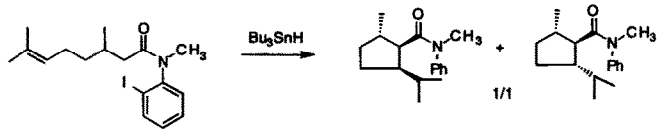
GRAPHICAL ABSTRACTS

Tetrahedron, **1994**, *50*, 7343

Amide-Based Protecting/Radical Translocating (PRT) Groups. Generation of Radicals Adjacent to Carbonyls by 1,5-Hydrogen Transfer Reactions of *o*-Iodoanilides

Dennis P. Curran,* Hosung Yu, Hongtao Liu
Department of Chemistry, University of Pittsburgh, Pittsburgh, PA 15260, USA

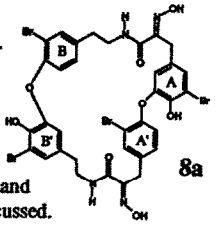
Summary: *The o-iodoanilide group is shown to be broadly useful for the generation and subsequent reactions of radicals adjacent to carboxyl groups.*



Tetrahedron, **1994**, *50*, 7367

THE SEARCH FOR INOSINE 5' PHOSPHATE DEHYDROGENASE INHIBITORS (IMPDH) FROM MARINE SPONGES. EVALUATION OF THE BASTADIN ALKALOIDS.

Marcel Jaspars◆, Topul Rali◆, Maureen Laney●, Randall C. Schatzman●, Maria Cristina Diaz◆, Francis J. Schmitz□, Evamarie O. Pordesimo□, and Phillip Crews◆*
◆*Dept. of Chemistry and Biochemistry and Inst. for Marine Sciences, Univ. of Calif., Santa Cruz, Santa Cruz, CA 95064;* □*Dept. of Chemistry, Univ. of Oklahoma, Norman, OK 73019,* ●*Inst. of Biochemistry and Cell Biology, Syntex Discovery Research, Palo Alto, CA 94304.*

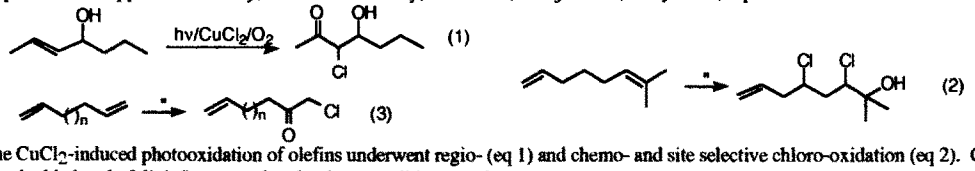


Seven known bastadins, and one new one (**8a**) were tested as inhibitors of IMPDH. Overall, bastadins 8 (**5**) and 10 (**6**) were found to be the most active inhibitors of the enzyme. The biogenesis of the bastadins is also discussed.

Tetrahedron, **1994**, *50*, 7375

Metal-Catalyzed Organic Photoreactions. Chemo- and Regio-selectivities in the $CuCl_2$-Induced Photooxidation of Olefins

Tadashi Sato* and Shin-ichi Yonemochi
Department of Applied Chemistry, Waseda University, Ookubo 3, Shinjuku-ku, Tokyo 169, Japan



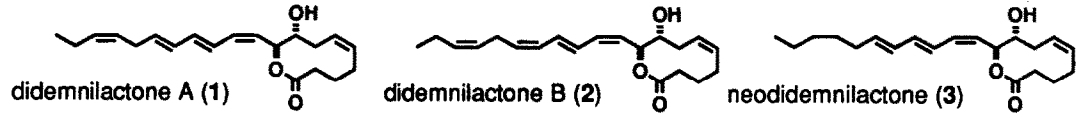
The $CuCl_2$-induced photooxidation of olefins underwent regio- (eq 1) and chemo- and site selective chloro-oxidation (eq 2). Only one double bond of diolefins reacted under these conditions (eq 3).

Tetrahedron, **1994**, *50*, 7385

DIDEMNILACTONES A AND B AND NEODIDEMNILACTONE, THREE NEW FATTY ACID METABOLITES ISOLATED FROM THE TUNICATE *DIDEMNUM MOSELEYI* (HERDMAN)

Haruki Niwa,* Masaru Watanabe, Hideaki Inagaki, and Kiyoyuki Yamada*
Department of Chemistry, Faculty of Science, Nagoya University, Chikusa, Nagoya 464, Japan

Isolation and structure determination of didemnilactones A and B and neodidemnilactone.

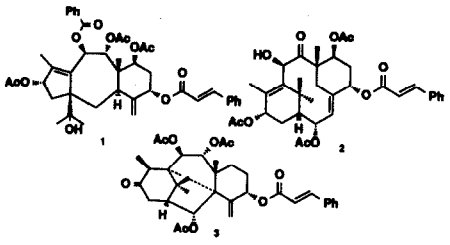


Tetrahedron, **1994**, *50*, 7401

Taxuspines A ~ C, New Taxoids from Japanese Yew *Taxus Cuspidata* Inhibiting Drug Transport Activity of P-glycoprotein in Multidrug-Resistant Cells

Jun'ichi Kobayashi*, Aya Ogiwara, Hirokazu Hosoyama, Hideyuki Shigemori, Naotoshi Yoshida, Takuma Sasaki[a], Yin Li[b], Shigeo Iwasaki[b], Mikihiko Naito[b], and Takashi Tsuruo*[b] *Faculty of Pharmaceutical Sciences, Hokkaido University, Sapporo 060, Japan, [a]Cancer Research Institute, Kanazawa University, Kanazawa 920, Japan, and [b]The University of Tokyo, Tokyo 113, Japan*

Three new unusual taxoids, taxuspines A ~ C (**1** ~ **3**), which increased cellular accumulation of vincristine in multidrug-resistant tumor cells, have been isolated from the Japanese yew *Taxus cuspidata* Sieb. et Zucc.

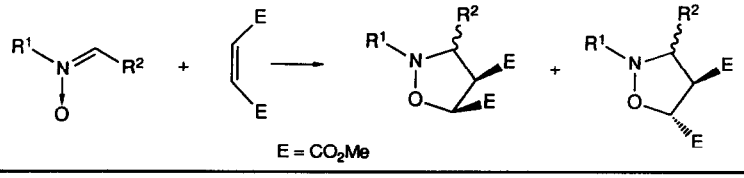


Tetrahedron, **1994**, *50*, 7417

Formation of Cycloadducts with Trans-Configurated Ester Groups from Nitrones and Dimethyl Maleate

Hans Günter Aurich*, Gerlinde Frenzen, Markus G. Rohr
Fachbereich Chemie der Philipps-Universität Marburg, D-35032 Marburg, Germany

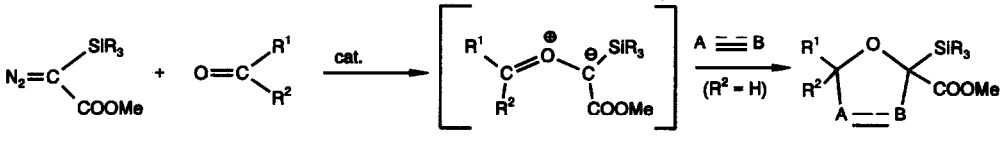
The 1.3-dipolar cycloaddition of various C-alkyl nitrones with dimethyl maleate affords not only 4.5-cis substituted but also 4.5-trans substituted isoxazolidines.



Tetrahedron, **1994**, *50*, 7435

TRANSITION-METAL-CATALYZED DECOMPOSITION OF DIAZO-(TRIALKYLSILYL)ACETATES: INTERMOLECULAR FORMATION AND TRAPPING OF CARBONYL YLIDES

M. ALT and G. MAAS*
Fachbereich Chemie, Universität Kaiserslautern, D-67663 Kaiserslautern (Germany)



Tetrahedron, **1994**, *50*, 7445

SYNTHESIS OF 5-*N*- AND 9-*N*-THIOACYLATED SIALIC ACIDS

Rainer Isecke and Reinhard Brossmer, Institut für Biochemie II, Universität Heidelberg, Im Neuenheimer Feld 328, D-69120 Heidelberg, Germany

N-Thioacylation of methyl α-glycosides of neuraminic acid (**5**) and of *N*-acetyl-9-amino-9-deoxyneuraminic acid (**16**) gave *via* **6a-c** and **18**, respectively, the free 5-*N*-thioacylated neuraminic acids **8a-c** and 9-thioacetamido derivative **19**, respectively. Some biological properties of the new sialic acids are reported.

Neu-2αMe ⟶ Neu5ThAcyl-2αMe ⟶ Neu5ThAcyl ThAcyl = C(S)-R, R = H, CH_3, CH_2CH_3

5 **6a-c** **8a-c**

9-NH_2-Neu5Ac-2αMe ⟶ 9-NThAc-Neu5Ac-2αMe ⟶ 9-NThAc-Neu5Ac

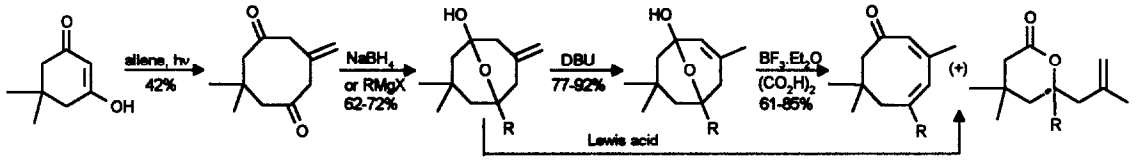
16 **18** **19**

Tetrahedron, **1994**, *50*, 7461

3,3-Dimethyl-7-methylenecycloocta-1,5-dione, a Versatile Building Block for the Preparation of Substituted Cyclooctadienones and δ-Valerolactones

Jerzy A. Bajgrowicz, Martin Petrzilka*
Givaudan-Roure Research Ltd., Ueberlandstr. 138, CH-8600 Dübendorf, Switzerland

Abstract: A rearrangement of transannular hemiketals, obtained by a De Mayo reaction of dimedone with allene followed by reduction or Grignard addition, leads to substituted cyclooctadienones (pure or accompanied by isomeric δ-valerolactones).

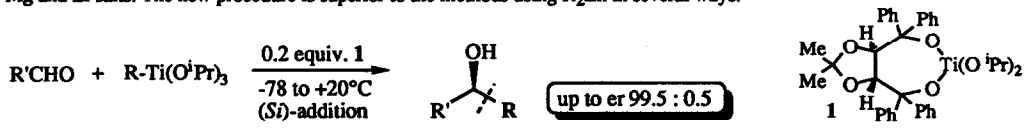


Tetrahedron, **1994**, *50*, 7473

Ti-TADDOLATE-CATALYZED, HIGHLY ENANTIOSELECTIVE ADDITION OF ALKYL- AND ARYL-TITANIUM DERIVATIVES TO ALDEHYDES

Beat Weber and Dieter Seebach* Laboratorium für Organische Chemie der Eidgenössischen Technischen Hochschule, ETH-Zentrum, Universitätstrasse 16, CH-8092 Zürich, Switzerland.

Secondary alcohols of very high enantiopurity (up to er 99.5 : 0.5) are obtained by addition of R-Ti(O^iPr)$_3$ to aldehydes in the presence of the Ti-TADDOLate 1 (0.2 equiv.). Solutions of the Ti-reagents are prepared from RMgX or RLi and ClTi(O^iPr)$_3$, with careful removal of the Mg and Li salts. The new procedure is superior to the methods using R_2Zn in several ways.

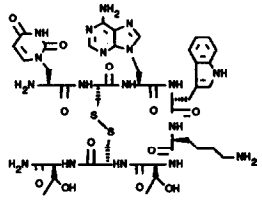


Tetrahedron, **1994**, *50*, 7485

SYNTHESIS OF SOMATOSTATIN ANALOGUES INCORPORATING NUCLEO AMINO ACIDS

Ian Lewis and Christian Bruns, Sandoz Pharma Ltd., CH-4002 Basel, Switzerland

Abstract: Somatostatin analogues incorporating nucleo amino acids, such as 18, have been chemically synthesised. The observation of high biological activity with modified receptor binding affinities and levels of inhibition of growth hormone release from cultured pituitary cells are discussed.

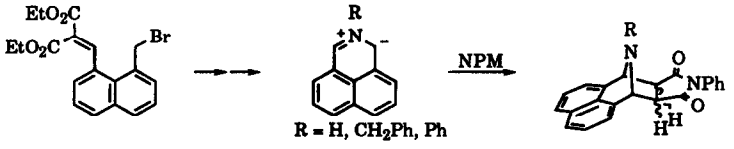


18 Uala^{1}Aala^{3}ThrNH$_2^6$ octreotide SDZ 221-046 ac

Tetrahedron, **1994**, *50*, 7495

SYNTHESIS OF THE PARENT COMPOUND AND *N*-SUBSTITUTED DERIVATIVES OF 1*H*-BENZ[*de*]ISOQUINOLINE AND BENZ[*de*]ISOQUINOLINIUM-1-IDE

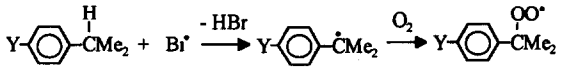
Chin-Kang Sha* and Deh-Chi Wang
Department of Chemistry, National Tsing Hua University, Hsinchu, Taiwan 300, R.O.C.



Tetrahedron, **1994**, *50*, 7503

CAN THE SPIN-DELOCALIZATION EFFECT EXPRESS ITSELF AT THE TRANSITION STATE OF THE HYDROGEN-ATOM ABSTRACTION REACTION? CORRELATION ANALYSIS OF RELATIVE RATES MEASURED BY A RIGOROUS METHODOLOGY FOR ELEVEN p-Y-SUBSTITUTED ISOPROPYLBENZENES

Xi-Kui Jiang,[a]* Wayne Wei-Zhong Liu[a] and Shi-Hui Wu[b] a) Shanghai Institute of Organic Chemistry, 354 Fenglin Lu, Shanghai 200032, China. b) Chemistry Department, Fudan University, 220 Handan Road, Shanghai 200433, China.

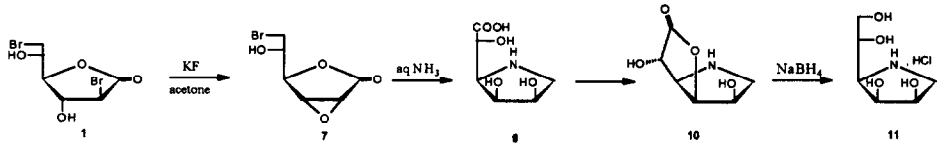


Correlation analysis of the relative rates of H-atom abstraction by Br• from 11 Y-substituted cumenes suggests that a spin effect is also operating at the transition state even though the polar effect predominates.

Tetrahedron, **1994**, *50*, 7513

DEOXYIMINOALDITOLS FROM ALDONOLACTONES. III. PREPARATION OF 1,4-DIDEOXY-1,4-IMINO-L-GULITOL.- EVALUATION OF 1,4-DIDEOXY-1,4-IMINOHEXITOLS AS GLYCOSIDASE INHIBITORS.

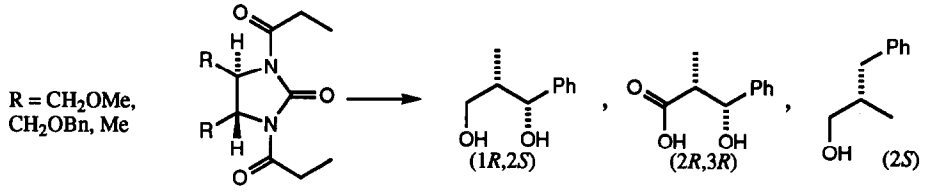
Inge Lundt*[a], Robert Madsen,[a] Samer Al Daher,[b] Bryan Winchester[b]
[a]Department of Organic Chemistry, The Technical University of Denmark, DK-2800 Lyngby, Denmark.
[b] Division of Biochemistry and Genetics, Institute of Child Health, (University of London), 30, Guilford Street, London WC1N 1EH, UK.



Tetrahedron, **1994**, *50*, 7521

BIFUNCTIONAL CHIRAL AUXILIARIES 8: UTILISATION OF TARTARIC ACID DERIVED AUXILIARIES IN ALDOL AND ALKYLATION REACTIONS

S.G. Davies*, G.B. Evans and S. Pearce,
The Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford, OX1 3QY, UK.

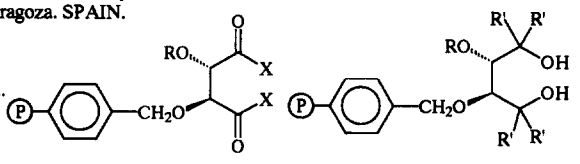


Tetrahedron, **1994**, *50*, 7535

FUNCTIONALIZATION OF POLYSTYRENE RESINS WITH CHIRAL FRAGMENTS DERIVED FROM TARTARIC ACID.

Belén Altava, M. Isabel Burguete, Santiago V. Luis* and J. Antonio Mayoral
Lab. of Organic Chemistry, Dept. of Experimental Sciences, University Jaume I, Castellón and Dept. of Organic Chemistry, University of Zaragoza, Zaragoza. SPAIN.

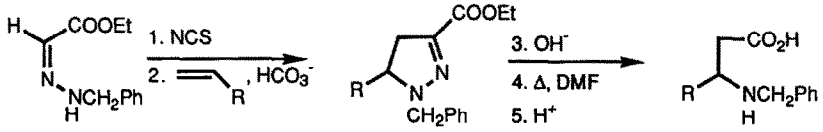
Different polystyrene resins with pendant chiral groups having the general structures shown have been prepared.



1,3-DIPOLAR CYCLOADDITIONS OF ETHOXYCARBONYL-NITRILE BENZYLIMINE, EtOOC C ≡ N^{+} - $\bar{N}CH_2C_6H_5$, AND SYNTHESIS OF β-AMINO ACIDS. SYNTHESIS AND REACTIONS OF ETHYL 2-CHLORO-2-ETHOXYACETATE AND 2-CHLORO-2-ETHOXYACETYL CHLORIDE

Tetrahedron, **1994**, *50*, 7543

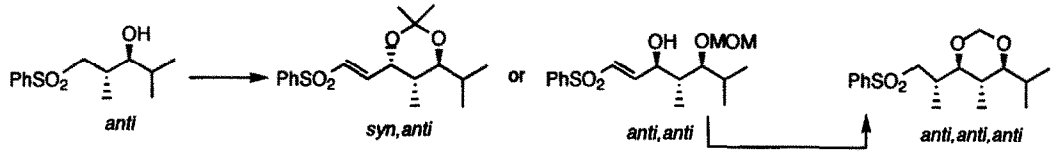
Karen K. Bach, Hesham R. El-Seedi, Henrik M. Jensen, Helene B. Nielsen, Ib Thomsen and Kurt B.G. Torssell, Department of Organic Chemistry, Chemical Institute, University of Aarhus, DK-8000 Århus C. Denmark.



FLEXIBLE AND STEREOSELECTIVE CONSTRUCTION OF POLYPROPIONATE CHAINS FROM ENANTIOMERICALLY PURE γ-HYDROXY-α,β-UNSATURATED SULFONES

Tetrahedron, **1994**, *50*, 7557

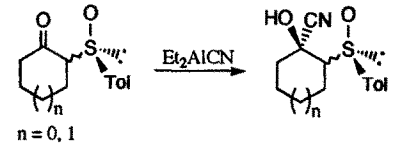
*Esteban Domínguez and Juan C. Carretero**
Departamento de Química, Facultad de Ciencias, Universidad Autónoma de Madrid, Cantoblanco, 28049 Madrid, Spain



Highly Diastereoselective Hydrocyanation of α-Sulfinyl Cycloalkanones

Tetrahedron, **1994**, *50*, 7567

Ana Escribano, José L. García Ruano*, Ana M. Martín Castro, and Jesús H. Rodríguez*
Departamento de Química (C–I), Universidad Autónoma de Madrid, Cantoblanco, 28049–Madrid, Spain



Reaction of the title compounds bearing *R* configuration at sulfur affrods *(1S)*-cyclic cyanohydrins with very high optical purity.

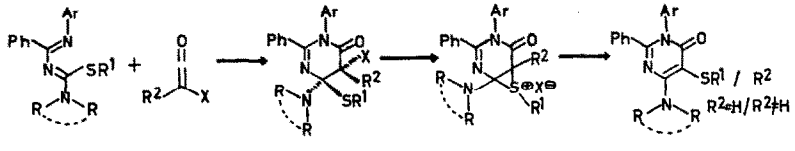
REACTIONS OF 1,3-DIAZA-1,3-BUTADIENES WITH HALOKETENES-REARRANGEMENTS ACCOMPANYING [4+2] CYCLOADDITION REACTIONS.

Tetrahedron, **1994**, *50*, 7579

Sujit N. Mazumdar, Sucharita Mukherjee, Arun K. Sharma, Devashish Sengupta and Mohinder P. Mahajan*

Department of Chemistry, North-Eastern Hill University, Shillong - 793 003, Meghalaya, India.

1,3-Diaza-1,3-butadienes undergone [4+2] cycloaddition reactions with haloketenes accompanying interesting rearrangements.

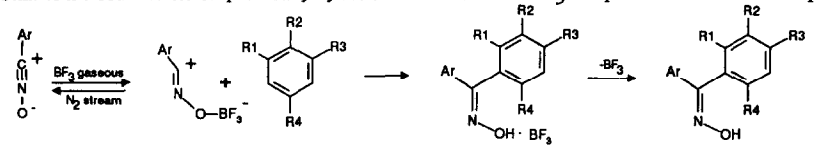


Tetrahedron, **1994**, *50*, 7589

Nitrile Oxide-BF_3 Complex as Electrophilic Moiety towards Aromatic Systems: Stereospecific Synthesis of Oximes

Sergio Auricchio,* Antonella Bini , Eros Pastormerlo, Aldo Ricca and Ada M. Truscello
Dipartimento di Chimica, Politecnico di Milano, via Mancinelli 7, 20131 Milano

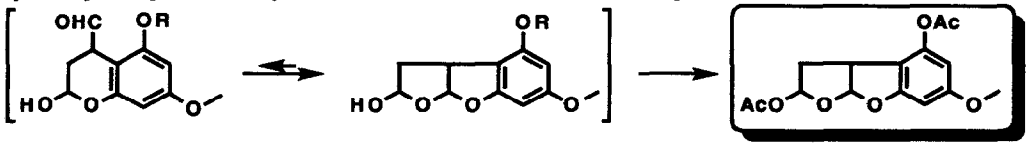
Aromatic Oximes are obtained stereospecifically by action of Nitrile Oxide-BF_3 complexes on Aromatic Compounds.



Tetrahedron, **1994**, *50*, 7597

A STUDY OF THE INTERCONVERSION BETWEEN 3,4-DIHYDRO-4-FORMYL-2-HYDROXY-2*H*-BENZOPYRAN AND 2,3,3a,8a-TETRAHYDRO-2-HYDROXYFURO[2,3-B]BENZOFURAN MOIETIES, AND ITS APPLICATION TO A FORMAL SYNTHESIS OF (±)-AFLATOXIN B_1

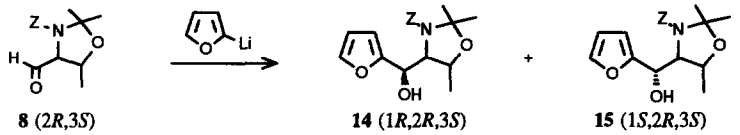
Jordi Bujons, Francisco Sánchez-Baeza and Angel Messeguer *
Dpt. Biological Organic Chemistry, CID (CSIC). J. Girona, 18. 08034 Barcelona, Spain.



Tetrahedron, **1994**, *50*, 7611

SYNTHESIS AND ABSOLUTE CONFIGURATION OF FOUR DIASTEREOISOMERIC 1-(2-FURYL)-2-AMINOBUTANE-1,3-DIOLS

Barbara Szechner[a], Osman Achmatowicz[a], Zdzisław Gałdecki[b], Andrzej Fruziński[b]
[a]Pharmaceutical Research Institute, 01-793 Warszawa, Poland, [b]Technical University of Łódź, 90-924 Łódź, Poland



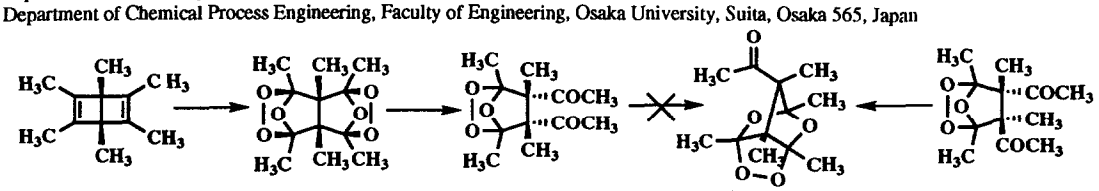
8 (2*R*,3*S*) **13** (1*R*,2*R*) **14** (1*R*,2*R*,3*S*) **16** (1*R*,2*R*,3*R*) **15** (1*S*,2*R*,3*S*) **17** (1*S*,2*R*,3*R*)

Addition of furyllithium to aldehydes **8** and **13** as well as structure of resulting aminobutanediols **14**, **15**, **16** and **17** is described.

Tetrahedron, **1994**, *50*, 7625

Polycyclic Ozonides and Peroxides Derived from Hexamethyl(Dewar Benzene)

Kevin J. McCullough,*[a] Shogo Tanaka,[b] Koichi Teshima,[b] and Masatomo Nojima.*[b]
[a] Department of Chemistry, Heriot-Watt University, Edinburgh EH14 4AS, Scotland
[b] Department of Chemical Process Engineering, Faculty of Engineering, Osaka University, Suita, Osaka 565, Japan

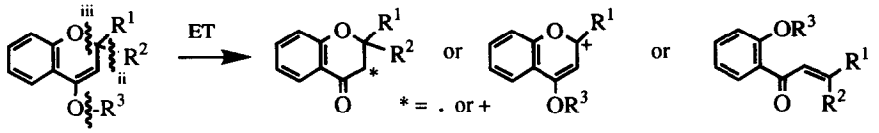


1 3c 7 6 5

ELECTRON TRANSFER OXIDATION OF ENOL DERIVATIVES OF 2,3-DIHYDROBENZOPYRAN-4-ONES.

Tetrahedron, **1994**, *50*, 7635

M. Consuelo Jiménez, Miguel A. Miranda.* Juan Soto and Rosa Tormos.
Universidad Politécnica de Valencia, Apdo. 22012, E-46071, Valencia, Spain.

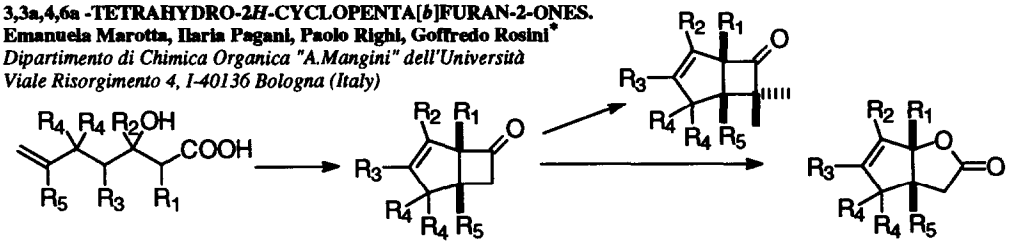


i ET conditions: a) Ce(IV), b)hv/2,4,6-triphenylpyrylium tetrafluoroborate, c)anodic oxidation.

SYNTHESIS OF METHYL SUBSTITUTED BICYCLO[3.2.0]HEPT-3-EN-6-ONES AND 3,3a,4,6a -TETRAHYDRO-2*H*-CYCLOPENTA[*b*]FURAN-2-ONES.

Tetrahedron, **1994**, *50*, 7645

Emanuela Marotta, Ilaria Pagani, Paolo Righi, Goffredo Rosini*
Dipartimento di Chimica Organica "A.Mangini" dell'Università
Viale Risorgimento 4, I-40136 Bologna (Italy)



CYCLOPROPAMITOSENES, NOVEL BIOREDUCTIVE ANTICANCER AGENTS. SYNTHESIS OF 7-METHOXYCYCLOPROPAMITOSENE AND RELATED INDOLEQUINONES

Tetrahedron, **1994**, *50*, 7657

A. S. Cotterill,[a] P. Hartopp,[a] G. B. Jones,[b] C. J. Moody,[a,b] C. L. Norton,[a] N. O'Sullivan[a] and E. Swann[a]
[a]Dept of Chemistry, Loughborough University, Leics LE11 3TU; [b]Dept of Chemistry, Imperial College, London SW7 2AY.

The synthesis of the cyclopropamitosene **5** and the related indolequinone **6** is described.