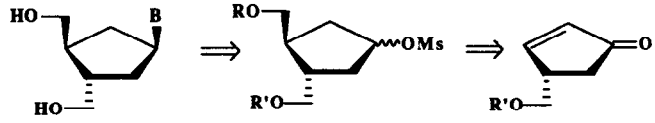
Tetrahedron Lett. **1992**, *33*, 3707

CARBOCYCLIC RING-ENLARGED OXETANOCIN ANALOGUES

Greg S. Buenger and Victor E. Marquez*
Laboratory of Medicinal Chemistry, Developmental Therapeutics Program, Division of Cancer Treatment, National Cancer Institute, NIH, Bethesda, MD 20892.

Syntheses of 2',3'-dideoxy-3'C-hydroxymethyl nucleosides (B = A, G, U, and C) were synthesized from a common carbocyclic α-enone precursor.

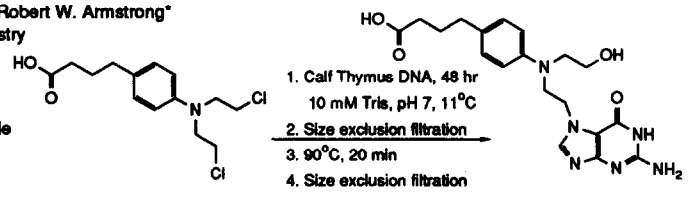


Tetrahedron Lett. **1992**, *33*, 3711

SIMPLIFIED METHOD FOR THE ISOLATION OF THERMALLY LABILE DRUG-DNA ADDUCTS: CHARACTERIZATION OF CHLORAMBUCIL AND CARZINOPHILIN/AZINOMYCIN B ALKYLATION PRODUCTS

Mark E. Salvati, Edmund J. Moran and Robert W. Armstrong*
Department of Chemistry and Biochemistry
University of California at Los Angeles
Los Angeles, California 90024-1569

Size exclusion filtration provides a simple method for isolation of thermally labile DNA alkylation products.

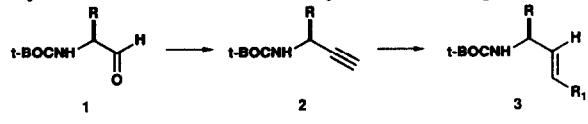


Tetrahedron Lett. **1992**, *33*, 3715

PREPARATION AND REACTIONS OF CHIRAL PROPARGYLIC AMINES.

James R. Hauske,* Peter Dorff, Susan Julin, Gary Martinelli and Jacqueline Bussolari, Central Research Division, Pfizer Inc, Groton, Connecticut 06340

Exposure of chiral amino aldehydes (**1**) to dimethyl diazophosphonate (**4**) affords propargylic amines (**2**) of high optical purity. Chain extension of these intermediates is readily accomplished via hydrozirconation of the acetylene moiety and subsequent Ni(II) catalyzed Michael addition to a variety of Michael acceptors.

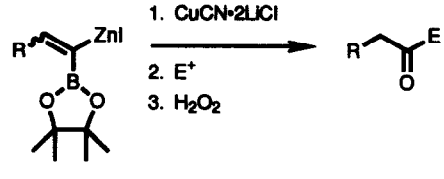


Tetrahedron Lett. **1992**, *33*, 3717

Preparation and Reactions of 1,1-Zinc, Boron and 1,1-Copper, Boron Alkenyl Bimetallics

Jack R. Wass, AchyuthaRao Sidduri, and Paul Knochel*.
Willard H. Dow Laboratories, Department of Chemistry, University of Michigan, Ann Arbor, Michigan 48109.

1,1-Bimetallics of boron and zinc or copper react with a wide range of electrophiles, affording polyfunctional boronic esters. H_2O_2 oxidation produces polyfunctional ketones.

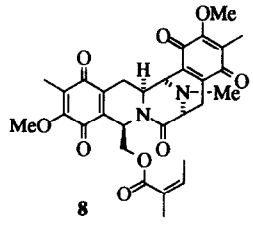


Tetrahedron Lett. **1992**, *33*, 3721

RENIERAMYCIN G, A NEW ALKALOID FROM THE SPONGE *XESTOSPONGIA CAYCEDOI*

Bradley S. Davidson
Department of Chemistry, University of Hawaii, Honolulu, Hawaii 96822

A new cytotoxic alkaloid, renieramycin G (**8**), was isolated from the Fijian sponge *Xestospongia caycedoi*, along with previously reported metabolites mimosamycin (**1**), renierol (**2**), and *N*-formyl-1,2-dihydrorenierone (**3**). The structure of renieramycin G was deduced from spectral data.



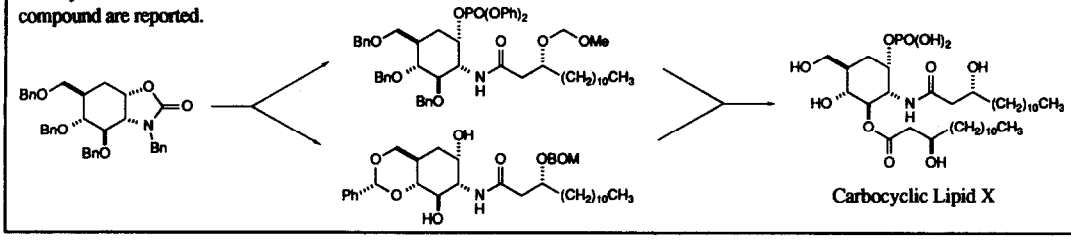
8

Tetrahedron Lett. **1992**, *33*, 3725

SYNTHESIS OF THE CARBON PSEUDOSUGAR ANALOG OF LIPID X

M. Miyamoto, M. L. Baker and M. D. Lewis*, Eisai Research Institute, 4 Corporate Drive, Andover, MA 01810, USA

Two syntheses of the title compound are reported.



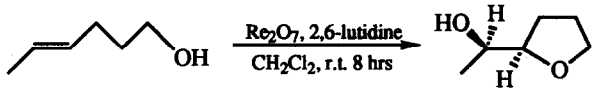
Carbocyclic Lipid X

Tetrahedron Lett. **1992**, *33*, 3729

DIRECTED OXIDATIVE CYCLIZATION OF 5-HYDROXYALKENES WITH RHENIUM OXIDE

Robert M. Kennedy* and Suhan Tang
Department of Chemistry, Columbia University, New York, New York 10027, U.S.A.

Rhenium(VII) oxide oxidizes 5-hydroxyalkenes to provide 2-hydroxymethyltetrahydrofurans under mild condition with *syn* addition to the alkenes.

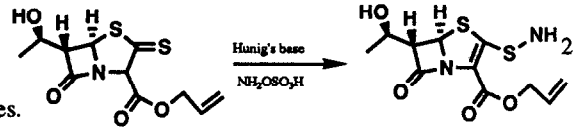


Tetrahedron Lett. **1992**, *33*, 3733

Studies Directed Towards Novel Penem Antibacterials

J.G.Phillips,* D.Chu, S.Spanton, R.Henry, and J.J.Plattner
Anti-infective Research Division, Abbott Laboratories,
Abbott Park, Illinois 60064

The reaction of 2-thioxopenam esters with hydroxylamine-O-sulfonic acid leads to stable 2-sulfeneamide penem esters that do not cyclize to give the corresponding isothiazolinones.

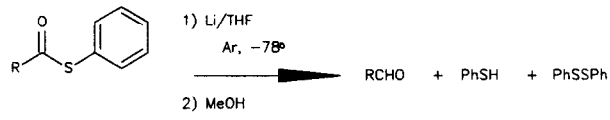


Tetrahedron Lett. **1992**, *33*, 3737

Carboxylic Acid Reductions: Insights From Mixed Anhydrides and Thiol Esters.

John H. Penn* and Walter H. Owens, Department of Chemistry, West Virginia University, Morgantown, WV 26506 USA

Reduction of thiol esters with lithium, followed by addition of methanol, yields only the corresponding aldehydes.

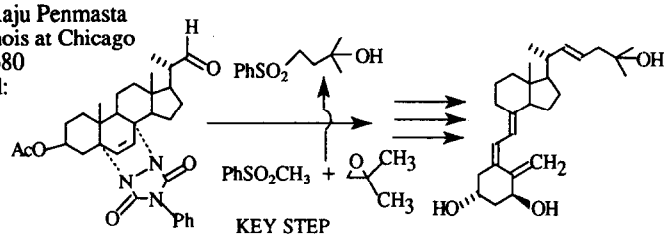


Tetrahedron Lett. **1992**, *33*, 3741

A CONVENIENT SYNTHESIS OF 1α, 25-DIHYDROXY-28-NORVITAMIN D_2

Robert M. Moriarty*, Joonggon Kim, and Raju Penmasta
Department of Chemistry, University of Illinois at Chicago
P.O. Box 4348, M/C 111, Chicago, IL 60680

A synthesis of the title compound is reported:



Tetrahedron Lett. **1992**, *33*, 3745

SYNTHESIS OF DIFFICULT PEPTIDE SEQUENCES:

A COMPARISON OF FMOC- AND BOC-TECHNIQUE

Michael Beyermann and Michael Bienert
Institute of Molecular Pharmacology, Alfred-Kowalke-Str. 4
D-(O)-Berlin, Germany

In comparison with Fmoc-technique the BOC-technique with in situ neutralization proved advantageous for the synthesis of difficult peptides forming Beta-sheet structures.

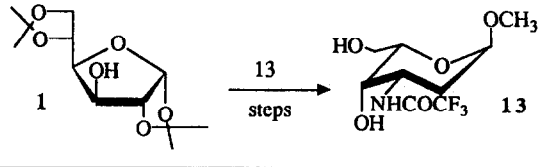
Tetrahedron Lett. **1992**, *33*, 3749

AN IMPROVED SYNTHESIS OF METHYL N-TRIFLUOROACETYL-6-HYDROXY- α -L-DAUNOSAMINE

Laurent Daley, Claude Monneret*, Claudie Gautier, and P. Roger

Laboratoire de Chimie associé au CNRS, Section de Biologie, Institut Curie, 26 rue d'Ulm, 75005 Paris, France.
Sanofi-Recherche, rue du Président Allende, 94256 Gentilly Cédex, France.

The title compound **13** *has been synthesized from di-acetone-D-glucose* **1** *in approximately 10% overall yield.*



Tetrahedron Lett. **1992**, *33*, 3753

DECARBONYLATION OF ALKYL FORMATES CATALYSED BY OSMIUM COMPLEXES

Christophe Legrand, Yves Castanet, André Mortreux and Francis Petit
Laboratoire de Chimie Organique Appliquée, associé au CNRS- ENSC Lille
Université des Sciences et Techniques de Lille, BP 108, 59652 VILLENEUVE D'ASCQ (France).

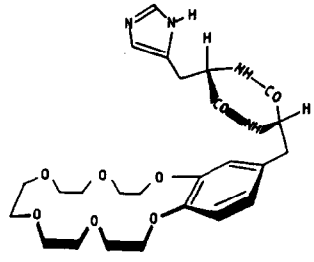
Osmium compounds are very active and selective catalysts for decarbonylation of alkyl formates

$$HCOOR \xrightarrow{[Os]\text{-}NEt_3} ROH + CO$$

Tetrahedron Lett. **1992**, *33*, 3757

AN OPTICALLY ACTIVE NUCLEOPHILE THAT CATCHES ITS SUBSTRATE BY TWO POINTS.

Stephan Janus and Etienne Sonveaux*
Université Catholique de Louvain,
Unité de Chimie Pharmaceutique et de
Pharmacognosie, Avenue E. Mounier, 73,
1200 Bruxelles, Belgium.

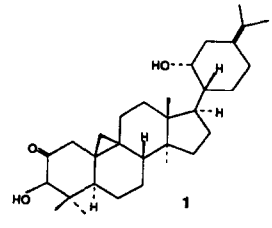


Tetrahedron Lett. **1992**, *33*, 3761

Monocarpine, a New Cycloartane from *Monocarpia marginalis*

Kamaliah Mahmood[a] Mary Pais*[b], Catherine Fontaine[b], Hapipah M. Ali[a],
A. Hamid. A. Hadi[a], Bruno David[b] and Eric Guittet[b]
[a] Department of Chemistry, University of Malaya, 59100 Kuala Lumpur, Malaysia.
[b] Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France.

Monocarpine 1, a new cycloartane derivative having an unknown combination of oxygen functions in ring A and a unique C-17 side chain, was isolated from the trunk bark of *Monocarpia marginalis* J. Sinclair. Its structure was elucidated primarily by 2D NMR.



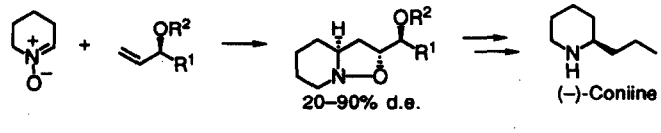
1

Tetrahedron Lett. **1992**, *33*, 3765

DIASTEREOFACIAL SELECTIVITY IN INTERMOLECULAR NITRONE CYCLOADDITIONS TO CHIRAL ALLYL ETHERS. APPLICATION TO CHIRAL SYNTHESIS OF CONIINE

Masayuki Ito, Masae Maeda, and Chihiro Kibayashi*
Tokyo College of Pharmacy, Horinouchi, Hachioji, Tokyo 192-03, Japan

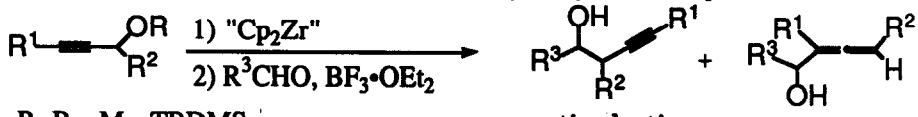
The intermolecular cycloadditions of a cyclic nitrone to various chiral allyl ethers take place with *erythro* selectivity, and these reactions are applied to the synthesis of optically active coniine.



Tetrahedron Lett. **1992**, *33*, 3769

PREPARATION AND REACTIONS OF ALLENIC ZIRCONIUM SPECIES FROM PROPARGYLIC ETHER DERIVATIVES

Hisanaka Ito, Takanori Nakamura, Takeo Taguchi* and Yuji Hanzawa
Tokyo College of Pharmacy, 1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

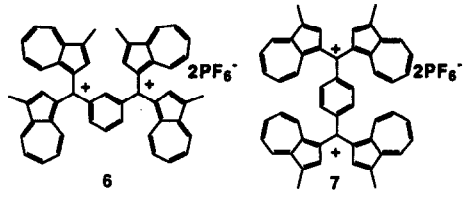


Tetrahedron Lett. **1992**, *33*, 3773

SYNTHESIS OF DICATION SPECIES STABILIZED BY AZULENE RINGS

Shunji Ito, Noboru Morita, and Toyonobu Asao*
Department of Chemistry, College of General Education, Tohoku University, Kawauchi, Aoba-ku, Sendai 980, Japan

Extraordinary stable dications of tetraazulenyl-m-xylylene (**6**) and tetraazulenyl-p-xylylene (**7**) were synthesized, and pK_{R^+} values were determined as 11.5 and 11.2, respectively.

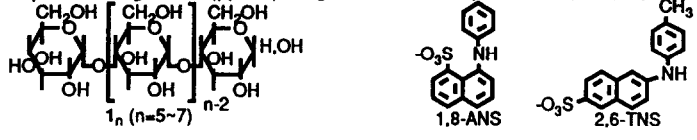


Tetrahedron Lett. **1992**, *33*, 3775

Host-Guest Complexation of Oligosaccharides: Interaction of Maltodextrins with Hydrophobic Fluorescence Probes in Water

Yasuhiro Aoyama,* Jun-ichi Ohtsuki, Yoshiro Nagai, Kenji Kobayashi, and Hiroo Toi
Department of Chemistry, Nagaoka University of Technology, Kamitomioka, Nagaoka, Niigata 940-21, Japan

Maltopentaose and higher homologs up to heptaose (1_n, n=5~7) bind to 1,8-ANS and 2,6-TNS in water in 1:1 fashion, the micropolarity of the probe-binding site of 1_n ($n \geq 5$) being similar to that of cyclic counterpart, β-cyclodextrin.

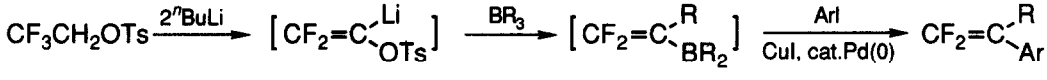


Tetrahedron Lett. **1992**, *33*, 3779

A FACILE SYNTHESIS OF DISUBSTITUTED 1,1-DIFLUORO-1-ALKENES *VIA* DOUBLE TRANSMETALATION OF 2,2-DIFLUOROVINYLBORANES

Junji ICHIKAWA* and Toru MINAMI
Department of Applied Chemistry, Kyushu Institute of Technology, Sensui-cho, Tobata, Kitakyushu 804, Japan
Takaaki SONODA and Hiroshi KOBAYASHI
Institute of Advanced Material Study, Kyushu University, Kasuga, Fukuoka 816, Japan

The difluorovinyl-group coupling was effected exclusively *via* double transmetalation of boron-copper-palladium.

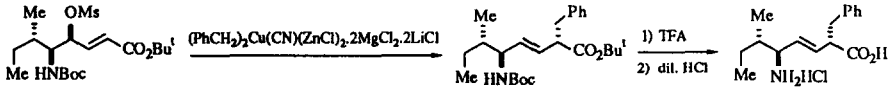


Tetrahedron Lett. **1992**, *33*, 3783

"HIGHER ORDER" ZINC CUPRATES INVOLVING LITHIUM CHLORIDE: SYNTHESIS OF (E)-ALKENE DIPEPTIDE ISOSTERES FREE FROM REDUCTIVE ELIMINATION PRODUCTS

T. Ibuka,* H. Yoshizawa, H. Habashita, and N. Fujii* Faculty of Pharmaceutical Sciences, Kyoto University, Kyoto 606, Japan
Y. Chounan, M. Tanaka, and Y. Yamamoto* Department of Chemistry, Faculty of Science, Tohoku University, Sendai 980, Japan

In the presence of LiCl, the "higher order" organozinc cuprates, $R_2Cu(CN)(ZnCl)_2{\cdot}2Mg(X)Cl{\cdot}nLiCl$, exhibit high diastereoselection of up to > 99 : 1 in the synthesis of (*E*)-alkene dipeptide isosteres from γ-mesyloxy-α,β-unsaturated esters.

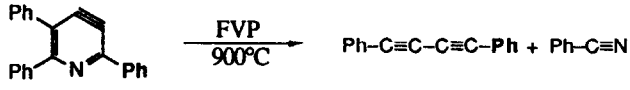


Tetrahedron Lett. **1992**, *33*, 3787

RETRO-DIELS-ALDER FRAGMENTATION OF 2,5,6-TRIPHENYL-3,4-DIDEHYDROPYRIDINE GENERATED BY FLASH VACUUM PYROLYSIS AT 900°C

Roger F.C. Brown*, Neil Choi, Frank W. Eastwood*
Department of Chemistry, Monash University, Clayton, Vic., Australia 3168

The title 3,4-pyridyne undergoes *mainly* retro-Diels-Alder cleavage to 1,4-diphenylbutadiyne and benzonitrile.

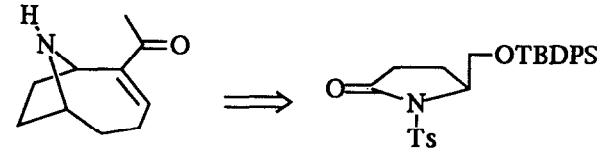


Tetrahedron Lett. **1992**, *33*, 3791

A SHORT AND ENANTIOSELECTIVE SYNTHESIS OF (+)-ANATOXIN-a

Peter Somfai* and Jens Åhman, Organic Chemistry 2, Chemical Center, Lund Institute of Technology, P. O. B. 124, S-221 00, Sweden.

A short and enantioselective total synthesis of the neurotoxic alkaloid (+)-Anatoxin-a (1) from the L-pyroglutamic acid derivative 2 is described.



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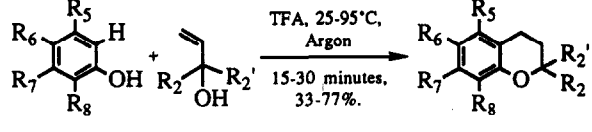
Tetrahedron Lett. **1992**, *33*, 3795

VERSATILE SYNTHESIS OF BENZOPYRANS VIA ORTHO-CLAISEN REARRANGEMENT OF ALLYL ETHERS

Fyaz M. D. Ismail *, Mark J. Hilton and Marijan Stefinovic,
Division of Chemical Sciences, Natural Sciences, University of Hertfordshire. Hatfield. AL10 9AB, U. K.

A simple, one-pot procedure is described whereby benzopyrans can be efficiently synthesized by mixing a phenol and an allylic alcohol in neat trifluoroacetic acid at room temperature (or reflux).

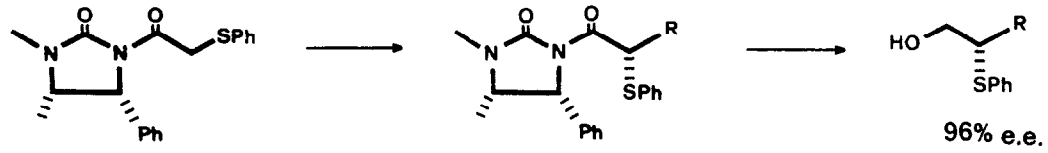


Tetrahedron Lett. **1992**, *33*, 3797

A NEW APPROACH TO 2-PHENYLTHIOALCOHOLS IN HIGH OPTICAL PURITY

Mario Orena,[a] Gianni Porzi,[b] Sergio Sandri[b]

[a] Dipartimento di Scienze dei Materiali e della Terra - Università di Ancona - Via Brecce Bianche 60131 Ancona, Italy [b] Dipartimento di Chimica "G. Ciamician" - Università di Bologna - Via Selmi 2 - 40126 Bologna, Italy

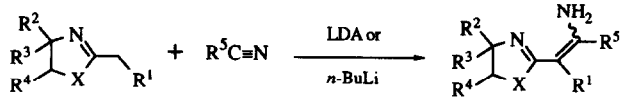


96% d.e. 96% e.e.

Tetrahedron Lett. **1992**, *33*, 3801

SYNTHESIS AND REACTIVITY OF β-AMINO-α,β-UNSATURATED OXA- AND THIAZOLINES

Santos Fustero*, Mª Dolores Díaz
Departamento de Química Orgánica, Facultad de Farmacia, Universidad de Valencia, 46010-Valencia, Spain
Jose Barluenga*, Enrique Aguilar
Departamento de Química Organometálica, Facultad de Química, Universidad de Oviedo, 33012-Oviedo, Spain



1 (X=O,S)

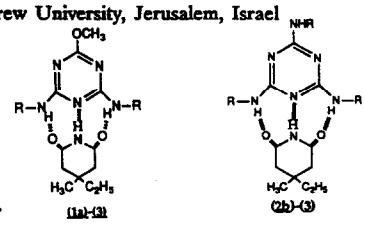
β-Amino-α,β-unsaturated oxa- and thiazolines are obtained by reaction of metalated heterocycles 1 with nitriles. The reactivity of the title compounds is also tested.

Tetrahedron Lett. **1992**, *33*, 3805

Conformational Selectivity in the Formation of H-bonded Assemblies between Di- and Triamino Triazines and Bemegride

I. Willner*, J. Rosengaus and S. Biali*, Institute of Chemistry, The Hebrew University, Jerusalem, Israel

2,6-Bis(aminocyclohexyl)-4-methoxy triazine (1) and 2,4,6-tris(amino-cyclohexyl)triazine, (2), are present in solution (T=295K) in three and two dynamically equilibrating conformations respectively. Bemegride, (3), associates to selective conformations of (1) and (2) by H-bonded complementary interaction, resulting in (1a)-(3) and (2b)-(3) assemblies. The association constant of (1a)-(3) and (2b)-(3) corresponds to K=449 and 915 M^{-1} respectively.

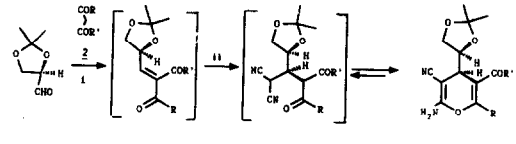


Tetrahedron Lett. **1992**, *33*, 3809

THE FIRST ASYMMETRIC SYNTHESIS OF POLYFUNCTIONALIZED 4H-PYRANS *VIA* MICHAEL ADDITION OF MALONONITRILE TO 2-ACYL ACRYLATES.

Rafael González,[a] Nazario Martín,[a]* Carlos Seoane,[a]* José L. Marco,[b]* Armando Albert,[c] and Félix H. Cano[c]*

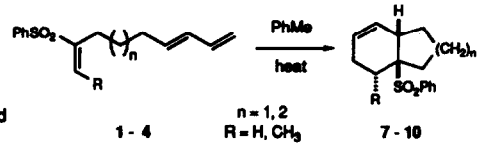
[a] *Departamento de Química Orgánica, Facultad de Química, U. Complutense, 28040-Madrid.* [b] *Instituto de Química Orgánica, C.S.I.C. Juan de la Cierva 3, 28006-Madrid.* [c] *U.E.I. Cristalografía, Instituto "Rocasolano" C.S.I.C. Serrano 119. 28006-Madrid. Spain.*



Tetrahedron Lett. **1992**, *33*, 3813

INTRAMOLECULAR DIELS-ALDER REACTIONS OF SULPHONYL-SUBSTITUTED TRIENES. STEREOSPECIFIC FORMATION OF *cis*-FUSED BICYCLO[4.3.0] AND -[4.4.0] SYSTEMS POSSESSING A BRIDGEHEAD SULPHONYL GROUP

Martin C. Clasby and Donald Craig*
Department of Chemistry, Imperial College of Science, Technology and Medicine, London SW7 2AY, U.K.



1 - 4 n = 1, 2 R = H, CH_3 7 - 10

The intramolecular Diels-Alder reactions of the sulphonyl-substituted trienes 1 - 4 gave respectively 7 - 10 with excellent *cis*-selectivity.