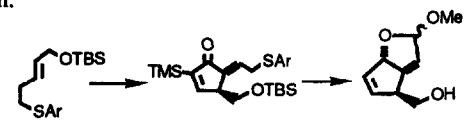
Tetrahedron Lett. **1992**, *33*, 151

A FORMAL SYNTHESIS OF PGA2 USING THE DIRECTED PAUSON-KHAND REACTION.

Marie E. Krafft* and Colin Wright
Department of Chemistry, Florida State University, Tallahassee, FL 32306-3006

Acetal **1**, a key intermediate in the synthesis of PGA_2, was prepared using the directed Pauson-Khand cycloaddition.



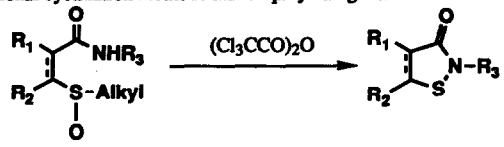
(1)

Tetrahedron Lett. **1992**, *33*, 153

Benzyl and t-Butyl Sulfoxides as Sulfenyl Halide Equivalents: A Convenient Preparation of Benzisothiazolones

Stephen W. Wright*, Matthew M. Abelman, Lori L. Bostrom, Ronald L. Corbett
The Du Pont Merck Pharmaceutical Company, Du Pont Experimental Station, Wilmington, Delaware 19880-0353

A method is described for the synthesis of benzisothiazolones by dealkylative cyclization that provides a mild alternative to conventional cyclization methods that employ halogens.

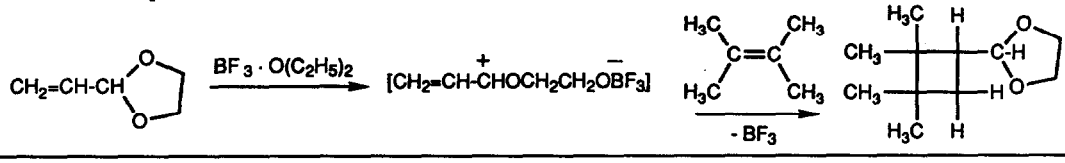


Tetrahedron Lett. **1992**, *33*, 157

CYCLOBUTANE FORMATION IN THE $2\pi+2\pi$ CYCLOADDITION OF ALLYL AND RELATED CATIONS TO UNACTIVATED OLEFINS. EVIDENCE FOR THE SECOND STEP IN THE PROPOSED MECHANISM OF THE IONIC DIELS-ALDER REACTION.

Paul G. Gassman* and Andrew C. Lottes, Department of Chemistry, University of Minnesota, Minneapolis, Minnesota 55455 USA

A variety of carbocation activated olefins have been shown to add to unactivated (isolated) olefins in an intermolecular stepwise $2\pi + 2\pi$ cycloaddition to yield cyclobutanes.

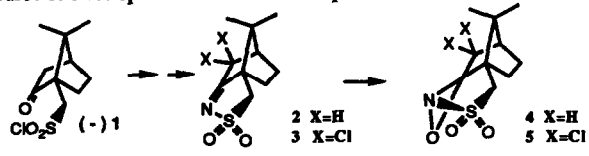


Tetrahedron Lett. **1992**, *33*, 161

A Convenient, Improved Synthesis of (Camphoryl)sulfonyl oxaziridines.

§I. Mergelsberg, D. Gala*, §D. Scherer, D. DiBenedetto, and §M. Tanner. Schering Plough Research, Bloomfield, NJ 07003, USA, and §Werthenstein Chemie AG, CH-6105 Schachen, Switzerland.

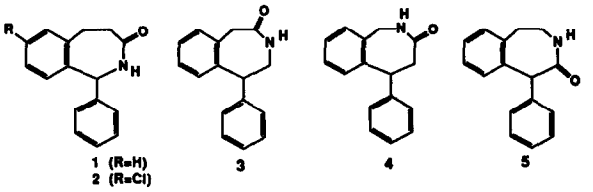
A practical synthesis of oxaziridines **4**, and **5** in excellent step yield (~90%) is reported. The use of dichloro-dimethyl hydantoin as a source of electrophilic chlorine is also reported.



Tetrahedron Lett. **1992**, *33*, 165

SYNTHESIS OF NOVEL TETRAHYDROBENZAZEPINONES

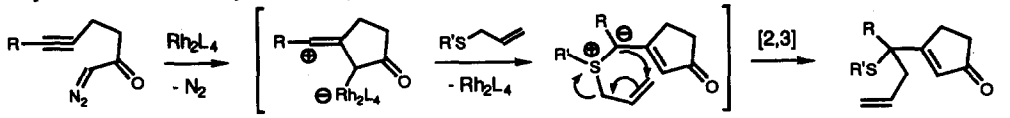
Carl A. Busacca* and Robert E. Johnson, Sterling Research Group, 81 Columbia Turnpike, Rensselaer, NY 12144. The syntheses of novel benzazepinones 1, 2, and 3 and an improved synthesis of 4 are described.



Tetrahedron Lett. **1992**, *33*, 169

TANDEM ALKYNE INSERTION AND ALLYL SULFONIUM YLIDE REARRANGEMENT OF γ,δ-ALKYNYL-α'-DIAZOKETONES

Thomas R. Hoye and Christopher J. Dinsmore*
Department of Chemistry, University of Minnesota, Minneapolis, MN 55455

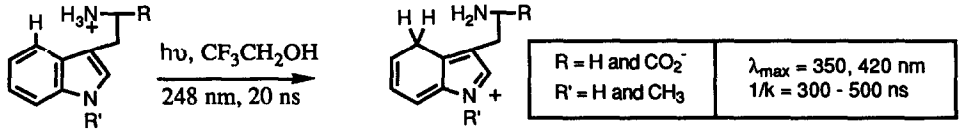


Sulfonium ylide formation and rearrangement *after* 5-exo *or* 6-endo cyclization can be induced efficiently.

Tetrahedron Lett. **1992**, *33*, 173

FLASH PHOTOLYSIS OBSERVATION AND LIFETIMES OF THE CATION INTERMEDIATES IN THE INTRAMOLECULAR PHOTOPROTONATION OF TRYPTAMINE, TRYPTOPHAN AND THEIR N-METHYL DERIVATIVES

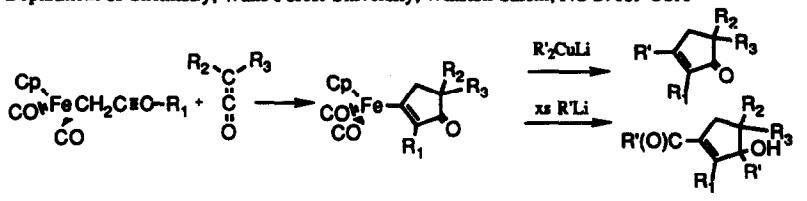
Frances Cozens, Robert A. McClelland* and Steen Steenken, Department of Chemistry, University of Toronto, Toronto, Ontario, Canada M5S 1A1 and Max-Planck-Institut fur Strahlenchemie, Mulheim D-4330, West Germany



Tetrahedron Lett. **1992**, *33*, 177

Nonoxidative Transition-Metal-Carbon Bond Cleaving Reactons and Their Application in a Transition-Metal Mediated Cyclopentenone Synthesis.

LiMing Ni, John A. Belot, and Mark E. Welker*
Department of Chemistry, Wake Forest University, Winston-Salem, NC 27109 USA

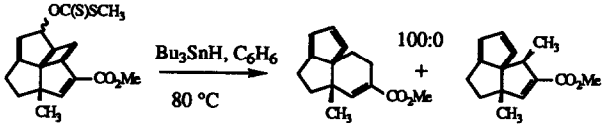


Tetrahedron Lett. **1992**, *33*, 181

A FRAGMENTATION-REARRANGEMENT SEQUENCE OF CYCLOBUTYLCARBINYL RADICALS

Michael T. Crimmins*, Caroline M. Dudek and Adrian Wai-Hing Cheung
Department of Chemistry; University of North Carolina; Chapel Hill, North Carolina 27599-3290

The fragmentation of cyclobutylcarbinyl radicals produces primary radicals which can undergo addition to adjacent pi-bonds resulting in ring expansion reactions.

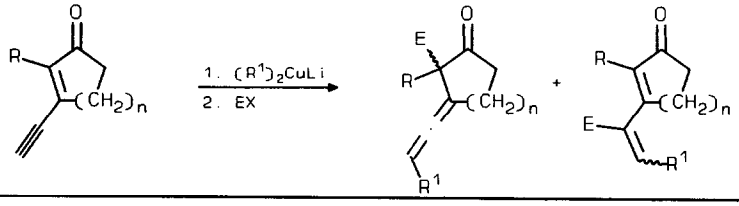


Tetrahedron Lett. **1992**, *33*, 185

AMBIPHILIC ALLENYL ENOLATES: REACTIONS WITH ELECTROPHILES

Sang-Ho Lee,[a] Mei-Jue Shih,[a] and Martin Hulce [b]
[a]Department of Chemistry and Biochemistry, University of Maryland Baltimore County, Baltimore, MD 21228; [b]Department of Chemistry, Creighton University, Omaha, NE 68178.

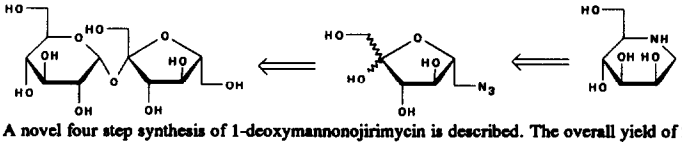
1,6 Addition/electrophilic trapping of 3-ethynyl-2-cycloalkenones provides α,δ- and γ,δ-dialkylated products. Typically, the latter products predominate.



Tetrahedron Lett. **1992**, *33*, 189

A SIMPLE CONVERGENT SYNTHESIS OF THE MANNOSIDASE INHIBITOR 1-DEOXYMANNONOJIRIMYCIN FROM SUCROSE

Anna de Raadt and Arnold E. Stütz
Institut für Organische Chemie der Technischen Universität Graz, Stremayrgasse 16, A-8010 Graz, Austria



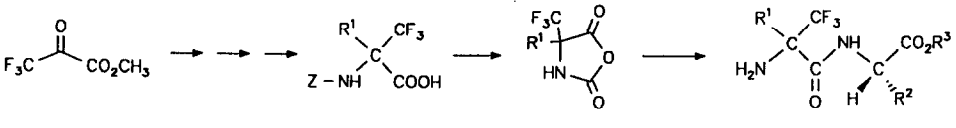
A novel four step synthesis of 1-deoxymannonojirimycin is described. The overall yield of 27% can be increased to 35% by conversion of the side product, 6-azido-6-deoxy-D-glucose, into the key intermediate 6-azido-6-deoxy-D-fructofuranose with the aid of glucose isomerase.

Tetrahedron Lett. **1992**, *33*, 193

PEPTIDE MODIFICATION BY INTRODUCTION OF α-TRIFLUOROMETHYL α-AMINO ACIDS VIA 4-TRIFLUOROMETHYL-1,3-OXAZOLIDIN-2,5-DIONES

Christian Schierlinger and Klaus Burger*, Organisch-Chemisches Institut der Technischen Universität München, Lichtenbergstraße 4, W-8046 Garching, FRG.

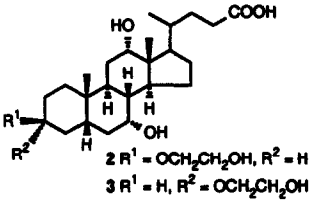
α-Trifluoromethyl substituted α-amino acids can be introduced into the N-terminal position of peptides on carboxyl group activation via Leuchs anhydrides.



Tetrahedron Lett. **1992**, *33*, 195

MODIFIED BILE ACIDS: PREPARATION OF 7α,12α-DIHYDROXY-3β- AND 7α,12α-DIHYDROXY-3α-(2-HYDROXYETHOXY)-5β-CHOLANIC ACID AND THEIR BIOLOGICAL ACTIVITY

G. Wess*, W. Kramer, W. Bartmann, A. Enhsen, H. Glombik, S. Müllner, K. Bock, A. Dries, H. Kleine, W. Schmitt
Hoechst AG, Pharma Forschung, Postfach 800320, D-6230 Frankfurt-80

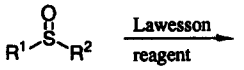


2 and 3 have been prepared from cholic acid.

Tetrahedron Lett. **1992**, *33*, 199

THE LAWESSON REAGENT AS SELECTIVE REDUCING AGENT FOR SULFOXIDES

Herbert Bartsch and Thomas Erker
Institute of Pharmaceutical Chemistry, University of Vienna; Währinger Straße 10, A-1090 Vienna, Austria



R^1 and/or R^2 = alkyl, aryl, alkenyl, alkoxycarbonylmethyl, heterocyclic lactams.

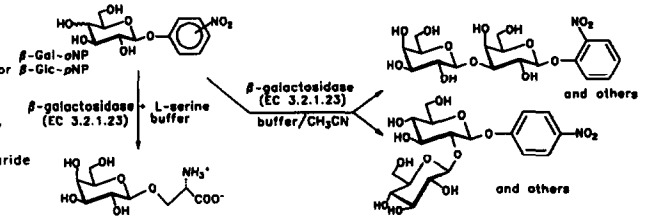
The selectivity of Lawesson reagent as a reducing agent for several functionalized sulfoxides is shown.

Tetrahedron Lett. **1992**, *33*, 201

GALACTOSYLATION AND GLUCOSYLATION BY USE OF β-GALACTOSIDASE

Bernd Sauerbrei and Joachim Thiem*
Institut für Organische Chemie, Universität Hamburg, Martin-Luther-King-Platz 6, 2000 Hamburg 13, Germany

Novel enzymatic syntheses of nitrophenyl disaccharide β-glycosides and galactopyranosyl-L-serine are described.

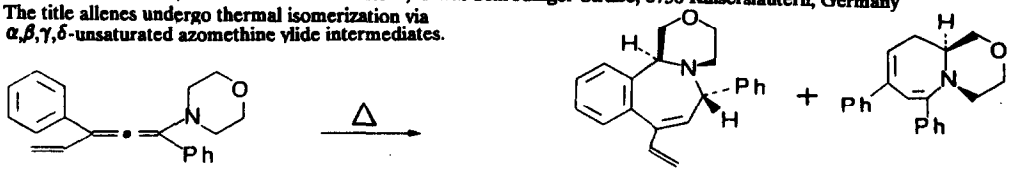


Tetrahedron Lett. **1992**, *33*, 205

THERMAL ISOMERIZATION OF 1-MORPHOLINO-3-PHENYL (OR VINYL)-ALLENES: SYNTHESIS OF THE [1,4]OXAZINO-[4,3-a]AZEPINE FRAMEWORK

Theo Mayer and Gerhard Maas*
Fachbereich Chemie, Universität Kaiserslautern, Erwin-Schrödinger-Straße, 6750 Kaiserslautern, Germany

The title allenes undergo thermal isomerization via α,β,γ,δ-unsaturated azomethine ylide intermediates.



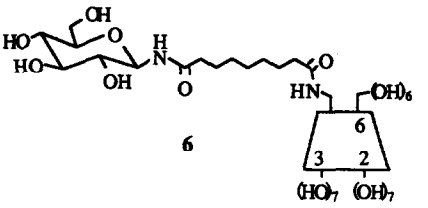
Tetrahedron Lett. **1992**, *33*, 209

Vectorised Transport of Drugs: Synthesis of a New Glycosyl Derivative of ß-Cyclodextrin

Hélène Parrot-Lopez,[*1] Hervé Galons,[1] Anthony W. Coleman,[2] Jacqueline Mahuteau,[2] and Marcel Miocque[2]

[1] Laboratoire de Chimie Organique 2, Faculté de Pharmacie, Université René Descartes, 4 Avenue de l'Observatoire, 75270 Paris Cedex 06 (France)

[2] Laboratoire de Chimie Organique, associé au CNRS, Centre Pharmaceutique, Université de Paris Sud Châtenay-Malabry, 92296 Cedex (France)



6

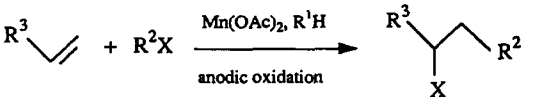
Synthesis of a novel glycosyl derivative of ß-cyclodextrin; ability to include and solubilise active molecules

Tetrahedron Lett. **1992**, *33*, 213

Mn(III)-Mediated Electrochemical C,C-Bond Formation : Radical Addition of Polyhalomethanes to Olefins

Khaddouj Nohair, Isabelle Lachaise, Jean-Paul Paugam, Jean-Yves Nédélec*, CNRS, Laboratoire d'Electrochimie, Catalyse et Synthèse Organique, UMR 28, 2 rue H. Dunant, 94320 Thiais, France.

Free-radical chain addition of various polyhalomethanes to olefins can be easily initiated by electrochemically *in situ* generated manganic salt used in catalytic amount associated with an equimolar amount of a Mn(III)-oxidizable compound like methyl cyano- or aceto-acetate.



R^1H = methyl cyano- or aceto-acetate

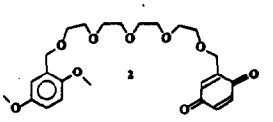
$R^2X = BrCCl_3, CBr_4, CF_2Br_2, Br_2C(CO_2Me)_2$

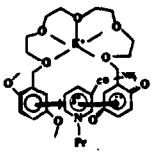
Tetrahedron Lett. **1992**, *33*, 217

AN ARTIFICIAL ALLOSTERIC SYSTEM : REGULATION OF A BIOMIMETIC REDUCTION (NADH MODEL) BY POTASSIUM ION

Jean-Louis Pierre*, Geneviève Gagnaire, Pierre Chautemps; Laboratoire de Chimie Biomimétique, LEDSS , URA CNRS 332, Université Joseph Fourier, BP 53 X, 38041 Grenoble, France

The reduction of 2 with 1-propyl -1,4 dihydronicotinamide exhibits a kinetic enhancement in the presence of potassium ions. The potassium induced conformational change allows a CT interaction in the transition state.



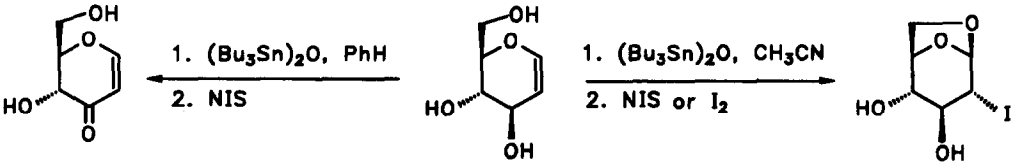


Tetrahedron Lett. **1992**, *33*, 221

Versatile Behavior of *O*-Stannylated D-Glucal Towards Halogens

Stanislas Czernecki, Christine Leteux and Alain Veyrières*

Laboratoire de Chimie des Glucides, Université Pierre et Marie Curie, 4 Place Jussieu, 75005 Paris, France

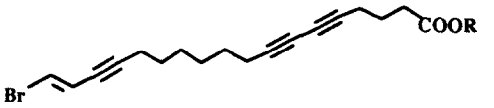


Tetrahedron Lett. **1992**, *33*, 225

BIOACTIVE BROMOPOLYACETYLENES FROM THE MARINE SPONGE *XESTOSPONGIA TESTUDINARIA*.

M.L. Bourguet-Kondracki, M.T. Rakotoarisoa, M.T. Martin, and M. Guyot.
Laboratoire de Chimie Appliquée aux Corps Organisés, URA 401 CNRS, M. N.H. N., 63 rue Buffon, 75231-PARIS Cedex 05.

Xestospongic acid 1a and its ethyl ester 2 have been isolated from the sponge *Xestospongia testudinaria*. Structures were determined by spectroscopic study of the methyl ester 1b.



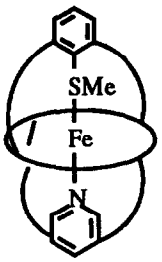
1a R=H
1b R=CH_3
2 R=CH_2 CH_3

Tetrahedron Lett. **1992**, *33*, 227

SYNTHESIS AND NMR CHARACTERIZATION OF A BIS-STRAPPED SIX-COORDINATE Fe(II) CYTOCHROME-C MODEL

B.Boitrel, A.Lecas-Nawrocka and E.Rose
Laboratoire de Chimie Organique, Université P. et M.Curie
Tour 44-45, 4 Place Jussieu, 75252 Paris Cedex 05, France

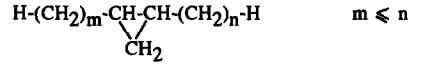
This hexadentate bis-strapped porphyrin has been synthesized



Tetrahedron Lett. **1992**, *33*, 231

CYCLOPROPANE RING LOCATION IN LINEAR ALIPHATIC COMPOUNDS BY NO^+-INDUCED ION-MOLECULE REACTIONS

Jacques Einhorn[1]*, Alfredo Parrilla[2], Christian Malosse[1] and Angel Guerrero[2]
[1]Station de Phytopharmacie, INRA, route de St Cyr, 78000 Versailles, France
[2]Department of Biological Organic Chemistry, CID (CSIC), 08034 Barcelona, Spain

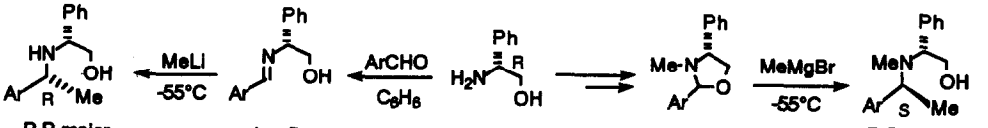


A direct and sensitive method which gives (NO)-containing diagnostic ions.

Tetrahedron Lett. **1992**, *33*, 235

DIASTEREOSELECTIVE ADDITION OF ORGANOMETALLIC REAGENTS TO CHIRAL IMINES AND 1,3-OXAZOLIDINES

K. Higashiyama, H. Inoue, and H. Takahashi
Faculty of Pharmaceutical Science, Hoshi University,
Ebara, Shinagawa-ku, Tokyo 142, Japan



R,R-major

Ar= Phenyl, 4-methoxyphenyl, 2-Furyl, 2-Thienyl, 3-Thienyl

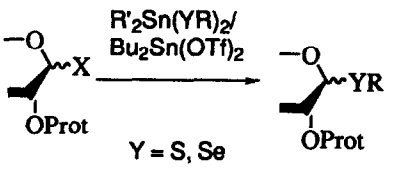
R,S-major

Tetrahedron Lett. **1992**, *33*, 239

ACTIVATION AND SYNTHETIC APPLICATIONS OF THIO-STANNANES. A NEW METHOD FOR SYNTHESIS OF THIO- AND SELENOGLYCOSIDES

T. Sato, Y. Fujita, J. Otera, and H. Nozaki
Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama 700, Japan

Thio- and selenoglycosides were obtained by treatment of methyl or acetyl glycosides with thio- and selenostannanes in the presence of $Bu_2Sn(OTf)_2$.

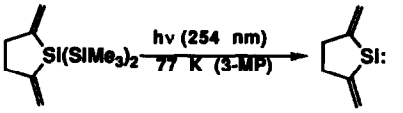


Tetrahedron Lett. **1992**, *33*, 243

THE FIRST MATRIX-ISOLATION AND ELECTRONIC STRUCTURE OF VINYL-SUBSTITUTED SILYLENES

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

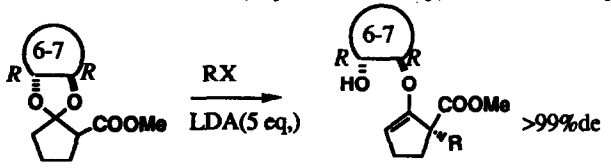
The first vinylsilylenes were isolated from photolysis of the corresponding trisilanes in 3-MP matrix at 77 K.



Tetrahedron Lett. **1992**, *33*, 247

APPLICATION OF CHIRAL CYCLIC DIOLS TO ASYMMETRIC ALKYLATION

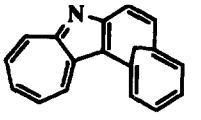
Keisuke Kato, Hiroshi Suemune, Kiyoshi Sakai*
Faculty of Pharmaceutical Sciences, Kyushu University, Fukuoka 812, Japan



Tetrahedron Lett. **1992**, *33*, 251

ON THE REACTION OF (VINYLIMINO)PHOSPHORANES AND RELATED COMPOUNDS. SYNTHESIS AND PROPERTIES OF 1,6-METHANOCYCLODECA[b]CYCLOHEPTA[d]PYRROLE

Makoto Nitta,* Hiroyuki Kawaji, and Nobuhiro Kanomata
Department of Chemistry, School of Science and Engineering, Waseda University, Shinjuku-ku, Tokyo 169, Japan



The title compound was synthesized by thermal reaction of 3-phosphoranylideneamino-1,6-methano[10]annulene with 2-chlorotropone in a single step. The examination of ^{1}H NMR spectrum revealed that there is little contribution of peripheral 18-π electron conjugation, but it is rather composed of 1-azaazulene and methano[10]annulene moieties.

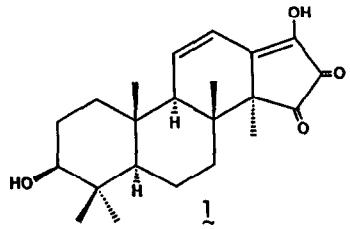
Tetrahedron Lett. **1992**, *33*, 255

PALBINONE, A POTENT INHIBITOR OF 3α -HYDROXY DEHYDROGENASE FROM PAEONIA ALBIFLORA

Shigetoshi Kadota, Satoshi Terashima, Tohru Kikuchi, and Tsuneo Namba

Research Institute for Wakan-Yaku (Oriental Medicines), Toyama Medical and Pharmaceutical University

The structure of palbinone (1), isolated as potent inhibitor of 3α -hydroxy dehydrogenase from the roots of Paeonia albiflora PALLAS, was determined based on the 2-D NMR spectroscopy.



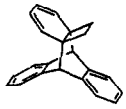
1

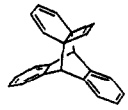
Tetrahedron Lett. **1992**, *33*, 257

SYNTHESIS AND CYCLOREVERSION OF BENZOCYCLOBUTENE- AND BENZOCYCLOBUTADIENE-ANTHRACENE ADDUCTS

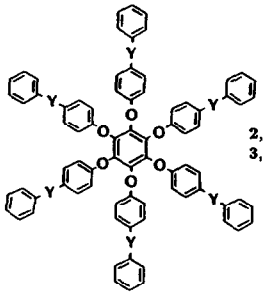
Keiji Okada,* Hideki Kawai, and Masaji Oda*
Department of Chemistry, Faculty of Science, Osaka University, Toyonaka, Osaka 560, Japan

The [4+2]-cycloadducts of anthracene and benzocyclobutene or benzocyclobutadiene were synthesized and their cycloreversion was investigated.





Tetrahedron Lett. **1992**, *33*, 261



2, X = O
3, X = CH_2

DESIGN AND SYNTHESIS OF RHOMDOHEDRAL CLATHRATES FOR CONTAINMENT OF SMALL, REACTIVE GUEST SPECIES

Andrew A. Freer, David D. MacNicol, Paul R. Mallinson and Ian Vallance,
Chemistry Department, University of Glasgow, Glasgow G12 8QQ, U. K.

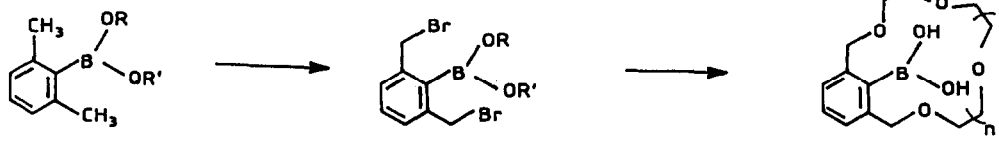
The new hexa-hosts hexakis(*p*-phenoxyphenoxy)benzene **2** and its *p*-benzylphenoxy analogue **3**, targetted at rhombohedral clathrate packing, have been prepared. X-ray measurements have established that **2** and **3** form very similar rhombohedral closed-cage structures with common trigonal space group $R\bar{3}$. The cavities of these clathrates are potentially useful for the handling of reactive reagents, examples for **2** being phosgene, thiophosgene, thionyl chloride, and methyl iodide.

Tetrahedron Lett. **1992**, *33*, 265

THE SYNTHESIS OF 2-BORONO-1,3-XYLYL CROWN ETHERS

Sudersan M. Tuladhar and Claudius D'Silva
Institute of Molecular and Biomolecular Electronics
University of Wales, Bangor, Dean Street, Gwynedd, LL57 1UT, U.K.

A synthetic route to 2-borono-1,3-xylyl crown ethers from 1,3-bis-(bromomethyl)benzene boronate synthon.

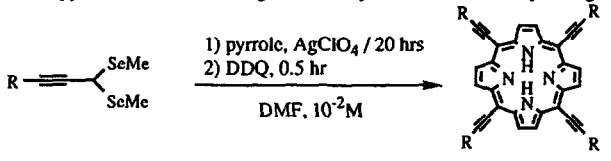


Synthesis of meso-Tetraalkynyl Porphyrins Using 1-Seleno-2-alkynyl Cation Precursors

Tetrahedron Lett. **1992**, *33*, 269

Gottfried Proess, Dirk Pankert and Laszlo Hevesi*
Department of Chemistry, Facultés Universitaires Notre-Dame de la Paix, 61, rue de Bruxelles, B 5000 NAMUR, Belgium

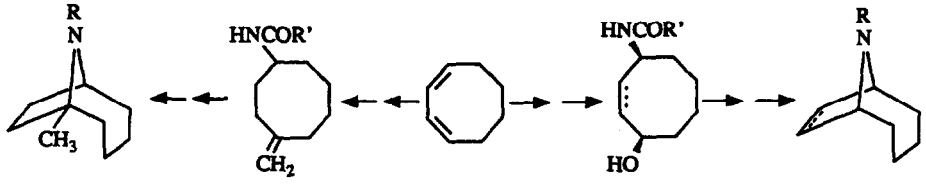
Abstract : The strongly regioselective reaction of the silver perchlorate activated selenoacetals derived from 2-butynal and 3-phenylpropynal with pyrrole allows for a straightforward synthesis of the corresponding title compounds but the yields are low.



Tetrahedron Lett. **1992**, *33*, 273

A SIMPLE APPROACH TO HOMOTROPANES AND HOMOTROP-7-ENES

John R. Malpass and Craig Smith, Department of Chemistry, University of Leicester, LE1 7RH, UK.



Tetrahedron Lett. **1992**, *33*, 277

MONO-/BICYCLIC TAUTOMERISM IN 4-HYDROXY- AND 4-AMINOCYCLOOCTANONES AND -OCTENONES

John R. Malpass and Craig Smith, Department of Chemistry, University of Leicester, LE1 7RH, UK.