

## GRAPHICAL ABSTRACTS

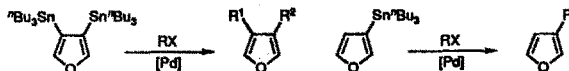
### REGIOSPECIFIC SYNTHESIS OF 3,4-DISUBSTITUTED FURANS AND 3-SUBSTITUTED FURANS USING 3,4-BIS(TRI-*n*-BUTYLSTANNYL)FURAN AND 3-(TRI-*n*-BUTYLSTANNYL)FURAN AS BUILDING BLOCKS

*Tetrahedron*, 1994, 50, 9583

Yun Yang and Henry N.C. Wong\*

Department of Chemistry, The Chinese University of Hong Kong, Shatin, New Territories, Hong Kong.

3,4-Bis(tri-*n*-butylstannyl)furan and 3-(tri-*n*-butylstannyl)furan were prepared and converted to various 3,4-disubstituted furans and 3-substituted furans utilizing palladium-catalyzed coupling and carbonylation reactions.

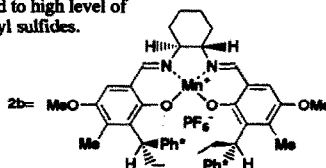
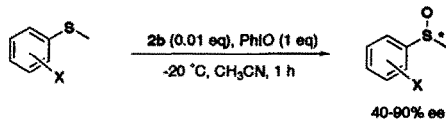


### CATALYTIC ASYMMETRIC OXIDATION OF SULFIDES USING (SALEN)MANGANESE(III) COMPLEX AS A CATALYST

Kenji Noda, Naoki Hosoya, Ryo Irie, Yuji Yamashita, and Tutomu Katsuki\*

Department of Chemistry, Faculty of Science, Kyushu University 33, Hakozaki, Higashi-ku, Fukuoka 812, Japan

Chiral (salen)manganese(III) complex (**2b**) was found to show a good to high level of asymmetric induction in catalytic asymmetric oxidation of aryl methyl sulfides.



*Tetrahedron*, 1994, 50, 9609

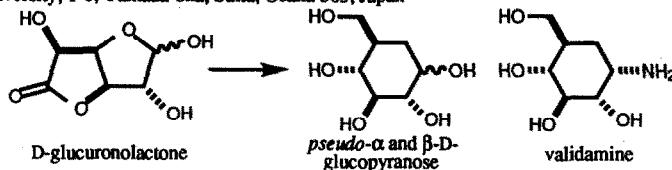
### Stereoselective Conversion of D-Glucuronolactone into Pseudo-Sugar: Syntheses of Pseudo- $\alpha$ -D-Glucopyranose, Pseudo- $\beta$ -D-Glucopyranose, and Validamine

Masayuki Yoshikawa<sup>a,c</sup>, Nobutoshi Murakami<sup>a</sup>, Yoshihiro Yokokawa<sup>a</sup>, Yasunao Inoue<sup>a</sup>, Yasuyuki Kuroda<sup>b</sup>, Isao Kitagawa<sup>b</sup>

<sup>a</sup>Kyoto Pharmaceutical University, 5 Nakauchi-cho, Misasagi, Yamashina-ku, Kyoto 607, Japan

<sup>b</sup>Faculty of Pharmaceutical Sciences, Osaka University, 1-6, Yamada-oka, Suita, Osaka 565, Japan

Pseudo- $\alpha$  and  $\beta$ -D-glucopyranose and validamine were synthesized from D-glucuronolactone by using stereoselective nitromethane addition reaction as a key step.

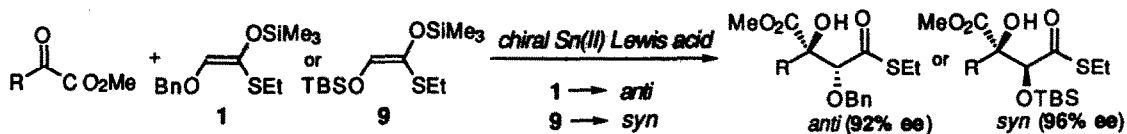


*Tetrahedron*, 1994, 50, 9619

### Enantioselective Synthesis of Both Diastereomers, Including the $\alpha$ -Alkoxy- $\beta$ -hydroxy- $\beta$ -methyl(aryl) Units, by Chiral Tin(II) Lewis Acid-Mediated Asymmetric Aldol Reactions

Shū Kobayashi,<sup>\*</sup> Mineko Horibe, and Yumi Saito

Department of Applied Chemistry, Faculty of Science, Science University of Tokyo (SUT), Kagurazaka, Shinjuku-ku, Tokyo 162



*Tetrahedron*, 1994, 50, 9629

**'Biomimetic' Oxidative Dimerization of Korupensamine A:  
Completion of the First Total Synthesis of Michellamines A, B, and C**

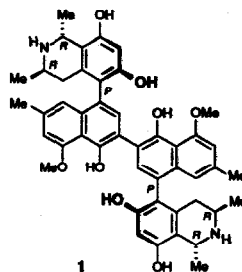
G. Bringmann<sup>a</sup>, S. Harmsen<sup>a</sup>, J. Holenz<sup>a</sup>, T. Geuder<sup>a</sup>, R. Götz<sup>a</sup>, P.A. Keller<sup>a</sup>,  
R. Walter<sup>a</sup>, Y.F. Hallock<sup>b</sup>, J.H. Cardellina II<sup>b</sup>, and M.R. Boyd<sup>b</sup>

<sup>a</sup>Institut für Organische Chemie, Universität Würzburg, Am Hubland,  
97074 Würzburg, Germany

<sup>b</sup>Laboratory of Drug Discovery Research and Development, National Cancer Institute,  
Building 1052, Room 121, Frederick, MD 21702-1201, USA

The completion of a first total synthesis of michellamine A (1) was achieved by 'dimerization' of the corresponding naphthylisoquinoline 'half' in a partially protected form. This 'monomeric' alkaloid had been prepared by isolation from plant material and by total synthesis.

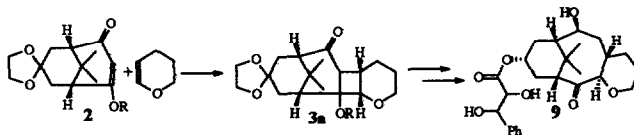
*Tetrahedron*, 1994, 50, 9643



**Synthesis of New Taxoids**

S. Blechert<sup>a</sup>, R. Jansen and J. Velder,  
Technische Universität Berlin, Straße des 17. Juni 135, D-10623 Berlin, Germany.

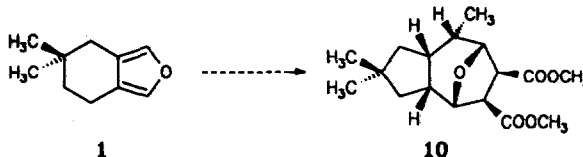
[2+2] Photocycloaddition of enone 2 with dihydropyran derivatives led to tetracyclic intermediates of type 3 which can be converted into taxoid 9.



*Tetrahedron*, 1994, 50, 9649

**SYNTHESIS OF FUNCTIONALIZED HYDROAZULENES-  
A NEW APPROACH TO THE LACTARANE SKELETON**

Werner Tochtermann<sup>a</sup>, Stefan Bruhn,  
Martin Meints und Christian Wolff,  
Institut für Organische Chemie der Universität,  
Olshausenstr. 40, D-24098 Kiel, Germany.



An efficient synthesis of hydroazulenes with the lactarane skeleton from the furan 1 is described.

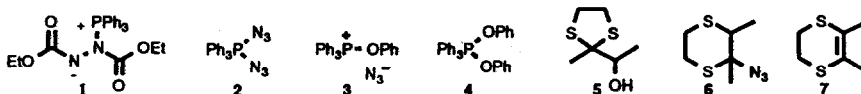
*Tetrahedron*, 1994, 50, 9657

**THE MECHANISM OF THE MITSUNOBU AZIDE MODIFICATION AND THE  
EFFECT OF ADDITIVES ON THE RATE OF HYDROXYL GROUP ACTIVATION.**

Carlos M. Afonso, M. Teresa Barros, Licio S. Godinho, Christopher D. Maycock<sup>a</sup>.

*Faculdade de Ciências da Universidade de Lisboa, Departamento de Química, Rua Ernesto de Vasconcelos, 1700  
Lisboa, PORTUGAL.*

The ylide 1 reacts with hydrazoic acid to form an intermediate for which we tentatively assign the structure of the diazide 2. This latter reacts with phenol to afford phosphonium ion 3. Diazoxide 4 also reacts with hydrazoic acid to form 3. 2 and 3 react rapidly with alcohol 5 to afford the azide 6, whereas 5 reacts only very slowly with 1 to form 7. 4 reacts rapidly with 5 to produce 7.



*Tetrahedron*, 1994, 50, 9671

Tetrahedron, 1994, 50, 9679

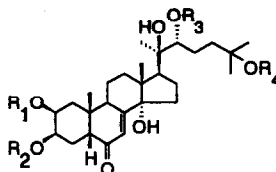
### REGIOSELECTIVE SYNTHESIS OF 20-HYDROXYECDYSONE GLYCOSIDES

Jaroslav Pěš<sup>a</sup>, Jiří Hykl, Miloš Buděšínský and Juraj Harmatha

Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, 166 10 Prague, Czech Republic

Four β-D-glucopyranosides of 20-hydroxyecdysone were prepared. The regioselective course of glucosylation was achieved by the combination of hydroxyl and 1,2-diol protective groups in aglycone part.

- 1  $R_1 = R_2 = R_3 = R_4 = H$
- 2  $R_2 = R_3 = R_4 = H$   $R_1 = \beta\text{-D-Glc}$
- 3  $R_1 = R_3 = R_4 = H$   $R_2 = \beta\text{-D-Glc}$
- 4  $R_1 = R_2 = R_4 = H$   $R_3 = \beta\text{-D-Glc}$
- 5  $R_1 = R_2 = R_3 = H$   $R_4 = \beta\text{-D-Glc}$



### NEW MACROMOLECULAR HOST SYSTEMS. 1. A STRUCTURAL AND CONFORMATIONAL STUDY OF THE 1,3,5,7-TETRAOXADECALIN CORE.

Hanoeh Senderowitz<sup>a</sup>, Anthony Linden<sup>b</sup>, Larisa Golender<sup>a</sup>, Sarah Abramson<sup>a</sup> and Benzion Fuchs<sup>a\*</sup>

<sup>a</sup>School of Chemistry, Tel-Aviv University, Ramat-Aviv, 69 978 Tel-Aviv, Israel

<sup>b</sup>Organisch-chemisches Institut, Universität Zürich, CH-8057 Zürich, Switzerland

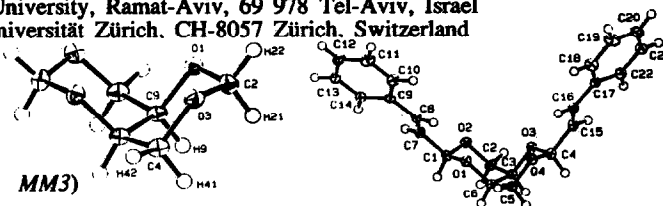
*cis*-1,3,5,7-tetraoxadecalin (TOD)

2,6-di(*trans*-styryl)-1,3,5,7-TOD:

low temperature X-ray diffraction,

NMR, conformations, 1,3-dioxanes

molecular mechanics (MM2 and MM3)



Tetrahedron, 1994, 50, 9691

### NEW MACROMOLECULAR HOST SYSTEMS. 2. 1,3,5,7-TETRAOXADECALIN, 1,2-DIMETHOXYETHANE

AND THE GAUCHE EFFECT REAPPRAISED. THEORY VS. EXPERIMENT.

Hanoeh Senderowitz, Larisa Golender and Benzion Fuchs\*

School of Chemistry\*\*, Tel-Aviv University, Ramat-Aviv, 69 978 Tel-Aviv, Israel

1,3,5,7-tetraoxadecalin diastereomers

MO "ab initio" calculations (C-O-C-O-C,

C-O-C-C-O-C and C-C-C-C) components,

"gauche effect" reevaluated, MM3

reparametrized for C-O-C-C-O-C systems.

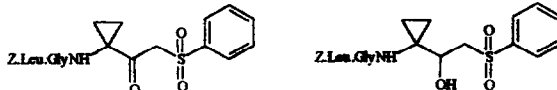


Tetrahedron, 1994, 50, 9707

### LATENT INHIBITORS PART 10. THE INHIBITION OF CARBOXYPEPTIDASE A BY TETRAPEPTIDE ANALOGUES BASED ON 1-AMINOCYCLOPROPANE CARBOXYLIC ACID

by Stephen Husbands, Christopher A. Suckling, and Colin J. Suckling, Department of Pure and Applied Chemistry, University of Strathclyde, 295 Cathedral Street, Glasgow, G1 1XL, Scotland.

The phenomenon of substrate-activated irreversible inhibition of carboxypeptidase A by cyclopropane-containing peptide analogues has been investigated using tetrapeptide inhibitors shown below. A mechanism for the inhibition reaction is proposed.

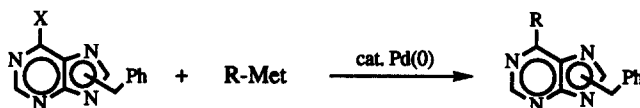


Tetrahedron, 1994, 50, 9729

*Tetrahedron, 1994, 50, 9743*

**6-HALOPURINES IN PALLADIUM-CATALYZED COUPLING WITH ORGANOTIN AND ORGANOZINC REAGENTS.** Lise-Lotte Gundersen,

Norwegian College of Pharmacy, Sven Oftedalsvei 8, N-0950 Oslo, Norway. Anne Kristin Bakkestuen and Arne Jørgen Aasen, Dept. of Pharmacy, University of Oslo, P.O.Box 1068, Blindern, N-0316 Oslo, Norway. Harald Øverås and Frode Rise, Dept. of Chemistry, University of Oslo, P.O. Box 1033 Blindern, N-0315 Oslo, Norway. *N-9* and *N-7* benzylated 6-halopurines participate in Pd-catalyzed cross coupling with organotin and organozinc reagents to give 6-alkenyl-, 6-aryl- and 6-alkylpurines.



**Stereoselective Synthesis of  $\beta$ -Naltrexol,  $\beta$ -Naloxol,  $\beta$ -Naloxamine,  $\beta$ -Naltrexamine and Related Compounds by the Application of the Mitsunobu Reaction**

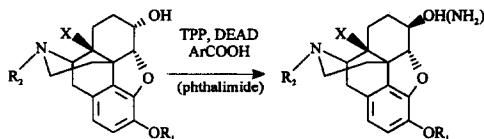
<sup>1</sup>Csaba Simon, <sup>1</sup>Sándor Hosztafi, <sup>2</sup>Sándor Makleit

<sup>1</sup>Alkaloida Chemical Company Ltd., H-4440 Tiszavasvári, Hungary

<sup>2</sup>Department of Organic Chemistry, L. Kossuth University,

P.O.Box 20, H-4010 Debrecen, Hungary

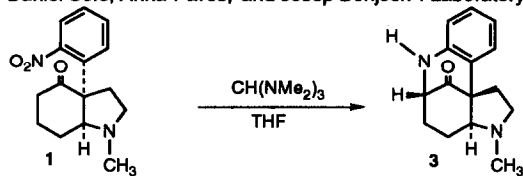
A few representatives of dihydroisocodine and dihydroisomorphine, the corresponding 6 $\beta$ -amines and their 14 $\beta$ -analogues were prepared by the application of the Mitsunobu reaction



*Tetrahedron, 1994, 50, 9757*

**AN UNEXPECTED TRANSFORMATION BY REACTION OF CONGESTED  $\alpha$ -(*o*-NITROPHENYL)KETONES WITH TRIS(DIMETHYL-AMINO)METHANE. A NEW HETEROCYCLIC SYSTEM: 6,11b-METHANOPYRROLO[2,3-*e*][1]BENZAZOCINE**

Daniel Solé, Anna Parés, and Josep Bonjoch\*. Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, 08028-Barcelona, Spain



The crowded  $\alpha$ -(*o*-nitrophenyl) ketone 1 reacts with tris(dimethylamino)methane to afford an unexpected tetrahydroquinoline derivative 3 by means a reductive process

*Tetrahedron, 1994, 50, 9769*

**EFFECT OF ZINC HALIDES ON THE HIGH STEREOSELECTIVITY OF A NEW MANNICH TYPE CYCLIZATION IN THE TILIVALLINE SYNTHESIS. A COMPUTATIONAL CHEMICAL ANALYSIS**

Takatoshi Matsumoto,<sup>a,b</sup> Toyohiko Aoyama,<sup>a</sup> Takayuki Shioiri,<sup>a,\*</sup> and Eiji Ōsawa<sup>b</sup>

<sup>a</sup>Department of Synthetic Organic Chemistry, Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku,

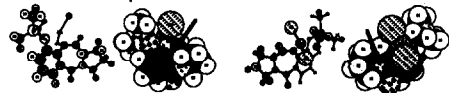
Nagoya 467, Japan

<sup>b</sup>Department of Knowledge-based Information Engineering, Toyohashi University of Technology, 1-1 Hibiyaoka, Tempakucho,

Toyohashi 441, Japan

View from the  $\beta$  side

View from the  $\alpha$  side



A computational chemical analysis clarified the effect of zinc chloride on the high stereoselectivity of a new Mannich type cyclization in the tilivalline synthesis.

*Tetrahedron, 1994, 50, 9775*

*Tetrahedron*, 1994, 50, 9781

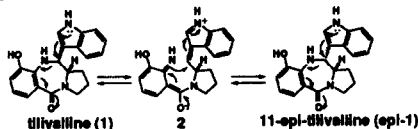
### EPIMERIZATION OF TILIVALLINE

Takatoshi Matsumoto,<sup>a,b</sup> Nobuyuki Matsunaga,<sup>a</sup> Ayako Kanai,<sup>a</sup>  
Toyohiko Aoyama,<sup>a</sup> Takayuki Shioiri,<sup>a,1</sup> and Eiji Osawa<sup>b</sup>

<sup>a</sup> Department of Synthetic Organic Chemistry, Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori,  
Mizuho-ku, Nagoya 467, Japan

<sup>b</sup> Department of Knowledge-based Information Engineering, Toyohashi University of Technology, 1-1 Hibarigaoka,  
Tempakucho, Toyohashi 441, Japan

Epimerization of tilivalline (1) occurred with zinc chloride to give a mixture of 1 and 11-epimer (epi-1) in a ratio of 83 : 17, which was consistent with the calculated equilibrium ratio.



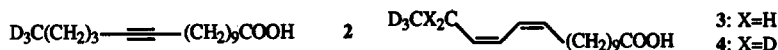
*Tetrahedron*, 1994, 50, 9789

### SYNTHESIS OF [16,16,16-<sup>2</sup>H<sub>3</sub>] 11-HEXADECYNOIC ACID AND [15,15,16,16,16-<sup>2</sup>H<sub>5</sub>] (Z,Z)-11,13-HEXADECADIENOIC ACID AND THEIR USE AS TRACERS IN A KEY STEP OF THE SEX PHEROMONE BIOSYNTHESIS OF THE PROCESSIONARY MOTH.

Mireia Barrot, Gemma Fabriás and Francisco Camps<sup>\*</sup>

Department of Biological Organic Chemistry, CID-CSIC, Jordi Girona 18-26, 08034-Barcelona, Spain.

The synthesis of deuterium labeled acids 2-4 and their use to investigate the biosynthetic pathway of the processionary moth sex pheromone is reported



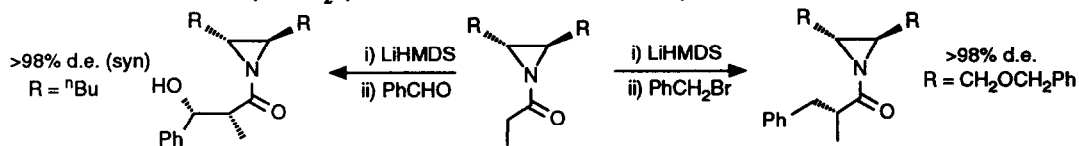
*Tetrahedron*, 1994, 50, 9797

### ON THE USE OF C<sub>2</sub>-SYMMETRIC AZIRIDINES AS CHIRAL AUXILIARIES

David Tanner<sup>a</sup>, Carin Birgersson<sup>a</sup>, Adolf Gogoll<sup>a</sup> and Kristina Luthman<sup>b</sup>

Depts. of <sup>a</sup>Organic Chemistry, <sup>b</sup>Organic Pharmaceutical Chemistry, University of Uppsala, Box 531, S-751 21 Uppsala, Sweden.

Good to excellent diastereoselectivity when C<sub>2</sub>-symmetric aziridine auxiliaries are used for alkylation and aldol reactions.

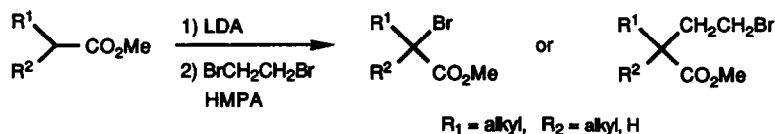


*Tetrahedron*, 1994, 50, 9825

### 1,2-DIBROMOETHANE IN THE SYNTHESIS OF 2-BROMOESTERS: BROMINATION vs ALKYLATION.

Javier Ibarzo and Rosa M. Ortuño<sup>\*</sup>

Universitat Autònoma de Barcelona, Departament de Química, 08193 Bellaterra, Barcelona, Spain.



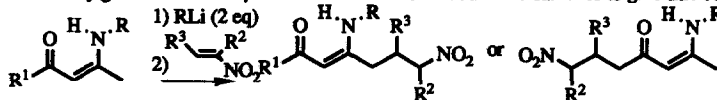
*Tetrahedron*, 1994, 50, 9831

**THE REACTION OF THE DIANION OF  $\beta$ -ENAMINOKETONES WITH ELECTROPHILES. PART 6. SYNTHESIS OF  $\gamma$ - AND  $\epsilon$ -NITRO- $\beta$ -ENAMINOKETONES.**

Giuseppe Bartoli,<sup>a</sup> Marcella Bosco,<sup>a</sup> Renato Dalpozzo,<sup>a,b</sup> Antonio De Nino,<sup>b</sup> Gianni Palmieri<sup>c</sup>

<sup>a</sup> Dipartimento di Chimica Organica, viale Risorgimento 4, I-40136 Bologna, Italy <sup>b</sup> Dipartimento di Chimica, Unical, I-87030 Arcavacata di Rende (Cs), Italy <sup>c</sup> Dipartimento di Scienze Chimiche, via S. Agostino 1, I-62032 Camerino (Mc), Italy

Selectively generated  $\alpha'$  and  $\gamma$  dianions of enamines add to nitroalkenes to give title compounds in good to high yields.



*Tetrahedron*, 1994, 50, 9837

**ASYMMETRIC SYNTHESIS OF 3,3-DIPHENYL-2-METHYL-ALANINE, A NEW UNUSUAL  $\alpha$ -AMINO ACID FOR PEPTIDES OF BIOLOGICAL INTEREST**

Carlos Cativiela\*, Maria D. Díaz-de-Villegas and José A. Gálvez, *Instituto de Ciencia de Materiales de Aragón. Departamento de Química Orgánica. Universidad de Zaragoza-CSIC. 50009 Zaragoza. Spain.*

A highly stereoselective route to enantiomerically pure (2*R*)-3,3-diphenyl-2-methylalanine, a sterically demanding and conformationally fixed analogue of phenylalanine, is described.

