews*, Department of Chemistry and Biochemistry, University of California, Santa Cruz, CA 95064

Four new fungi pigments, pitholides A-D (2-5) were isolated from the salt water culture of the fungus *Pithomyces* sp. separated from the Indo-Pacific tunicate *Oxycorynia fascicularis*. Their structures include the core of Austdiol (6), an *Aspergillus* metabolite.

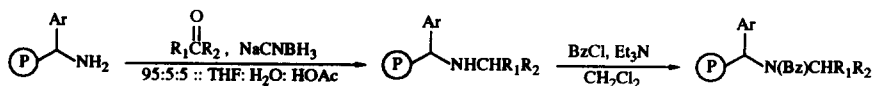


- 2 R' = CHO, R'' = OH
- 3 R' = CHO, R'' = H
- 4 R' = H, R'' = OH
- 5 R' = H, R'' = H

The Synthesis of GABA_A Active Ligands by the Stille ProcessTong Gan, Scott G. Van Ornum and James M. Cook*
Department of Chemistry, University of Wisconsin-Milwaukee, Milwaukee, WI 53201**ALKYLATION OF RINK'S AMIDE LINKER ON POLYSTYRENE RESIN: A REDUCTIVE AMINATION APPROACH TO MODIFIED AMINE-LINKERS FOR THE SOLID PHASE SYNTHESIS OF N-SUBSTITUTED AMIDE DERIVATIVES.**

Edward G. Brown and John M. Nuss, Drug Discovery Research, Chiron Corporation, 4560 Horton Street, Emeryville, CA 94608.

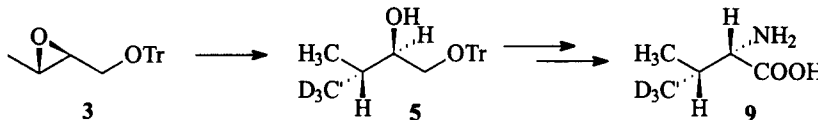
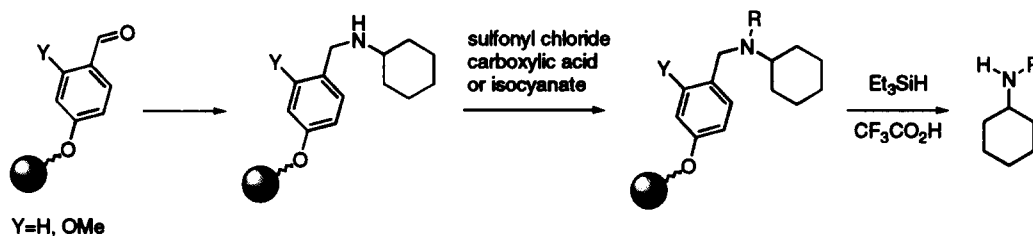
Selective mono-alkylation of Rink's Linker is obtained using carbonyl compounds and NaCNBH₃ in aqueous, acidified THF.



THE PREPARATION OF L-(2S, 3S)-4,4,4-[²H₃] VALINE.*Tetrahedron Letters, 1997, 38, 8461*

James C. Shattuck, Department of Chemistry, University of Hartford, 200 Bloomfield Ave., W. Hartford, CT 06117 USA,
Jerrold Meinwald*, Department of Chemistry, Baker Laboratory, Cornell University, Ithaca, NY 14853 USA

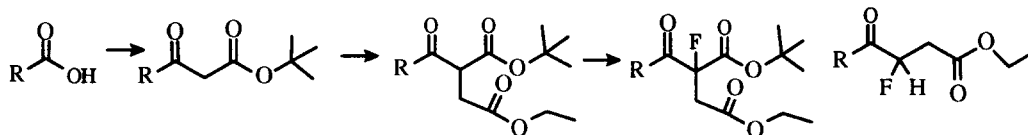
L-(2S, 3S)-4,4,4-[²H₃] Valine has been synthesized using a short, versatile, enzyme-free procedure. The isotopic label is introduced with an organocuprate opening of a chiral epoxide. The stereochemistry of the starting epoxide can be manipulated using the Sharpless asymmetric epoxidation.

**SECONDARY AMIDE-BASED LINKERS FOR SOLID PHASE ORGANIC SYNTHESIS.** Eric E. Swayze, Isis Pharmaceuticals, 2292 Faraday Av., Carlsbad, CA 92008*Tetrahedron Letters, 1997, 38, 8465***A SHORT, EFFICIENT SYNTHESIS OF MONOFLUORO KETOMETHYLENE PEPTIDE ISOSTERE CORE UNITS***Tetrahedron Letters, 1997, 38, 8469*

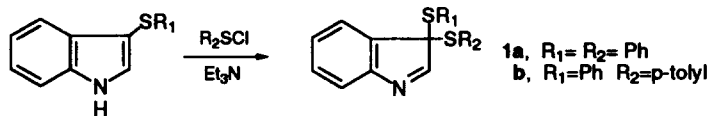
Robert V. Hoffman* and James E. Saenz

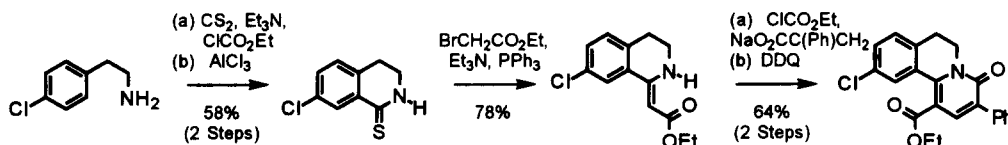
Department of Chemistry and Biochemistry, New Mexico State University, Las Cruces, NM 88003-0001

An efficient, four step synthesis of monofluoro ketomethylene peptide isostere core units is described.

**Isolation of 3H-Indole-3,3 Bis-Sulfides as Intermediates in the Second Sulfenylation of Indole.** Pierre Hamel, Merck Frosst Centre for Therapeutic Research, P.O. Box 1005, Pointe-Claire - Dorval, Quebec H9R 4P8*Tetrahedron Letters, 1997, 38, 8473*

3H-Indole-3,3 bis sulfides have been isolated as probable intermediates in the second sulfenylation of indole with sulfonyl halides, which leads to indole 2,3-bis sulfides as end products.



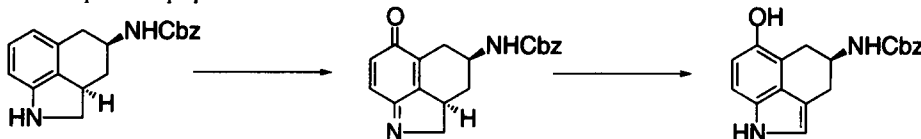
AZA-ANNULATION AS A VERSATILE APPROACH TO THE SYNTHESIS OF NON-BENZODIAZEPENE COMPOUNDS FOR THE TREATMENT OF SLEEP DISORDERS
Petr Benovsky[†] and John R. Stille^{§*}[†]Department of Chemistry, Michigan State University, East Lansing, MI 48824-1322[§]Chemical Process Research and Development, Lilly Research Laboratories, Indianapolis, IN 46285-4813
TANDEM CARBOLITHIATION/CYCLIZATION OF 2-(3-PHENYL-2-PROPEN-1-YL) OXAZOLINES. A NOVEL ROUTE TO CYCLOBUTANE DERIVATIVES

Ralph P. Robinson*, Brian J. Cronin and Brian P. Jones, Central Research Division, Pfizer Inc, Groton, CT, U.S.A. 06340

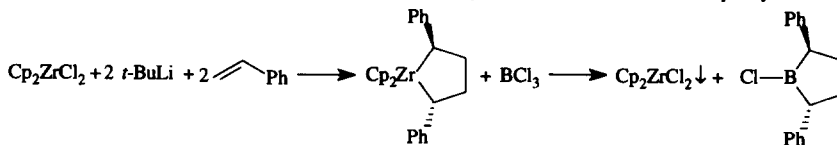
Reaction of 2-(3-phenyl-2-propen-1-yl) oxazolines (e.g., 1) with organolithium reagents leads to cyclobutane ring formation by a novel tandem carbolithiation/cyclization sequence.


OXIDATION OF INDOLINES WITH FREMY'S SALT:
A MECHANISTIC PROPOSAL. Bruno Giethlen and John M. Schaus*, Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285 USA

An unstable iminoquinone intermediate has been isolated from the Fremy's salt oxidation of an indoline to a 5-hydroxyindole. A mechanism for this process is proposed.


TRANSMETALATION OF ORGANIC GROUPS FROM ZIRCONA-CYCLES TO HALOBORANES: A NEW ROUTE TO BOROLANE COMPOUNDS. Thomas E. Cole* and Tomás González, Department of Chemistry, San Diego State University, San Diego, CA 92182-1030 USA

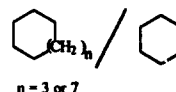
The transmetalation of *trans*-2,5-diphenylzirconacyclopentane to BCl₃ gives the 1-chloro-*trans*-2,5-diphenylborolane.



ON THE DISTINCTION BETWEEN RADICAL CHEMISTRY AND GIF CHEMISTRY.

D.H.R. Barton*, F. Launay, V.N. Le Gloahec, T. Li and F. Smith
 Department of Chemistry, Texas A&M University, College Station, TX 77843-3255, USA.

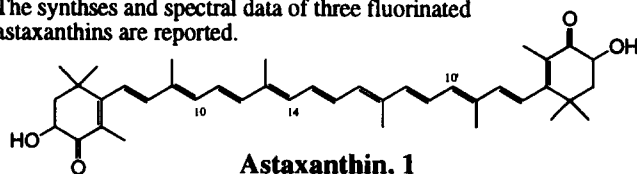
By simple competitive experiments between saturated hydrocarbons,
 a distinction between radical and Gif chemistry can be made.



Fluorinated Astaxanthins.

Jin Liu, L. U. Colmenares and R. S. H. Liu
 Department of Chemistry, University of Hawaii, Honolulu, HI, 96822 USA

The syntheses and spectral data of three fluorinated
 astaxanthins are reported.



Tetrahedron Letters, 1997, 38, 8495

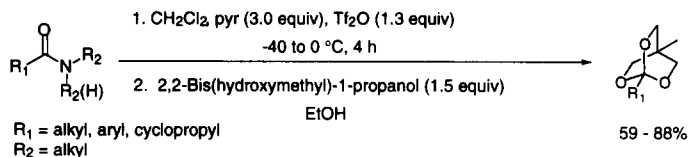
2: 10,10'-difluoro

3: 10-fluoro-

4: 14-fluoro-

**A NEW METHOD FOR THE CONVERSION OF SECONDARY
 AND TERTIARY AMIDES TO BRIDGED ORTHOESTERS**

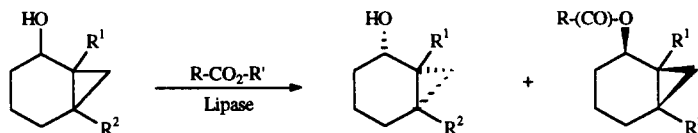
André B. Charette,* Peter Chua. Département de Chimie, Université de Montréal, Montréal,
 Québec, Canada, H3C 3J7.



Tetrahedron Letters, 1997, 38, 8499

Enzymatic resolution of endo-bicyclo[4.1.0]heptan-2-ols

Jean-Pierre Barnier, Vincent Rayssac, Véronique Morisson, Luis Blanco
 Laboratoire des Carbocycles (Associé au C.N.R.S.), Institut de Chimie Moléculaire d'Orsay, Bât. 420, Université de Paris-Sud,
 91405 Orsay (France)

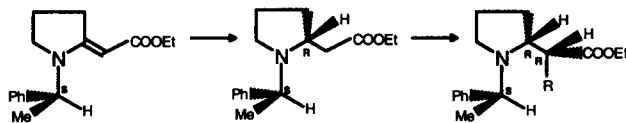


Tetrahedron Letters, 1997, 38, 8503

**CHIRAL CYCLIC β -AMINO ESTERS. PART I :
SYNTHESIS BY DIASTEREOSPECIFIC ALKYLATION.**

A. Bardou, J. P. C  lerier, and G. Lhomme^{*}

Universit   Pierre et Marie Curie. Laboratoire de Chimie des H  t  rocycles. associ   au CNRS. 4, Place Jussieu, 75252 Paris cedex 05, France



Chiral cyclic β -amino esters can be enantiospecifically prepared by a kinetically controlled alkylation α to the ester function of β -amino esters.

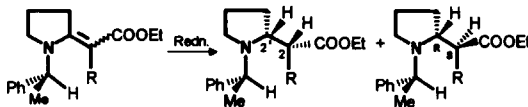
**CHIRAL CYCLIC β -AMINO ESTERS. PART II: SYNTHESIS BY
DIASTEREOSELECTIVE REDUCTION OF ENAMINO ESTERS.**

J. Blot^a, A. Bardou^a, C. Bellec^a, M.C. Fargeau-Bellassoued^a, J.P. C  lerier^a, G. Lhomme^a, D. Gardette^b J. C. Gramain^b.

^aUniversit   P. et M. Curie. Laboratoire de Chimie des H  t  rocycles, 4 Place Jussieu, 75252 Paris cedex 05, France.

^bUniversit   B. Pascal. Laboratoire de Chimie des Substances Naturelles 63177 Aubi  re cedex, France.

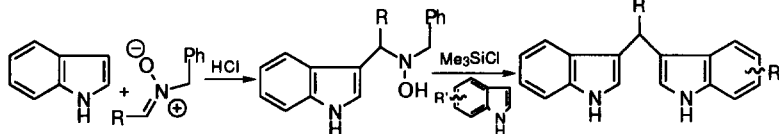
Cyclic β -aminoesters are obtained by reduction of corresponding tetrasubstituted β -enaminoesters in good to moderate diastereoisomer excesses.



**THE REACTION OF NITRONES WITH INDOLES.
SYNTHESIS OF ASYMMETRICAL DIINDOLYLALCANES**

Jean-No  l Denis, H  l  ne Mauger and Yannick Vall  e^{*}. L.E.D.S.S., Universit   Joseph Fourier, 38041 Grenoble, France.

The reaction of indoles with nitrones has been applied to the synthesis of various *N*-hydroxylamines, symmetrical and asymmetrical diindolylalcanes and three natural bis-indoles.

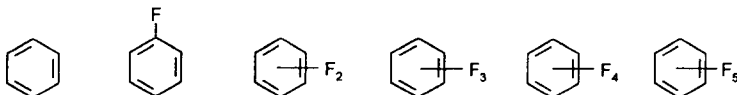


**ADDITIVITY OF SUBSTITUENT EFFECTS IN THE FLUOROARENE
SERIES : EQUILIBRIUM ACIDITY IN THE GAS PHASE AND
DEPROTONATION RATES IN ETHEREAL SOLUTION**

H. B  ker, N.M.M. Nibbering, D. Espinosa, F. Mongin, M. Schlosser ^{*}

Universities of Amsterdam (NL) and Lausanne (CH)

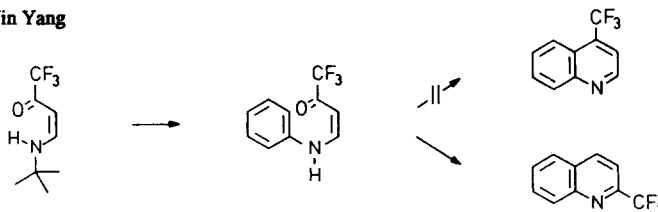
Gas Phase Acidity and Proton Mobility in Solution :



HOW 2-ANILINOVINYL PERFLUOROALKYL KETONES CAN BE MECHANISTICALLY CORRELATED WITH THEIR CYCLIZATION PRODUCTS 2-(PERFLUOROALKYL)QUINOLINES

Tetrahedron Letters, 1997, 38, 8523

M. Schlosser *, Holger Keller, Shin-ichi Sumida and Jin Yang
Institut de Chimie organique, Université de Lausanne

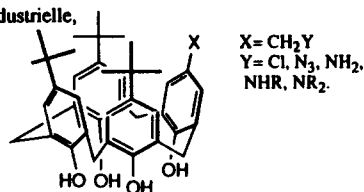


MONO-FUNCTIONALIZATION OF THE TRIS-(*p*-*tert*-BUTYL) CALIX[4]ARENE.

Tetrahedron Letters, 1997, 38, 8527

S. Berthalon, J.-B. Regnouf-de-Vains*, R. Lamartine; Laboratoire de Chimie Industrielle, CNRS-ESA 5078, 69622, Villeurbanne (France).

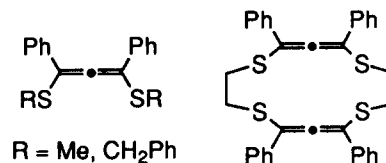
Various functional groups have been introduced at the upper rim of the tris-(*p*-*tert*-Butyl)calix[4]arene.



PREPARATION AND THERMAL REACTION OF 1,3-BIS(ALKYLTHIO)ALLENES. Toshio Shimizu, Kensuke Sakamaki and Nobumasa Kamigata,* Department of Chemistry, Graduate School of Science, Tokyo Metropolitan University, Minami-ohsawa, Hachioji, Tokyo 192-03, Japan

Tetrahedron Letters, 1997, 38, 8529

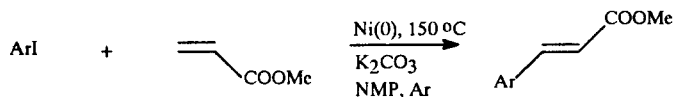
Thermal reactions of 1,3-bis(benzylthio)allene and tetrathiacyclic bisallene, prepared by reactions of Ph₂C₃ dianion with benzylthiocyanate and ethane 1,2-dithiocyanate, give 2,3,5-triphenylthiophene and 1,2-bismethylidene cyclobutane derivative, respectively.



The Ni(0) Catalyzed Reaction of Aryl and Vinyl Halides with Alkenes and Alkynes. Suresh Iyer,* Chinnasamy Ramesh, A. Ramani, Organic Chemistry Synthesis Division, National Chemical Laboratory, Pune 411 008 INDIA

Tetrahedron Letters, 1997, 38, 8533

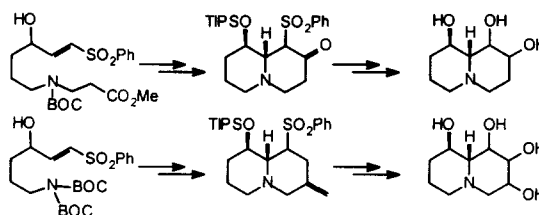
Ni[P(OPh)₃]₄ and Ni[P(OEt)₃]₄ catalyzed the vinylation of aryl and vinyl iodides to form *trans* cinnamate, stilbenes and 1,3-dienes



A Stereoselective Approach to Polyhydroxylated Quinolizidine Alkaloids

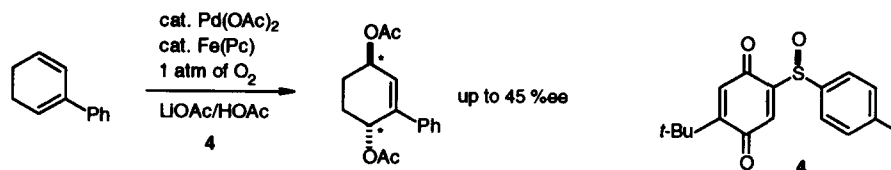
Juan C. Carretero*, Ramón Gómez Arrayás and Isabel Storch de Gracia

Departamento de Química Orgánica
Facultad de Ciencias
Universidad Autónoma de Madrid
28049 Madrid, Spain



Asymmetric Palladium(II) Catalyzed 1,4-Oxidation of 2-Phenyl-1,3-Cyclohexadiene.

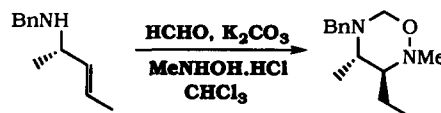
Atli Thorarensen, Andreas Palmgren, Kenichiro Itami and Jan-E. Bäckvall*
Department of Organic Chemistry, University of Uppsala, Box 531, S-751 21 Uppsala, Sweden



Factors Influencing the Reverse-Cope Approach to 1,2,5-Oxadiazinanes from Allylamines and Nitrones : Optimization of a New Vicinal Diamine Synthesis

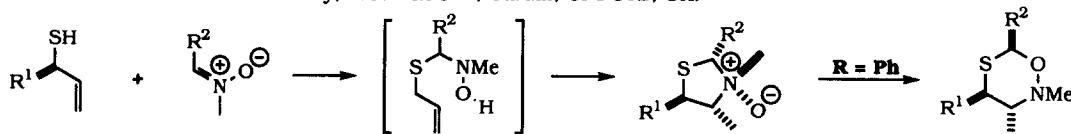
K.E. Bell, M.P. Coogan, M.B. Gravestock, D.W. Knight and S.R. Thornton, Chemistry Departments, Nottingham University, Nottingham, NG7 2RD, UK and Cardiff University, P.O. Box 912, Cardiff, CF1 3TB, UK.

Nitrones derived *in situ* from formaldehyde and a hydroxylamine and especially suited to the reverse-Cope-Weissenheimer sequence leading to 1,2,5-oxadiazinanes and thence to vicinal diamines.



Reverse-Cope Cyclisations of Thiahydroxylamines Derived from the Addition of Allylic Thiols to Nitrones : Synthesis of 1,3-Thiazolidine-N-oxides and 1,5,2-Oxathiazinanes

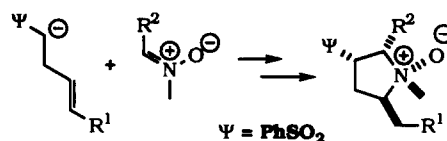
M.P. Coogan, M.B. Gravestock, D.W. Knight and S.R. Thornton, Chemistry Departments, Nottingham University, Nottingham, NG7 2RD UK and Cardiff University, P.O. Box 912, Cardiff, CF1 3TB, UK.



A New, Stereoselective Approach to Pyrrolidine-*N*-oxides by Sequential Condensation of Sulfones with Nitrones and Reverse-Cope Elimination

A.R. Wheildon, D. W. Knight and M.P. Leese, Chemistry Departments, Nottingham University Park, Nottingham, NG7 2RD UK and Cardiff University, P.O. Box 912, Cardiff, CF1 3TB, UK.

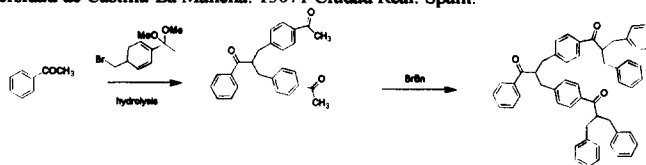
Unsaturated lithio-sulfones condense with aldehydonitrones to give hydroxylamines which cyclise *via* a reverse-Cope mechanism to give pyrrolidine-*N*-oxides.



Tetrahedron Letters, 1997, 38, 8553

ACETYL SUBSTITUTED BENZENES. USEFUL CORES FOR THE SYNTHESIS OF DENDRIMERIC POLYKETONES.

E. Díez-Barra, R. González, A. de la Hoz, A. Rodríguez, P. Sánchez-Verdú Facultad de Química. Universidad de Castilla-La Mancha. 13071 Ciudad Real. Spain.

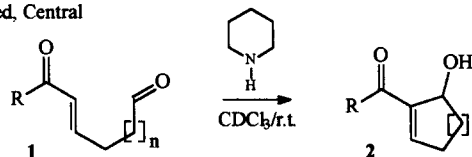


Tetrahedron Letters, 1997, 38, 8557

AN INTRAMOLECULAR BAYLIS-HILLMAN REACTION CATALYSED BY SECONDARY AMINES

Gregory P. Black, Francesca Dinon, Silvia Fratucello, Patrick J. Murphy, * Michael Nielsen, Harri Lloyd Williams, Department of Chemistry, University of Wales, Bangor, Gwynedd, UK, LL57 2UW. Nigel D. A. Walshe, Pfizer Limited, Central Research, Sandwich, Kent, CT13 9NJ.

Treatment of enonealdehydes **4** (R = nonyl, phenyl, n = 1,2) with piperidine lead to the formation of the cyclised products **5**.

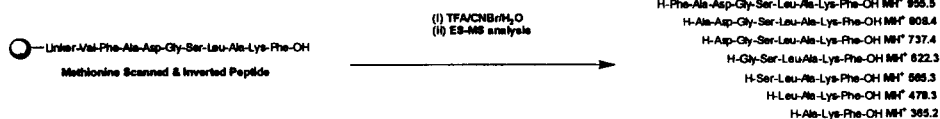


Tetrahedron Letters, 1997, 38, 8561

INVERTED PEPTIDES - SINGLE BEAD ANALYSIS BY METHIONINE SCANNING AND MASS SPECTROMETRY. Michael Davies and Mark Bradley

Department of Chemistry, University of Southampton, Highfield, Southampton, SO17 1BJ, UK.

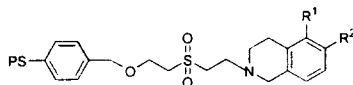
Co-incorporation of methionine into peptides with each residue forms the basis for a sequencing method suitable for single bead decoding.



Tetrahedron Letters, 1997, 38, 8565

Tetrahedron Letters, 1997, 38, 8569

A NOVEL SOLID SUPPORT FOR DERIVATIZATION AND SUBSEQUENT N-ALKYLATION OF SECONDARY AMINES: PREPARATION OF N-ALKYLATED 5- AND 6-ALKOXY-1,2,3,4-TETRAHYDROISOQUINOLINES VIA MITSUNOBU REACTION. Petri Heinonen^a and Harri Lönnberg, Department of Chemistry, University of Turku, FIN-20014 Turku, Finland

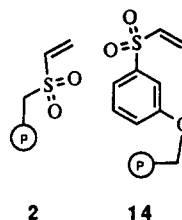


RESIN-IMMOBILISED BENZYL AND ARYL VINYL SULFONES: NEW VERSATILE TRACELESS LINKERS FOR SOLID-PHASE ORGANIC SYNTHESIS.

Friedrich E. K. Kroll[§], Richard Morphy[†], David Rees[‡] and David Gani^{§*}
[§]*School of Chemistry and Centre for Biomolecular Sciences, The Purdie Building, The University, St. Andrews, Fife, KY16 9ST, UK.* [†]*Department of Medicinal Chemistry, Organon Laboratories, Newhouse, Lanarkshire, ML1 5SH, UK.*

The synthesis of benzyl vinyl sulfone **2** and phenyl vinyl sulfone **14** is described.

Tetrahedron Letters, 1997, 38, 8573



PERMUTATIONAL ORGANIC SYNTHESIS IN ADDRESSABLE MICROREACTORS (POSAM™): AN EFFICIENT, INEXPENSIVE AND VERSATILE SOLID-PHASE PROTOCOL FOR THE PREPARATION OF LIBRARIES OF COMPOUNDS ON THE 0.01 TO 1.0 MILLIMOLE (OR LARGER) SCALE.

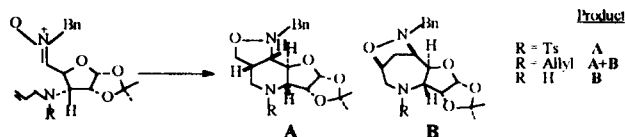
David Gani,^{*} Mahmoud Akhtar, Friedrich E. K. Kroll, Colin F. M. Smith and Duane Stones.
School of Chemistry and Centre for Biomolecular Sciences, The Purdie Building, The University, St. Andrews, Fife, KY16 9ST, U.K.

Apparatus for the solid-phase synthesis of libraries of 10 milligramme quantities of compounds consisting of machined frit glass microreactors and precision engineered jacketed microreactor vessel tubes, is described.

Tetrahedron Letters, 1997, 38, 8577

EXPEDITIOUS SYNTHESIS OF CHIRAL SIX AND SEVEN MEMBERED NITROGEN HETEROCYCLES FROM CARBOHYDRATE AMINES BY N-ALLYL CARBOHYDRATE NITRONE CYCLOADDITION: TUNING OF REGIOSELECTIVITY BY N-SUBSTITUTION

Swapan Majumdar^a, Anup Bhattacharjya^{a*} and Amarendra Patra^b. ^a*Indian Institute of Chemical Biology, 4 Raja S C Mullick Road, Calcutta 700 032, INDIA.* ^b*Department of Chemistry, CAS, University College of Science, Calcutta 700 009, INDIA.*

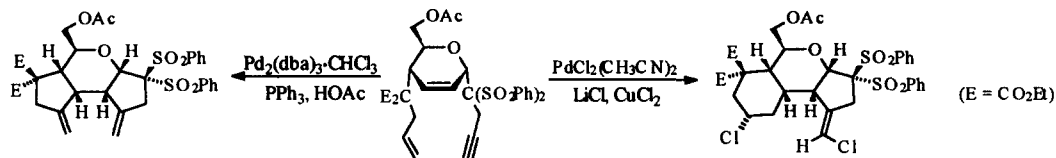


Tetrahedron Letters, 1997, 38, 8581

Tetrahedron Letters, 1997, 38, 8585

PALLADIUM-CATALYSED CASCADE REACTIONS OF UNSATURATED CARBOHYDRATE DERIVATIVES. SYNTHESIS OF ENANTIOPURE TRICYCLIC COMPOUNDS.

Cedric W. Holzapfel* and Lizel Marais, Department of Chemistry and Biochemistry, Rand Afrikaans University, PO Box 524, Auckland Park, 2006, South Africa



Tetrahedron Letters, 1997, 38, 8587

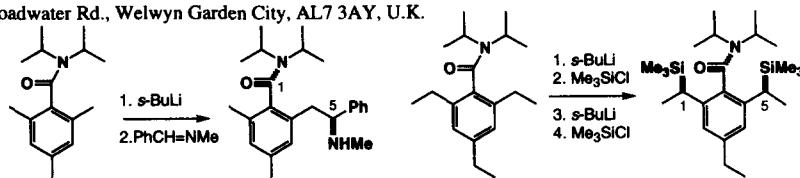
REMOTE STEREOCONTROL USING ROTATIONALLY RESTRICTED AMIDES: (1,5)-ASYMMETRIC INDUCTION

Jonathan Clayden,* Megan Darbyshire, Jennifer H. Pink, Neil Westlund and Francis X. Wilson^a

Department of Chemistry, University of Manchester, Oxford Rd., Manchester, M13 9PL, U.K. and

^aRoche Products Ltd., 40 Broadwater Rd., Welwyn Garden City, AL7 3AY, U.K.

Electrophilic quench of lithiated atropisomeric 2-alkyl or 2-keto benzamides or naphthamides can lead to complete (1,5)-asymmetric induction

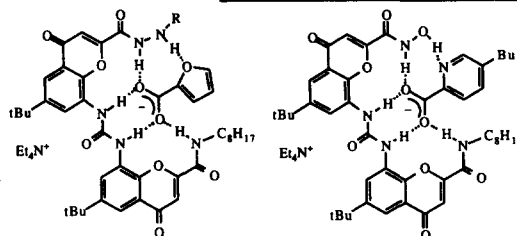


Tetrahedron Letters, 1997, 38, 8591

RECEPTORS FOR CARBOXYLATES DERIVED FROM 2-FUROIC AND FUSARIC ACIDS

M^a Fe de la Torre, Silvia González, Eduardo G. Campos, M^a Luisa Mussons, Joaquín R. Morán and M^a Cruz Caballero
Departamento de Química Orgánica, Universidad de Salamanca, 37008 Salamanca, Spain

New hydrogen bonding receptors have been prepared for complexing carboxylates derived from 2-furoic and fusaric acids.



Tetrahedron Letters, 1997, 38, 8595

A NEW CLASS OF ASYMMETRIC PHASE-TRANSFER CATALYSTS DERIVED FROM CINCHONA ALKALOIDS - APPLICATION TO THE ENANTIOSELECTIVE SYNTHESIS OF α -AMINO ACIDS.

Barry Lygo* and Phillip G. Wainwright,
Department of Chemistry, University of Salford, Salford, M5 4WT, UK.

New asymmetric phase-transfer catalysts have been developed allowing the alkylation of glycine imines with high enantioselectivity (67-94% e.e.).

