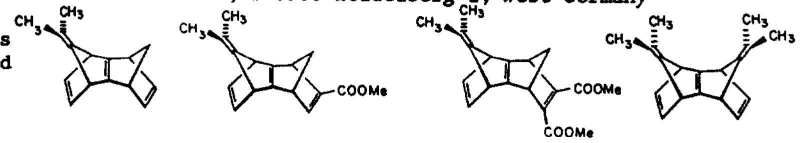
GRAPHICAL ABSTRACTS

Tetrahedron Lett,29,4213(1988)

ALKYLIDENE DERIVATIVES OF *syn*-SESQUINORBORNATRIENE. ^{13}C NMR AND THEORETICAL ANALYSIS OF HOMOCONJUGATIVE ORBITAL INTERACTION.

Leo A. Paquette,* Liladhar Waykole, Chien-Chang Shen, and Uday S. Racherla
Evans Chemical Laboratories, The Ohio State University, Columbus, Ohio 43210 USA
Rolf Gleiter* and Edwin Litterst
Organisch-Chemisches Institut der Universität, D-6900 Heidelberg 1, West Germany

The following compounds were prepared and evaluated for homoconjugation by ^{13}C NMR and MINDO/3.

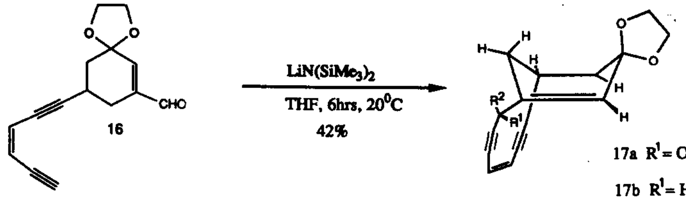


Tetrahedron Lett.29,4217(1988)

SYNTHESIS OF A CALICHEAMICIN DEOXYAGLYCONE MODEL BY AN INTRAMOLECULAR ACETYLIDE CYCLIZATION

Andrew S. Kende* and Cynthia A. Smith
Department of Chemistry, University of Rochester, Rochester, NY 14627

The intramolecular cyclization of acetylenic aldehyde 16 provides facile access to the deoxyaglycone model carbinols 17a and 17b for the calicheamicins.



16

17a $R^1 = OH, R^2 = H$

17b $R^1 = H, R^2 = OH$

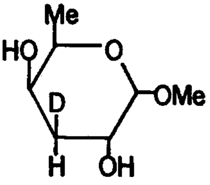
Tetrahedron Lett.29,4221(1988)

SYNTHESIS OF STEREOSPECIFICALLY LABELED CARBOHYDRATES II: PREPARATION OF (3*S*)- AND (3*R*)-[3-$^2H_1$]ABEQUOSE

Theresa M. Weigel and Hung-wen Liu*

Department of Chemistry, University of Minnesota
Minneapolis, Minnesota 55455 USA

Two forms of methyl abequoside, a 3,6-dideoxy-D-*xylo*-hexopyranoside, stereospecifically labeled with deuterium at 3*S* and 3*R* positions (compounds *1* and *2*), respectively, were synthesized.



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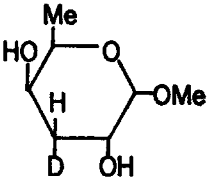

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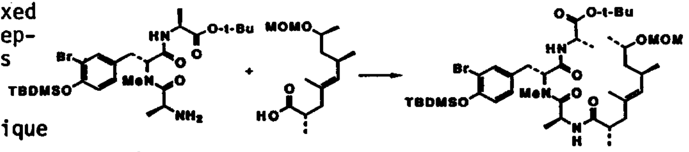
Tetrahedron Lett.29,4225(1988)

TOTAL SYNTHESIS OF THE MIXED PEPTIDE-POLYPROPIONATE BASED CYCLODEPSIPEPTIDE (+)-GEODIAMOLIDE B

Paul A. Grieco* and Auturo Perez-Medrano
Department of Chemistry, Indiana University, Bloomington, IN 47405

The first total synthesis of the mixed peptide-polypropionate based cyclodepsipeptide (+)-geodiamolide B (1) has been realized via coupling of the polypropionate fragment 4 with the tripeptide unit 3 possessing the unique (R)-3-bromo-N-methyltyrosine.

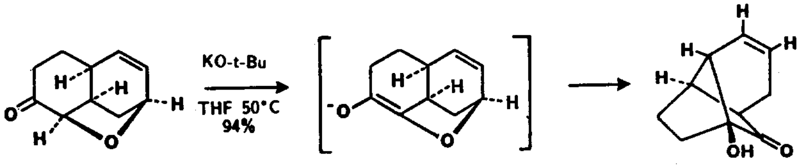


3 4 5

Tetrahedron Lett.29,4229(1988

ANIONIC OXY-CLAISEN REARRANGEMENT OF A TRICYCLIC α-ALLYLOXY KETONE

James J. Kirchner, Daniel V. Pratt, and Paul B. Hopkins*
Department of Chemistry, University of Washington, Seattle, Washington 98195

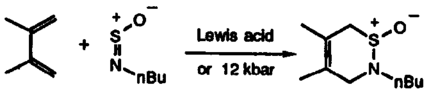


Tetrahedron Lett.29,4233(1988

LEWIS ACID AND HIGH PRESSURE PROMOTED DIELS-ALDER CYCLOADDITIONS OF N-ALKYL-SULFINYL DIENOPHILES

Scott I. Bell and Steven M. Weinreb*
Department of Chemistry, The Pennsylvania State University, University Park, Pennsylvania 16802

Normally unreactive N-alkyl-N-sulfinyl compounds act as dienophiles in both inter and intramolecular Diels-Alder processes in the presence of Lewis acids or optimally under high pressure.

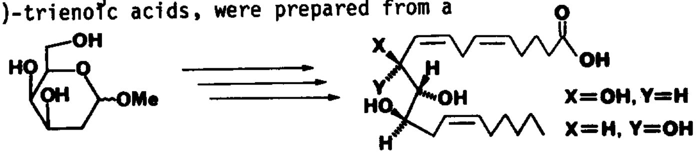


Tetrahedron Lett.29,4237(1988

SYNTHESIS OF TRIOXILIN B$_3$

Sun Lumin, Pendri Yadagiri, and J.R. Falck*
Departments of Molecular Genetics and Pharmacology
University of Texas Southwestern Medical Center, Dallas, Texas 75235 USA

Both C(10) diastereomers of trioxilin B$_3$, presumed to be a mixture of 10(R/S),11(R),12(R)-trihydroxyeicosa-5(Z),8(Z),14(Z)-trienoic acids, were prepared from a carbohydrate precursor.

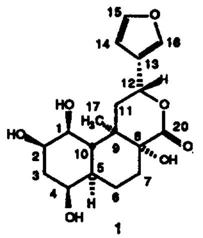


Tetrahedron Lett.29,4241(1988

MALABAROLIDE, A NOVEL FURANOID BISNORDITERPENOID FROM *TINOSPORA MALABARICA*

Atta-ur-Rahman and Sultan Ahmad
H.E.J. Research Institute of Chemistry, University of Karachi, Karachi-32, Pakistan
David S. Rycroft
Department of Chemistry, University of Glasgow, Glasgow, G12 8QQ, Scotland, U.K.
László Parkanyi, M. Iqbal Choudhary, Jon Clardy
Department of Chemistry - Baker Laboratory, Cornell University, Ithaca, NY 14853-1301

A novel furanoid bisnorditerpene has been isolated from the fresh stems of *Tinospora malabarica* Miers (Menispermaceae) and structurally characterized using X-ray crystallographic and spectroscopic techniques.



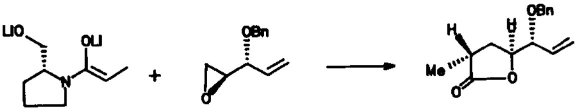
1

Tetrahedron Lett. 29,4245(1988

ALKYLATION OF CHIRAL PROLINOL PROPIONAMIDE ENOLATES WITH EPOXIDES:COMPLETE REVERSAL OF PREDICTED FACIAL SELECTIVITY

D. Askin*, R.P. Volante, K.M. Ryan, R.A. Reamer and I. Shinkai
Merck Sharp & Dohme Research Laboratories, P.O. Box 2000, Rahway,
New Jersey 07065

The title transformation provided a rapid and efficient synthesis of syn and anti 2-methyl-4-hydroxyl-carboxylic ester arrays.

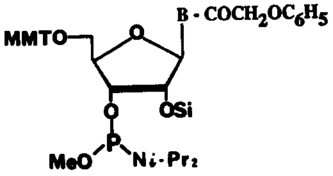


Tetrahedron Lett. 29,4249(1988

N-PHENOXYACETYLATED GUANOSINE AND ADENOSINE PHOSPHORAMIDITES IN THE SOLID PHASE SYNTHESIS OF OLIGORIBONUCLEOTIDES: SYNTHESIS OF A RIBOZYME SEQUENCE

T. Wu and K.K. Ogilvie* : Department of Chemistry, McGill University, Montréal, Québec, Canada H3A 2K6; R.T. Pon, Region Laboratory, University of Calgary, Calgary, Alberta, Canada T2N 4N1

N-Phenoxyacetylated adenosine and guanosine phosphoramidites have been used successfully in the solid phase synthesis of oligoribonucleotides.

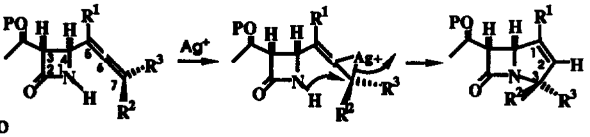


Tetrahedron Lett. 29,4253(1988

SILVER MEDIATED CYCLIZATIONS OF 4-ALLENYL-AND 4-(2-PROPYNYL)-AZETIDINONES. A STEREOSELECTIVE SYNTHESIS OF 3-SUBSTITUTED Δ^1-CARBAPENEMS VIA N—C3 RING CLOSURE.

J. Siva Prasad and Lanny S. Liebeskind
Department of Chemistry, Emory University, Atlanta, Georgia 30322

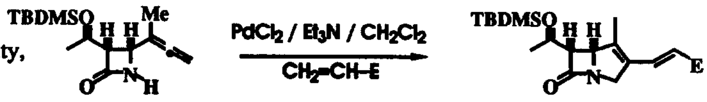
A silver catalyzed cyclization of 4-allenylazetidinones to Δ^1-carbapenems and of 4-(2-propynyl)azetidinones to Δ^2-carbapenems is described.



Tetrahedron Lett. 29,4257(1988

PALLADIUM MEDIATED FORMATION OF Δ^1- AND Δ^2-CARBAPENEMS BY CYCLOFUNCTIONALIZATION OF 4-ALLENYLAZETIDINONES AND 4-(2-PROPYNYL)AZETIDINONES.

J. Siva Prasad and Lanny S. Liebeskind
Department of Chemistry, Emory University,
Atlanta, Georgia 30322



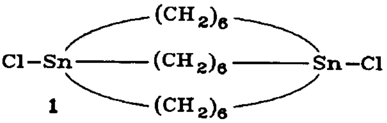
A palladium(+2) catalyst induces nucleophilic closure of the azetidinone nitrogen on a pendant allene or alkyne, and the resulting vinylpalladium intermediate reacts in a subsequent step with allyl halides or activated alkenes to provide 2-functionalized carbapenems.

Tetrahedron Lett. 29,4261(1988

MACROCYCLES CONTAINING TIN.
A SMALL, EXCLUSIVE HOST FOR THE FLUORIDE ION

Martin Newcomb* and Michael T. Blanda
Department of Chemistry, Texas A&M University, College Station, TX 77843, USA

The binding properties of host 1 were studied by NMR spectroscopy; 1 binds fluoride strongly, presumably within the small cavity, but shows no Lewis acidic properties towards other halides.



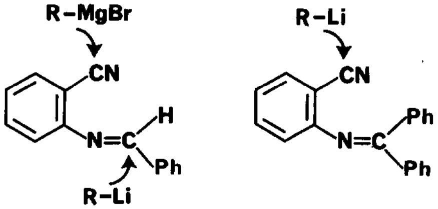
1

Tetrahedron Lett. 29,4265(1988

REGIOSELECTIVE ADDITIONS OF GRIGNARD AND LITHIUM REAGENTS TO 2-[(BENZYLIDENE)AMINO]BENZONITRILE AND 2-[(DIPHENYLMETHYLENE)AMINO]BENZONITRILE

L. Strekowski,* M.T. Cegla, D.B. Harden, J.L. Mokrosz,
M.J. Mokrosz
Department of Chemistry, Georgia State University,
Atlanta, Georgia 30303 U.S.A.

Synthesis of quinazoline derivatives based on these regioselective additions.

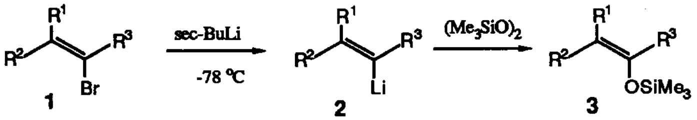


Tetrahedron Lett. 29,4269(1988

STEREO- AND REGIOSELECTIVE FORMATION OF SILYL ENOL ETHERS VIA OXIDATION OF VINYL ANIONS

Franklin A. Davis,* G. Sankar Lal and Jia Wei, Department of Chemistry, Drexel University, Philadelphia, PA 19104

Oxidation of E- and Z-vinyl lithiums 2 with silyl peroxides affords silyl enol ethers 3 in good to excellent yield with retention of configuration. This methodology represents a useful new procedure for the stereo- and regioselective synthesis of ketone enolates.

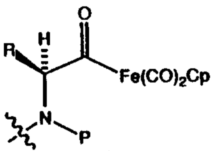


Tetrahedron Lett. 29,4273(1988

CONVENIENT SYNTHESIS OF OPTICALLY ACTIVE IRON ACYLS

RANDALL W. HUNGATE*, FRED MILLER AND SCOTT GOODMAN
DEPARTMENT OF CHEMISTRY, ARIZONA STATE UNIVERSITY
TEMPE, AZ 85287

The synthesis of iron acyls (e.g. I) derived from $Cp(CO)_2FeNa$ which incorporate optically active α - amino acids is described. The reaction sequence is compatable with many of the common nitrogen protecting groups (P = Cbz, t-Boc and F-moc) which has given us the opportunity to further elaborate these new metal acyl complexes.



I

P = protecting group

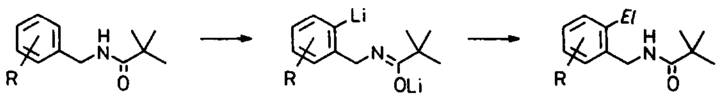
Tetrahedron Lett. 29,4277(1988

ortho-SELECTIVE METALATION AND ELECTROPHILIC SUBSTITUTION OF BENZYLAMINE DERIVATIVES

Gyula Simig and Manfred Schlosser*

Institut de Chimie Organique, Rue de la Barre 2, CH-1005 Lausanne (Switzerland)

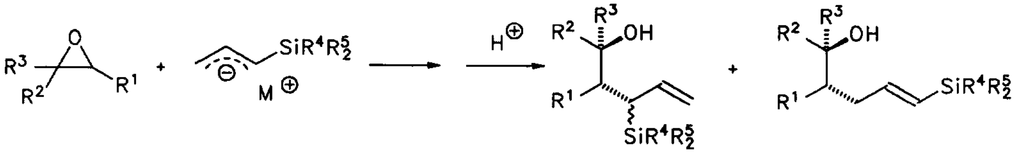
After protection by an *N*-pivaloyl group, primary amines of the benzyl type can be lithiated at an *ortho* position and subsequently submitted to electrophilic substitution.



Tetrahedron Lett. 29,4281(1988

RING-OPENING OF OXIRANES BY SILYL-SUBSTITUTED ALLYL ANIONS. A REGIOCHEMICAL CHAMELEON

Ernst Schaumann* and Andreas Kirschning
Institut für Organische Chemie der Universität Hamburg,
Martin-Luther-King-Platz 6, D-2000 Hamburg 13, Fed. Rep. Germany



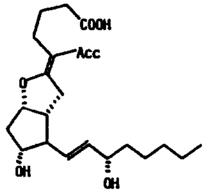
Tetrahedron Lett. 29,4285(1988

SYNTHESIS OF PROSTACYCLIN ANALOGS STABILIZED BY ACCEPTOR SUBSTITUENTS AT THE 5-POSITION

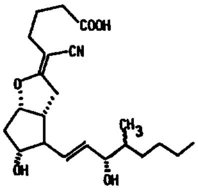
Werner Skuballa, Bernd Radüchel, and Helmut Vorbrüggen

Research Laboratories of Schering AG,
D-1000 Berlin 65
Federal Republic of Germany

The synthesis of chemically stable prostacyclin analogs e.g. nileprost 6 is described.



Acc = $COOCH_3$, COOH, CHO, CN



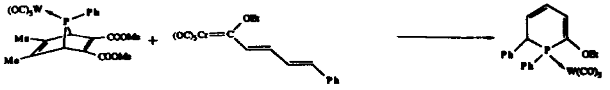
nileprost 6

Tetrahedron Lett. 29,4289(1988)

SPONTANEOUS CYCLISATION OF 1-PHOSPHAHEXATRIENES INTO 1,2-DIHYDROPHOSPHININES

Ngoc HOA TRAN HUY, François MATHEY and Louis RICARD

Laboratoire de Chimie du Phosphore et des Métaux de Transition
D. C. P. H. - Ecole Polytechnique - 91128 PALAISEAU Cedex (France) .

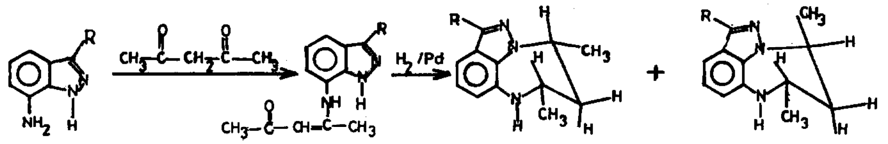


Tetrahedron Lett. 29,4291(1988)

NOVEL DIASTEREOSELECTIVE SYNTHESIS OF PYRAZOLO[1,5,4-ef] BENZODIAZEPINES

E.M. Essassi et M. Benchidmi. Laboratoire de Chimie
Organique Hétérocyclique,Faculté des Sciences, Avenue Ibn Battota, Rabat, Maroc

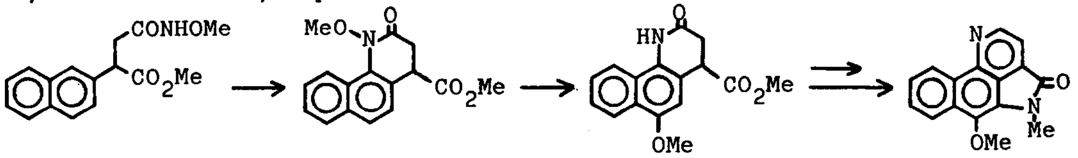
A Synthesis of dias-tereoisomeric 4,6-dimethyl -4,5,6,7 tetrahydropyrazolo[1,5,4-ef] Benzodiazepines in 9/1 ratio from 7-aminoindazole.



Tetrahedron Lett. 29,4297(1988)

A CONVENIENT SYNTHESIS OF EUPOLAURAMINE

Yasuo Kikugawa*, Masami Kawase, Yuko Miyake,
Takeshi Sakamoto, and Masahiro Shimada
Faculty of Pharmaceutical Sciences, Josai University, 1-1 Keyakidai, Sakado-shi, Saitama 350-02, Japan

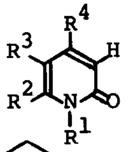


Tetrahedron Lett. 29,4299(1988)

ENANTIOSELECTIVE PHOTOCONVERSION OF PYRIDONES INTO β-LACTAM DERIVATIVES IN INCLUSION COMPLEXES WITH OPTICALLY ACTIVE HOST COMPOUNDS

Fumio Toda and Koichi Tanaka, Department of
Industrial Chemistry, Faculty of Engineering,
Ehime University, Matsuyama 790, Japan

Irradiation of 1:1 complexes of pyridones (1) with optically active host compounds, 3 and 4 in the solid state gave optically active β-lactam derivatives, 2-azabicyclo[2.2.0]-hex-5-en-3-ones (2).



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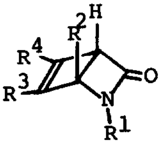

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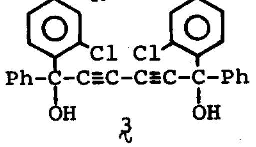

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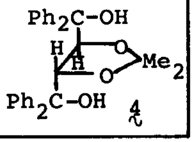

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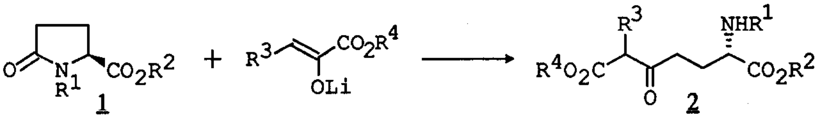
Tetrahedron Lett. 29,4303(1988)

REGIOSELECTIVE MONO-ADDITION OF LITHIUM ENOLATES TO N-CARBAMOYL-L-PYROGLUTAMATES

Tomihisa Ohta, Toshihiko Kimura, Nobuaki Sato, and Shigeo Nozoe*
Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980, Japan

Regioselective mono-addition of lithium enolates to N-carbamoyl-L-pyroglutamates 1 gave 5-oxoamino acid derivatives 2 in excellent yields.

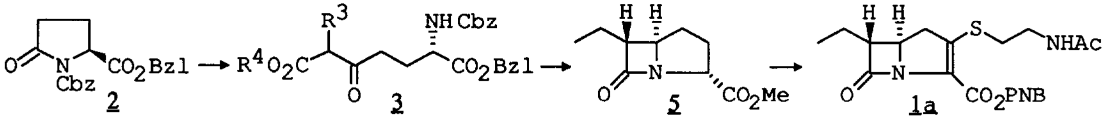


Tetrahedron Lett. 29, 4305 (1988

CHIROSPECIFIC SYNTHESIS OF (+)-PS-5 FROM L-GLUTAMIC ACID

Tomihisa Ohta, Nobuaki Sato, Toshihiko Kimura, and Shigeo Nozoe*
Pharmaceutical Institute Tohoku University, Aobayama, Sendai 980, Japan
Kunisuke Izawa, Basic Research Department, Central Research Laboratories,
Ajinomoto Co. Inc., Kawasaki 210, Japan

(+)-PS-5 PNB ester 1a has been synthesized from pyroglutamate 2.

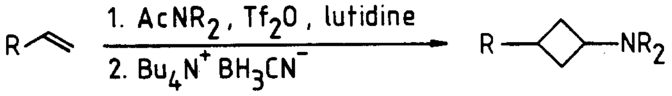


Tetrahedron Lett. 29, 4309 (1988

[2+2] CYCLOADDITIONS OF KETENIMINIUM IONS AND ALKENES: A STEREOSELECTIVE SYNTHESIS OF SUBSTITUTED CYCLOBUTYLAMINES

Christopher J Urch* and Gary C Walter
ICI Agrochemicals, Jealott's Hill Research Station,
Bracknell, Berkshire, RG12 6EY, U.K.

The [2+2] cycloaddition of keteniminium ions and alkenes followed by *in situ* reduction of the resultant iminium ion gives cyclobutylamines.

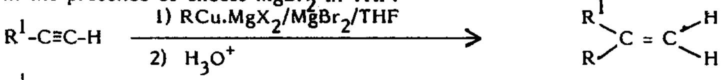


Tetrahedron Lett. 29, 4313 (1988)

Carbocupration of 1-Alkynes by Branched Alkyl Heterocuprates ($RCu.MgX_2$) in the presence of excess $MgBr_2$.

S. Achyutha Rao and M. Periasamy*
School of Chemistry, University of Hyderabad, Central University P.O., Hyderabad 500 134, India.

Less reactive 1-alkynes readily react with RMgX/CuCl(R = t-butyl, t-amyl, iso-propyl, iso-butyl) in the presence of excess $MgBr_2$ in THF.

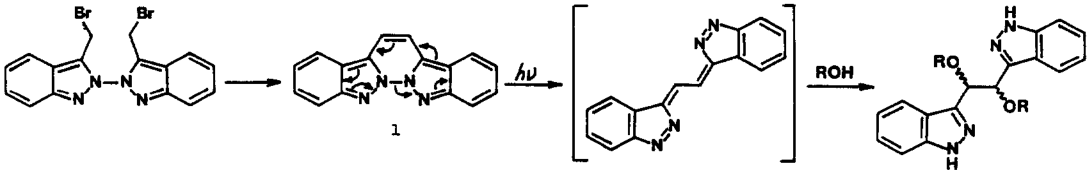


$R^1 = n\text{-}C_6H_{13}, n\text{-}C_8H_{17}$

Tetrahedron Lett. 29, 4315 (1988)

BIS-INDAZOLO[2,3-b;3',2'-f]PYRIDAZINE: A PHOTOLABILE NEW HETEROAROMATIC SYSTEM

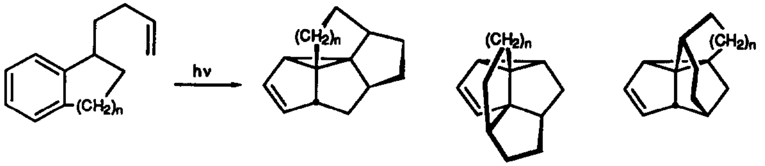
Juan-Carlos Cuevas, Javier de Mendoza* and Pilar Prados
Departamento de Química, Universidad Autónoma de Madrid, Cantoblanco, 28049-Madrid, Spain



Tetrahedron Lett. 29, 4319 (1988)

THE PHOTOCHEMISTRY OF CONSTRAINED NON-CONJUGATED ARENE-ETHENE BICHROMOPHORIC SYSTEMS

G.C.R. Ellis-Davies,* Chemistry Dept., The City University, London EC1, UK; J. Cornelisse, Gorleaus Laboritoria, Leiden, Holland.
A. Gilbert and A. Kashoulis-Koupparis, Chemistry Dept., Reading University, Reading, UK.



1 n=1
2 n=2

4
13

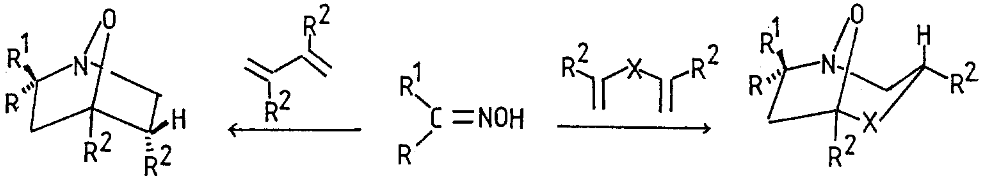
5
14

15

Tetrahedron Lett. 29, 4323 (1988)

REGIO- AND STEREO-SPECIFIC CLASS 2 TANDEM MICHAEL ADDITION-CYCLOADDITION REACTIONS OF OXIMES

Ronald Grigg*, John F. Malone, Michael R.J. Dorrity, Frances Heaney,
Shuleewan Rajviroongit, Visuvanathar Sridharan and Sivagnanasundram Surendrakumar
Department of Chemistry, The Queen's University of Belfast, Belfast BT9 5AG, Northern Ireland

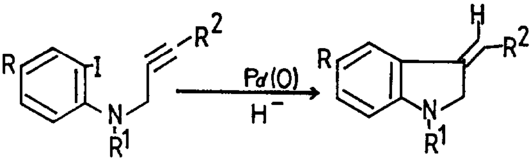


Tetrahedron Lett. 29, 4325 (1988)

PALLADIUM CATALYSED TANDEM CYCLISATION-ANION CAPTURE PROCESSES. HYDRIDE ION CAPTURE BY VINYLPALLADIUM SPECIES.

Barry Burns, Ronald Grigg*, Visuvanathar Sridharan and
Tanachat Worakun.
Department of Chemistry, The Queen's University of Belfast, Belfast, BT9 5AG, Northern Ireland.

Tandem cyclisation-hydride capture is a powerful new method for regio- and stereo-specific construction of carbo- and hetero-cyclic compounds.

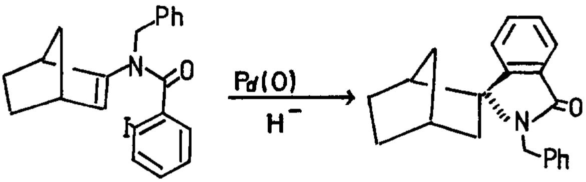


Tetrahedron Lett. 29, 4329 (1988)

PALLADIUM CATALYSED TANDEM CYCLISATION-ANION CAPTURE. HYDRIDE ION CAPTURE BY ALKYL- AND π-ALLYL-PALLADIUM SPECIES.

Barry Burns, Ronald Grigg,* Piniti Ratananukul, Visuvanathar Sridharan, Paul Stevenson and Tanachat Worakun.
Department of Chemistry, The Queen's University of Belfast, Belfast, BT9 5AG, Northern Ireland.

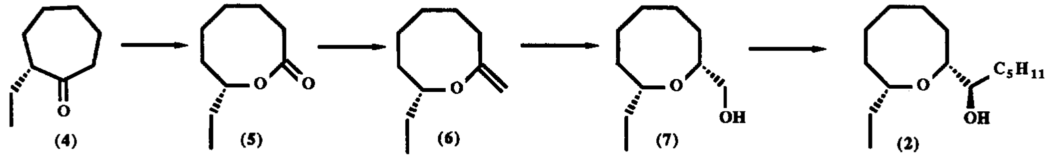
A new tandem cyclisation-hydride capture process allows interception of intermediate alkyl- and π-allyl-palladium species leading to carbo- and hetero-cyclic compounds in good yield.



Tetrahedron Lett. 29, 4333 (1988)

A STRATEGY FOR THE ASYMMETRIC SYNTHESIS OF MEDIUM RING OXYGEN HETEROCYCLES: ENANTIOSELECTIVE TOTAL SYNTHESIS OF (+)-OCTAHYDRODEACETYLDEBROMOLAURENCIN

J. Stephen Clark and Andrew B. Holmes*
University Chemical Laboratory, Lensfield Road, CAMBRIDGE CB2 1EW, U.K.



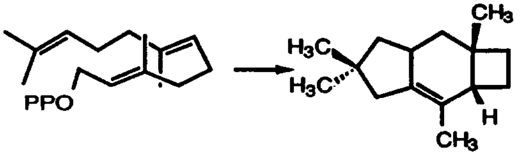
The asymmetric synthesis of the laurencin degradation product (2) *via* (4), (5), (6), and (7) demonstrates a new approach to the enantioselective synthesis of 2,8-disubstituted oxocanes, and confirms the absolute configuration of laurencin (1).

Tetrahedron Lett. 29, 4337 (1988)

THE ABSOLUTE STEREOCHEMISTRY OF THE ENZYMIC CYCLISATION TO FORM THE STERPURENE SESQUITERPENES

C. Abell* and A. P. Leech,
University Chemical Laboratory, Lensfield Road, Cambridge. CB2 1EW

Biosynthetic studies on the novel sterpurene sesquiterpene, 9,12-dihydroxysterpurene, have revealed the absolute stereochemistry of the enzymic cyclisation of the farnesyl pyrophosphate precursor.



Tetrahedron Lett. 29, 4341 (1988)

APPLICATION OF THE N^G-(2,2,5,7,8-PENTAMETHYLCHROMAN-6-SULPHONYL) DERIVATIVE OF FMOC-ARGININE TO PEPTIDE SYNTHESIS

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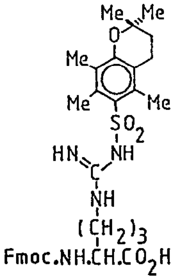
West Mains Road, Edinburgh EH9 3JJ, Scotland
S. McCurdy and R. Noble
Applied Biosystems Inc, 850 Lincoln Centre Drive,
Foster City, California 94404, USA

Use of Fmoc.Arg(Pmc).OH (1) in Solid Phase Peptide Synthesis is described exemplifying the acid-lability (TFA) of the Pmc group.



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