GRAPHICAL ABSTRACTS

Tetrahedron Letters, **1994**, *35*, 1961

Synthesis of Four Possible Stereoisomeric 5'-Nor Carbocyclic Nucleosides from One Common Enantiomerically Pure Starting Material

N. Dyatkina[a], B. Costisella[b], F. Theil*[b], M. von Janta-Lipinski[c]

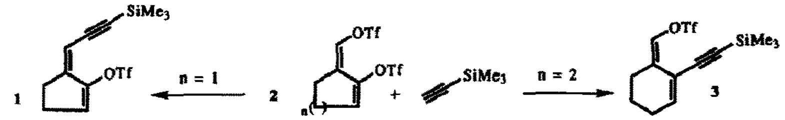
[a] Engelhardt Institute of Molecular Biology, 117984 Moscow, Russia; [b] Centre of Selective Organic Synthesis, , D-12484 Berlin, Germany; [c] Max-Delbrück-Centre of Molecular Medicine, D-13125 Berlin, Germany

Tetrahedron Letters, **1994**, *35*, 1965

STUDY OF THE REGIOSELECTIVITY OF PALLADIUM-CATALYZED MONOCOUPLINGS BETWEEN CONJUGATED BIS(ENOLTRIFLATES) AND TRIMETHYLSILYLACETYLENE

Marc Moniatte§), Matthias Eckhardt#), Kay Brickmann#), Reinhard Brückner#), and Jean Suffert*§)*

§) Laboratoire de Pharmacochimie Moléculaire, Centre de Neurochimie du CNRS, 5 rue Blaise Pascal, F-67084 Strasbourg Cedex, France
#) Institut für Organische Chemie der Georg-August-Universität, Tammannstr. 2, D-37077 Göttingen, Germany



The *Z*-configurated bis(enoltriflates) **2** (n = 1, 2) were treated at room temperature with TMS acetylene (1.25 equiv.) in the presence of CuI (0.17 equiv.) and various palladium catalysts (0.07 equiv.), solvents, and amines to afford monocoupling products. Surprisingly, opposite regioselectivities were observed starting from the five-membered (→**1**) vs. the six-membered (→**3**) bis(enoltriflates).

Tetrahedron Letters, **1994**, *35*, 1969

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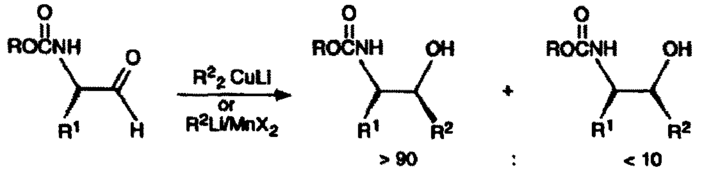
A SIMPLE METHOD FOR CHELATION CONTROLLED ADDITION TO α-AMINO ALDEHYDES

Manfred T. Reetz*, Karin Rölfing and Nils Griebenow
Max-Planck-Institut für Kohlenforschung, Kaiser-Wilhelm-Platz 1, 45470 Mülheim/Ruhr, Germany

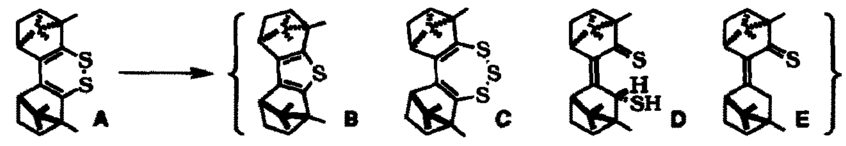


Tetrahedron Letters, **1994**, *35*, 1973

(1*R*,1'*R*)-DI-BORN-2-ENO[2,3-*c*:3',2'-*e*][1,2]DITHIINE, A CYCLIC DISULFIDE WITH UNUSUAL PROPERTIES

Werner Schroth*, Ekkehard Hintzsche, Roland Spitzner, Hermann Irngartinger, Volker Siemund,
Institut für Organische Chemie der Martin-Luther-Universität Halle-Wittenberg, D-06099 Halle/Saale,
Organisch-Chemisches Institut der Universität Heidelberg, Im Neuenheimer Feld 270, D-69120 Heidelberg

The deeply coloured title compound {A} does not tend to sulfur extrusion {→ B, as customary in the 1,2-dithiine series}, but to sulfur insertion {→ C}, and undergoes nucleophilic ring opening followed by 1,5-H shifts {→ D} and dethiolation {→ E}.

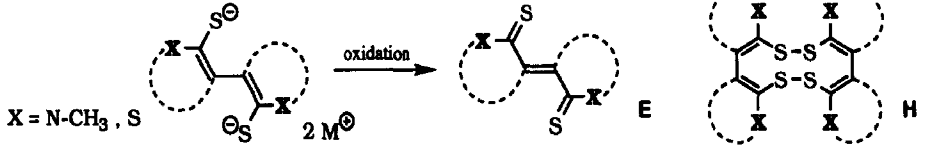


Tetrahedron Letters, **1994**, *35*, 1977

THIOXO-ANALOGUES OF *ISO*INDIGOIDE COMPOUNDS ?

Werner Schroth*, Michael Felicetti, Ekkehard Hintzsche, Roland Spitzner and Maren Pink,
Institut für Organische Chemie der Martin-Luther-Universität Halle-Wittenberg, D-06099 Halle/Saale,
Institut für Anorganische Chemie der Universität Leipzig, Talstraße 35, D-04103 Leipzig

Oxidation of leuko-*iso*indigoide precursors affords, depending on π-electronic and steric features, mainly the 12-membered cyclic bis-disulfides **H** and less the thioxo analogues of *iso*indigoide compounds **E**.

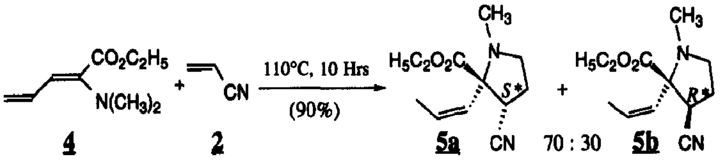


Tetrahedron Letters, **1994**, *35*, 1981

UNEXPECTED [3 + 2] CYCLOADDITION BETWEEN ETHYL α-(*N,N*-DIMETHYLAMINO)PENTADIENOATE AND ACRYLONITRILE

Mireille BOURHIS and Joseph VERCAUTEREN*
Laboratoire de Pharmacognosie, GESNIT, Faculté de Pharmacie, Université de BORDEAUX II, 3 ter, Place de la Victoire 33076 BORDEAUX FRANCE

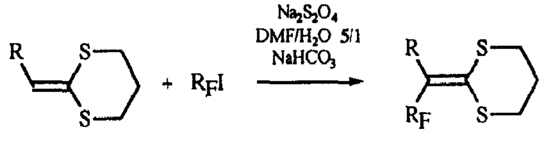
The reaction of ethyl 2-(*N,N*-dimethylamino)penta-2,4-dienoate **4** with acrylonitrile **2** gave the methyl *N*-methyl-2-[(*Z*)-2-propenyl)]-3-cyano-pyrrolidine-2-carboxylate **5a,b** as a [3 + 2] atoms "cycloadducts".



Tetrahedron Letters, **1994**, *35*, 1985

Fluorinated Ketene Dithioacetals. 3: A radical Entry to α-Perfluoroalkyl Ketene Dithioacetals. Application to Sugar Derivatives

*Sabine Bergeron, Thierry Brigaud, Gaëlle Foulard, Richard Plantier-Royon, Charles Portella**
Laboratoire des Réarrangements Thermiques et Photochimiques, Associé au CNRS, U.F.R. Sciences, B.P. 347, 51062 REIMS Cédex, France

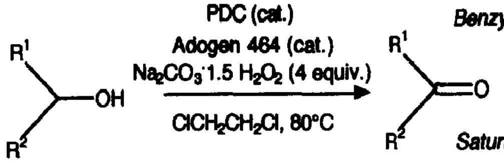


$R_F = C_nF_{2n+1}$
R = Pr, Ph, sugar residue

Tetrahedron Letters, **1994**, *35*, 1989

EFFECTIVE CHROMIUM-MEDIATED OXIDATION OF ALLYLIC AND BENZYLIC ALCOHOLS BY SODIUM PERCARBONATE

J. Muzart, A. N'Ait Ajjou, S. Ait-Mohand, U.A. CNRS n° 459, Université de Reims Champagne-Ardenne, France.



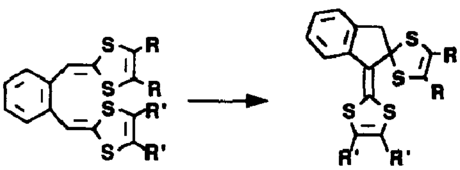
Benzylic and allylic alcohols: high conversions and selectivities even from primary alcohols

Saturated alcohols: low conversions

Tetrahedron Letters, **1994**, *35*, 1991

ELECTROCHEMICALLY INDUCED INTRAMOLECULAR CYCLIZATION OF 1,2-BIS(1,4-DITHIAFULVEN-6-YL)BENZENES

Pierre Frère, Alain Gorgues, Michel Jubault,* Amédée Riou, Yvon Gouriou and Jean Roncali
Laboratoire de Chimie Organique Fondamentale et Appliquée, Université d'Angers, 2 Bd Lavoisier, F- 49045 Angers



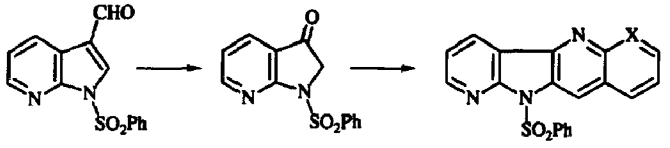
Electrooxidation of the title compounds induces their intramolecular cyclization by an ECC mechanism involving a proton-catalyzed determining step.

Tetrahedron Letters, **1994**, *35*, 1995

SYNTHESIS AND REACTIVITY OF 1-SUBSTITUTED-3*H*-PYRROLO[2,3-*b*] PYRIDIN-3-ONE.

E. Desarbre, J.Y. Mérour.
L. C. B. A., associé au C.N.R.S, Université d' Orléans, BP 6759, 45067 Orléans Cedex, France

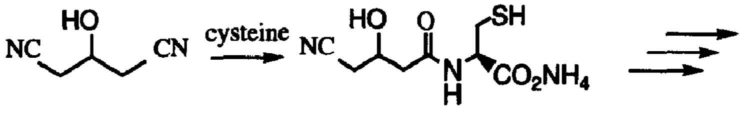
Oxidation of 3-formyl-7-azaindoles led to 7-azaindolinones which were synthons for synthesis of tetracyclic compounds

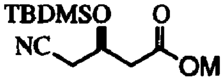


Tetrahedron Letters, **1994**, *35*, 1999

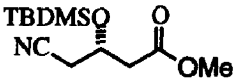
A Convenient Preparation of Optically Pure 3-Hydroxyglutaric Acid Derivatives

R. Leclerc, and D. Uguen*
Laboratoire de Synthèse Organique
Ecole Européenne des Hautes Etudes des Industries Chimiques
1 rue Blaise Pascal, 67008 Strasbourg (France)





$[\alpha]_D$ -21 c=1.8, CH_2Cl_2



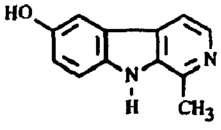
$[\alpha]_D$ +19.5 c=1.8, CH_2Cl_2

Tetrahedron Letters, **1994**, *35*, 2003

A CONVENIENT SYNTHESIS OF 6-HYDROXYHARMAN.

Patrick Rocca, Francis Marsais, Alain Godard and Guy Quéguiner*.
URA CNRS 1429, INSA de Rouen, BP 08, 76131 Mt-St-Aignan Cédex, FRANCE.

A three-step synthesis of 6-hydroxyharman and 6-hydroxynorharman from benzene and pyridine blocks is described. The preparation of the two α-substituted β-carbolines is based on a new synthetic methodology which involves reactions such as Directed Ortho Metalation and Heteroring Cross-Coupling.

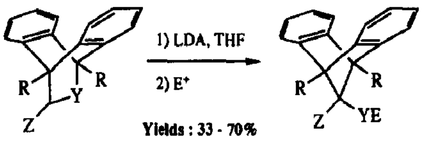


Tetrahedron Letters, **1994**, *35*, **2005**

SYNTHESIS OF THIO- AND SELENO-SUBSTITUTED METHANOANTHRACENES BY WITTIG REARRANGEMENT

Virginie Duchenet, Nadia Pelloux and Yannick Vallée*. Laboratoire de Chimie des Composés Thioorganiques, ISMRA, 14050 Caen, France. L.E.D.S.S., Université Joseph Fourier, 38041 Grenoble, France.

A convenient synthesis of 9, 10-dihydro-9, 10-methanoanthracenes from 11-thia-(and 11-selena)-9, 10-dihydro-9, 10-ethanoanthracene derivatives is reported.



R = Me or H
Y = S or Se
Z = CN or CO_2Et

Tetrahedron Letters, **1994**, *35*, **2009**

A NOVEL SYNTHESIS OF HOMOLOGATED ALLYLIC ALCOHOLS USING DIMETHYLSULPHONIUM METYLIDE

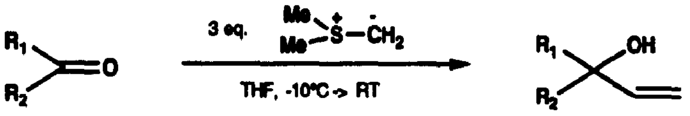
J. J. Harnett [(a)], **L. Alcaraz** [(a)], **C. Mioskowski** [(a,b)], **J. P. Martel** [(b)], **T. Le Gall** [(b)], **Dong-Soo Shin** [(c)], **J. R. Falck** [(c)]

[(a)] Université Louis Pasteur, Laboratoire de Chimie Bio-organique associé au CNRS
Faculté de Pharmacie 74, route du Rhin, BP 24, F-67401 Illkirch

[(b)] CEA, CE-Saclay, Service des Molécules Marquées, Bât. 547
Département de Biologie Cellulaire et Moléculaire, F-91191 Gif-sur-Yvette cedex

[(c)] Department of Molecular Genetics and Pharmacology
University of Texas, Southwestern Medical Center, Dallas, Texas 75235 USA

The reaction of excess dimethylsulphonium methylide with various aliphatic and aromatic ketones leads exclusively to homologated allylic alcohols in good yields.

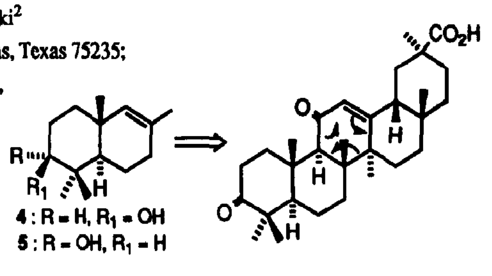


Tetrahedron Letters, **1994**, *35*, **2013**

TERPENOID CHIRONS: PREPARATION AND TRANSFORMATIONS OF 2-HYDROXY-1,1,4a(R),6-TETRAMETHYL-*TRANS*-$\Delta^{5,6}$-OCTALIN

J. R. Falck[1], S. Manna[1], S. Chandrasekhar[1], L. Alcaraz[2], C. Mioskowski[2]
[1]Depts. of Molecular Genetics/Pharmacology, UT Southwestern, Dallas, Texas 75235;
[2]Lab. de Chimie Bio-Organique associé au CNRS,Univ. Louis Pasteur,
Faculté de Pharmacie, 67401 Illkirch, France

Octalins 4 and 5 are prepared conveniently in 3 steps from commercial 18β-glycyrrhetinic acid and converted to a variety of functionalized trans-AB ring chirons.



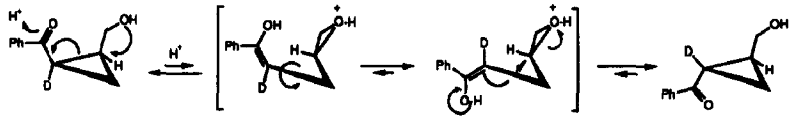
4 : R = H, R_1 = OH
5 : R = OH, R_1 = H

Tetrahedron Letters, **1994**, *35*, **2017**

ACIDIC ISOMERIZATION OF VICINALLY SUBSTITUTED (*CIS*)-ACCEPTOR-DONOR CYCLOPROPANES *VIA* AN OPEN RING MECHANISM.

Luc Dechoux*, Laboratoire de Chimie Thérapeutique; **Eric Doris***, Laboratoire de Synthèse Bio-Organique associé au CNRS.
Université Louis Pasteur, Faculté de Pharmacie, 74 route du Rhin, 67401 Illkirch (France).

Acidic activation of bis substituted (*cis*)-cyclopropanes leads by an intramolecular 1,5 homo Michael pathway to the trans isomer in high d.e.

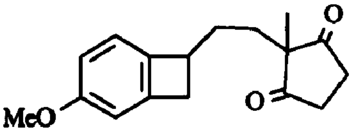


Tetrahedron Letters, **1994**, *35*, 2021

THE TORGOV REACTION AS A SHORT CUT TO KAMETANI'S SYNTHESIS OF (±)14α-HYDROXYESTRONE AND DERIVATIVES.

Jean-Claude Blazejewski*, Mansour Haddad, and Claude Wakselman
CNRS-CERCOA, 2 rue Henri Dunant, 94320 Thiais, France.

A key intermediate in Kametani's synthesis of (±)14α-hydroxyestrone is prepared in two steps and 40% yield *via* a Torgov like reaction of 2-methylcyclopentane-1,3-dione with a vinylbenzocyclobutenyl alcohol.

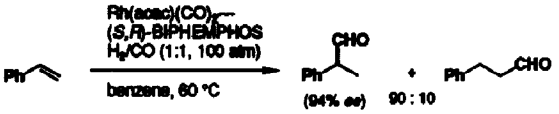


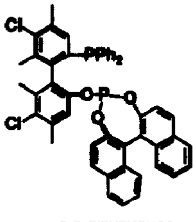
Tetrahedron Letters, **1994**, *35*, 2023

Synthesis of New Chiral Phosphinephosphites Having 2-Diphenylphosphinobiphenyl-2'-yl Backbone and Their Use in Rh(I)-Catalyzed Asymmetric Hydroformylations

Takanori Higashizima, Nozomu Sakai, Kyoko Nozaki, and Hidemasa Takaya*
Division of Material Chemistry, Faculty of Engineering, Kyoto University, Sakyo-ku, Kyoto 606-01, Japan

Chiral phosphinephosphites having biphenyl backbone have been synthesized from 2,2'-biphenyldiol and 4,4'-dichloro-3,3'-5,5'-tetramethyl-2,2'-biphenyldiol, respectively. Their Rh(I) complexes are highly efficient catalysts for asymmetric hydroformylations of a variety of olefinic substrates.



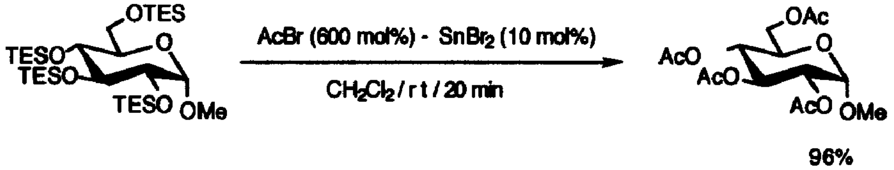


(*S*,*R*)-BIPHEMPHOS

Tetrahedron Letters, **1994**, *35*, 2027

A ONE-STEP AND CHEMOSELECTIVE CONVERSION OF SILYL-PROTECTED ALCOHOLS INTO THE CORRESPONDING ACETATES

Takeshi Oriyama,* Mihoko Oda, Junko Gono, and Gen Koga
Department of Chemistry, Faculty of Science, Ibaraki University, Bunkyo, Mito 310, Japan

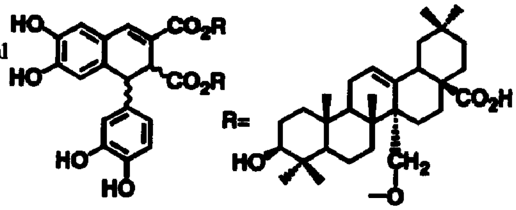


Tetrahedron Letters, **1994**, *35*, 2031

Two Diastereomeric Triterpene-Lignan Esters Having Dimeric Structure and Their Biosynthetically Related Triterpene Caffeate from *Rhoiptelea chiliantha*

Zhi-Hong Jiang,[a] Takashi Tanaka,[b] and Isao Kouno*[b]
[a]College of Traditional Chinese Pharmacy, China Pharmaceutical University, Tong Jia Xiang, Nanjing 210009, China
[b]Faculty of Pharmaceutical Sciences, Nagasaki University, Bunkyo-machi, Nagasaki 852, Japan

Rhoipteleic acids A and B, diastereomeric esters composed of two triterpene units and enantiomeric lignan carboxylic acids, were isolated from *Rhoiptelea chiliantha*.

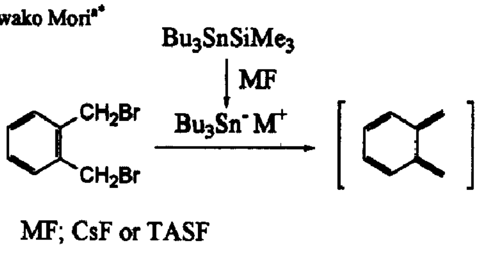


Tetrahedron Letters, **1994**, *35*, 2035

Utilization of $Me_3SiSnBu_3$ in Organic Synthesis. Generation of *o*-Quinodimethane from α,α'-Dibromo-*o*-xylene and $Me_3SiSnBu_3$-CsF.

Hiroki Sato,[a] Naohiro Isono,[a] Kimio Okamura,[b] Tadamasa Date,[b] and Miwako Mori[a]*
[a] Faculty of Pharmaceutical Science, Hokkaido University, Sapporo 060, Japan
[b] Analytical Center, Tanabe Seiyaku Co., Toda, Saitama 335, Japan

The reaction of α,α'-dibromo-*o*-xylene with stannyl anion generated from $Me_3SiSnBu_3$ and CsF or TASF $[(Et_2N)_3S^+SiMe_3F_2^-]$ in the presence of dienophiles afforded [4+2] cyclization products in good to moderate yields.

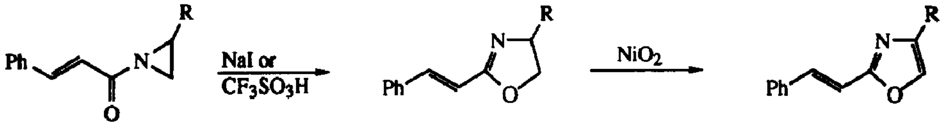


Tetrahedron Letters, **1994**, *35*, 2039

PREPARATION OF NEW 2,4-DISUBSTITUTED OXAZOLES

Frank W. Eastwood, Patrick Perlmutter and Qi Yang, Department of Chemistry, Monash University, Clayton, Victoria, 3168, Australia

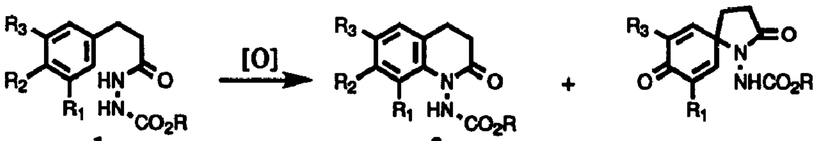
Ring enlargement of *N*-acylaziridines followed by oxidation provides a simple route to new 2,4-disubstituted oxazoles.



Tetrahedron Letters, **1994**, *35*, 2043

A NEW SYNTHESIS OF QUINOLINE DERIVATIVES

D. T. V. Clemente, A. M. Lobo,* S. Prabhakar* and M. J. Marcelo-Curto
Secção de Química Orgânica Aplicada, FCT-UNL, 2825 Monte da Caparica, Portugal



1 2 3

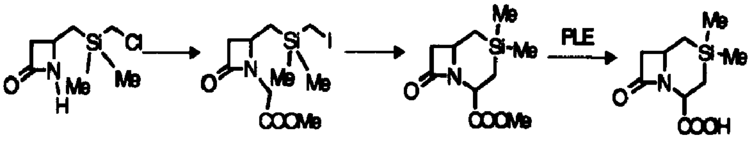
Dihydrazides **1** are oxidatively cyclised in good yields to the quinolones **2** and/or the spirolactams **3**, depending on the presence or absence of a *para* methoxy group (R_2) in the aromatic ring.

Tetrahedron Letters, **1994**, *35*, 2047

APPROACHES TO THE SYNTHESIS OF 2-SILA-1-CARBA-CEPHALOSPORINS

Sylvie Gehanne, Maria Giammaruco, Maurizio Taddei* and Paola Ulivi
Dipartimento di Chimica Organica "Ugo Schiff", Università di Firenze, Via G. Capponi 9, I-50121 Firenze (Italy)

A condensed β-lactam containing a silicon atom in the B ring was prepared starting from allyl- dimethyl-(chloromethyl)-silane.

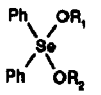


Tetrahedron Letters, **1994**, *35*, 2049

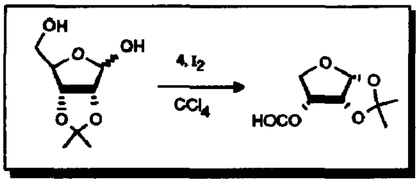
ORGANOSELENIUM REAGENTS IN THE TANDEM β-FRAGMENTATION-CYCLIZATION OF CARBOHYDRATE ANOMERIC ALKOXY RADICALS

Rosa L. Dorta, Cosme G. Francisco and Ernesto Suárez*
Instituto de Productos Naturales y Agrobiología del C.S.I.C., Carretera de La Esperanza 2, 38206-La Laguna, Tenerife, Spain

Carbohydrates possessing a suitably positioned hydroxyl group in the presence of diphenylselenium hydroxyacetate (4) and iodine under an argon atmosphere undergo intramolecular β-fragmentation-cyclization reaction to give aldofuranose and aldopyranose forms of carbohydrates, specifically.



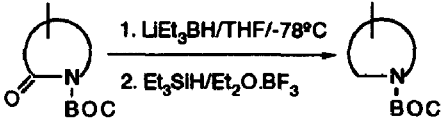
4 $R_1 = COCH_3$, $R_2 = H$



Tetrahedron Letters, **1994**, *35*, 2053

HIGHLY CHEMOSELECTIVE REDUCTION OF N-BOC PROTECTED LACTAMS

C. Pedregal, [a]*J. Ezquerra [a], A. Escribano,[b] M. C. Carreño[b] and J. L. García Ruano[b]*
a. Centro de Investigación Lilly, S. A. Paraje de la Cruz s/n. 28130 Valdeolmos, Madrid, Spain.
b. Departamento de Química Orgánica, Universidad Autónoma de Madrid. Cantoblanco, 28049 Madrid, Spain.



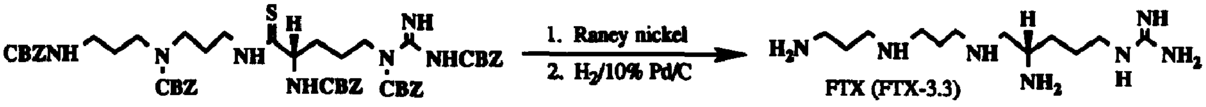
N-Boc protected lactams can be reduced chemoselectively in the presence of other reducible goups such as esters, nitriles, carbamates or double bonds using $LiEt_3BH/Et_3SiH/Et_2O.BF_3$.

R= CH_2=CH-, CN, CO_2R'

Tetrahedron Letters, **1994**, *35*, 2057

PRACTICAL SYNTHESIS OF THE PUTATIVE POLYAMINE SPIDER TOXIN FTX: A PROPOSED BLOCKER OF VOLTAGE-SENSITIVE CALCIUM CHANNELS

Ian S. Blagbrough* and Eduardo Moya, Department of Medicinal Chemistry,
School of Pharmacy and Pharmacology, University of Bath, Bath BA2 7AY, UK

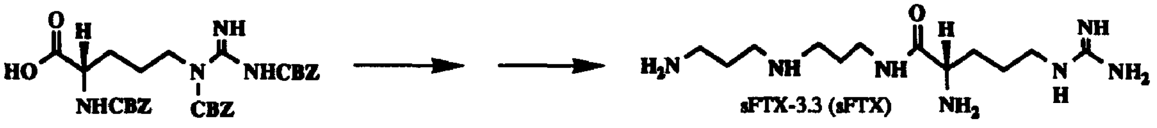


FTX (FTX-3.3)

Tetrahedron Letters, **1994**, *35*, 2061

RAPID, PRACTICAL SYNTHESES OF THE ARGINYL POLYAMINE sFTX-3.3: A BLOCKER OF VOLTAGE-SENSITIVE CALCIUM CHANNELS

Eduardo Moya and Ian S. Blagbrough*, Department of Medicinal Chemistry,
School of Pharmacy and Pharmacology, University of Bath, Bath BA2 7AY, UK



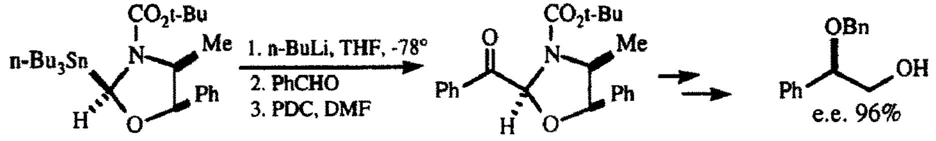
sFTX-3.3 (sFTX)

Tetrahedron Letters, **1994**, *35*, 2063

Chiral 2-Lithio-1,3-Dioxolanes and 2-Lithiooxazolidines: New Formyl Anion Equivalents.

Lino Colombo* [a], Marcello Di Giacomo[a], Gloria Brusotti[a], Giovanna Delogu[b], [a] Dipartimento di Chimica Farmaceutica, Università di Pavia, V.le Taramelli 12, I-27100 Pavia, Italy, [b] Istituto C.N.R. A.T.C.A.P.A., Via Vienna 2, I-07100 Sassari, Italy

The preparation of enantiomerically pure 2-lithio-1,3-dioxolanes and 2-lithiooxazolidines and their use as formyl anion equivalents in addition reactions to aldehydes are described.

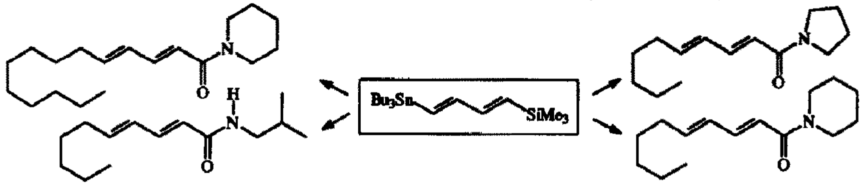


Tetrahedron Letters, **1994**, *35*, 2067

A New Straightforward and General Approach to Dienamide Natural Products

Francesco Babudri, Vito Fiandanese*, Francesco Naso, and Angela Punzi
Centro CNR di Studio sulle Metodologie Innovative di Sintesi Organiche, Dipartimento di Chimica, Università di Bari, Bari, Italy

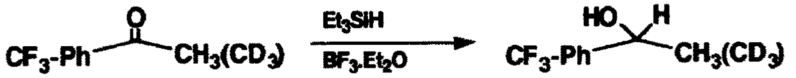
Several conjugated (*E,E*) dienamides have been synthesized, starting from an easily accessible bifunctional dienyl compound.



Tetrahedron Letters, **1994**, *35*, 2071

Reductions with Organosilanes.
Primary and Secondary Isotope Effects in the Reduction of p-Trifluoromethylacetophenone with Organosilanes.

Ioulia Smonou
Department of Chemistry, University of Crete, 71110 Iraklion, Crete, Greece



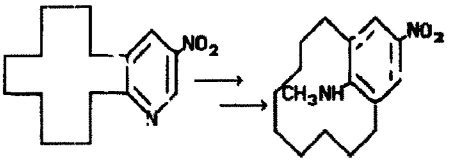
$k_H / k_D = 0.89 \pm 0.05$

Tetrahedron Letters, **1994**, *35*, 2075

A NEW ROUTE TO THE METACYCLOPHANE SYSTEM

Galina P. Shkil and Reva S. Sagitullin, Department of Organic Chemistry, Omsk State University, Omsk 644077, Russia

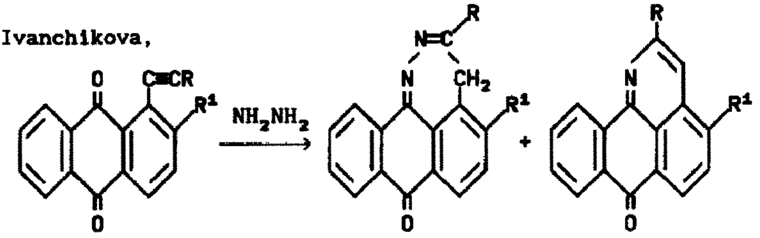
Recyclization of quaternary salt of 5,6,7,8,9,10,11,12,13,14-decahydro-3-nitrocyclododeca[b]pyridine on treatment with alkylamine leads to the substituted metacyclophane in high yield.



Tetrahedron Letters, 1994, 35, 2077

ACETYLENIC COMPOUNDS AS INTERMEDIATES IN HETEROCYCLIC SYNTHESIS: REACTION OF 1-ACETYLENYLANTHRAQUINONES WITH HYDRAZINE

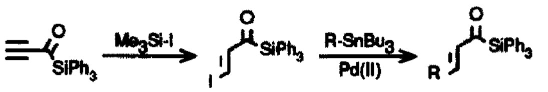
Mark S. Shvartsberg,* Irena D. Ivanchikova,
Sergei F. Vasilevsky
Institute of Chemical Kinetics
and Combustion, Novosibirsk
630090, Russia



Tetrahedron Letters, 1994, 35, 2081

3-IODOPROPENOYLSILANE: A FURTHER STEP IN THE CHEMISTRY OF UNSATURATED ACYLSILANES

Alessandro Degl'Innocenti*[a], Antonella Capperucci[b], Laura Bartoletti[b],
Alessandro Mordini[c], Gianna Reginato[c].
[a]Dipartimento di Chimica, via N. Sauro 85, 85100 Potenza, Italy. [b]Dipartimento di Chimica Organica, via G. Capponi 9, 50121 Firenze, Italy.
[c]Centro CNR Composti Eterociclici, via Capponi 9, 50121 Firenze, Italy.



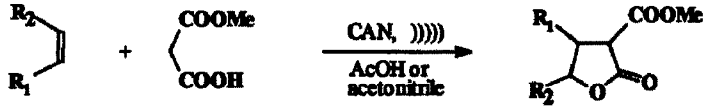
(E)-3-iodo propenoyltriphenylsilane undergoes smooth coupling reactions with a variety of unsaturated organostannanes to afford polyunsaturated acylsilanes, useful building blocks in organic synthesis.

Tetrahedron Letters, 1994, 35, 2083

SONOCHEMICAL LACTONIZATION OF OLEFINS WITH CERIC AMMONIUM NITRATE AND MONOMETHYL ESTER OF MALONIC ACID.

Andrea D'Annibale and Corrado Trogolo, Centro C.N.R. di Studio per la Chimica delle Sostanze Organiche Naturali, Dipartimento di Chimica, Università degli Studi di Roma "La Sapienza", P.le Aldo Moro 5, 00185 Roma, ITALY

Lactonization of olefins with CAN and monomethyl ester of malonic acid under ultrasound irradiation shows an acceleration with respect to the analogous mechanically stirred reaction.

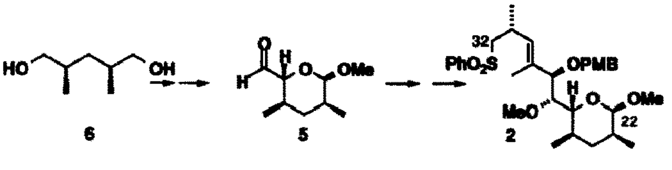


Tetrahedron Letters, 1994, 35, 2087

STUDIES TOWARDS THE TOTAL SYNTHESIS OF RAPAMYCIN: A CONVERGENT AND STEREOSELECTIVE SYNTHESIS OF THE C_{22}-C_{32} CARBON FRAMEWORK.

James C. Anderson[a], Steven V. Ley[b]* and Stephen P. Marsden[b]
[a]Department of Chemistry, Imperial College of Science, Technology and Medicine, London SW7 2AY, UK.
[b]Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge CB2 1EW, UK.

The synthesis of the C_{22}-C_{32} fragment of rapamycin 2 from the diol 6 *via* the aldehyde 5 is described.

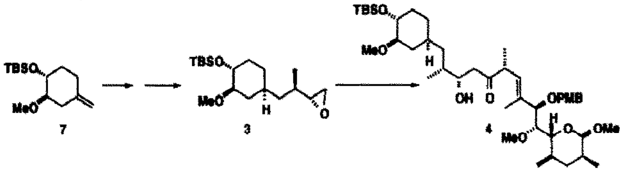


Tetrahedron Letters, **1994**, *35*, 2091

STUDIES TOWARDS THE TOTAL SYNTHESIS OF RAPAMYCIN: PREPARATION OF THE CYCLOHEXYL C_{33}-C_{42} FRAGMENT AND FURTHER COUPLING TO AFFORD THE C_{22}-C_{42} CARBON UNIT.

Cyrille Kouklovsky, S.V. Ley* and S.P. Marsden
Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge CB2 1EW, UK.

Preparation of the C_{33}-C_{42} fragment of rapamycin 3 and its coupling to afford 4 is described.

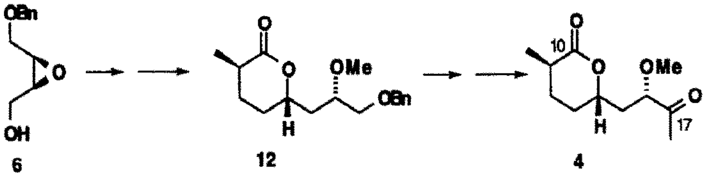


Tetrahedron Letters, **1994**, *35*, 2095

STUDIES TOWARDS THE TOTAL SYNTHESIS OF RAPAMYCIN: PREPARATION OF THE C_{10}-C_{17} CARBON UNIT.

Steven V. Ley,* Joanne Norman and Catherine Pinel.
Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge CB2 1EW, UK.

Two syntheses of the C_{10}-C_{17} carbon unit 4 of rapamycin from the epoxide 6 *via* the lactone 12 are described.



Tetrahedron Letters, **1994**, *35*, 2099

A NOVEL AND EFFICIENT METHOD FOR OXIDIZING SULFIDES TO SULFONES WITH THE HOF•CH_3CN SYSTEM.

Shlomo Rozen,* and Yifat Bareket, School of Chemistry, Raymond and Beverly Sackler Faculty of Exact Sciences, Tel-Aviv University, Tel-Aviv 69978, Israel.

The complex HOF•CH_3CN, made directly from water, fluorine and acetonitrile, oxidizes sulfides to the corresponding sulfones at 0 °C, in seconds and usually in quantitative yields. The reaction could be used for labeling both oxygen of the sulfone with ^{18}O isotope simply by using $H_2{}^{18}O$.

$$R-S-R' \xrightarrow[H^{16\text{ or }18}OF\cdot CH_3CN]{F_2 + H_2{}^{16\text{ or }18}O + CH_3CN} R-S^{16\text{ or }18}O_2-R'$$