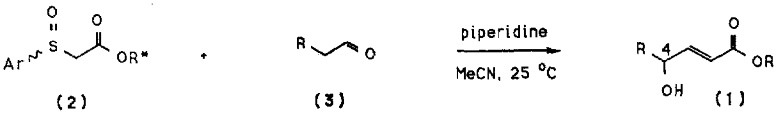
GRAPHICAL ABSTRACTS

Tetrahedron Lett. 30, 4325 (1989)

STEREOSELECTIVE SYNTHESES OF γ-HYDROXY-α,β-UNSATURATED ESTERS

Kevin Burgess and Ian Henderson
Chemistry, Rice University, Houston, Texas 77251

Double diastereoselection in the reactions of **sulfinyl** acetate esters **(2)** with α-unsubstituted aldehydes **(3)** has been investigated to facilitate asymmetric syntheses of γ-hydroxy-α,β-unsaturated esters **(1)**.

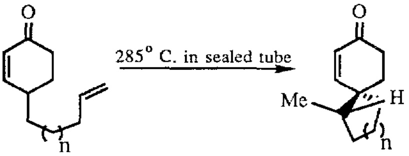


Tetrahedron Lett. 30, 4329 (1989)

THE "VINYLOGOUS" CONIA REARRANGEMENT : A MASKED ENE REACTION

Andrew S. Kende* and Ronald C. Newbold
Department of Chemistry, University of Rochester Rochester, New York 14627

Simple cyclohexenones bearing a C-4 side chain with terminal olefinic or acetylenic unsaturation undergo a novel rearrangement at 285° C to generate spirocyclic cyclohexenones (with C-4 spirocenter) in 48-72% isolated yields. The mechanism and scope of this new rearrangement are discussed, and evidence is presented for the proposed ene cyclization.

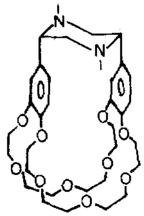


Tetrahedron Lett. 30, 4333 (1989)

STRUCTURAL IDENTIFICATION OF A NEW PIPERAZINO-CROWN ETHER DERIVATIVE USING ^{23}NA NUCLEAR MAGNETIC RESONANCE.

Harald D.H. Stöver[1] and Christian Detellier[2]
1. Department of Chemistry, Cornell University, Ithaca, N.Y. 14853-1301
2. Ottawa-Carleton Chemistry Institute, U. of Ottawa, Ottawa, Ont. K1N 6N5

The structure of a dimeric crown ether derived from adrenalin was shown to be:

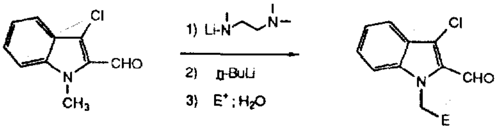


Tetrahedron Lett. 30, 4337 (1989)

N-METHYL LITHIATION OF N-METHYLINDOLES DIRECTED BY α-AMINO ALKOXIDES

Daniel L. Comins* and Michael O. Killpack
Department of Chemistry and Biochemistry, Utah State University, Logan, Utah 84322-0300

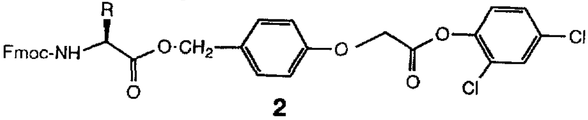
A novel N-methyl lithiation-alkylation of an α-amino alkoxide derived from 3-chloro-N-methyl-indole-2-carboxaldehyde is described.



Tetrahedron Lett. 30, 4341 (1989)

AN EFFICIENT METHOD FOR RACEMIZATION FREE ATTACHMENT OF 9-FLUORENYLMETHYLOXYCARBONYL-AMINO ACIDS TO PEPTIDE SYNTHESIS SUPPORTS

Michael S. Bernatowicz*, Thomas Kearney, Richard S. Neves and Hubert Köster
Peptide Research Laboratory, MilliGen/Biosearch Division - Millipore Corporation
186 Middlesex Turnpike, Burlington, MA 01803



2

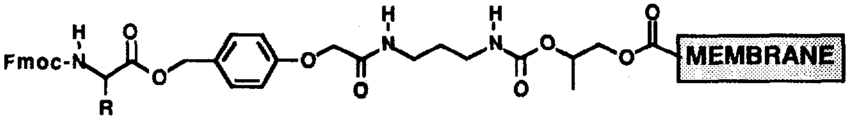
Compounds 2 were utilized for racemization free attachment to solid supports.

Tetrahedron Lett. 30, 4345 (1989)

MEMBRANES AS SOLID SUPPORTS FOR PEPTIDE SYNTHESIS

Scott B. Daniels*, Michael S. Bernatowicz, James M. Coull, and Hubert Köster
MilliGen/Biosearch Division of Millipore, 186 Middlesex Turnpike, Burlington, MA 01803

Summary: A hydroxypropylacrylate coated polypropylene membrane was used as a solid support for the synthesis of peptides. A racemization free Fmoc-amino acid-linker can be attached to the membrane for preparative synthesis of peptides or membrane-bound peptides can be used in biochemical and analytical applications.

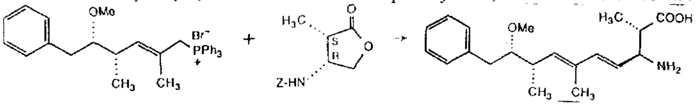


Tetrahedron Lett. 30, 4349 (1989)

TOTAL SYNTHESIS OF ADDA, THE UNIQUE C_{20} AMINO ACID OF CYANOBACTERIAL HEPATOTOXINS

Michio Namikoshi, Kenneth L. Rinehart, Andrew M. Dahlem,
Val R. Beasley, and Wayne W. Carmichael
Departments of Chemistry and Veterinary Biosciences, University of Illinois, Urbana, Illinois 61801 and Department of Biological Sciences, Wright State University, Dayton, Ohio 45435

(2S,3S,8S,9S)-3-Amino-9-methoxy-2,6,8-trimethyl-10-phenyl-4,6-decadienoic acid is synthesized.

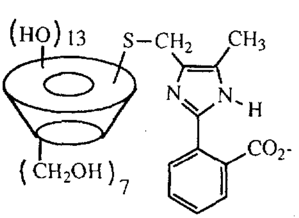


Tetrahedron Lett. 30, 4353 (1989)

A NOVEL SYNTHESIS OF SUBSTITUTED IMIDAZOLES, AND A REEXAMINATION OF A PURPORTED CHYMOTRYPSIN MODEL

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

The rearrangement of an N-hydroxyimidazole to a side-chain derivative permits an easy synthesis of compound 1. Contrary to previous reports, this is not a chymotrypsin mimic.

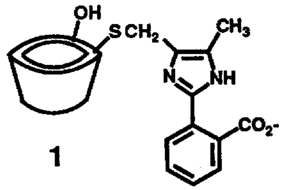


Tetrahedron Lett. 30, 4357 (1989)

ON THE EVALUATION OF A SMALL MOLECULE MIMIC OF CHYMOTRYPSIN

Steven C. Zimmerman
Department of Chemistry, University of Illinois
Urbana, Illinois 61801

An alternative analysis of the expermental data describing the hydrolysis of *m*-(*tert*-butyl)phenyl acetate by **1** shows that the imidazole-carboxylate moiety is not involved in the mechanism.



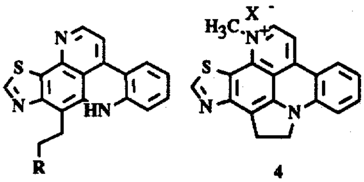
1

Tetrahedron Lett. 30, 4359 (1989)

NEW CYTOTOXIC ACRIDINE ALKALOIDS FROM TWO DEEP WATER MARINE SPONGES OF THE FAMILY *Pachastrellidae*.

Geewananda P. Gunawardana*, Shigeo Kohmoto, and Neal S. Burres
Division of Biomedical Marine Research, Harbor Branch Oceanographic Institution, Inc., 5600 Old Dixie Highway, Fort Pierce, Florida 34946 USA.

Four related cytotoxic alkaloids, norderctin (1), dercitamine (2), dercitamide (3), and cyclodercitin (4), were isolated from two sponges, a *Dercitus sp.* and a *Stelletta sp.*, and characterized by spectroscopic methods.



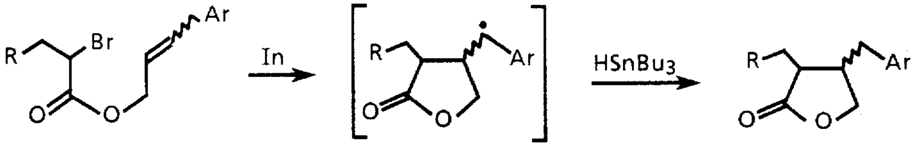
4

1 R = $N(CH_3)_2$ 2 R = $NHCH_3$
3 R = $NHCOC_2H_5$

Tetrahedron Lett. 30, 4363 (1989)

DIRECT BUTYROLACTONE PRODUCTION USING TIN HYDRIDE

J. L. Belletire* and N. O. Mahmoodi, Department of Chemistry, University of Cincinnati, Cincinnati, Ohio 45221-0172

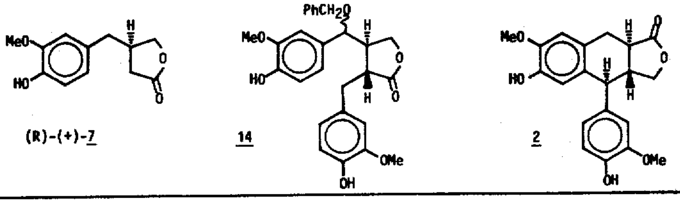


FIRST TOTAL SYNTHESIS OF (-)-α-CONIDENDRIN

Tetrahedron Lett. 30, 4371 (1989)

Patrick BOISSIN, Robert DHAL and Eric BROWN
URA CNRS 482, Faculté des Sciences, Route de Laval, BP 535, 72017 LE MANS CEDEX

The α,β-trans-disubstituted γ-butyrolactone 14 was obtained in five steps from (R)-(+)-7. Treatment of 14 with $BF_3.Et_2O$ afforded natural (-)-α-conidendrin 2 in 94 % yield.



(R)-(+)-7 14 2

Tetrahedron Lett. 30, 4375 (1989)

OXIDATIVE SI-C BOND CLEAVAGE OF ORGANOTRIFLUOROSILANES INVOLVING ORGANIC-GROUP MIGRATION FROM HYPERCOORDINATE SILICON TO OXYGEN

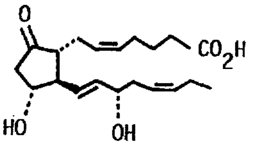
Kazuhiko Sato, Mitsuo Kira,* and Hideki Sakurai*
Department of Chemistry, Faculty of Science, Tohoku University,
Aoba-ku Sendai 980, Japan

$$\text{R-SiF}_3 \xrightarrow[\text{THF/CHCl}_3\text{, rt}]{\text{Me}_3\text{N-O}} [\text{RO-SiF}_3] \xrightarrow{\text{H}_2\text{O}} \text{ROH}$$

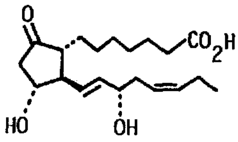
Tetrahedron Lett. 30, 4379 (1989)

A HIGHLY EFFICIENT SYNTHESIS OF NATURAL PGE_3 AND 5,6-DIHYDRO PGE_3 VIA TWO-COMPONENT COUPLING PROCESS

Sentaro Okamoto, Yuichi Kobayashi,
and Fumie Sato*
Department of Chemical Engineering,
Tokyo Institute of Technology,
Meguro, Tokyo 152, Japan



PGE_3



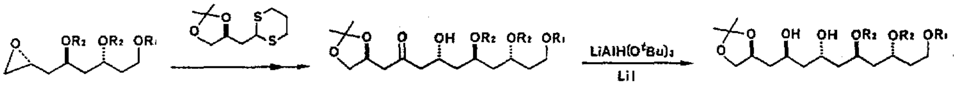
5,6-Dihydro PGE_3

Tetrahedron Lett. 30, 4383 (1989)

STEREOSELECTIVE SYNTHESIS OF 1,3-SYN-3,5-ANTI-TRIOLS USING A SYN-1,3-ASYMMETRIC REDUCTION: A NOVEL ROUTE TO ANTI-1,3-POLYOLS

Yuji Mori and Makoto Suzuki
Faculty of Pharmacy, Meijo University, Tempaku, Nagoya 468, Japan

A method for the stereoselective synthesis of anti-polyols containing a 1,3-syn-3,5-anti-triol unit using a syn-1,3-asymmetric reduction with $LiAlH(O^tBu)_3$-LiI is described.

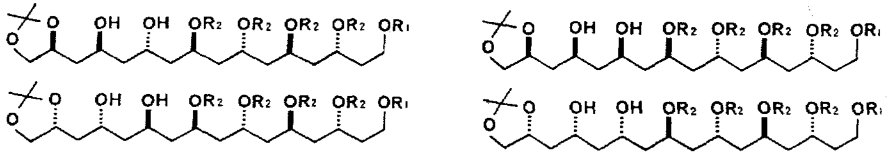


Tetrahedron Lett. 30, 4387 (1989)

STEREODIVERGENT SYNTHESIS OF 1,3-POLYOLS

Yuji Mori and Makoto Suzuki
Faculty of Pharmacy, Meijo University, Tempaku, Nagoya 468, Japan

Four 1,3-polyols containing both anti- and syn-diol units were synthesized stereoselectively.

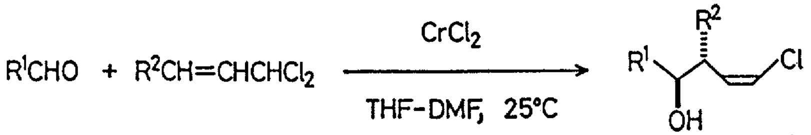


$R_1 = Si^tBuPh_2$
$R_2 = CH_2OCH_3$

Tetrahedron Lett. 30, 4389 (1989)

STEREOSELECTIVE ADDITION OF α-CHLORO ALLYLIC CHROMIUM REAGENTS TO ALDEHYDES.

Kazuhiko Takai,* Yasutaka Kataoka, and Kiitiro Utimoto*
Department of Industrial Chemistry, Kyoto University, Yoshida, Kyoto, Japan



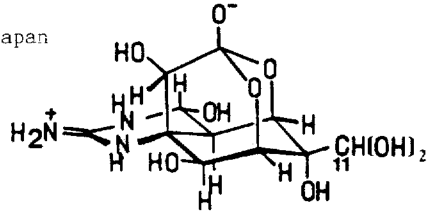
threo-Z product

Tetrahedron Lett. 30, 4393 (1989)

ISOLATION OF 11-oxoTETRODOTOXIN FROM THE PUFFER AROTHRON NIGROPUNCTATUS

Samanta S. Khora and Takeshi Yasumoto*
Faculty of Agriculture, Tohoku University, Sendai 981, Japan

A novel tetrodotoxin analog was isolated from the puffer *Arothron nigropunctatus* and identified as 11-oxotetrodotoxin hydrate on the basis of NMR and chemical transformation studies.

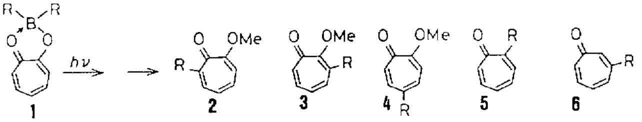


Tetrahedron Lett. 30, 4395 (1989)

PHOTOCHEMICAL REACTIONS OF DIALKYLBORYLTROPOLONATE COMPLEXES

Keiji Okada,* Haruki Inokawa, and Masaji Oda*
Department of Chemistry, Faculty of Science, Osaka University, Toyonaka, Osaka 560, Japan

Irradiation of a benzene solution of dialkylboryltropolonate complexes 1, followed by hydrolysis and methylation, produced tropolone and tropone derivatives 2-6.

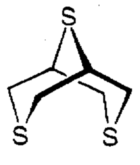


Tetrahedron Lett. 30, 4399 (1989)

TRANSANNULAR SULFUR-SULFUR INTERACTION IN 3,7,9-TRITHIABICYCLO[3.3.1]NONANE AS A NEW CYCLIC TRIS-SULFIDE: QUEST FOR THE INTRABRIDGED DITHIA DICATION

Hisashi Fujihara, Ryouichi Akaishi, and Naomichi Furukawa*
Department of Chemistry, University of Tsukuba,
Tsukuba, Ibaraki 305, Japan

Transannular S-S interaction in 3,7,9-trithiabicyclo[3.3.1]nonane (1) was found in the reactions of 1 and its sulfoxide with concd H_2SO_4 and in electrochemical oxidation.

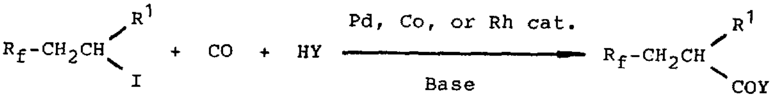


1

Tetrahedron Lett. 30, 4403 (1989)

CARBONYLATION OF 1-PERFLUOROALKYL-SUBSTITUTED 2-IODOALKANES CATALYZED BY TRANSITION-METAL COMPLEXES

Hisao Urata, Osamu Kosukegawa, Yoshimitsu Ishii, Hideki Yugari, and Takamasa Fuchikami*
Sagami Chemical Research Center, Nishi-Ohnuma 4-4-1, Sagamihara, Kanagawa 229, Japan



$$\underset{\mathbf{1}}{R_f\text{-}CH_2CH(R^1)(I)} + CO + HY \xrightarrow[\text{Base}]{\text{Pd, Co, or Rh cat.}} R_f\text{-}CH_2CH(R^1)(COY)$$

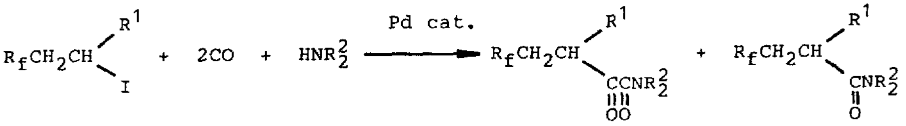
1

R_f = perfluoroalkyl group; HY = R^2OH, H_2O

Tetrahedron Lett. 30, 4407 (1989)

PALLADIUM-CATALYZED DOUBLE CARBONYLATION OF ALKYL IODIDES BEARING PERFLUOROALKYL GROUP

Hisao Urata, Yoshimitsu Ishii, and Takamasa Fuchikami*
Sagami Chemical Research Center, Nishi-Ohnuma 4-4-1, Sagamihara, Kanagawa 229, Japan



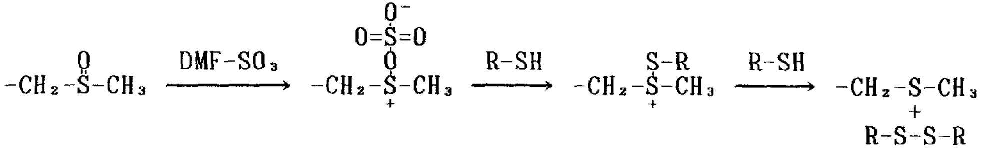
$$R_fCH_2CH(R^1)(I) + 2CO + HNR^2_2 \xrightarrow{\text{Pd cat.}} R_fCH_2CH(R^1)(C(=O)C(=O)NR^2_2) + R_fCH_2CH(R^1)(C(=O)NR^2_2)$$

1 (R_f = perfluoroalkyl group) 2 3

Tetrahedron Lett. 30, 4411 (1989)

FACILE REDUCTION OF METHIONINE SULFOXIDE WITH SULFUR TRIOXIDE/THIOL SYSTEM

S. Futaki, T. Taike, T. Yagami, T. Akita, and K. Kitagawa*
Faculty of Pharmaceutical Sciences, The University of Tokushima, Tokushima 770, Japan

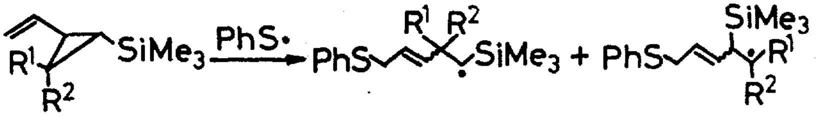


$$-CH_2-\overset{O}{\underset{}{S}}-CH_3 \xrightarrow{\text{DMF-}SO_3} -CH_2-\overset{O-SO_2-O^-}{\underset{+}{S}}-CH_3 \xrightarrow{\text{R-SH}} -CH_2-\overset{S-R}{\underset{+}{S}}-CH_3 \xrightarrow{\text{R-SH}} -CH_2-S-CH_3 + R-S-S-R$$

Tetrahedron Lett. 30, 4413 (1989)

STABILIZING EFFECT OF TRIALKYLSILYL GROUP ON CARBON RADICAL: RADICAL INDUCED RING OPENING OF 1-TRIALKYLSILYL-2-VINYLCYCLOPROPANES

Katsukiyo Miura, Koichiro Oshima*, and Kiitiro Utimoto*
Department of Industrial Chemistry, Faculty of Engineering, Kyoto University, Sakyo-ku, Kyoto 606, Japan

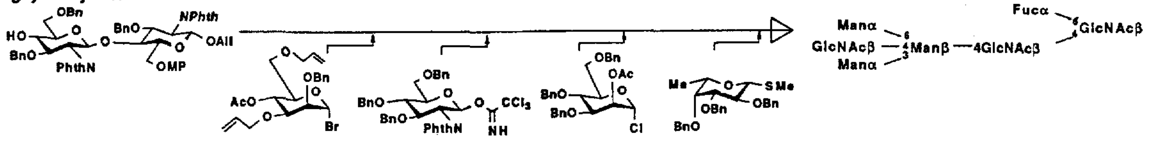


Tetrahedron Lett. 30, 4417 (1989)

STEREOSELECTIVE SYNTHESIS OF A CORE GLYCOHEPTAOSE OF BISECTED BIANTENARRY COMPLEX TYPE GLYCAN OF GLYCOPROTEINS

Fumito Yamazaki, Tomoo Nukada, Yukishige Ito, Susumu Sato, and Tomoya Ogawa*
RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-01 Japan

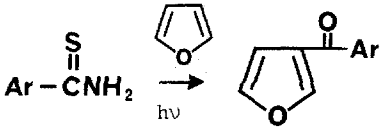
A stereocontrolled synthesis of the target glycoheptaose was achieved by use of a series of stereoselective glycosylations.



Tetrahedron Lett. 30, 4421 (1989)

PHOTOREACTION OF ARENECARBOTHIOAMIDES WITH FURANS. THE REGIOSELECTIVE PHOTOINDUCED ACYLATION AT THE 3-POSITION OF FURANS

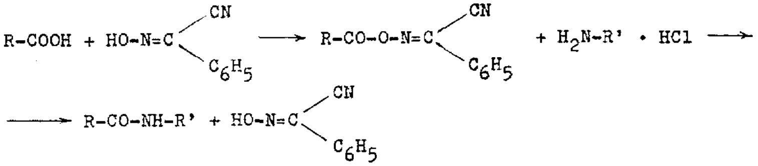
Kazuaki Oda* and Minoru Machida
Faculty of Pharmaceutical Sciences, Higashi-Nippon-Gakuen University, Ishikari-Tobetsu, Hokkaido 061-02
Yuichi Kanaoka
Fuculty of Pharmaceutical Sciences, Hokkaido University, Sapporo 060, Japan



Tetrahedron Lett. 30, 4423 (1989)

2-HYDROXYIMINO-2-PHENYLACETONITRILE ACTIVE ESTERS IN PEPTIDE SYNTHESIS

Wiktor Koziołkiewicz and Anna Janecka
Department of General Chemistry, Institute of Physiology and Biochemistry
School of Medicine of Łódź, 90-131 Łódź, Poland



$$R\text{-}COOH + HO\text{-}N{=}C\begin{smallmatrix}CN\\ C_6H_5\end{smallmatrix} \longrightarrow R\text{-}CO\text{-}O\text{-}N{=}C\begin{smallmatrix}CN\\ C_6H_5\end{smallmatrix} + H_2N\text{-}R' \cdot HCl \longrightarrow$$

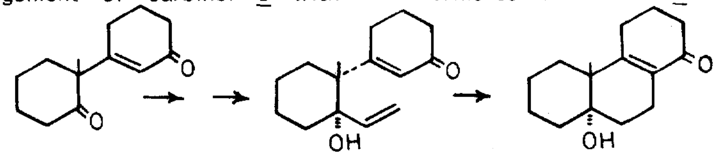
$$\longrightarrow R\text{-}CO\text{-}NH\text{-}R' + HO\text{-}N{=}C\begin{smallmatrix}CN\\ C_6H_5\end{smallmatrix}$$

Tetrahedron Lett. 30, 4427 (1989)

SYNTHESIS OF A POTENTIAL STEROID INTERMEDIATE BY ANIONIC OXYCOPE REARRANGEMENT.

G. Sathyamoorthi, K. Thangaraj, P.C. Srinivasan S. Swaminathan*
Department of Organic Chemistry, University of Madras, Guindy campus, Madras - 600 025, India.

The rearrangement of carbinol 5 with KH furnishes the ketol 6 - a potential steroid intermediate.



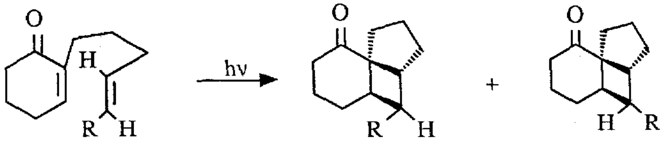
3 5 6

Tetrahedron Lett. 30, 4429 (1989)

Topological and Steric effects in Mechanism of Intramolecular [2+2] Photocycloaddition

D. Becker, N. Haddad, Y. Sahali. Department of Chemistry, Technion- Israel Institute of Technology, Technion City, Haifa 32000, Israel.

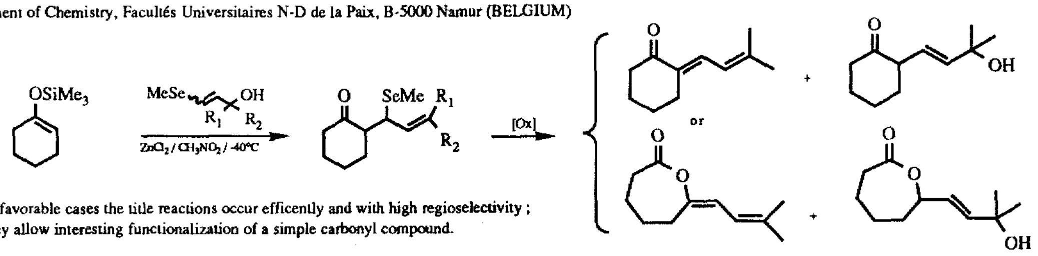
The intramolecular [2+2] photocycloaddition of olefins and allenes has been studied. The mechanistic consequences are discussed.



Tetrahedron Lett. 30, 4433 (1989)

REACTIONS OF SELENIUM STABILIZED ALLYLIC CARBOCATIONS WITH 1-(TRIMETHYLSILYLOXY) CYCLOHEXENE

L. Hevesi* and A. Lavoix
Deprtment of Chemistry, Facultés Universitaires N-D de la Paix, B-5000 Namur (BELGIUM)



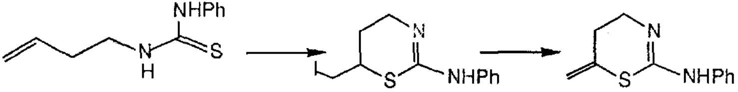
In favorable cases the title reactions occur efficently and with high regioselectivity ; they allow interesting functionalization of a simple carbonyl compound.

Tetrahedron Lett. 30, 4435 (1989)

SYNTHESIS AND ELABORATION OF HETEROCYCLES VIA IODOCYCLISATION OF UNSATURATED THIOUREAS

Paul I. Creeke and John M. Mellor*
Department of Chemistry, The University, Southampton SO9 5NH.

Iodocyclisation of thioureas gives dihydrothiazines, dihydrothiazoles and dihydroimidazoles, which can be elaborated by elimination reactions.

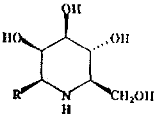


Tetrahedron Lett. 30, 4439 (1989)

IMINOHEPTITOLS AS GLYCOSIDASE INHIBITORS: SYNTHESIS OF AND SPECIFIC α-L-FUCOSIDASE INHIBITION BY β-L-HOMOFUCONOJIRIMYCIN AND 1-β-C-SUBSTITUTED DEOXYMANNOJIRIMYCINS

G. W. J. Fleet,[a] S. K. Namgoong,[a] C. Barker,[b] S. Baines,[b]
G. S. Jacob[c] and B. Winchester[b,d]
[a]Dyson Perrins Laboratory, Oxford University, South Parks Road, Oxford OX1 3QY, UK
[b]MRC Human Genetic Diseases Research Group, King's College London, London W8 7AH, UK
[c]Glycobiology Unit, Biochemistry Department, Oxford OX1 3QU, UK
[d]Enzyme Section, Institute of Child Health, 30, Guilford Street, London WC1N 1EH, UK

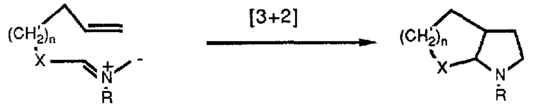
Studies on the synthesis of, and specific α-L-fucosidase inhibition by, some β-alkyl deoxymannojirimycins and related compounds are described; none of the compounds showed significant mannosidase inhibition.



Tetrahedron Lett. 30, 4443 (1989)

INTRAMOLECULAR [3+2] CYCLOADDITIONS OF NON-STABILISED AZOMETHINE IMIDATE-METHYLIDES

Alex I.D. Alanine and Colin W.G. Fishwick*
School of Chemistry, The University of Leeds, Leeds LS2 9JT.

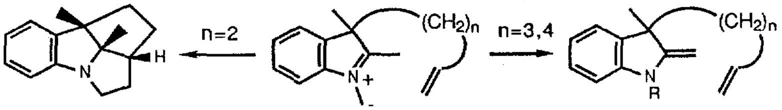


Non-stabilised azomethine imidate and thioimidate-methylides, generated via fluoride ion induced desilylation of N-(trimethylsilyl)methyl-2-alkoxy imminium salts undergo facile intramolecular cycloaddition with unactivated and electron deficient dipolarophiles affording pyrrolo[2,3-b]furans and pyrans.

Tetrahedron Lett. 30, 4447 (1989)

INTRAMOLECULAR [3+2] CYCLOADDITION VERSUS 1,4-HYDROGEN SHIFT IN INDOLIUM-N-METHYLIDES

Colin W.G. Fishwick*[a], Andrew D. Jones[a], and Micheal B. Mitchell[b].
[a] School of Chemistry, The University of Leeds, Leeds LS2 9JT.
[b] Smith Kline and French Research Ltd,Old Powder Mills, Tonbridge, Kent TN11 9AN.



2,3-Dimethyl-3-(but-3-enyl)-indolium methylide undergoes facile stereospecific intramolecular [3+2] cycloaddition to yield a novel tetracyclic indoline ring system. The analogous -(pent-4-enyl) and -(hex-5-enyl) systems fail to undergo cycloaddition but yield the corresponding N-methyl-2-methylene derivatives in moderate yield.

Tetrahedron Lett. 30, 4449 (1989)

GENERATION AND CYCLOADDITIONS OF 2-(N-ACYLAMINO)-1-THIA-1,3-DIENES

Ian T. Barnish,[b] Colin W.G. Fishwick,[a] David R. Hill[a] and Csaba Szantay Jr.[a,1]
[a] School of Chemistry, The University of Leeds, Leeds LS2 9JT, U.K.
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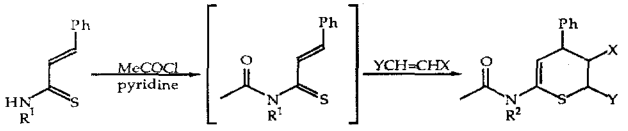
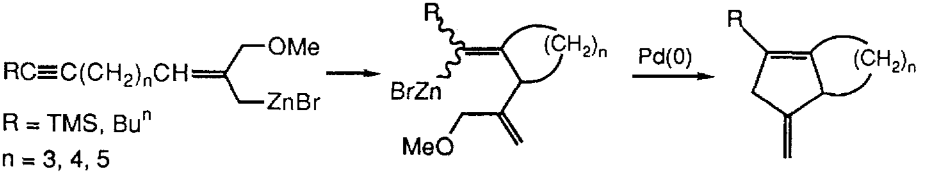
2-(N-Acylamino)-1-thia-1,3-dienes are a new class of reactive hetero-1,3-diene. These systems undergo Diels-Alder cycloaddition with electron deficient, non-activated and electron rich alkenes to yield usefully functionalised dihydrothiopyrans.



Tetrahedron Lett. 30, 4453 (1989)

1,5-ANNELATED 4-METHYLENECYCLOPENTENES BY INTRAMOLECULAR TYPE I ZINC-ENE REACTIONS FOLLOWED BY Pd(0)-CATALYZED CYCLIZATION

J. van der Louw, C.M.D. Komen, A. Knol, F.J.J. de Kanter, J.L. van der Baan, F. Bickelhaupt and G.W. Klumpp,*
Scheikundig Laboratorium, Vrije Universiteit, De Boelelaan 1083, 1081 HV Amsterdam, The Netherlands.



R = TMS, Bu^n
n = 3, 4, 5