

GRAPHICAL ABSTRACTS

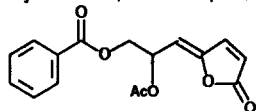
Tetrahedron 1990, 46, 5043

NEW BIOACTIVE HEPTENES FROM *MELODORUM FRUTICOSUM* (ANNONACEAE)

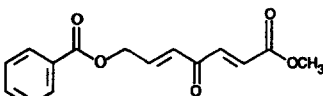
J. H. Jung¹, S. Pummangura³, C. Chaichantipyuth³, C. Pataranpanich³, P. E. Fanwick², Ching-yr Chang¹ and J. L. McLaughlin¹

¹Department of Medicinal Chemistry and Pharmacognosy, School of Pharmacy and Pharmaceutical Sciences, ²Department of Chemistry, School of Science, Purdue University, West Lafayette, Indiana 47907, U.S.A., ³Department of Pharmaceutical Chemistry, Faculty of Pharmaceutical Sciences, Chulalongkorn University, Bangkok 10500, Thailand.

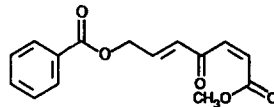
Three novel bioactive compounds were isolated from the title plant. They were cytotoxic on human tumor cell lines and were named acetylmelodorinol, melodienone, and isomelodienone.



acetylmelodorinol



melodienone



isomelodienone

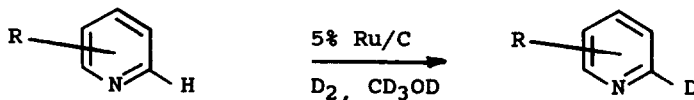
Tetrahedron 1990, 46, 5055

DEUTERATION OF PYRIDINE DERIVATIVES:
A VERY MILD PROCEDURE

George M. Rubottom and Eric J. Evain

Department of Chemistry, University of Idaho, Moscow, ID 83843 USA

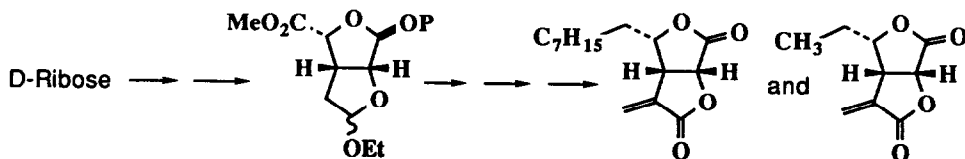
Ruthenium on carbon selectively catalyzes the hydrogen-deuterium exchange of pyridine derivatives at the ortho position. The reaction takes place at ambient temperature under mild conditions.



Tetrahedron 1990, 46, 5065

Total Synthesis of (-)-Isoavenaciolide and (-)-Ethisolide

Andrew G. H. Wee, Department of Chemistry, University of Regina
Regina, Saskatchewan, S4S 0A2, Canada



UNUSUAL BEHAVIOR OF 3,4:9,10-BIS(2',3'-QUINOLINO)-
TRICYCLO[6.3.0.0^{2,6}]UNDECANE UPON ATTEMPTED MONOPROTONATION WITH TRIFLIC ACID

Alan P. Marchand* and Pendri Annapurna

Chem. Dept., University of North Texas, Denton, TX 76203

Richard W. Taylor* and Don L. Simmons

Chem. Dept., University of Oklahoma, Norman, OK 73019

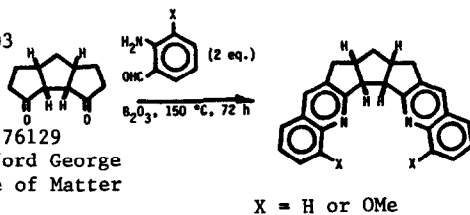
William H. Watson* and Ante Nagl

Chem. Dept., Texas Christian University, Ft. Worth, TX 76129

Judith L. Flippen-Anderson*, Richard Gilardi, and Clifford George

Naval Research Laboratory, Laboratory for the Structure of Matter

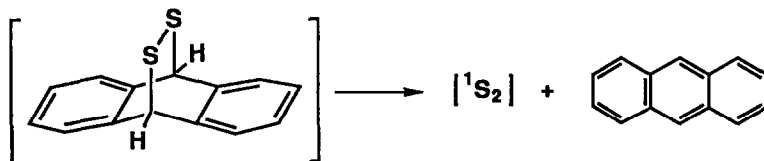
Code 6030, Washington, D.C. 20375-5000



SELECTIVE SULFURIZATION OF OLEFINS BY 9,10-EPI-DITHIO-9,10-DIHYDROANTHRACENE: INTERMEDIACY OF DIATOMIC SULFUR

Wataru Ando,* Hideki Sonobe, and Takeshi Akasaka

Department of Chemistry, University of Tsukuba, Tsukuba, Ibaraki 305, Japan



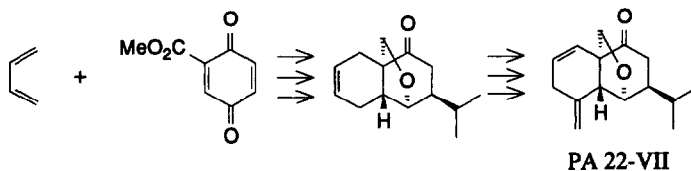
SYNTHESIS OF (±)-PA 22-VII, THE RACEMATE OF
THE REARRANGEMENT PRODUCT OF PERSOONS'S PERIPLANONE-A

Kenji Mori* and Yasuhiro Igarashi

Department of Agricultural Chemistry, The University of Tokyo,

Yayoi 1-1-1, Bunkyo-ku, Tokyo 113, Japan

The structure of the stable rearrangement product of Persoons's periplanone-A was confirmed by the first synthesis of its racemate.

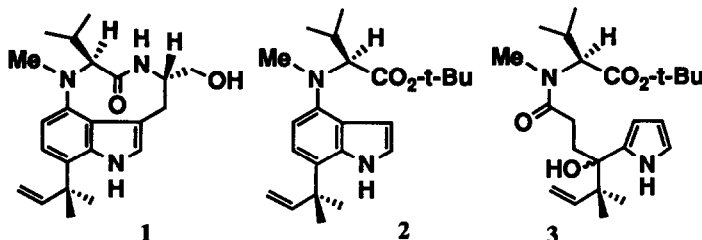


TOTAL SYNTHESIS OF INDOLE ALKALOID PENDOLMYCIN

Kazuaki Okabe, Hideaki Muratake, and Mitsutaka Natsume*

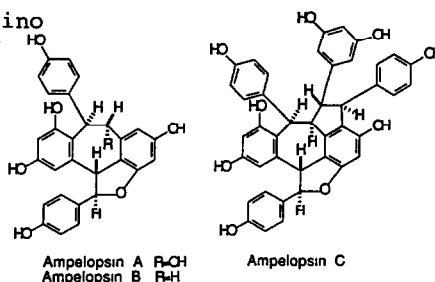
Research Foundation Itsuu Laboratory, 2-28-10 Tamagawa, Setagaya-ku, Tokyo 158, Japan

An indole alkaloid, pendolmycin (1), an inhibitor of EGF-induced phosphatidylinositol turnover, was synthesized from 1-tosylpyrrole in thirteen steps by way of the pyrrole derivative (3) and the indole derivative (2).

AMPELOPSINS A, B AND C, NEW OLIGOSTILBENES OF AMPELOPSIS BREVIPEDUNCULATA VAR. HANCEI

Yoshiteru Oshima, Yuji Ueno and Hiroshi Hikino
Pharmaceutical Institute, Tohoku University
Aoba-yama, Sendai, Japan

and
Ling-Ling Yang and Kun-Ying Yen
Taipei Medical College, Taipei, Taiwan,
Republic of China

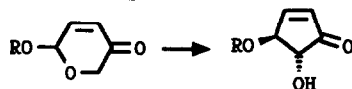


A RING CONTRACTION OF 6-ALKOXY-2,3-DIHYDRO-6H-PYRAN-3-ONES TO POLYFUNCTIONALIZED CYCLOPENTENONES

Hartmuth C. Kolb and H. Martin R. Hoffmann*

Department of Organic Chemistry, University of Hannover, Schneiderberg 1B, 3000 Hannover, FRG

The rearrangement of 6-alkoxy-2,3-dihydro-6H-3-ones to *trans*-4-alkoxy-5-hydroxy-2-cyclopenten-1-ones has been optimized. The effect of buffer concentration (PhCO₂H/KOAc) on reaction rate and yield suggests specific acid catalysis. Substitution patterns and influence of the 6-alkoxy substituent have been investigated. Applications in natural product synthesis are described.

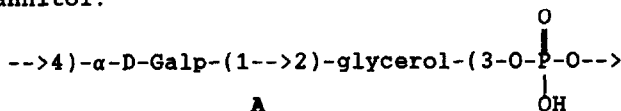


**SYNTHESIS OF THE REPEATING UNIT OF THE
CAPSULAR ANTIGEN OF NEISSERIA MENINGITIDIS SEROGROUP H**

KARL-HEINZ METTEN and PETER WELZEL*

Fakultät für Chemie der Ruhr-Universität, Postfach 102148, D-4630 Bochum (FRG)

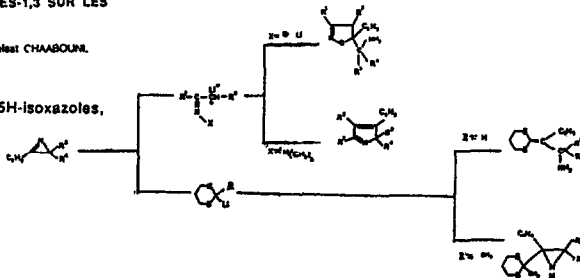
In the structure of the *N.meningitidis* capsular polysaccharide as represented by formula A, the configuration at C-2 of the glycerol unit is unknown. Both diastereoisomers of the repeating unit of A (isomeric at the glycerol 2-position) have been synthesized starting from 1,3(R):4,6(R)-bis-O-(4-methoxybenzylidene)-D-mannitol.



**ACTION DE DERIVES LITHIÉS D'OXIMES,
DE N,N-DIMETHYLHYDRAZONES ET DE DITHIANES-1,3 SUR LES
2H-AZIRINES**

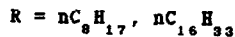
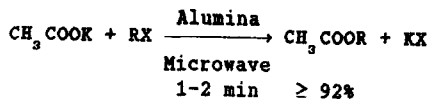
Riçha BEN CHEKH, Nabha BOUZOUITA, Habib GHABI et Raïssat CHAABOUN,
Ecole Nationale d'Ingénieurs de Tunis
BP 37 - la Belvédère 1002 Tunis - Tunisie

A synthesis of (amino -1'- alkyl)-5- 4H,5H-isoxazoles,
2H-pyrroles, primary allylic amines,
and C - functionalized aziridines via
2H-azirines.



**ALKYLATION OF POTASSIUM ACETATE IN "DRY MEDIA"
THERMAL ACTIVATION IN COMMERCIAL MICROWAVE OVENS**

Georges Bram, André Loupy, Mustapha Majdoub
I.C.M.O., Université Paris-Sud, Bât.420, 91405 Orsay (France)
Elvira Gutierrez and Eduardo Ruiz-Hitzky
Ciencia de Materiales, C.S.I.C., Madrid 28006 (Spain)

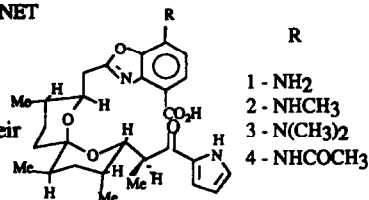


SEMI-SYNTHESIS OF A.23187 (CALCIMYCIN) ANALOGS WITH 5-N-AMINO SUBSTITUENTS. THEIR COMPLEXATION OF CALCIUM AND MAGNESIUM.

Fouzia SAMIH, Michelle PRUDHOMME*, Gérard DAUPHIN and Georges JEMINET

Université Blaise Pascal, Laboratoire de Chimie Organique Biologique, URA 485 du CNRS, 63177 AUBIERE CEDEX FRANCE

The semi-synthesis of calcimycin analogs 1 ~ 4 are described together with their Ca^{2+} and Mg^{2+} complexing properties.



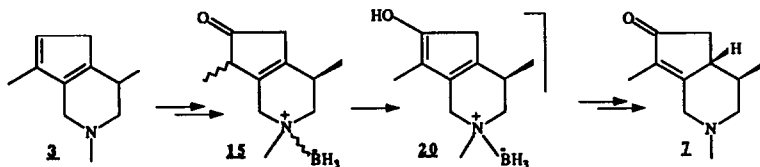
SYNTHÈSE STÉRÉOSPÉCIFIQUE DE LA (\pm) Δ -7,7a 4a β H ISOTÉCOMANINE

J.L. Brayer^a, J.P. Alazard^b et C. Thal^b

^a Centre de Recherche Roussel-Uclaf, 102, route de Noisy, 93230 Romainville, FRANCE

^b Institut de Chimie des Substances Naturelles du C.N.R.S. 91198 Gif-sur-Yvette Cedex, FRANCE

A synthesis of the title compound **7** via a γ stereospecific protonation of the enol N-borane intermediates **20**.



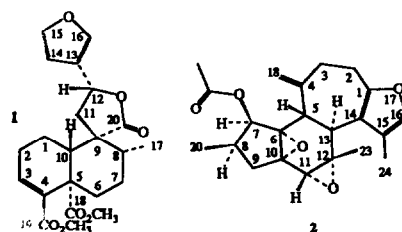
CROTOCORYLIFURAN AND CROTOHAUMANOXIDE, NEW DITERPENES FROM *CROTON HAUMANIANUS* J. Leonard.

Laurent Tchissambou[#], Angèle Chiaroni^{##}, Claude Riche^{##} and Françoise Khuong-Huu^{###}.

[#] CERVE, B.P.1249, Brazzaville, République Populaire du Congo.

^{##} CNRS, Institut de Chimie des Substances Naturelles, 91198 Gif-sur-Yvette, France

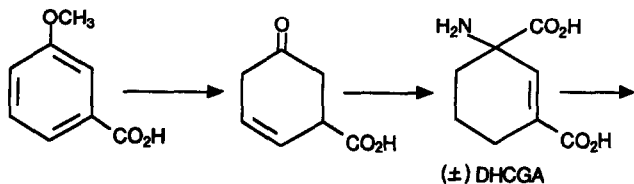
The structures of two new diterpenes from *Croton Haumanianus* have been determined by spectroscopic data for crotocorylifuran **1**, a clerodane-type diterpene and by spectroscopic data and X-ray crystallographic analysis for crotohaumanoxide **2**, a crotofolane-type diterpene.



**SYNTHESIS AND RESOLUTION OF DHCGA,
A NEW CONFORMATIONALLY RIGID 3,4-DEHYDROGLUTAMIC ACID ANALOGUE**

François Trigalo, Francine Acher and Robert Azerad *

Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, URA 400 CNRS, Université R.Descartes, 45 rue des Saints-Pères, 75270- Paris cedex 06, France



Resolution by synthesis and separation
of L-Leu-DHCGA diastereoisomers

(S)-DHCGA: $[\alpha]_D^{21} = +29.7^\circ$ (c 1.2, 4 N HCl)
(R)-DHCGA: $[\alpha]_D^{21} = -30.0^\circ$ (c 1.2, 4 N HCl)

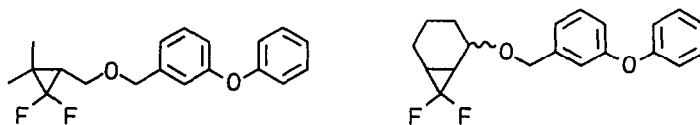
**gem-DIFLUOROCYCLOPROPANES :
AN IMPROVED METHOD FOR THEIR PREPARATION**

Yves BESSARD, Ulrich MÜLLER and Manfred SCHLOSSER *
Institut de Chimie organique, Université de Lausanne, Switzerland



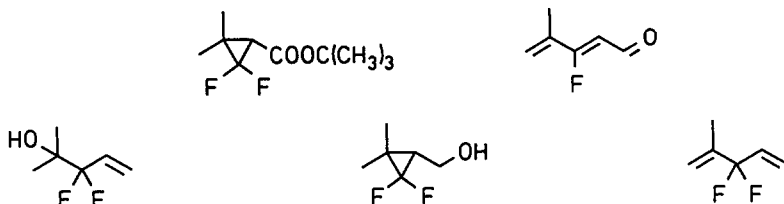
**GEMINAL DIFLUOROCYCLOPROPANES
CARRYING OXYGEN-FUNCTIONAL SUBSTITUENTS**

Manfred SCHLOSSER * and Yves BESSARD
Institut de Chimie organique, Université de Lausanne, Switzerland



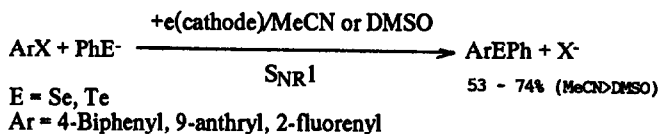
**RING OPENING OF *gem*-DIHALOCYCLOPROPANES :
NOVEL TYPES OF 1,4-ELIMINATION REACTIONS**

Yves BESSARD, Ludwig KUHLMANN and Manfred SCHLOSSER*
Institut de Chimie organique, Université de Lausanne, Switzerland



**ELECTROCHEMICALLY INDUCED $S_{NR}1$ SUBSTITUTION
IN ACETONITRILE. SYNTHESIS OF ARENES
SUBSTITUTED BY PHENYLSENEO- AND PHENYLTELLURO GROUPS**

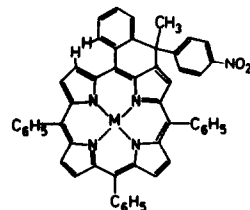
Chantal Degrand, Laboratoire de Synthèse et d'Electrosynthèse Organométalliques associé au CNRS (URA 33),
Faculté des Sciences, 6 bd Gabriel 21000 Dijon, France.



Unexpected Routes to Naphtoporphyrin Derivatives.

H.J. Callot, E. Schaeffer, R. Cromer, and F. Metz, Institut de
Chimie, Université Louis Pasteur, F-67008 Strasbourg, France.

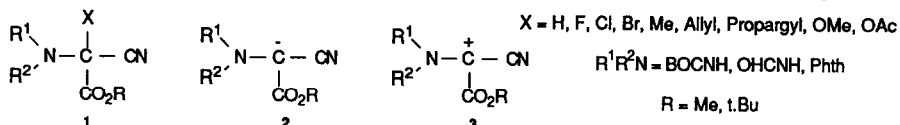
Rearrangement of α -styrylcobalt(III)porphyrins gives
naphto(*a,f*)porphyrin derivatives. The acid-catalyzed cyclization
of 2-formyl-tetraphenylporphyrin which gives the same naphto-
porphyrin framework was also reinvestigated.



SYNTHESE DE STRUCTURES A CARBONE MULTIFONCTIONNALISE DERIVEES de CYANO-2 GLYCINATES N-PROTEGES

P. Hudhomme et G. Duguay*, Laboratoire de Synthèse Organique, URA CNRS n° 475
Faculté des Sciences et des Techniques, 2, rue de la Houssinière - F-44072 NANTES Cedex 03

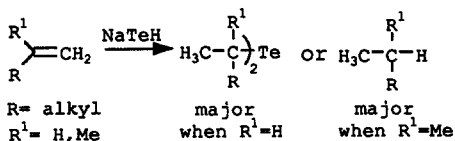
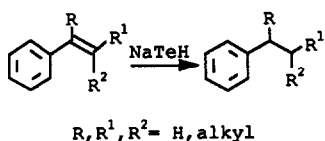
The title compounds 1 are easily obtained in good yields using anionic or cationic 2-cyano glycine equivalent strategy



THE ACTION OF SODIUM HYDROGEN TELLURIDE ON OLEFINS

Derek H.R. Barton^{a,b}, Luis Bohé^a and Xavier Lusinchí^a.

a) Institut de Chimie des Substances Naturelles, C.N.R.S., 91198 Gif-sur-Yvette, France.
b) Department of Chemistry, Texas A&M University, College Station, Texas 77843, U.S.A.



These results are interpreted in terms of a radical pair mechanism involving hydrogen atom transfer from hydrogen telluride, HTe⁻ to the double bond.

SULFONYL RADICAL ADDITION TO NON-CONJUGATED DIENES REGIO- AND STEREOSELECTIVE CYCLIZATIONS

Enzo De RIGGI, J.-M. SURZUR, Michèle Paula BERTRAND Laboratoire de Chimie Organique B - Associé au CNRS -
A. ARCHAVLIS Ecole Supérieure de Chimie de Marseille, R. FAURE, Laboratoire de Chimie Organique Physique - Associé au CNRS
- Faculté des Sciences Saint Jérôme, Av. Normandie Niemen - 13397 Marseille Cedex 13 - France.

Free-radical addition of sulphonyl bromide to 1,6-dienes (malonic esters) leads under regio- and stereoselective cyclization to functionalized sulphones. The structures of the reaction products are established by 2D NMR spectroscopy.



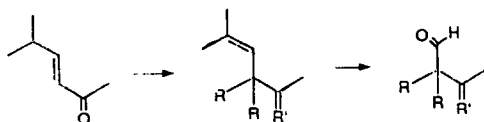
SYNTHESE D'ACETOACETALDEHYDES MONO- ET DI-SUBSTITUES PAR DES CHAINES FONCTIONNALISEES

Dominique Cartier et Jean Lévy

Laboratoire de Transformations et Synthèse de Substances Naturelles

UA/CNRS - Faculté de Pharmacie, 51, rue Cognacq-Jay, 51096 Reims, FRANCE

These synthons, which were used in the synthesis of indole alkaloids, were prepared through alkylation-deconjugation of 5-methyl-3-hexen-2-one and further ozonolysis, after eventual protection.



R = H or functionalized chain, R' = O or O(CH₂)₂O

SYNTHESIS OF HIGH SPECIFIC ACTIVITY

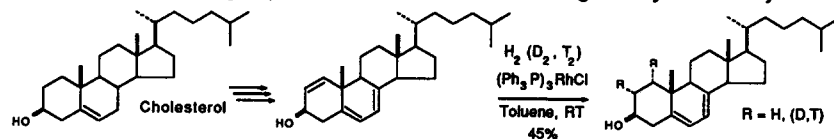
[³H₂-1,2]-7-DEHYDROCHOLESTEROL.

CONVERSION TO ECDYSONE IN FOLLICLE CELLS OF *LOCUSTA* (INSECTS)

DOLLE Frédéric¹, KAPPLER Christine², HETRU Charles², ROUSSEAU Bernard³, COPPO Michèle³, LUU Bang^{1*}, HOFFMANN Jules A.²

1-Laboratoire de Chimie Organique des Substances Naturelles, CNRS URA 31, 5 rue Blaise Pascal, 67000 Strasbourg, France. 2-Laboratoire de Biologie Générale, CNRS UA 672, Endocrinologie et Immunologie des Insectes, 12 rue de l'Université, 67000 Strasbourg, France. 3-Service des Molécules Marquées, CEN, Bat. 47, Saclay, 91191 Gif-sur-Yvette, France.

7-Dehydrocholesterol have been synthesized with high specific activity (2 TBq/mmol). *In vitro* incubations of this molecule with a 1,000g supernatant of a follicle cell homogenate yielded ecdysone in high rate.

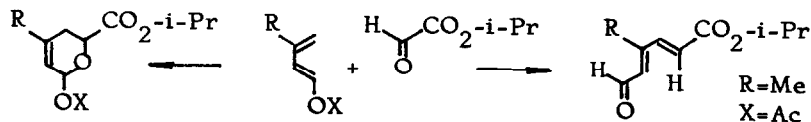


ADDITION OF 1-ACETOXY- AND 1-TRIMETHYL-SILYLOXY-BUTA-1,3-DIENES WITH α -OXO ESTERS; ENE AND DIELS-ALDER REACTIONS.

Osman Achmatowicz,^x Jr and Ewa Białecka-Florjańczyk

Institute of General Chemistry, Warsaw Agricultural University, 02-258 Warsaw, Poland.

R=H, X=SiMe₂, Ac
R=Me, X=SiMe₃

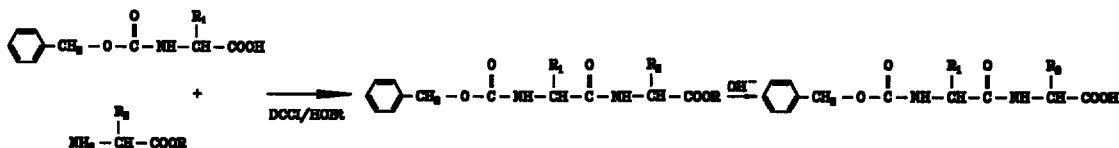


Title reactions were examined under thermal and high-pressure conditions.

KINETICS OF THE ALKALINE HYDROLYSIS OF SEVERAL
N-BENZYLOXYCARBONYLDIPEPTIDE METHYL AND ETHYL ESTERS

Daan A. Hoogwater* and Maurice Peereboom

Delft University of Technology, Laboratories of Organic Chemistry and of Physical Chemistry,
Julianalaan 136, 2628 BL Delft, The Netherlands



C-ALKYLATION OF β -DIKETONES WITH BENZYLPIRIDINIUM SALTS.

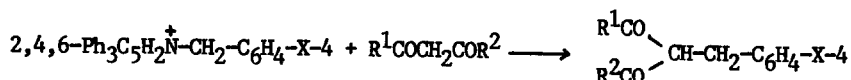
EVIDENCE FOR CHAIN RADICAL MECHANISMS

J. Marquet^a, M. Moreno-Mañas^{a,*}, P. Pacheco^a, M. Prat^a, A.R. Katritzky^{b,*}, B. Brycki^b

^aDep. of Chemistry. Univ. Autònoma de Barcelona. Bellaterra. 08193-Barcelona. Spain.

^bDep. of Chemistry. Univ. of Florida. Gainesville, FL 32611. USA.

Evidence is presented for chain radicaloid mechanisms resulting from ET to the pyridinium moiety (X=H, R¹=R²=Me) and to the aryl group of the benzyl moiety (X=NO₂).

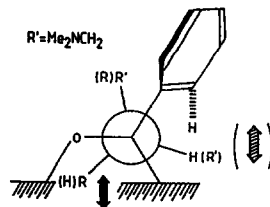


TRANSFORMATION OF COMPOUNDS CONTAINING C-N BONDS ON HETEROGENEOUS CATALYSTS-7. THE STEREOCHEMISTRY OF DEHYDROGENATION OF 2-ALKYL-3-DIMETHYLAMINO-1-PHENYLPROPAN-1-OLS

G. Sirokmán, F. Lukács, Á. Molnár and M. Bartók*

Dept. of Organic Chemistry, József A. Univ., Szeged, Hungary

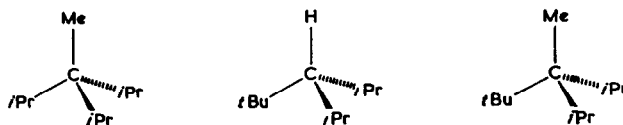
A mechanism involving a sterically hindered transition state was found in the copper-catalysed dehydrogenation of the title compounds by using a linear free energy relationship with Charton's steric constants.



CONFORMATIONS AND ROTATION OF ISOPROPYL GROUPS ATTACHED TO THE SAME SATURATED CARBON CENTRE. A DYNAMIC NMR AND MOLECULAR MECHANICS STUDY OF 1,1,1-tris-ISOPROPYLETHANE, DI-ISOPROPYL-tert-BUTYLMETHANE, AND 1,1-DI-ISOPROPYL-tert-BUTYLETHANE

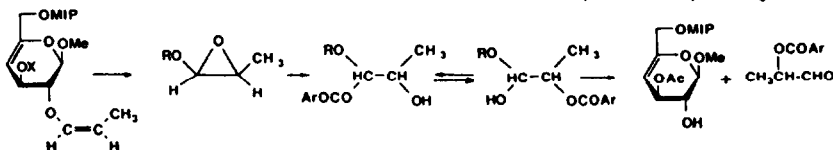
J.E.Anderson and B.R.Bettels, Chemistry Department, University College, Gower Street, London, WC1E 6BT, United Kingdom.

There are reported preferred conformations and barriers to conformational interconversion in the title compounds.



META-CHLOROPEROXYBENZOIC ACID AS A SELECTIVE REAGENT FOR THE REMOVAL OF O-PROPENYL GROUPS. ITS USE IN THE SYNTHESIS OF SOME D-GALACTOPYRANOSIDE AND 4-DEOXY-L-THIEO-4-HEXENOPYRANOSIDE DERIVATIVES.

P.L.Barili, G.Berti*, D.Bertozzi, G.Catelani*, F.Colonna, T.Corsetti, F.D'Andrea
Dipartimento di Chimica Bioorganica, Università di Pisa, Pisa, Italy
*Dipartimento di Scienze Farmaceutiche, Università di Ferrara, Ferrara, Italy



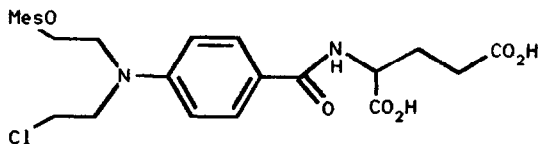
Use of MCPBA for the selective removal of O-propenyl groups is also exemplified by the syntheses of methyl 2-O-acetyl-3,4,6-tri-O-methyl- α - and β -D-galactopyranoside and of benzyl 6-O-allyl-3,4-O-isopropylidene- β -D-galactopyranoside.

SYNTHESIS OF AN N-MUSTARD PRODRUG

John Mann and Margret Haase-Held.
Chemistry Department, Reading University, Whiteknights, Reading, RG6 2AD, UK.
Caroline J. Springer and Kenneth D. Bagshawe.
Cancer Research Campaign Laboratory, Charing Cross Hospital, London, W6 8RF, UK.

The novel N-mustard illustrated has been synthesized in six steps from p-nitrobenzoyl chloride.

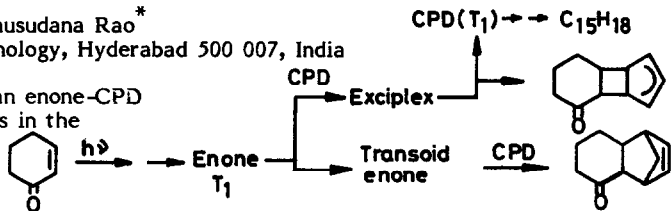
It is designed for activation by tumour localising antibody-carboxypeptidase conjugates.



EFFECT OF MICELLAR MEDIUM ON PHOTOANNELATION VS ENERGY TRANSFER IN THE SYSTEM EXCITED CYCLOHEX-2-EN-1-ONE-CYCLOPENTADIENE

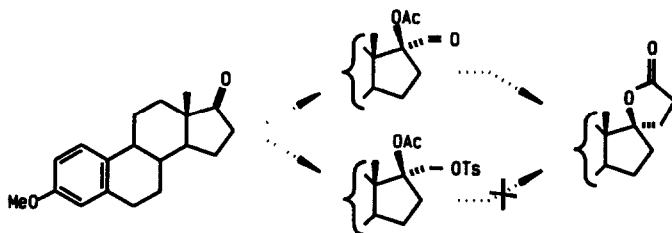
M. Suresh Kumar & Jampani Madhusudana Rao*
 Indian Institute of Chemical Technology, Hyderabad 500 007, India

Summary : A transoid enone and an enone-CPD exciplex are proposed as transients in the photochemical and photophysical processes in the system excited cyclohexenone-CPD



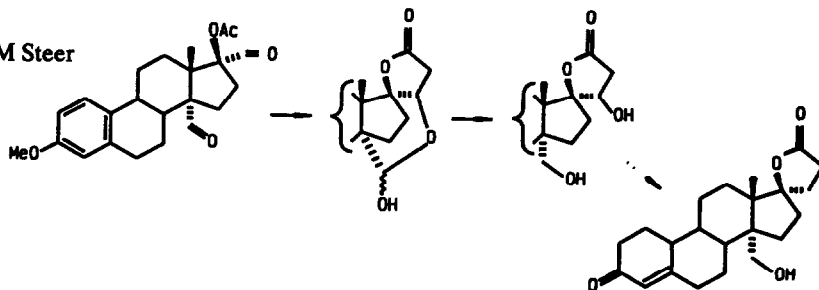
INTRAMOLECULAR CONDENSATION OF STEROIDAL 17 α -FORMYL 17 β -ACETATES: MODEL STUDIES ON 19-NORSTEROIDS, AND A ROUTE TO 3-METHOXY-19-NOR-17 α -PREGNA-1,3,5(10)-TRIENE-21,17-CARBOLACTONE

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INTRAMOLECULAR CONDENSATION OF STEROIDAL 17 α -FORMYL 17 β -ACETATES: SYNTHESIS OF 14-FUNCTIONALISED 17- SPIROLACTONES

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MULINIC AND ISOMULINIC ACIDS, REARRANGED DITERPENES WITH
A NEW CARBON SKELETON FROM MULINUM CRASSIFOLIUM

Luis A. Loyola, Glauco Morales, Benjamín Rodríguez, Jesús Jiménez-Barbero,
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Two diterpenoids (compounds 1 and 2) possessing a new carbon skeleton have been isolated from the above plant.

