

## Graphical abstracts

### Perspectives in total synthesis: a personal account

*Tetrahedron* 59 (2003) 6683

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Following a short personal introduction, in this article K. C. Nicolaou presents a retrospective on his research activities in the field of chemical synthesis from the early days of his graduate career in the late 1960s to the present. Although these endeavors span more than three decades, the covered topics are unified by the same underlying themes of synthesis, new synthetic technologies and chemical biology. The total syntheses of natural products whose stories will bring these themes to light in this account include, among others, those of the endiandric acids, efrotomycin, amphotericin B, calicheamicin  $\gamma_1^I$ , rapamycin, Taxol™, the brevetoxins, the epothilones, vancomycin, the CP-molecules, the bisorbicillinoids, everninomicin, the coleophomones, and diazonamide A.

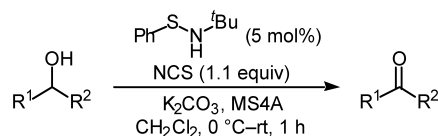
### *N*-tert-Butylbenzenesulfenamide-catalyzed oxidation of alcohols to the corresponding carbonyl compounds with *N*-chlorosuccinimide

*Tetrahedron* 59 (2003) 6739

Jun-ichi Matsuo,<sup>a,b</sup> Daisuke Iida,<sup>a,b</sup> Hiroyuki Yamanaka<sup>a,b</sup> and Teruaki Mukaiyama<sup>a,b,\*</sup>

<sup>a</sup>Center for Basic Research, The Kitasato Institute, 6-15-5 (TCI), Toshima, Kita-ku, Tokyo, 114-0003, Japan

<sup>b</sup>Kitasato Institute for Life Sciences, Kitasato University, 5-9-1 Shirokane, Minato-ku, Tokyo 108-8641, Japan

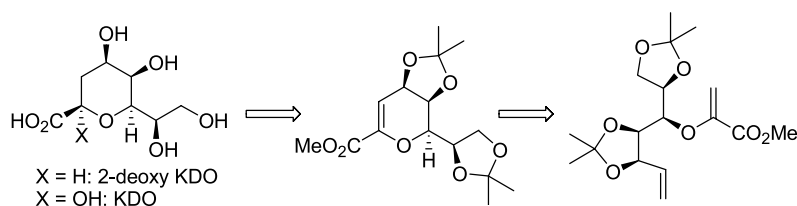


### Ring-closing metathesis of $\alpha$ -ester-substituted enol ethers: application to the shortest synthesis of KDO

*Tetrahedron* 59 (2003) 6751

Koen F. W. Hekking, Floris L. van Delft and Floris P. J. T. Rutjes\*

Department of Organic Chemistry, NSRIM, University of Nijmegen, Toernooiveld 1, 6525 ED Nijmegen, The Netherlands



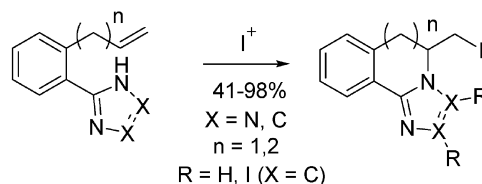
### Synthesis of fused tetrazole- and imidazole derivatives via iodocyclization

*Tetrahedron* 59 (2003) 6759

Fredrik Ek,<sup>a</sup> Lars-Göran Wistrand<sup>b</sup> and Torbjörn Frejd<sup>a,\*</sup>

<sup>a</sup>Organic Chemistry 1, Department of Chemistry, Lund University, P.O. Box 124, S-221 00 Lund, Sweden

<sup>b</sup>Amersham Health R&D AB, Medeon-Malmö, S-205 12 Malmö, Sweden

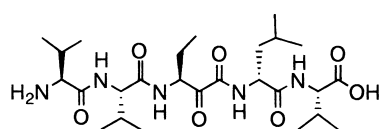


## Application of acyl cyanophosphorane methodology to the synthesis of protease inhibitors: poststatin, eurystatin, phebestin, probestin and bestatin

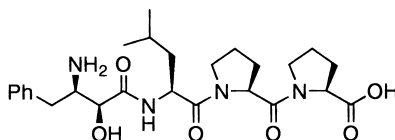
Harry H. Wasserman,\* Anders K. Petersen and Mingde Xia

Department of Chemistry, Yale University, P.O. Box 208107, New Haven, CT 06520-8107 USA

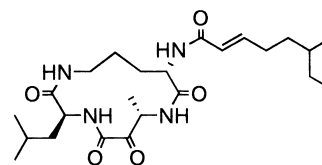
*Tetrahedron* 59 (2003) 6771



Poststatin



Probestin



Eurystatin A

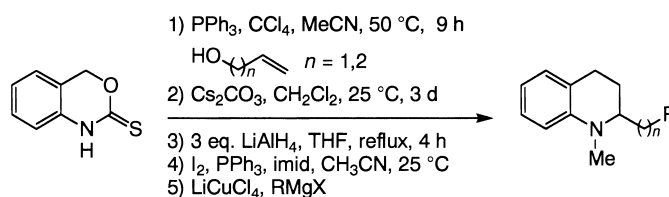
## The aza-xylylene Diels–Alder approach for the synthesis of naturally occurring 2-alkyl tetrahydroquinolines

Frank Avemaria,<sup>a</sup> Sylvia Vanderheiden<sup>a</sup> and Stefan Bräse<sup>b,\*</sup>

<sup>a</sup>Kekulé-Institut für Organische Chemie und Biochemie, Rheinische Friedrich-Wilhelms-Universität Bonn, Gerhard-Domagk-Straße 1, D-53121 Bonn, Germany

<sup>b</sup>Institut für Organische Chemie, Universität Karlsruhe, Fritz-Haber-Weg 6, D-76131 Karlsruhe, Germany

Starting from a thiocarbamate intramolecular Appel reaction with concomitant reaction with unsaturated alcohols and subsequent intramolecular Diels–Alder reaction furnishes tetrahydroquinolines in good overall yields.



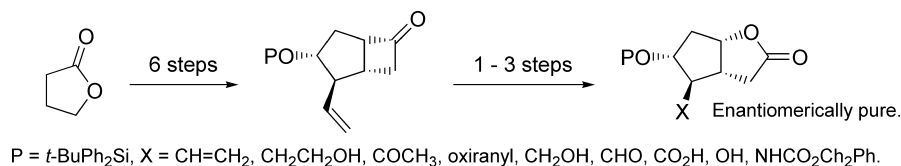
*Tetrahedron* 59 (2003) 6785

## A short multigram asymmetric synthesis of prostanoid scaffolds

Dominique Depré,<sup>a</sup> Lian-Yong Chen<sup>a</sup> and Léon Ghosez<sup>a,b,\*</sup>

<sup>a</sup>Department of Chemistry, University of Louvain, 1 Place Louis Pasteur, B-1348 Louvain-la-Neuve, Belgium

<sup>b</sup>European Institute of Chemistry and Biology, IECB-ENSCP, 16 Avenue Pey-Berland, F-33607 Pessac, France



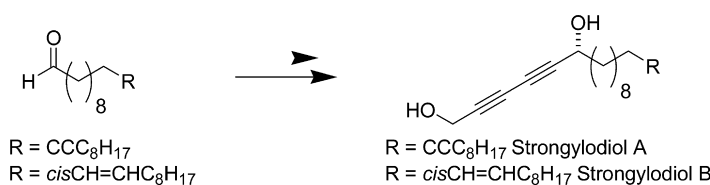
*Tetrahedron* 59 (2003) 6797

## Enantioselective total synthesis of (R)-stronglyliodiols A and B

Stefan Reber, Thomas F. Knöpfel and Erick M. Carreira\*

Laboratorium für Organische Chemie, ETH-Hönggerberg HCI H337, CH-8093 Zürich, Switzerland

*Tetrahedron* 59 (2003) 6813

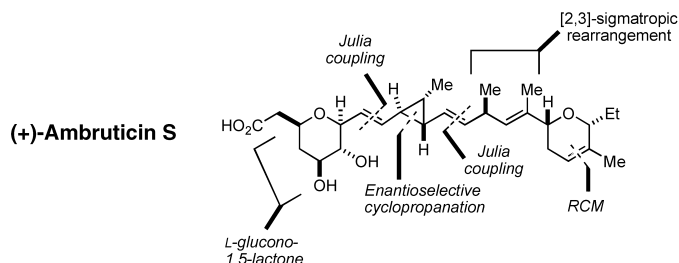


### Total synthesis of (+)-ambruticin S

*Tetrahedron* 59 (2003) 6819

Stephen M. Berberich, Robert J. Cherney, John Colucci, Christine Courillon,  
Leo S. Geraci, Thomas A. Kirkland, Matthew A. Marx, Matthias F. Schneider and Stephen F. Martin\*

*Department of Chemistry and Biochemistry, The University of Texas, 1 University Station A5300, Austin, TX 78712, USA*



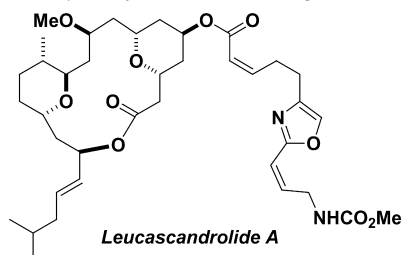
### A fully stereocontrolled total synthesis of

*Tetrahedron* 59 (2003) 6833

### (+)-leucascandrolide A

Ian Paterson\* and Matthew Tudge

*Department of Chemistry, University Chemical Laboratory, Lensfield Road, Cambridge CB2 1EW, UK*

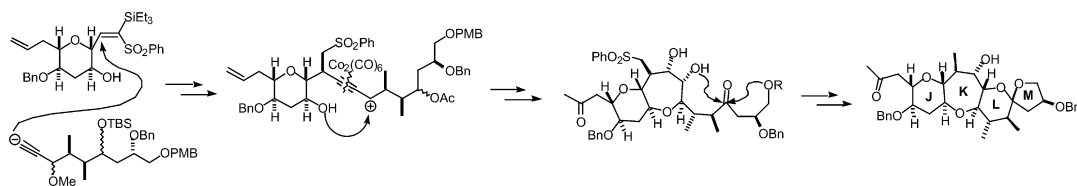


### Synthesis of the JKLM-ring fragment of ciguatoxin

*Tetrahedron* 59 (2003) 6851

Takayuki Baba, Guobin Huang and Minoru Isobe\*

*Laboratory of Organic Chemistry, Graduate School of Bioagricultural Sciences, Nagoya University, Chikusa, Nagoya 464-8601, Japan*



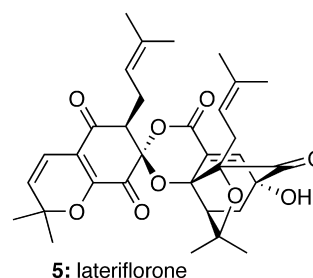
### Total synthesis of *seco*-lateriflorone

*Tetrahedron* 59 (2003) 6873

Eric J. Tisdale, Binh G. Vong, Hongmei Li, Sun Hee Kim, Chinmay Chowdhury and  
Emmanuel A. Theodorakis\*

*Department of Chemistry and Biochemistry, University of California, San Diego, 9500 Gilman Drive, La Jolla,  
CA 92093-0358, USA*

An efficient and convergent approach toward the synthesis of lateriflorone (**5**) is described. Our strategy is based on biosynthetic principles and is highlighted by a tandem Claisen/Diels–Alder cascade that forms the caged tricycle of **5** in excellent yield and regioselectivity.

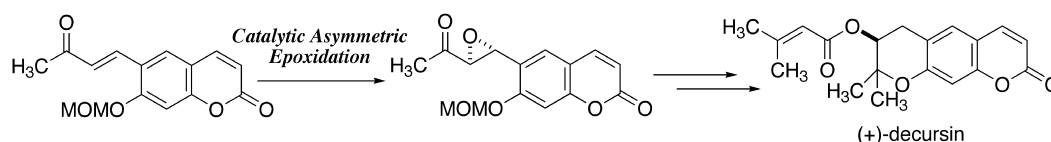


## Enantioselective total syntheses of (+)-decursin and related natural compounds using catalytic asymmetric epoxidation of an enone

*Tetrahedron* 59 (2003) 6889

Tetsuhiro Nemoto, Takashi Ohshima and Masakatsu Shibasaki\*

Graduate School of Pharmaceutical Sciences, The University of Tokyo, 7-3-1 Bunkyo-ku, Hongo, Tokyo 113-0033, Japan

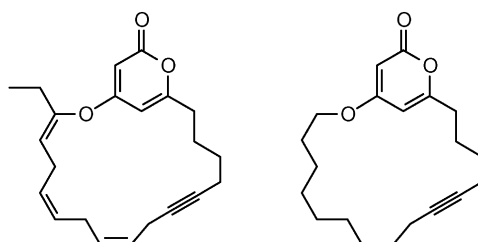


## Study towards bioactive pyrone derivatives from the marine red alga *Phacelocarpus labillardieri*

*Tetrahedron* 59 (2003) 6899

Dschun Song, Gaëlle Blond and Alois Fürstner\*

Max-Planck-Institut für Kohlenforschung, Kaiser-Wilhelm-Platz 1, D-45470 Mülheim an der Ruhr, Germany

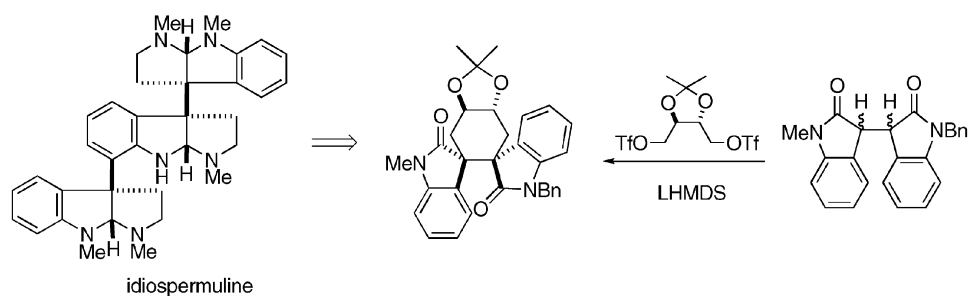


## Enantioselective synthesis of (–)-idiospermuline

*Tetrahedron* 59 (2003) 6905

Larry E. Overman\* and Emily A. Peterson

Department of Chemistry,  
University of California,  
516 Rowland Hall, Irvine,  
CA 92697-2025, USA

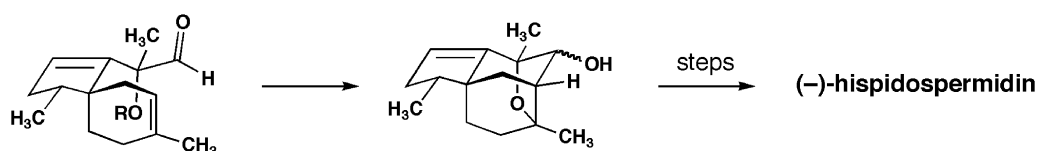


## A spontaneous bicyclization facilitates a synthesis of (–)-hispidospermidin

*Tetrahedron* 59 (2003) 6921

Junko Tamiya and Erik J. Sorensen\*

Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, 10550 North Torrey Pines Road-BCC123, La Jolla, CA 92037, USA

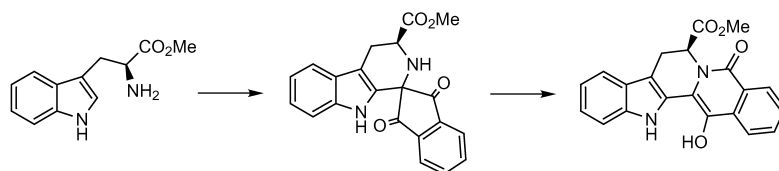


### Formation of yohimbanones via a novel rearrangement

Michael S. Leonard, Diane B. Hauze, Patrick J. Carroll and Madeleine M. Joullie\*

Department of Chemistry, University of Pennsylvania, Philadelphia, PA 19104-6323, USA

*Tetrahedron* 59 (2003) 6933

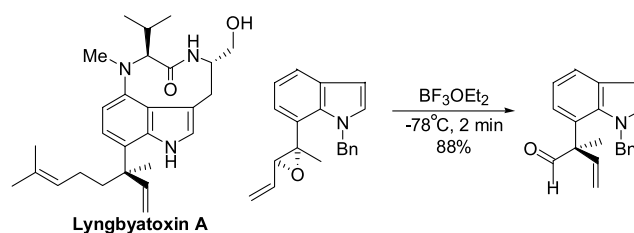


### Toward the enantioselective total synthesis of lyngbyatoxin A: on the stereocontrolled introduction of the quaternary stereogenic centre

Janne E. Tønder and David Tanner\*

Department of Chemistry, Technical University of Denmark, Building 201, Kemitorvet, DK-2800 Kgs. Lyngby, Denmark

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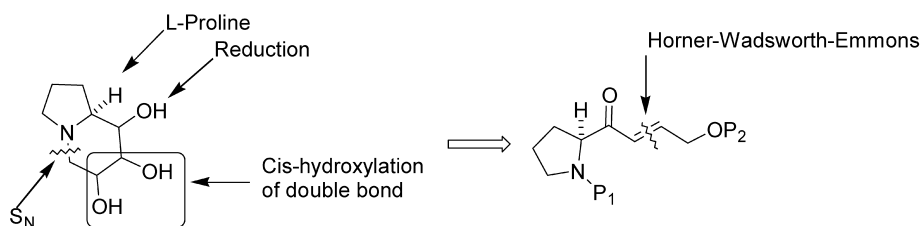
### Polyhydroxylated indolizidine alkaloids—an efficient synthesis of 1-deoxy-8,8a-di-*epi*-castanospermine

Ari M. P. Koskinen<sup>a,b,\*</sup> and Oili A. Kallatsa<sup>a,b</sup>

<sup>a</sup>Laboratory of Organic Chemistry, Department of Chemical Technology, Helsinki University of Technology, Kemistintie 1, P.O. Box 6100, Espoo 02015 HUT, Finland

<sup>b</sup>Department of Chemistry, University of Oulu, Fin-90570 HUT Espoo, Finland

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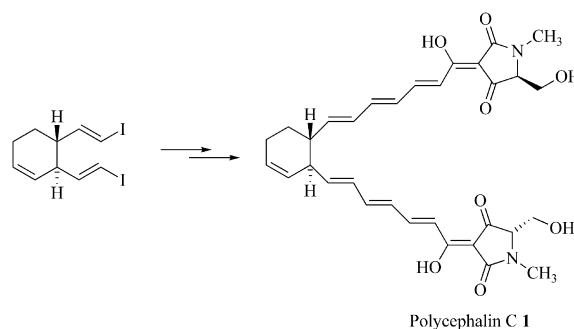


### Total synthesis of the polyenoyltetramic acid polycephalin C

Deborah A. Longbottom, Angus J. Morrison, Darren J. Dixon and Steven V. Ley\*

Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge CB2 1EW, UK

*Tetrahedron* 59 (2003) 6955

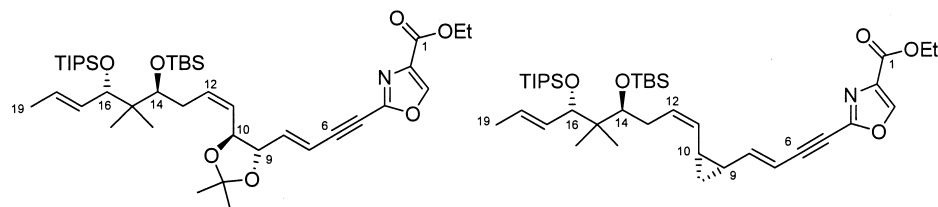


**Synthetic studies toward the disorazoles: synthesis of a masked northern half of disorazole D<sub>1</sub> and a cyclopropane analog of the masked northern half of disorazole A<sub>1</sub>**

*Tetrahedron* 59 (2003) 6967

Lars Ole Haustedt, Sreeletha B. Panicker, Mike Kleinert, Ingo V. Hartung, Ulrike Eggert, Barbara Niess and H. M. R. Hoffmann\*

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University of Hannover,  
Schneiderberg 1B, D-30167  
Hannover, Germany

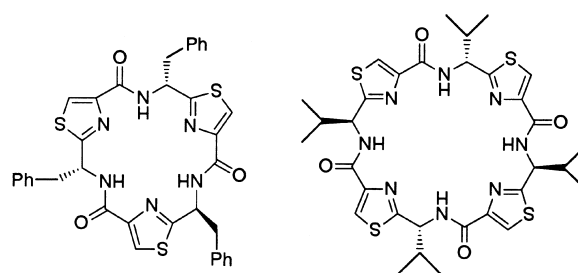


**Concise synthesis of stereodefined, thiazole—containing cyclic hexa- and octapeptide relatives of the *Lissoclinums*, via cyclooligomerisation reactions**

*Tetrahedron* 59 (2003) 6979

Anna Bertram, Alexander J. Blake, Felix González-López de Turiso, Jeffery S. Hannam, Katrina A. Jolliffe, Gerald Pattenden\* and Michael Skae

School of Chemistry, University of Nottingham, University Park,  
Nottingham NG7 2RD, UK



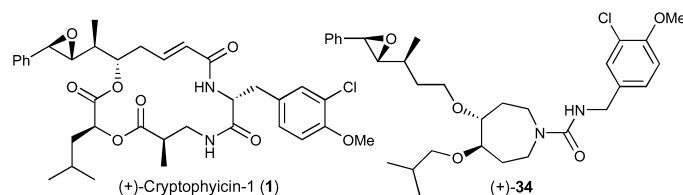
**Design, synthesis, and evaluation of azepine-based cryptophycin mimetics**

*Tetrahedron* 59 (2003) 6991

Amos B. Smith, III,<sup>a,\*</sup> Young Shin Cho,<sup>a</sup> G. Robert Pettit<sup>b,\*</sup> and Ralph Hirschmann<sup>a,\*</sup>

<sup>a</sup>Department of Chemistry, Monell Chemical Senses Center and Laboratory for Research on the Structure of Matter, University of Pennsylvania, Philadelphia, PA 19104, USA

<sup>b</sup>The Cancer Research Institute, Arizona State University, Tempe, AZ 85287, USA

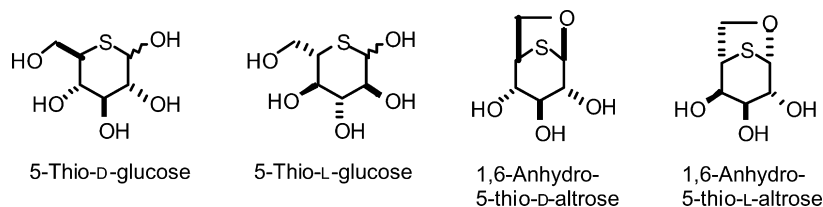


**Novel synthesis of 5-thio-hexopyranoside: preparation of 5-thio-D- and L-glucose and 1,6-anhydro-5-thio-L- and D-altrose**

*Tetrahedron* 59 (2003) 7011

Jun'ichi Uenishi\* and Hirohisa Ohmiya

Kyoto Pharmaceutical University, Misasagi, Yamashina, Kyoto 6078412, Japan



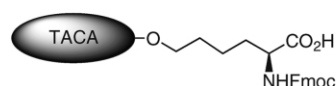
## Synthesis of non-natural glycosylamino acids containing tumor-associated carbohydrate antigens

Tetrahedron 59 (2003) 7023

Stacy J. Keding,<sup>a</sup> Atsushi Endo<sup>a</sup> and Samuel J. Danishefsky<sup>a,b,\*</sup>

<sup>a</sup>Laboratory for Bioorganic Chemistry, Memorial Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA

<sup>b</sup>Department of Chemistry, Columbia University, New York, NY 10027, USA



TACA = tumor-associated carbohydrate antigen  
eg. Tn, TF, STn, Lewis<sup>x</sup>, Globo-H

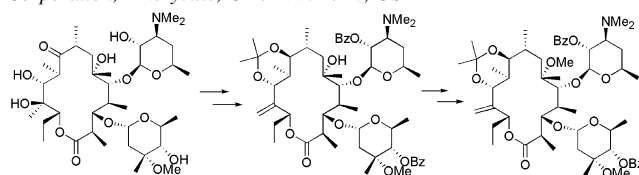
## Synthetic studies of erythromycin derivatives: 6-O-methylation of (9S)-12,21-anhydro-9-dihydroerythromycin A derivatives

Tetrahedron 59 (2003) 7033

Wei-Min Chen,<sup>a</sup> Henry N. C. Wong,<sup>a,\*</sup> Daniel T. W. Chu<sup>b</sup> and Xiaodong Lin<sup>b</sup>

<sup>a</sup>Department of Chemistry, Institute of Chinese Medicine and Central Laboratory of the Institute of Molecular Technology for Drug Discovery and Synthesis, The Chinese University of Hong Kong, Shatin, New Territories, Hong Kong SAR, People's Republic of China

<sup>b</sup>Research and Development, Chiron Corporation, Emeryville, CA 94608-2916, USA

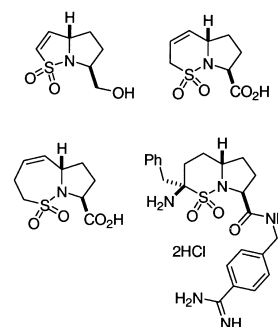


## Synthesis of functionally diverse bicyclic sulfonamides as constrained proline analogues and application to the design of potential thrombin inhibitors

Tetrahedron 59 (2003) 7047

Stephen Hanessian,<sup>\*</sup> Helen Sailes and Eric Therrien

Department of Chemistry, Université de Montréal, C. P. 6128, Succursale Centre-Ville, Montréal, P. Q., Canada H3C 3J7

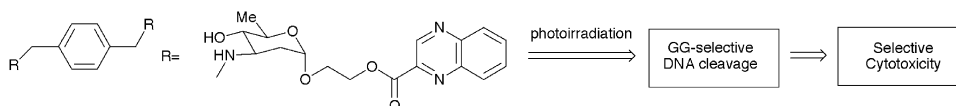


## Molecular design, chemical synthesis and biological evaluation of quinoxaline-carbohydrate hybrids as novel and selective photo-induced DNA cleaving and cytotoxic agents

Tetrahedron 59 (2003) 7057

Kazunobu Toshima,<sup>\*</sup> Tomonori Kimura, Ryusuke Takano, Tomohiro Ozawa, Akiko Ariga, Yutaka Shima, Kazuo Umezawa and Shuichi Matsumura

Department of Applied Chemistry, Faculty of Science and Technology, Keio University, 3-14-1 Hiyoshi, Kohoku-ku, Yokohama 223-8522, Japan



## Anion dependent molecular recognition of cations

*Tetrahedron* 59 (2003) 7067

Alexander Shivanyuk, Jan C. Friese and Julius Rebek, Jr.\*

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