

GRAPHICAL ABSTRACTS

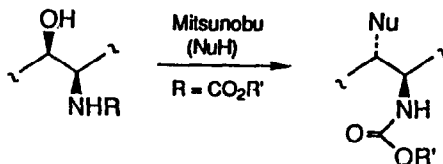
Tetrahedron Lett. 1990, 31, 5253

THE STEREOCHEMICAL OUTCOME FROM MITSUNOBU COUPLINGS OF VICINAL, N-PROTECTED ACYCLIC AMINO ALCOHOLS

Bruce H. Lipshutz* and Todd A. Miller

Department of Chemistry, University of California, Santa Barbara, CA 93106

Mitsunobu reactions on carbamates of acyclic amino alcohols afford products of mono-inversion, contrary to what is expected (i.e., retention) in the case of amide derivatives.



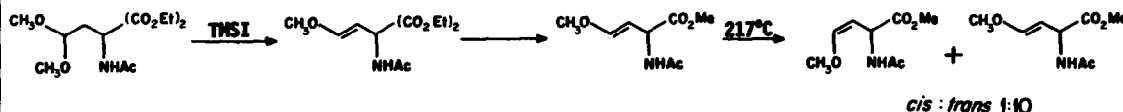
Tetrahedron Lett. 1990, 31, 5257

IMPROVED SYNTHETIC ACCESS TO THE β,γ -ENOL ETHER ACIDS,

L-2-AMINO-4-METHOXY-TRANS-BUT-3-ENOIC ACID AND L-2-AMINO-4-METHOXY-CIS-BUT-3-ENOIC ACID

VITAUTS ALKS AND JANICE R. SUFRIN

Grace Cancer Drug Center, Roswell Park Cancer Institute, Buffalo, NY 14263, USA



Tetrahedron Lett. 1990, 31, 5261

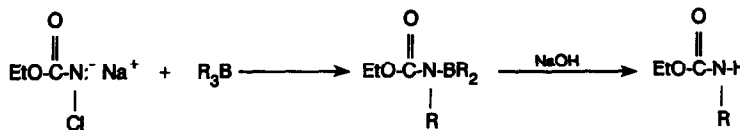
THE REACTIONS OF ORGANOBORANES WITH N-CHLORO-N-SODIO-CARBAMATES: A NOVEL SYNTHESIS OF N-ALKYLCARBAMATES.

Nanette Wachter-Jurcsak and Frank E. Scully, Jr.*

Department of Chemistry and Biochemistry

Old Dominion University, Norfolk, Virginia 23529-0126

Trialkylboranes react with N-chloro-N-sodiocarbamates to form N-alkylcarbamates in yields ranging from 50-88%.



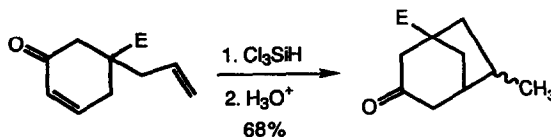
Tetrahedron Lett. 1990, 31, 5265

ORGANOSILICON RADICAL-INDUCED CYCLIZATION REACTIONS

George A. Kraus* and Spiros Liras

Department of Chemistry, Iowa State University, Ames, IA 50011

The first examples of selective additions of organosilicon radicals are reported. Trichlorosilyl radicals preferentially attack the carbonyl oxygen atom, while triethylsilyl radicals preferentially attack alkenes.

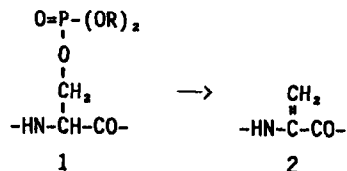


PREPARATION OF DEHYDROALANINE PEPTIDES FROM 2,2,2-TRICHLOROETHYL AND DIPHENYL PHOSPHONOSERINE DERIVATIVES

Alenka Paquet

Food Research Centre, Agriculture Canada
Ottawa, Ontario, Canada K1A 0C6

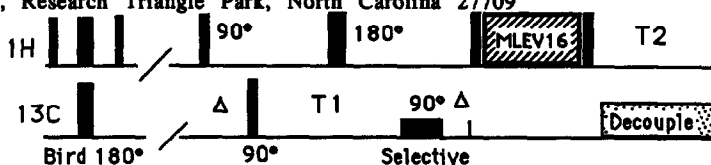
Dehydroalanine peptides (2) have been prepared from the corresponding phosphoserine derivatives (1) and an organic base. Triethylamine was used for peptides with COOH-terminal phosphoserine and the Dabco base was used for compounds having phosphoserine as the NH₂-terminal or internal residue.



1D HMQC-TOCSY: A SELECTIVE ONE-DIMENSIONAL ANALOGUE OF HMQC-TOCSY

Ronald C. Crouch*, John P. Shockcor and Gary E. Martin
Burroughs Wellcome Co., Research Triangle Park, North Carolina 27709

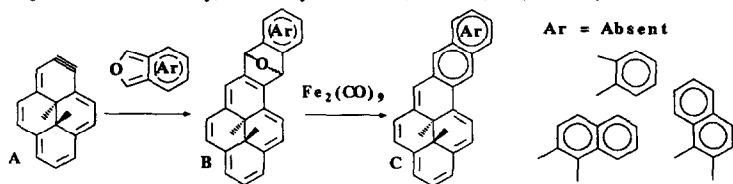
A carbocyclic nucleoside is used as a model to demonstrate a new nmr experiment.



THE SYNTHESIS AND TRAPPING OF THE FIRST [14]ANNULYNE WITH BENZYNE LIKE REACTIVITY. A FAST ROUTE TO SEVERAL ANNELATED BRIDGED ANNULENES.

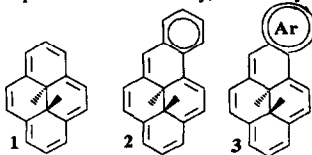
Reginald H. Mitchell* and Pengzu Zhou. Department of Chemistry, University of Victoria, Victoria, BC, Canada, V8W 2Y2.

The [14]annulyne **A** was trapped with several furans and the adducts **B** were deoxygenated to annulenes **C**.



AN EXPERIMENTAL NMR METHOD TO ESTIMATE RESONANCE ENERGIES OF 4N+2 π-ELECTRON SYSTEMS RELATIVE TO THAT OF BENZENE.

Reginald H. Mitchell*, Santhanagopalan Venugopalan, Pengzu Zhou and Thomas W. Dingle
Department of Chemistry, University of Victoria, Victoria, BC, Canada, V8W 2Y2.



Comparison of the chemical shifts of the internal methyl protons of **1**, **2**, and **3** allows an experimental determination of resonance energy (RE) relative to that of benzene (= 1), using the equation:

$$\delta_1 - \delta_3 = 2.50RE^* + 0.08$$

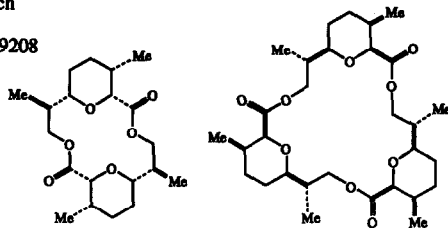
where RE* is defined as the difference between the RE's of the annelating and residual aromatic rings.

Tetrahedron Lett. 1990, 31, 5285

CHIRAL SYNTHETIC MACRODIOLIDE AND MACROTRIOLIDE IONOPHORES WITH C_2 - AND C_3 -SYMMETRY

Steven D. Burke,* Warren J. Porter, Jean Rancourt, and Robert F. Kaltenbach
Departments of Chemistry, University of Wisconsin-Madison
Madison, WI 53706 USA and University of South Carolina, Columbia, SC 29208

The synthesis, ion binding data, and crystallographic analyses of the depicted enantiomerically pure macrocyclic hosts are reported.

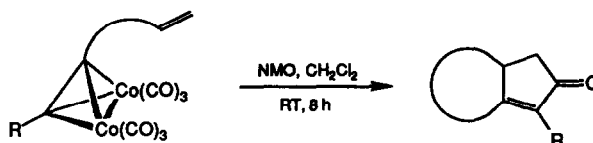


Tetrahedron Lett. 1990, 31, 5289

N-OXIDE PROMOTED PAUSON-KHAND CYCLIZATIONS AT ROOM TEMPERATURE

Soroosh Shambayati, William E. Crowe, and Stuart L. Schreiber
Department of Chemistry, Harvard University

Tertiary amine oxides effect cobalt-mediated intramolecular cyclizations of enynes (Pauson-Khand reactions) at room temperature. The stereoselectivity, range and limitations of this method are examined.

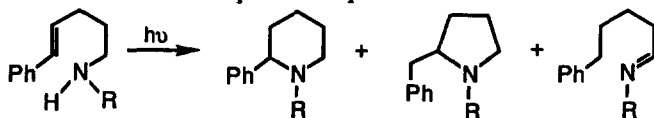


Tetrahedron Lett. 1990, 31, 5293

Intramolecular Photochemical Reactions of N-Alkyl-5-Phenyl-4-penten-1-amines

Frederick D. Lewis* and G. Dasharatha Reddy
Department of Chemistry, Northwestern University, Evanston, IL
60208 USA

Product ratios are dependent upon the bulk of the N-alkyl group.

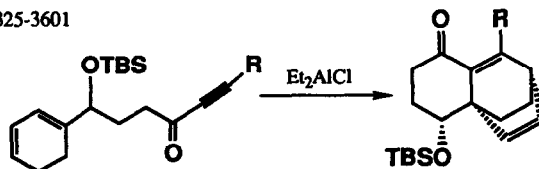


Tetrahedron Lett. 1990, 31, 5297

SYNTHESIS OF TRICYCLO[6.2.2.0^{1,6}]DODECA-6,9-DIENES. AN APPROACH TO CLERODANE DITERPENES.

Michael J. Taschner* and Patrick T. Cyr
Department of Chemistry, University of Akron, Akron, Ohio 44325-3601

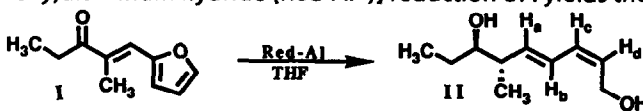
The preparation of tricyclo[6.2.2.0^{1,6}]dodeca-6,9-dienes via Lewis acid catalyzed intramolecular cycloadditions is reported. The cycloadditions proceed through boat transition states.



MECHANISTIC ASPECTS OF A NOVEL 1,2-3,4 REDUCTIVE-FRAGMENTATION THAT ESTABLISHES FOUR STEREO-CENTERS IN A SINGLE STEP.

Ronald B. Gammill,* Larry T. Bell and Stephen A. Mizsak
Upjohn Laboratories, The Upjohn Company, Kalamazoo, MI 49001 USA

Red-Al® [sodium bis(methoxyethoxy)aluminum hydride (Red-Al®)] reduction of I yields the novel diene-diol II in which four stereochemical elements are established in a single step.

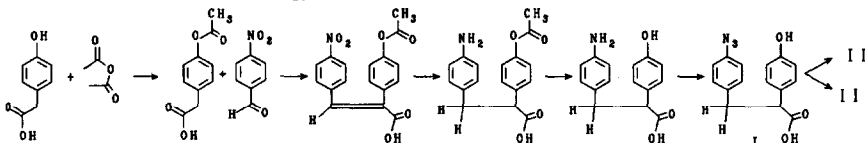
**MECHANISTIC AND STEREOCHEMICAL ASPECTS OF THE 1,2-3,4 HYDRIDE REDUCTION OF ENONES**

Ronald B. Gammill,* Sharon A. Nash, Larry T. Bell, William Watt, Stephen A. Mizsak, Terrence A. Scahill, and Denis Sobieray
Upjohn Laboratories, The Upjohn Company, Kalamazoo, MI 49001 USA

LiAlH₄ or Red-Al® reduction of α,β -unsaturated ketones can proceed via a 1,2-3,4 mode of reduction. In certain cases up to three stereocenters can be established.

**SYNTHESIS OF A MULTIFUNCTIONAL RADIOIODINATABLE PHOTOAFFINITY PROBE**

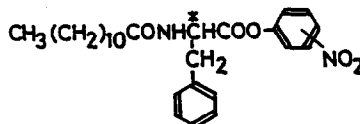
Thomas J. Mende, Lloyd S. Schulman, and Daniel G. Baden
University of Miami School of Medicine Department of Biochemistry and Molecular Biology, and Rosenstiel School of Marine and Atmospheric Science Division of Marine Biology and Fisheries, Miami Florida 33101.



I: 3-*p*-azidophenyl 2-*p*-hydroxyphenyl propionic acid; II: ethylene diamine monoamide; III: 2-*p*-tetrahydropyranoxy-phenyl derivative.

REMARKABLE SUBSTITUENT EFFECTS ON THE MICELLAR ENANTIO-SELECTIVE HYDROLYSIS OF AMINO ACID ESTERS

Ryuichi Ueoka,* Yōko Matsumoto, Hiroyuki Dōzono, Yoshihiro Yano, Hironobu Hirasa, Kōichi Goto, and Yasuo Kato
Department of Industrial Chemistry, Faculty of Engineering, Kumamoto Institute of Technology, Ikeda, Kumamoto 860, Japan

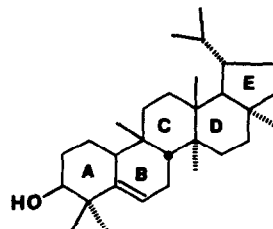


The remarkable substituent effects were observed for the enantioselective hydrolysis of the long-chained and nitro-substituted phenyl esters; With respect to the enantioselectivity, *p*-isomers ($k_{\psi}^{\pm}/k_{\psi}^{\mp} = 40.2$) > *o*-isomers (20.1) > *m*-isomers (10.8) and with respect to the rate-enhancement, *o*-isomers > *p*-isomers >> *m*-isomers, respectively.

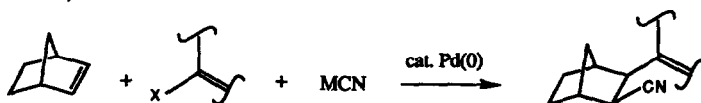
HANCOKINOL, A NOVEL TRITERPENE, FROM *CYNANCHUM HANCOKIANUM*

Yaeko Konda, Mieko Iguchi, Yoshihiro Harigaya,* Hiroaki Takayanagi, Haruo Ogura, Xian Li,^{a*} Hongxiang Lou,^a and Masayuki Onda^a
 School of Pharmaceutical Sciences, Kitasato University, Minato-ku, Tokyo 108, Japan and Shenyang College of Pharmacy, Wenhua-lu, Shenyang, China^a

Summary: Absolute stereochemistry of hancokinol, mp 229-230° (MeOH), $[\alpha]_D^{20} +16.2^\circ$ (CHCl₃), has been elucidated by means of spectroscopic and X-ray analyses.

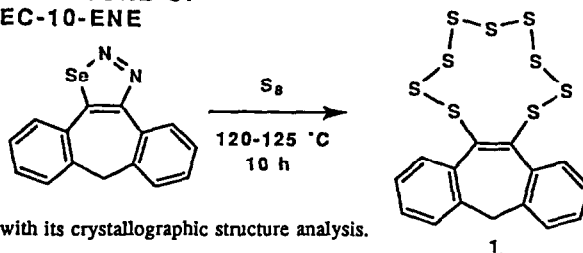

 PALLADIUM-CATALYZED TANDEM ASSEMBLY OF NORBORNENE, VINYLIC HALIDES, AND CYANIDE NUCLEOPHILE LEADING TO *CIS-EXO*-2,3-DISUBSTITUTED NORBORNANES

Sigeru TORII,* Hiroshi OKUMOTO, Harutoshi OZAKI, Seizo NAKAYASU, and Takayuki KOTANI
 Department of Applied Chemistry, Faculty of Engineering, OKAYAMA University, Tsushima Naka, Okayama 700, JAPAN



NOVEL FORMATION AND MOLECULAR STRUCTURE OF 1,2,3,4,5,6,7,8,9-NONATHIACYCLOUNDEC-10-ENE

Norihiro Tokitoh, Yohinori Okano, and W. Ando*
 Department of Chemistry, University of Tsukuba, 1-1-1 Tennohdai, Tsukuba, Ibaraki 305, Japan
 Midori Goto and Hiroshi Maki,
 National Chemical Laboratory for Industry, 1-1 Higashi, Tsukuba, Ibaraki 305, Japan

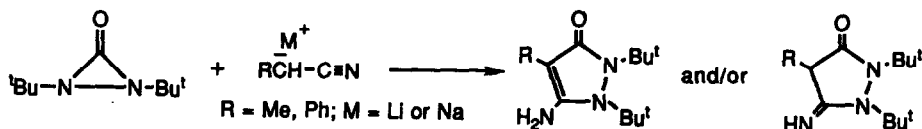


Synthesis of a novel cyclic polysulfide **1** was described with its crystallographic structure analysis.

 RING ENLARGEMENT OF DIAZIRIDINONE: PYRAZOLINONE-FORMING REACTION WITH α -METALATED NITRILE

Mitsuo Komatsu, Toyokazu Yagii, and Yoshiki Ohshiro*
 Department of Applied Chemistry, Osaka University, Yamadaoka 2-1, Suita, Osaka 565, Japan

Functionalized five-membered heterocycles such as aminopyrazolinone and/or iminopyrazolidinone were synthesized by ring enlargement reaction of diaziridinone with α -metalated nitrile.



**RHODIUM CATALYZED DIRECT COUPLING OF
 α,β -UNSATURATED KETONE, ALDEHYDE, AND TRIALKYLSILANE:
 AN EASY ACCESS TO REGIO-DEFINED ALDOL DERIVATIVES**

Isamu Matsuda,* Koji Takahashi, and Susumu Sato
 Department of Synthetic Chemistry, Faculty of Engineering, Nagoya University,
 Chikusa, Nagoya 464-01, JAPAN



**A REMARKABLE STERIC CONTROL FOR THE ENANTIOSELECTIVE
 HYDROLYTIC-CLEAVAGE OF AMINO ACID ESTERS RESPONDING TO
 IONIC STRENGTH**

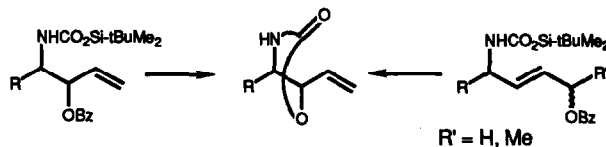
Ryuichi Ueoka,* Masanori Cho, Yōko Matsumoto, Kōichi Goto, Yasuo Kato, Kumiko Harada,
 and Atsushi Sugii
 Department of Industrial Chemistry, Faculty of Engineering, Kumamoto Institute of
 Technology, Ikeda, Kumamoto 860, Japan

The dramatically beautiful effect of ionic strength on the enantioselective hydrolysis of amino acid esters by the active tripeptide was observed in the hybrid-assemblies composed of 59 mol% double-chain surfactant and 41 mol% single-chain one in mild conditions.

**Pd-CATALYZED S_{CN}' REACTIONS: STEREOSELECTIVE
 FORMATION OF CYCLIC CARBAMATES FROM *TERT*-
 BUTYLDIMETHYLSILYL CARBAMATES**

Glen Spears, Koji Nakanishi, and Yasufumi Ohfuné* (Suntory Institute for Bioorganic Research)
 Shimamoto-cho, Osaka 618, Japan

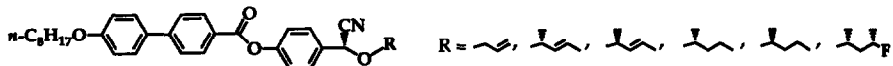
Stereoselective formation of cyclic carbamates
 in a S_{CN}' manner was achieved by the intramolecular
 trapping of a *tert*-butyldimethylsilyloxy carbonyl group
 with several allylic esters upon activation with fluoride
 and cat. Pd(0).



SYNTHESIS OF OPTICALLY ACTIVE α -CYANO BENZYL ALKYL ETHERS

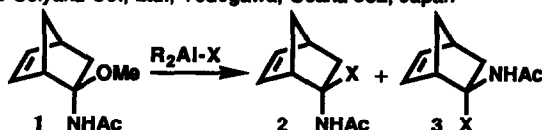
Tetsuo Kusumoto, Takeshi Hanamoto, Ken-ichi Sato, Tamejiro Hiyama,* Sadao Takehara,†*
 Tadao Shoji,† Masashi Osawa,† Takeshi Kuriyama,† Kayoko Nakamura,† and Toru Fujisawa†
 Sagami Chemical Research Center, 4-4-1 Nishiohnuma, Sagamihara, Kanagawa 229, Japan
 †Central Research Laboratories, Dainippon Ink and Chemicals, Inc., 631 Sakado, Sakura, Chiba 285, Japan

Chiral α -cyanobenzyl ethers below were prepared by allylation of chiral cyanohydrins under the acidic conditions followed by selective hydrogenation, or alternatively by the Johnson's cyanation of chiral acetals followed by fluorination.



A SYNTHESIS OF 2-EXO-SUBSTITUTED 2-ENDO-AMINONORBORNENES: ORGANOALUMINIUM-PROMOTED NUCLEOPHILIC SUBSTITUTION ON 2-ENDO-ACETAMIDO-2-EXO-METHOXYNORBORNENE

Hiro Yoshi Yamazaki, Hiroshi Horikawa*, Takashi Nishitani, and Tameo Iwasaki*
Department of Synthetic Chemistry, Research Laboratory of Applied Biochemistry,
Tanabe Seiyaku Co., Ltd., Yodogawa, Osaka 532, Japan

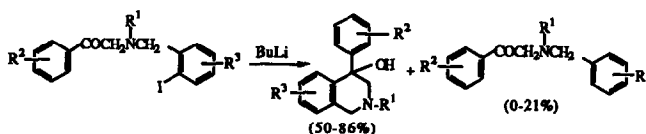


R = Me, Et
X = SCH₂Ph, SPh, SEt, SCH₂CO₂Me,
NHPH, C≡C-Ph, C≡C-OTHP

2 / 3 = 97-99 : 3-1

A NEW INTRAMOLECULAR BARBIER REACTION OF N-(2-iodobenzyl)phenacylamines: A CONVENIENT SYNTHESIS OF 1,2,3,4-Tetrahydroisoquinolin-4-ols

Masaru Kihara,* Minoru Kashimoto,
Yoshimaro Kobayashi, and Shigeru
Kobayashi*[†]: Faculty of Pharmaceutical
Sciences, The University of Tokushima,
Sho-machi, Tokushima 770, Japan and
[‡]Faculty of Home Economics, Shikoku
Women's University, Ohjin-cho,
Tokushima 771-01, Japan

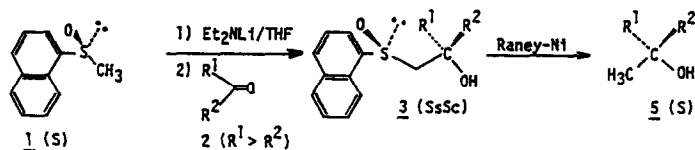


R¹: Me, CH₂Ph, COOB, R²: H, F, Cl, Br, OMe, R³: H, OMe

HIGHLY ENANTIOSELECTIVE ADDITION OF (S)-LITHIOMETHYL 1-NAPHTHYL SULFOXIDE TO KETONES

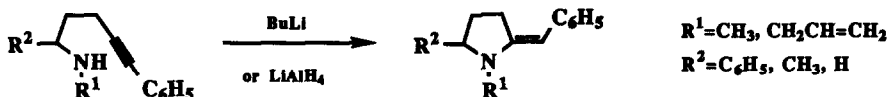
Hidetake Sakuraba* and Shigeru Ushiki, Department of Industrial Chemistry, Faculty of Engineering, Kanto Gakuin University, 4834, Kanazawa-Mitsuura, Yokohama, Kanagawa 236, Japan.

(S)-Lithiomethyl 1-naphthyl sulfoxide reacts enantioselectively with ketones to give 3 with diastereomeric excess up to 100%.



NEW FACILE SYNTHESIS OF SUBSTITUTED 2-BENZYLIDENEPYRROLIDINES BY THE ANIONIC CYCLIZATION OF δ-ALKYNYLAMINES

Masao Tokuda, Hirotake Fujita, and Hiroshi Sugimoto
Department of Chemical Process Engineering, Faculty of Engineering,
Hokkaido University, Sapporo 060, Japan

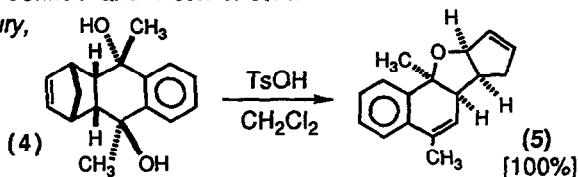


AN UNUSUAL REARRANGEMENT OF THE TETRACYCLO[10.2.1.0^{2,11}.0^{4,9}]PENTADECANE SKELETON

James M. Coxon,* Siew Tai Fong, Michael J. O'Connell and Peter J. Steel*

Department of Chemistry, University of Canterbury,
Christchurch, New Zealand.

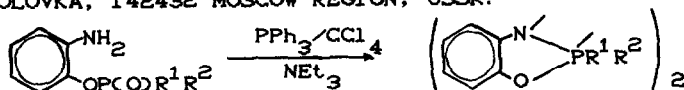
Acid catalysed rearrangement of the diol **4** produces the cyclic ether **5** in a process involving C1-C2 cleavage of a norbornyl unit.



A SIMPLE SYNTHESIS OF DIMERIC 2,2-DISUBSTITUTED 1,3,2-BENZOXAZAPHOSPHOLES FROM PHOSPHORYL P^{IV}-COMPOUNDS.

A. N. BOVIN, A. N. CHEKHOV, E. N. TSVETKOV.*

INSTITUTE OF PHYSIOLOGICALLY ACTIVE SUBSTANCES, USSR ACADEMY OF SCIENCES
CHERNOGOLOVKA, 142432 MOSCOW REGION, USSR.

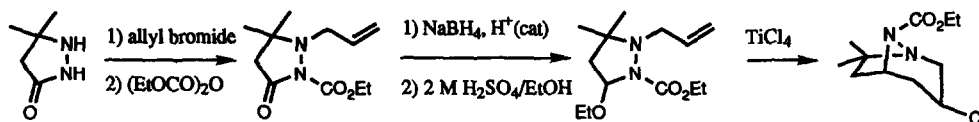


Dehydration of *o*-aminophenyl phosphinates or phosphonates by $\text{PPh}_3/\text{CCl}_4$ - reagent provides an efficient route to dimeric 1,3,2-benzoxazaphospholes (P^{V}).

SYNTHESIS OF BRIDGED BICYCLIC HYDRAZINES VIA CYCLIC *N*-ACYLHYDRAZONIUM INTERMEDIATES

Frank O. H. Pirrung, Floris P. J. T. Rutjes, Henk Hiemstra*, and W. Nico Speckamp*

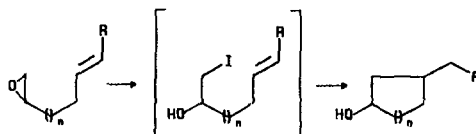
Laboratory of Organic Chemistry, University of Amsterdam, Nieuwe Achtergracht 129, 1018 WS Amsterdam, The Netherlands



ONE POT CYCLIZATION OF EPOXYOLEFINS TO SUBSTITUTED CYCLOALKANOLS VIA FREE RADICALS

C. Bonini^a, R. Di Fabio^b, S. Mecozzi^b and G. Righi^b. a. Dipartimento di Chimica, Università della Basilicata, Via M. Sauro 85, 85100 Potenza; b. Centro C.N.R. per lo Studio della Chimica delle Sostanze Naturali, Università "La Sapienza", P.le A. Moro 5, 00185 Roma, ITALY.

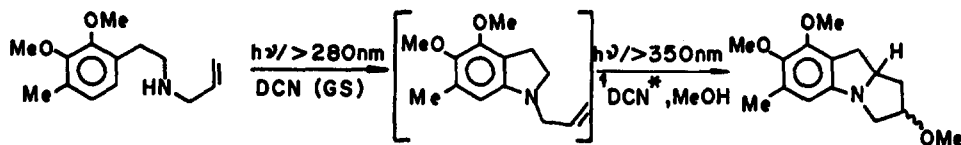
ABSTRACT: 1,2 epoxyolefins (**γ** or **δ**) provide functionalized carbocycles via regioselective oxirane ring opening to the corresponding iodohydrines and subsequent radical cyclization mediated by tributyltin hydride.



REGIOSPECIFIC DIHYDROINDOLES DIRECTLY FROM β -ARYL-ETHYLAMINES BY PHOTOINDUCED SET REACTION: ONE POT "WAVELENGTH SWITCH" APPROACH TO BENZOPYRROLIZIDINES RELATED TO MITOMYCIN

Ganesh Pandey*, M. Sridhar & U.T. Bhalerao

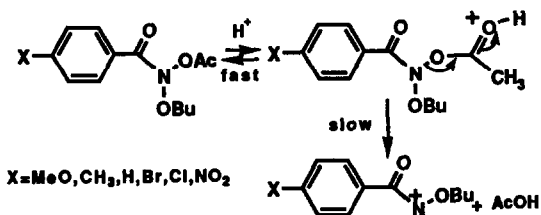
Organic Division II, Indian Institute of Chemical Technology, Hyderabad 500 007, India.



SOLVOLYSIS AND MUTAGENESIS OF N-ACETOXY-N-ALKOXYBENZAMIDES - EVIDENCE FOR NITRENIUM ION FORMATION

John J. Campbell, Stephen A. Glover* and Colleen A. Rowbottom
Chemistry Department, University of New England, Armidale, N.S.W.2351, Australia

Mutagenic N-acetoxy-N-butoxybenzamides undergo acid-catalysed solvolysis in acetonitrile/water with nitrenium ion formation. Levels of mutagenicity mirror the rates of solvolysis.



SYNTHESIS OF POTENTIAL INHIBITORS OF THE ENZYME ASPARTATE TRANSCARBAMOYLASE

Stephen D. Lindell* and Richard M. Turner, Schering Agrochemicals Ltd., Chesterford Park Research Station, Saffron Walden, Essex CB10 1XL, UK.

