GRAPHICAL ABSTRACTS

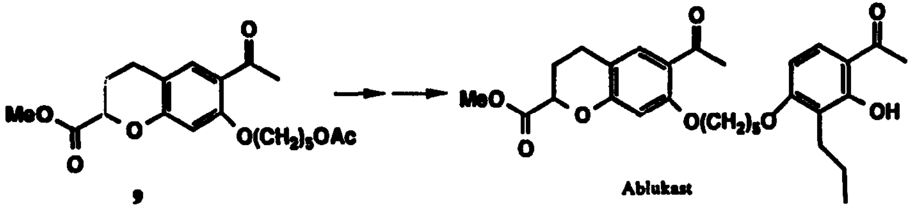
Tetrahedron, **1992**, *48*, 9391

SYNTHESIS OF THE LEUKOTRIENE ANTAGONIST ABLUKAST

Percy S. Manchand,* Robert A. Micheli, and Sandra J. Saposnik

Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ 07110

Methanolysis of 9 in the presence of n-$Bu_4N^+OH^-$, followed by mesylation, alkylation, and hydrolysis gave ablukast.



9

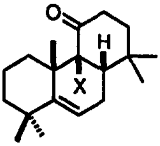
Ablukast

Tetrahedron, **1992**, *48*, 9399

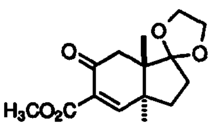
STUDIES ON DIELS-ALDER REACTIONS OF 1,3,3-TRIMETHYL-2-VINYLCYCLOHEXENE WITH 2-CYCLOHEXENONES.

Thomas A. Engler,* UmaShanker Sampath, David Vander Velde and Fusao Takusagawa, Department of Chemistry, University of Kansas, Lawrence, Kansas 66045.

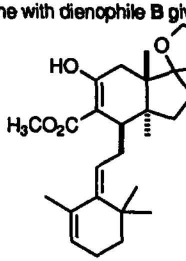
The $ZnBr_2$-catalyzed reaction of the title diene with 2-carbomethoxy-4,4-dimethyl-2-cyclohexenone at 12 kbar produces the expected Diels-Alder product A (60-70%). However, reaction of the same diene with dienophile B gives C as the major product (50-78%).



A, X=CO_2CH_3



B



C

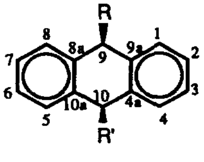
Tetrahedron, **1992**, *48*, 9417

An Investigation of Substituent Effects on the Conformation of 9,10-Dihydroanthracenes by Molecular Mechanics Calculations and X-ray Structure Analysis.

Raj K. Dhar, Andrzej Sygula, Frank R. Fronczek and Peter W. Rabideau*

Department of Chemistry, Louisiana State University, Baton Rouge, LA 70803

MM2 calculations as well as X-ray structural analysis demonstrate that the observed variation in central ring folding in various 9-monosubstituted-9,10-DHA is small (with exception of 9-iPr-9,10-DHA), as compared to cis-9-R-10-R'-disubstituted derivatives.



9-R-9,10-DHA: $\Sigma\Theta = 115^\circ - 132^\circ$

9-R,10-R'-9,10-DHA: $\Sigma\Theta = 80^\circ - 129^\circ$

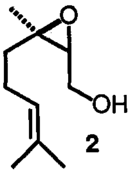
$\Sigma\Theta$ = sum of the absolute values of all six torsional angles (deg.) within the central ring derived from MM2 Studies.

Tetrahedron, **1992**, *48*, 9427

A SYNTHESIS OF (*R*)-MEVALONOLACTONE

Nicholas C. Ray, P.C. Raveendranath and Thomas A. Spencer*
Department of Chemistry, Dartmouth College, Hanover, New Hampshire 03755

An enantioselective synthesis of (*R*)-mevalonolactone (**1**) has been accomplished starting with known epoxide **2**, prepared with >95% ee from nerol. Functional group manipulation of **2** and ozonolysis yielded **8**, which was converted to **11** via phenylselenoxide formation, followed by appropriate oxidations and deprotection to afford **1**.



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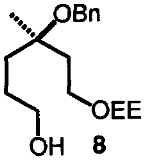
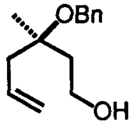
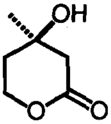


8



11



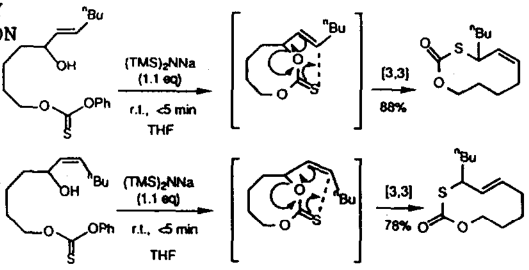
1

Tetrahedron, **1992**, *48*, 9433

[3,3]SIGMATROPIC RING EXPANSION OF CYCLIC THIONOCARBONATES. 8. HIGHLY STEREOSELECTIVE SYNTHESIS OF (Z)- OR (E)-DOUBLE BONDS BY CONTROLLING CHAIRLIKE-BOATLIKE TRANSITION STATES IN THE [3,3]SIGMATROPIC REARRANGEMENT OF 8-MEMBERED THIONOCARBONATES

Shinya Harusawa, Hirotaka Osaki, Harumi Fujii, Ryuji Yoneda, and Takushi Kurihara

Osaka University of Pharmaceutical Sciences, 2-10-65, Kawai, Matsubara, Osaka 580, Japan

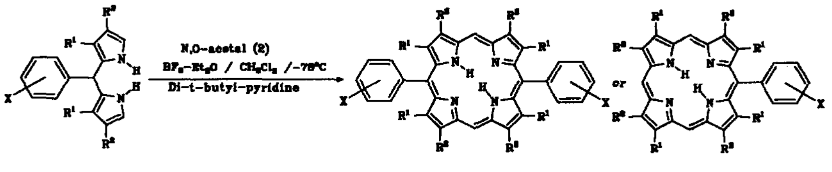


Tetrahedron, **1992**, *48*, 9451

SELECTIVE SYNTHESIS OF DIARYL AND MONOARYL SUBSTITUTED PORPHYRINS

Hermann K. Hombrecher,* Gaby Horter and Christiane Arp, Institut für Chemie der Medizinischen Universität zu Lübeck, Ratzeburger Allee 160, D-2400 Lübeck 1, Germany

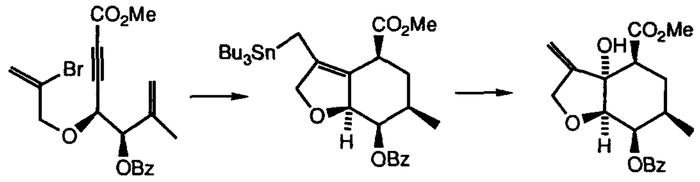
Abstract: A selective synthetic method to monoaryl and diaryl substituted porphyrins is described. Depending upon the nature of the β-substituent the synthesized porphyrins show different degrees of ruffling.



Tetrahedron, **1992**, *48*, 9461

A SYNTHESIS OF THE HEXAHYDROBENZOFURAN PORTION OF THE AVERMECTINS 1.MODEL STUDIES

P.J.Parsons[a]*, P.Willis[b] and S.G.Eyley[c], [a]Department of Chemistry, University of Reading, Whiteknights, PO Box 224, Reading, RG6 2AD, UK, [b]Department of Chemistry, University of Southampton, Highfield, Southampton, SO9 5NH, UK, [c]Fisons Pharmaceuticals, Bakewell Road, Loughborough, Leics, UK.

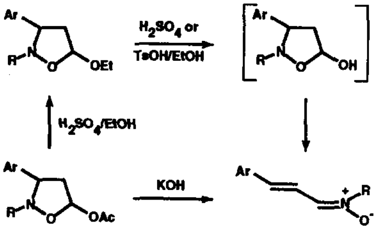


Tetrahedron, **1992**, *48*, 9473

RING-OPENING OF ISOXAZOLIDINE SYSTEM: HOMOLOGATION OF 3-ARYL INTO 3-STYRYL NITRONES VIA INTERMEDIATE 5-HYDROXY-ISOXAZOLIDINES

U. Chiacchio,[a] A. Liguori,[b] G. Romeo,[c] G. Sindona,[b] and N. Uccella;[b]
[a]Università di Catania, Italy; [b]Università della Calabria, Italy; [c]Università di Messina, Italy.

High yield conversion of 3-aryl-5-ethoxy isoxazolidines into 3-styryl nitrones *via* 5-hydroxyisoxazolidine intermediates has been achieved by acid treatment. Formation of a masked 5-OH function has been also developed by basic or acid treatment of 5-acetoxyisoxazolidines.

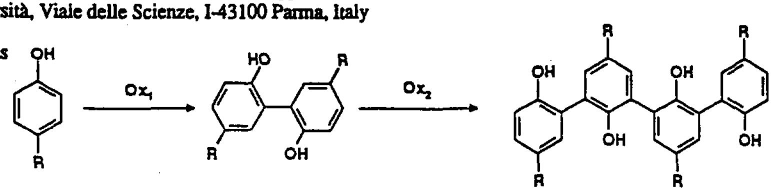


Tetrahedron, **1992**, *48*, 9483

OXIDATIVE COUPLING OF DICHLOROALUMINIUM PHENOLATES: HIGHLY SELECTIVE SYNTHESIS OF HYDROXYLATED BI- AND TETRAARYLS

Giovanni Sartori, Raimondo Maggi, Franca Bigi, Attilio Arienti and Giuseppe Casnati
Istituto di Chimica Organica dell'Università, Viale delle Scienze, I-43100 Parma, Italy

A methodology for the selective synthesis of bi- and tetraaryls 2 and 3 is reported.



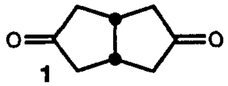
1 2 3

Tetrahedron, **1992**, *48*, 9495

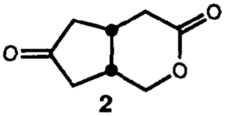
MCPBA OXIDATION OF BICYCLO[3.3.0]OCTANE-3,7-DIONE: AN EASY ENTRY TO A NEW FUNCTIONALIZED CYCLOPENTANOID BUILDING BLOCK

L.Garlaschelli, G. Vidari* and G. Zanoni; Dipartimento di Chimica Organica dell'Universita' di Pavia -
Via Taramelli 10 - 27100 Pavia - Italy

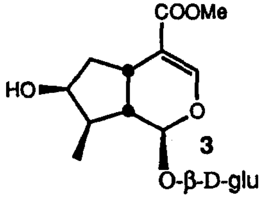
Alteration of the C_{2v} symmetry of 1 was achieved by MCPBA oxidation. 2 thus obtained was used in a formal synthesis of (±)-loganin (3).



1



2



3

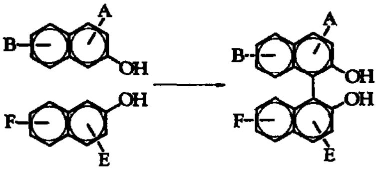
Tetrahedron, **1992**, *48*, 9503

THE OXIDATIVE CROSS-COUPLING OF SUBSTITUTED 2-NAPHTHOLS, PART I: THE SCOPE AND LIMITATIONS

Martin Hovorka*[a], Radim Ščigel[b], Jana Günterová[a], Miloš Tichý[a] and Jiří Závada*[a]

[a]Department of General Organic Chemistry, Institute of Organic Chemistry & Biochemistry, Czechoslovak Academy of Science, 166 10 Prague 6, CZECHOSLOVAKIA; and
[b]Department of Organic Chemistry, Prague Institute of Chemical Technology, Technicka 5, 166 28 Prague 6, CZECHOSLOVAKIA

Oxidative cross-coupling of substituted 2-naphthols mediated by Cu(II)-*tert*-butyl amine or Cu(II)-methoxide complexes is described. Depending on substrate substitution selectivity up to >90% is observed.



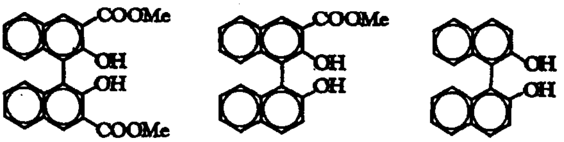
Tetrahedron, **1992**, *48*, 9517

THE OXIDATIVE CROSS-COUPLING OF SUBSTITUTED 2-NAPHTHOLS, PART II: SELECTIVITY AS A MECHANISTIC PROBE

Martin Hovorka* and Jiří Závada*

Institute of Organic Chemistry and Biochemistry, Czechoslovak Academy of Science, 166 10 Prague 6, CZECHOSLOVAKIA.

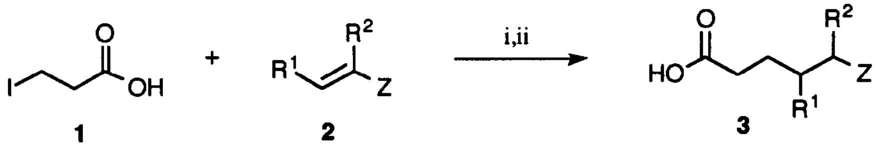
Operation of two alternative species differing greatly in *cross*-selectivity has been demonstrated in the oxidative coupling of substituted 2-naphthols, 2-naphthol and methyl 3-hydroxy-2-naphthoate being model substrates.



Tetrahedron, **1992**, *48*, 9531

HOMOENOLIC RADICAL DERIVED FROM PROPIONIC ACID: A VERSATILE REAGENT FOR THE RADICAL VERSION OF THE MICHAEL REACTION

Francisco Foubelo, Francisco Lloret and Miguel Yus
Departamento de Química Orgánica, Facultad de Ciencias, Universidad de Alicante, 03080 Alicante, Spain



(*Reagents*: i, *n*-Bu_3SnCl, $NaBH_4$, AIBN; ii, NaF)

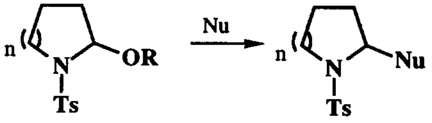
Tetrahedron, **1992**, *48*, 9537

Carbon-Carbon Bond Formation *via* N-Tosyliminium Ions

Jens Åhman and Peter Somfai*

Organic Chemistry 2, Chemical Centre
Lund Institute of Technology, P. O. B. 124
S-221 00 Lund, Sweden

Addition of carbon nucleophiles to cyclic N-tosyliminium ions, derived from α-hydroxy and α-methoxy tosylamides is described (**5a,b** and **6a,b**, respectively).

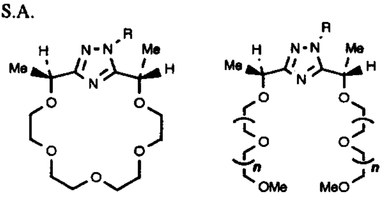


5 R=H **a** n=1
6 R=Me **b** n=2

Tetrahedron, **1992**, *48*, 9545

PROTONATED AMINE TRANSPORT AND CHIRAL RECOGNITION BY 1,2,4-TRIAZOLE PODANDS AND MACROCYCLES

L. Echegoyen,[a] M.V. Martínez-Díaz,[b] J. de Mendoza, [b]* T. Torres,[b]* and M.J. Vicente-Arana[b]
(a) Department of Chemistry, University of Miami, Coral Gables, Florida 33124, U.S.A.
(b) Departamento de Química, Universidad Autónoma de Madrid,
Cantoblanco, 28049 Madrid, Spain

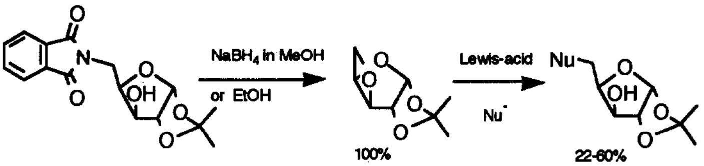


Chiral macrocycles and podands containing a 1,2,4-triazole subunit (R = H, dodecyl, CH_2CO_2cholesteryl) transport ammonium salts at good rates and moderate enantioselectivity.

Tetrahedron, **1992**, *48*, 9553

D-XYLOFURANOSE: CONVERSION TO ITS' 3,5-OXETANE VIA AN UNUSUAL REDUCTIVE DISPLACEMENT OF PHTHALIMIDE AND SUBSEQUENT REGIOSELECTIVE RING OPENING.

Nigel G. Cooke[†], D. Alan Jones[¥] and Andrew Whiting[*¥].
[†]Ciba-Geigy plc., Central Research, HulleyRoad, Macclesfield, Cheshire, SK20 2NX.
[¥]Department of Chemistry, U.M.I.S.T., P.O.Box 88, Manchester, M60 1QD.

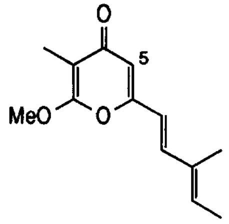


Tetrahedron, **1992**, *48*, 9561

α- AND γ-PYRONE-POLYPROPIONATES FROM THE MEDITERRANEAN ASCOGLOSSAN MOLLUSC *ERCOLANIA FUNEREA*

R. R. Vardaro, V. Di Marzo, A. Marin^ and G. Cimino
Istituto per la Chimica di Molecole di Interesse Biologico (C.N.R.), Arco Felice (NA), Italy
^Departamento de Biologia Animal y Ecologia, Universitad de Murcia, Spain

Six polypropionic pyrones, all demethylated at C-5, *e. g.* 12-norcyercene-B, were isolated from the Polybranchioidea ascoglossan *E. funerea*. The metabolites are probably biosynthetized *de novo* and are potential ichthyodeterrent copmpounds.

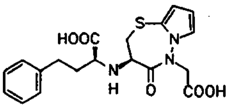


12-norcyercene-B

Tetrahedron, **1992**, *48*, 9567

ASYMMETRIC SYNTHESIS OF PYRROLO-[2,1-*b*][1,3,4]THIADIAZEPINE DERIVATIVES

Jordi Bolós,* Ángel Pérez-Beroy, Santiago Gubert, Lluís Anglada, Aurelio Sacristán, and José A. Ortiz
Department of Medicinal Chemistry. Centro de Investigación Grupo Ferrer, Juan de Sada, 32, 08028 Barcelona, Spain



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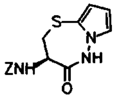

3

Preparation of compound **2** as a potential antihypertensive drug is reported. The asymmetric synthesis of key intermediate **3** is described.