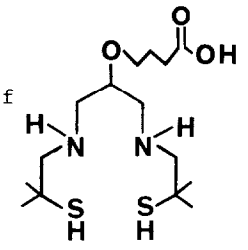
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 1885 (1989)

SYNTHESIS OF A NOVEL DIAMINODITHIOL LIGAND FOR LABELING PROTEINS AND SMALL MOLECULES WITH TECHNETIUM-99M.

Hemant K. Misra, Frank Virzi, Don Hnatowich and George Wright
Department of Nuclear Medicine and Pharmacology, University of Massachusetts Medical Center, Worcester, MA 01655, USA

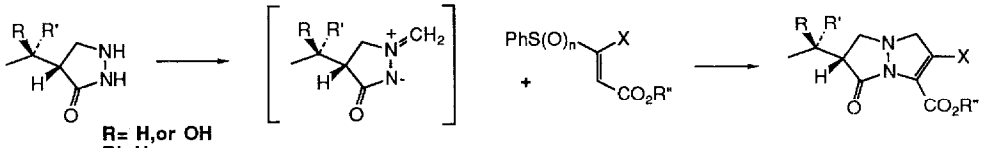
A novel bifunctional chelate was designed and synthesized for labeling proteins and small molecules with technetium-99m. The new chelate contains a free carboxyl group which is available for covalent attachment to free amino groups on biologically important molecules.



Tetrahedron Lett. 30, 1889 (1989)

BICYCLIC PYRAZOLIDINONE ANTIBACTERIAL AGENTS. SYNTHESIS OF SIDE CHAIN ANALOGUES OF CARBAPENEMS PS-5 AND THIENAMYCIN.

Louis N. Jungheim, Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN 46285, U.S.A.

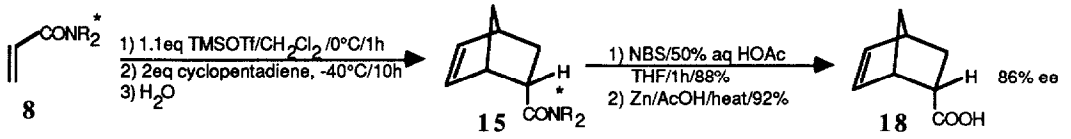


Tetrahedron Lett. 30, 1893 (1989)

ASYMMETRIC DIELS-ALDER REACTIONS OF CHIRAL ALKOXY IMINIUM SALTS

Michael E. Jung,* Wayne D. Vaccaro, and Keith R. Buszek, Department of Chemistry and Biochemistry, University of California, Los Angeles, CA 90024

The optically active vinyl trimethylsilyloxy iminium salts, prepared from **8** and TMSOTf, were reacted with cyclopentadiene to give the optically active amides **15** in high yield and with good diastereoselectivity. Bromination and reductive hydrolysis produced the chiral acid **18** in good yield.

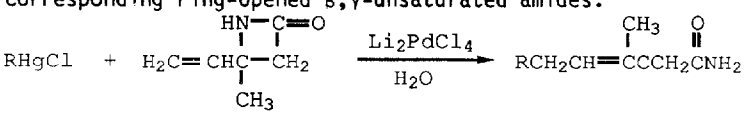


Tetrahedron Lett. 30, 1897 (1989)

SYNTHESIS OF β,γ-UNSATURATED AMIDES VIA PALLADIUM-PROMOTED COUPLING OF ORGANOMERCURIALS AND VINYLIC β-LACTAMS

Richard C. Larock* and Shuji Ding
Department of Chemistry, Iowa State University, Ames, Iowa 50011

The reaction of aryl or vinylic mercurials, Li_2PdCl_4 and vinylic β-lactams affords good yields of the corresponding ring-opened β,γ-unsaturated amides.

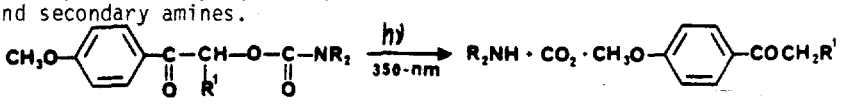


Tetrahedron Lett.30,1901(1989)

PHOTOLABILE p-METHOXYPHENACYLOXYCARBONYL GROUP FOR THE PROTECTION OF AMINES

George Church, Jean-Marie Ferland, and Jean Gauthier*
Bio-Méga Inc., 2100 rue Cunard, Laval, QC, Canada H7S 2G5

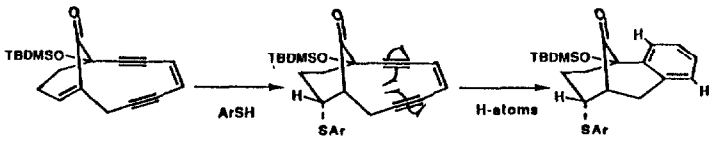
P-Methoxyphenacyloxycarbonyl (Phenoc) is a new photolabile protective group for primary and secondary amines.



Tetrahedron Lett.30,1905(1989)

SYNTHETIC STUDIES ON THE ESPERAMICIN/CALICHEAMICIN ANTITUMOR ANTIBIOTICS. CONJUGATE ADDITION OF THIOL TO INITIATE 1,4-DIYL FORMATION.

Philip Magnus*[1] and Richard T. Lewis
Department of Chemistry, Indiana University, Bloomington, Indiana 47405

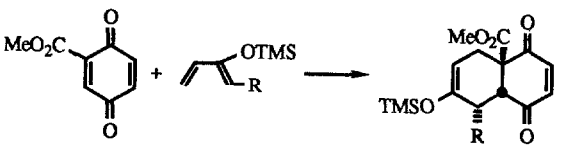


Tetrahedron Lett.30,1907(1989)

A NEW REGIOCHEMICAL CONTROL ELEMENT FOR DIELS-ALDER REACTIONS

George A. Kraus* and Spiros Liras
Department of Chemistry, Iowa State University, Ames, IA 50011

1-Alkyl-2-trimethylsilyloxybutadienes react with good dienophiles to afford products in which the usual Diels-Alder orientation has been reversed.

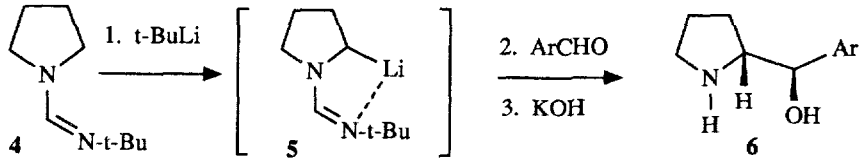


Tetrahedron Lett.30,1909(1989)

STEREOSELECTIVE CONDENSATIONS OF α'-LITHIO PYRROLIDINE AMIDINES

Mark A. Sanner,Sterling Research Group,Dept. of Medicinal Chemistry,Rensselaer, NY 12144

The condensation of α'-lithio pyrrolidine amidine **4** with aromatic aldehydes gives hydroxymethyl pyrrolidines **5** with high *threo-erythro* selectivity (ca. 95:5).



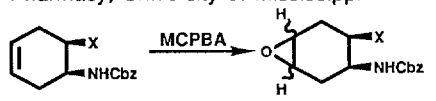
4 **5** **6**

Tetrahedron Lett.30,1913(1989)

HOMOALLYLICALLY CONTROLLED EPOXIDATION OF *cis*-Δ^4-1,2-DISUBSTITUTED CYCLOHEXENES

David P. Rotella, Department of Pharmacognosy, School of Pharmacy, University of Mississippi University, MS 38677

The stereocontrolled epoxidation of **4, 7** and **8** is dependent on hydroxyl functionalization which apparently determines cyclohexene ring conformation. Olefins **4, 8, 13** and **16** are epoxidized with *syn* stereospecificity.



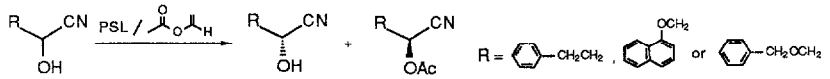
4) X=CH_2OH 13) X=CH_2NHCbz
7) X=$CH_2OTBDMS$ 16) X=CO_2Me
8) X=CH_2OAc

Tetrahedron Lett.30,1917(1989)

LIPASE-CATALYZED IRREVERSIBLE TRANSESTERIFICATION USING ENOL ESTERS: RESOLUTION OF CYANOHYDRINS AND CONVERSION OF CHIRAL PRODUCTS TO ETHYL (R)-2-HYDROXY-4-PHENYLBUTYRATE AND (S)-PROPRANOLOL

Yi-Fong Wang, Shui-Tein Chen, Kun-Chin Liu and Chi-Huey Wong *
Department of Chemistry, Texas A&M University, College Station, TX. 77843

Procedures are developed for the preparation of both enantiomers of several cyanohydrins of synthetic value from the racemates via lipase-catalyzed kinetic resolution using enol esters as irreversible transesterification reagents.

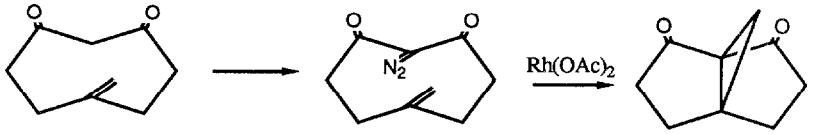


Tetrahedron Lett.30,1921(1989)

[3.3.1]-PROPELLANE-2,8-DIONE

I. David Reingold* and James Drake
Department of Chemistry, Lewis and Clark College, Portland, OR 97219

The title compound has been prepared by an intramolecular carbene addition to an appropriately positioned double bond.

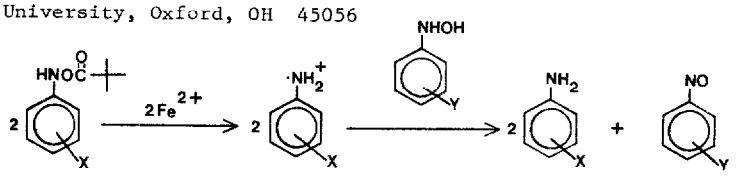


Tetrahedron Lett.30,1923(1989)

THE MECHANISM OF THE INTERACTION OF N-ARYL-O-PIVALOYL-HYDROXYLAMINES WITH REDUCING METAL IONS

Robert K. Lagerman and Michael Novak*
Department of Chemistry, Miami University, Oxford, OH 45056

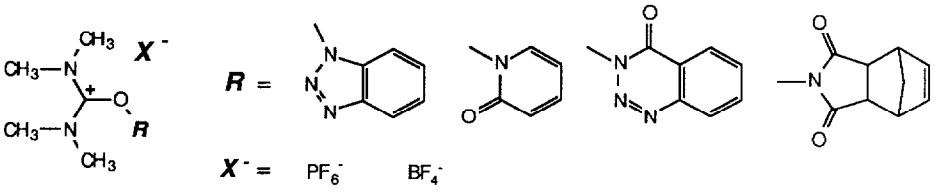
Trapping experiments with N-arylhydroxylamines provide evidence for a two step electron transfer mechanism in the reduction of N-aryl-O-pivaloylhydroxylamines by Fe^{2+}.



Tetrahedron Lett.30,1927(1989)

NEW COUPLING REAGENTS IN PEPTIDE CHEMISTRY

Reinhard Knorr *, Arnold Trzeciak, Willi Bannwarth and Dieter Gillessen
Central Research Units, F.Hoffmann-La Roche & Co.Ltd., CH-4002 Basle (Switzerland)

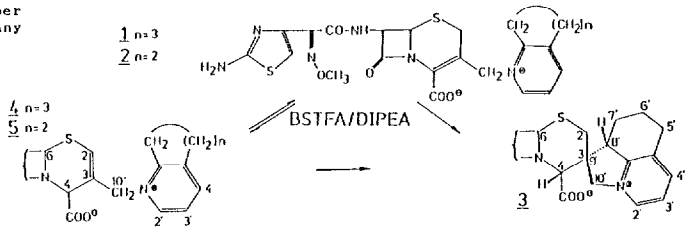


Tetrahedron Lett.30,1931(1989)

FORMATION OF A NOVEL 3-SPIRO CEPHALOSPORIN BY A BASE CATALYSED REARRANGEMENT

H. Kogler, R. Lattrell, W. Schubert, M. Weber
Hoechst AG, D-6230 Frankfurt am Main, Germany

The structure of a rearrangement product of the cyclohexenopyridinio substituted cephalosporin is elucidated by twodimensional NMR spectroscopy.

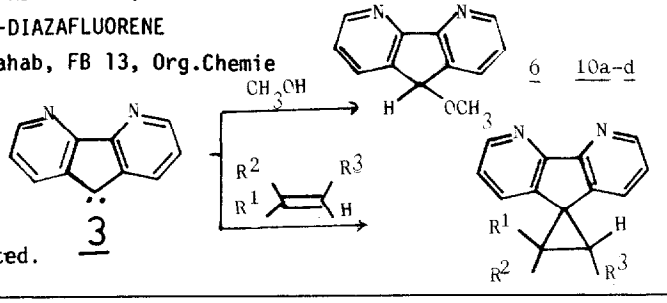


Tetrahedron Lett.30,1935(1989)

A ROUTE TO 4,5-DIAZAFLUORENYLIDENE: PREPARATION, PHOTO- AND THERMAL REACTIONS OF 9-DIAZO-4,5-DIAZAFLUORENE

O.S.Mohamed, H.Dürr* and A.A.Abdel-Wahab, FB 13, Org.Chemie Universität, D-6600 Saarbrücken

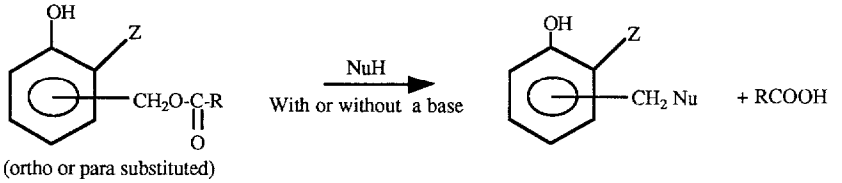
The reactivity and selectivity of 4,5-diazafluorenylidene in addition and insertion reactions with simple compoundes and olefins was investigated.



Tetrahedron Lett.30,1939(1989)

NEW PRECURSORS OF QUINONE METHIDES

Bernard Loubinoux, Joseph Miazimbakana, Philippe Gérardin
Université de Nancy 1, Laboratoire de Chimie Organique 4, BP 239, 54506 Vandoeuvre-les-Nancy



(ortho or para substituted)

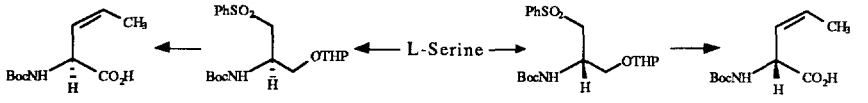
NuH : alcohols, phenols(63-99%);thiophenol(56 %);amines(57-85%);diketones(45-76%);malonates(55-80%).

Tetrahedron Lett. 30, 1943 (1989)

STEREOSELECTIVE SYNTHESIS OF OPTICALLY PURE β,γ-UNSATURATED α-AMINO ACIDS IN BOTH L AND D CONFIGURATIONS

N. André Sasaki*, Chiyomi Hashimoto and Régine Pauly
Institut de chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France

Stereoselective synthesis of optically pure N-Boc-Z-propenylglycine in both L and D configurations is described.

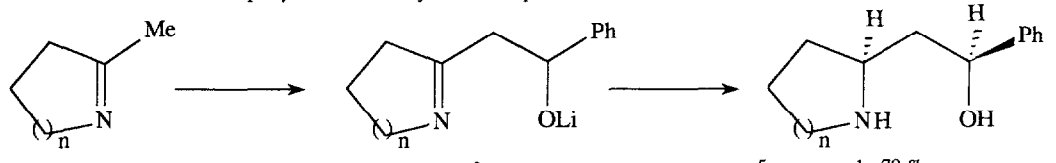


Tetrahedron Lett. 30, 1947 (1989)

Ligand assisted hydride delivery : an expeditious stereoselective total synthesis of (±) nor-sedamine and its five membered ring analog.

P.J. TIREL, M. VAULTIER* and R. CARRIE, G.R.P.S., U.A. C.N.R.S. 704, Université de Rennes I, 35042 RENNES CEDEX, FRANCE.

An efficient stereoselective one pot γ-aminoalcohol synthesis is reported.



1a n = 1
1b n = 2

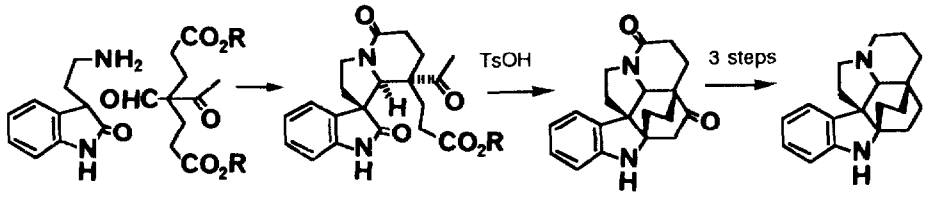
2

5a : n = 1 ; 79 %
5b : n = 2 ; 72 % (±) nor-sedamine

Tetrahedron Lett. 30, 1951 (1989)

CYCLIZATION OF OXINDOLIC METHYLKETONES WITH ACID : A RAPID SYNTHESIS OF (±)-ASPIDOFRACTININE.

Dominique Cartier, Mohammed Ouahrani and Jean Lévy. Laboratoire de Transformations et Synthèse de Substances Naturelles ; associé au CNRS ; Faculté de Pharmacie ; 51, rue Cognacq-Jay, F 51096 REIMS Cédex

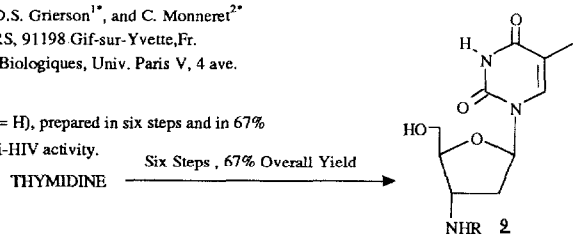


Tetrahedron Lett. 30, 1955 (1989)

SYNTHESIS OF 3'-SUBSTITUTED-2',3'-DIDEOXYNUCLEOSIDE ANALOGS AS POTENTIAL ANTI-AIDS DRUGS

M. Maillard[1], A. Faraj[2], F.Frappier[2], J.-C. Florent[2], D.S. Grierson[1*], and C. Monneret[2*]
1. Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette,Fr.
2. UA 484, Faculté des Sciences Pharmaceutiques et Biologiques, Univ. Paris V, 4 ave. de l'Observatoire, 75270 Paris Cédex 06, Fr.

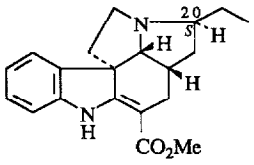
Five derivatives of 3'-amino-3'-deoxythymidine **2** (R = H), prepared in six steps and in 67% overall yield from thymidine, were tested for their anti-HIV activity.



Tetrahedron Lett.30,1959(1989)

AN ENANTIOSPECIFIC SYNTHESIS OF (+)- AND (-)-20-EPI-IBOPHYLLIDINE VIA AN INTRAMOLECULAR DIELS-ALDER TYPE CYCLIZATION

Samir JEGHAM, Jean-Louis FOURREY and Bhupesh C. DAS*
Institut de Chimie des Substances Naturelles, C.N.R.S.
91190 Gif-sur-Yvette, France.

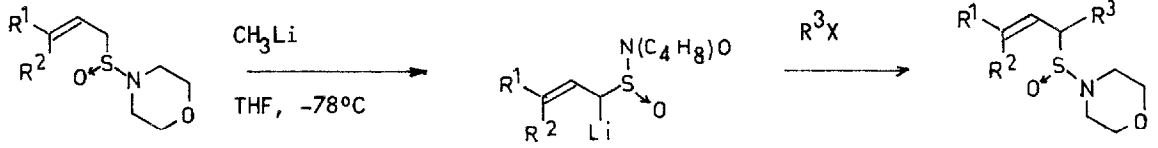


(+)-20-epi-ibophyllidine

Tetrahedron Lett.30,1963(1989)

THE LITHIATION AND ALKYLATION OF 4-(2'-ALKENESULPHINYL)-MORPHOLINES, A SIMPLE ROUTE TO SUBSTITUTED ALLYLIC SULPHINAMIDES

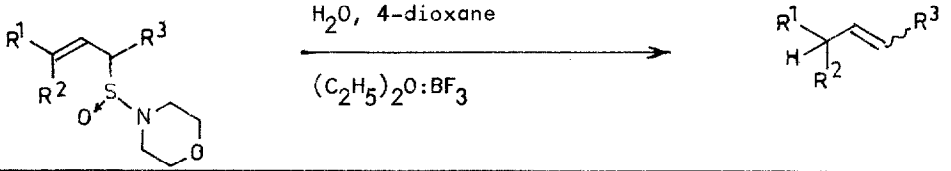
Jean-Bernard Baudin and Sylvestre A. Julia*, Laboratoire de Chimie, Ecole Normale Supérieure, 24 rue Lhomond, 75231 Paris Cedex 05, France.



Tetrahedron Lett.30,1967(1989)

STEREOSELECTIVE FORMATION OF (E)-OLEFINS BY HYDROLYTIC DESULPHINYLATION OF SOME SUBSTITUTED 4-(2'-ALKENESULPHINYL)-MORPHOLINES

Jean-Bernard Baudin and Sylvestre A. Julia*, Laboratoire de Chimie, Ecole Normale Supérieure, 24 rue Lhomond, 75231 Paris Cedex 05, France.

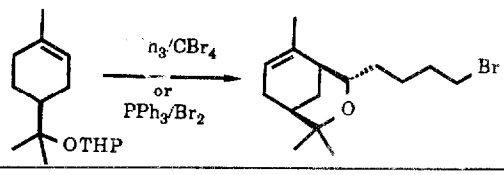


Tetrahedron Lett.30,1971(1989)

SYNTHESIS OF TETRAHYDROPYRANS BY PPh3/CBr4 INDUCED CYCLISATION OF ACETALS : APPLICATION TO A SYNTHESIS OF ROSE OXIDE.

A. WAGNER, M.-P. HEITZ, C MIOSKOWSKI
Laboratoire de chimie Bio-Organique, associé au CNRS, Université Louis Pasteur, Faculté de Pharmacie, 74, route du Rhin F- 67401 STRASBOURG Cédex France

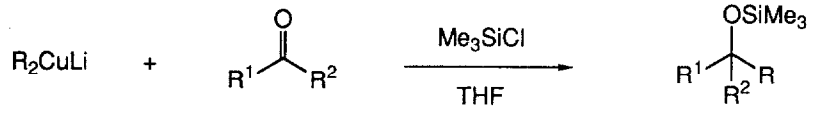
Substituted tetrahydropyrans are prepared in good yields by PPh3/CBr4 induced cyclisation of acetals. An application of this new procedure to a synthesis of cis-rose oxide is described.



Tetrahedron Lett.30,1975(1989)

Me_3SiCl-ASSISTED 1,2-ADDITION OF ORGANOCUPRATES TO CARBONYL COMPOUNDS

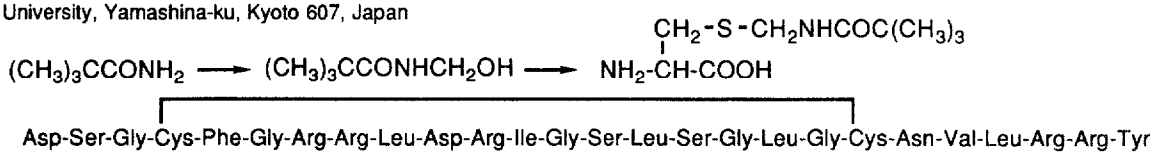
Satoshi Matsuzawa, Masahiko Isaka, Eiichi Nakamura,* and Isao Kuwajima*
Department of Chemistry, Tokyo Institute of Technology, Meguro, Tokyo 152, Japan



Tetrahedron Lett.30,1979(1989)

A NEW THIOL PROTECTING TRIMETHYLACETAMIDOMETHYL GROUP. SYNTHESIS OF A NEW PORCINE BRAIN NATRIURETIC PEPTIDE USING THE S-TRIMETHYLACETAMIDOMETHYL-CYSTEINE

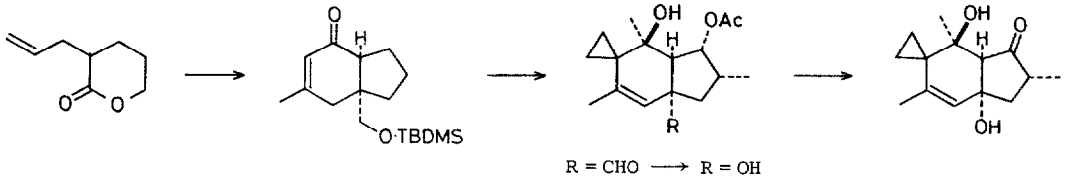
Yoshiaki Kiso, Makoto Yoshida, Tooru Kimura, Yoichi Fujiwara and Masanori Shimokura
Department of Medicinal Chemistry, Kyoto Pharmaceutical University, Yamashina-ku, Kyoto 607, Japan



Tetrahedron Lett.30,1983(1989)

STEREOCONTROLLED TOTAL SYNTHESIS OF (±)-PTAQUILOSIN, THE AGLYCONE OF PTAQUILOSIDE, A BRACKEN CARCINOGEN

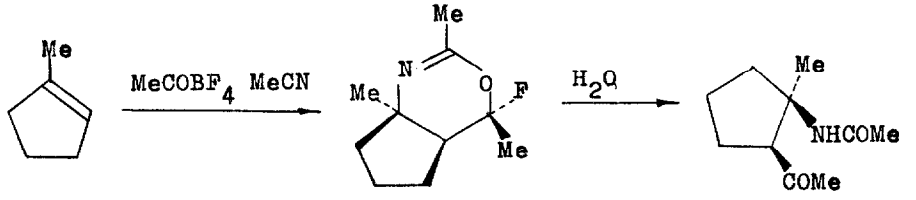
Hideo Kigoshi, Akihiko Sawada, Yoshisuke Nakayama, Haruki Niwa, and Kiyoyuki Yamada*
Department of Chemistry, Faculty of Science, Nagoya University, Chikusa, Nagoya 464 Japan



Tetrahedron Lett.30,1987(1989)

STEREOSPECIFIC SYN-ACYLAMIDATION OF OLEFINS

I.D.Gridnev , A.V.Buevich , N.M.Sergeev , E.S.Balenkova .
Department of chemistry , Moscow State University , Moscow , 119899 , USSR.



Tetrahedron Lett.30,1991(1989)

SYNTHESIS OF (±)DURYNE

V.H. Deshpande, B.K. Upadhye and R.D. Wakharkar
National Chemical Laboratory, Pune 411008, India

Synthesis of cytotoxic metabolite, duryne **1** involving symmetrical synthetic strategy is described.

$$HC \equiv CH \xrightarrow{2\ steps} XC \equiv CY \xrightarrow{7\ steps} R(CH_2)_9\text{-}CH = CH\text{-}(CH_2)_9\text{-}R$$

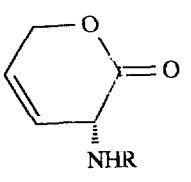
$$X=Y=(CH_2)_{10}\text{-}OTHP \qquad \mathbf{1}\ :\ R=HC\overset{E}{\equiv}CH\text{-}\underset{OH}{CH}\text{-}C\equiv CH$$

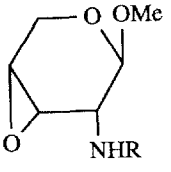
Tetrahedron Lett.30,1993(1989)

β,γ-UNSATURATED α-AMINO ACID δ-LACTONES; PRECURSORS FOR POLYHYDROXY AMINO ACIDS

Malcolm M. Campbell[a], Arthur J. Floyd[a], Terry Lewis[b], Mary F. Mahon[a] and Ronald J. Ogilvie[a].
[a]School of Chemistry, University of Bath,Claverton Down, Bath, BA2 7AY,
[b]ICI Agrochemicals, Jealett's Hill Research Station, Bracknell, Berks, RG12 6EY.

Enantiocomplementary routes to(R)-and(S)-Z-2-amino-5-hydroxy-pent-3-enoic acidlactones are described, starting from D-xylose.





Tetrahedron Lett.30,1997(1989)

RETROINVERSO ENDOTHIONOPEPTIDES

M.M.Campbell[a], B.C.Ross[b] and G.Semple[a]
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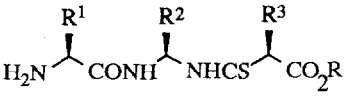
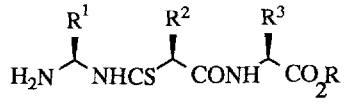
Summary; A new family of peptide surrogates,the retroinverso endothionopeptides, are described. Procedures for synthesis of di- and tripeptide variants have been developed





Tetrahedron Lett.30,2001(1989)

REDUCTION OF 5,6-DIHYDRO-2*H*-1,3-OXAZINES. A SIMPLE APPROACH TO 1,3-AMINO ALCOHOLS FROM 2-AZA-1,3-DIENES

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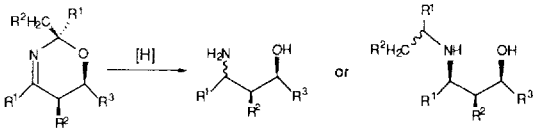
A stereoselective synthesis of 1,3-amino alcohols with three and four chiral centers is described by reduction of 5,6-Dihydro-2*H*-1,3-oxazines.

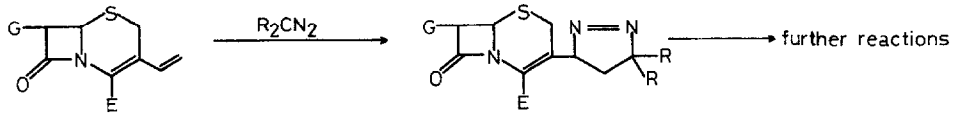


CYCLOADDITION REACTIONS OF VINYLCEPHALOSPORINS WITH DIAZOALKANES

Tetrahedron Lett. 30, 2005 (1989)

János Pitlik, István Miskolczi[+], Katalin E. Kövér[+], Csaba J. Jászberényi, and Ferenc Sztaricskai[*]

Research Group for Antibiotics of the Hungarian Academy of Sciences, H-4010 Debrecen and [+]BIOGAL Pharmaceutical Works, H-4012 Debrecen, Hungary

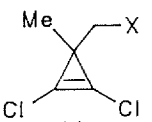


Tetrahedron Lett. 30, 2009 (1989)

SUBSTITUENT EFFECTS IN THE GENERATION AND TRAPPING OF 1,2-DICHLORO-3,3-DIALKYLBUT-2-EN-1-YLIDENES

Juma'a Al-Dulayymi, Mark S. Baird* and Helmi H. Hussain, Department of Chemistry, University of Newcastle upon Tyne, GB NE1 7RU.

The ring-opening of cyclopropenes (1) to carbenes (3) occurs more readily when X is an electron releasing group. The selectivity of carbenes (3, X = H, OMe) towards alkenes correlates well with that for tetrachloroprop-2-en-1-ylidene.



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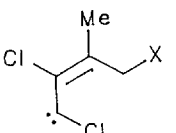

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Tetrahedron Lett. 30, 2013 (1989)

ALLYLATION USING ORGANOBORATES AND ACTIVATED ACETALS.

Roger Hunter [a] * and Geoffrey D. Tomlinson [b].

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n-Butyltriallylborate(1-) in THF at $-78^{0}C$ converts Lewis acid-activated acetals to homoallylic ethers.