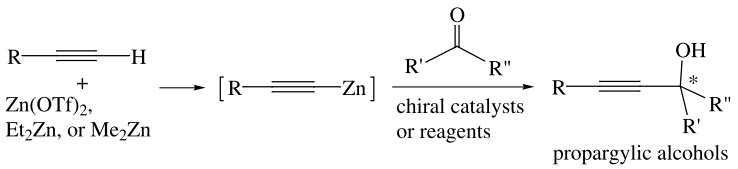
**Graphical abstracts**

## Asymmetric alkynylzinc additions to aldehydes and ketones

*Tetrahedron 59 (2003) 9873*

Lin Pu

*Department of Chemistry, University of Virginia, McCormick Road, Charlottesville, VA 22904-4319, USA*



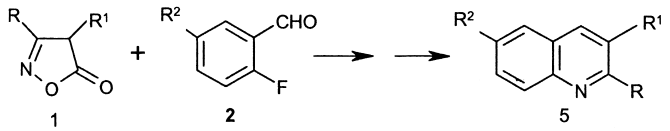

## A valuable heterocyclic ring transformation: from isoxazolin-5(2*H*)-ones to quinolines

*Tetrahedron 59 (2003) 9887*

Giorgio Abbiati,[a] Egle M. Beccalli,[a,*] Gianluigi Broggini[b] and Caterina Zoni[a]

[a]*Istituto di Chimica Organica "A.Marchesini", Facoltà di Farmacia, Università di Milano, via Venezian 21, 20133 Milano, Italy*
[b]*Dipartimento di Scienze Chimiche, Fisiche e Matematiche, Università dell'Insubria, via Valleggio 11, 22100 Como, Italy*

A new synthesis of quinoline derivatives was achieved by catalytic hydrogenation of 3,4-disubstituted 2-(2-formylphenyl)-isoxazolin-5(2*H*)-ones.

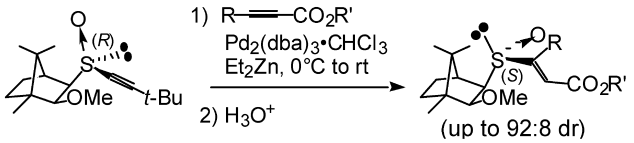



## Synthesis of chiral vinylic sulfoxides by Pd-catalyzed asymmetric sulfinylzincation

*Tetrahedron 59 (2003) 9895*

Naoyoshi Maezaki,[a,*] Suguru Yagi,[a] Shizuka Ohsawa,[a] Hirofumi Ohishi[b] and Tetsuaki Tanaka[a,*]

[a]*Graduate School of Pharmaceutical Sciences, Osaka University, 1-6 Yamadaoka, Suita, Osaka 565-0871, Japan*
[b]*Osaka University of Pharmaceutical Sciences, 4-20-1 Nasahara, Takatsuki, Osaka 569-1094, Japan*

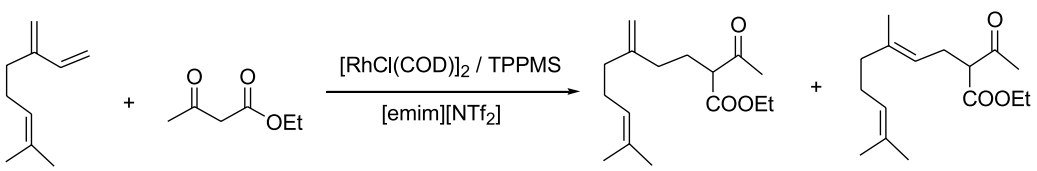



## Rhodium catalysed coupling reaction of myrcene with ethyl acetoacetate in the ionic liquid 1-ethyl-3-methylimidazolium triflimide

*Tetrahedron 59 (2003) 9907*

Kasi Dhanalakshmi and Michel Vaultier*

*Institut de Chimie, Université de Rennes 1, SESO, UMR CNRS 6510, Campus de Beaulieu, Avenue du Général Leclerc, 35042-Rennes Cedex, France*

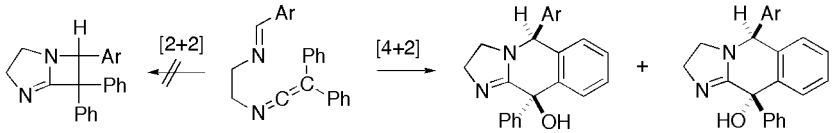


## Ketenimine and imine functions linked by an ethylene group. Intramolecular [4+2] cycloadditions leading to imidazo[1,2-*b*]isoquinolines

*Tetrahedron 59 (2003) 9913*

Mateo Alajarín,[a,*] Angel Vidal,[a] Fulgencio Tovar,[a] Pilar Sánchez-Andrada[a] and Delia Bautista[b]

[a]*Departamento de Química Orgánica, Facultad de Química, Universidad de Murcia, Campus de Espinardo, E-30100 Murcia, Spain*
[b]*Servicio Universitario de Instrumentación Científica, Universidad de Murcia, Campus de Espinardo, E-30100 Murcia, Spain*



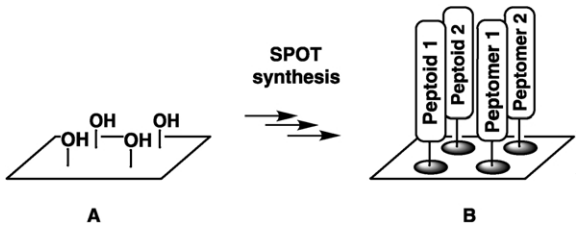

## Synthesis and screening of peptoid arrays on cellulose membranes

*Tetrahedron 59 (2003) 9919*

Niklas Heine,[a] Thomas Ast,[b] Jens Schneider-Mergener,[a,b] Ulrich Reineke,[b] Lothar Germeroth[b] and Holger Wenschuh[b,*]

[a]*Institute f. Med. Immunol., Charité, Humboldt-University, Schumannstr. 20/21, 10117 Berlin, Germany*
[b]*Jerini AG, Invalidenstr. 130, 10115 Berlin, Germany*

Peptidomimetic antibody ligands were identified de novo from an array consisting of 8000 hexapeptoids and -peptomers (**B**) synthesized on a cellulose membrane (**A**) by the SPOT technique. A variety of reaction parameters was developed and optimized for the application of the submonomer method under high throughput SPOT synthesis conditions, including the establishment of a novel *N*-selective bromoacetylation method.

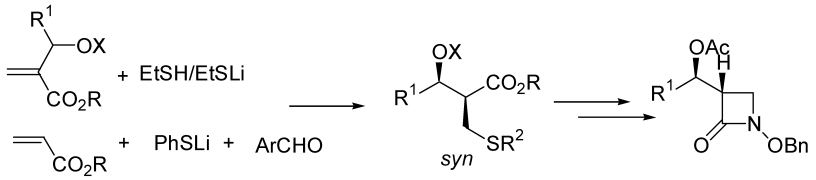



## A convenient stereoselective synthesis of β-lactams from β-hydroxy-α-thioalkylesters prepared from Michael/aldol tandem reaction or stereoselective addition of thiols to the Baylis–Hillman adducts

*Tetrahedron 59 (2003) 9931*

Akio Kamimura,[a,*] Rie Morita,[a] Kenji Matsuura,[a] Hiromasa Mitsudera[a] and Masashi Shirai[b]

[a]*Department of Applied Chemistry, Faculty of Engineering, Yamaguchi University, 2-16-1, Tokiwadai, Ube 755-8611, Japan*
[b]*Ube Laboratory, Ube Industries Ltd, Ube 755-8633, Japan*

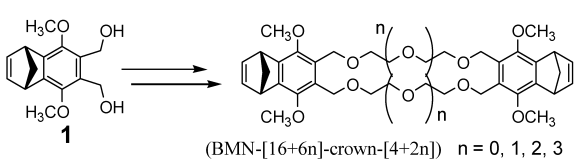



## Periphery-modified crown ethers. Synthesis of bis-5,8-dimethoxy-1,4-methanonaphthalene-fused crown ethers

*Tetrahedron 59 (2003) 9939*

Teh-Chang Chou,* Shing-Yi Chen and Yie-Hsung Chen

*Department of Chemistry and Biochemistry, National Chung Cheng University, 160 Sansying, Minsyong, Chiayi 621, Taiwan*



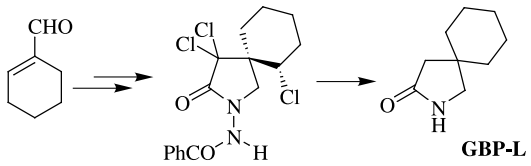
## Hydro-de-halogenation and consecutive deprotection of chlorinated *N*-amido-pyrrolidin-2-ones with Raney-Ni: an effective approach to gabapentin

*Tetrahedron 59 (2003) 9951*

Rita Cagnoli,[a] Franco Ghelfi,[a,*] Ugo M. Pagnoni,[a] Andrew F. Parsons[b,*] and Luisa Schenetti[a]

[a]*Dipartimento di Chimica, Università degli Studi di Modena e Reggio Emilia, Via Campi 183, I-41100 Modena, Italy*
[b]*Department of Chemistry, University of York, Heslington, York YO10 5DD, UK*

The benzoylamino group was identified as a useful radical cyclization auxiliary that can be smoothly removed on hydro-de-halogenation of chlorinated *N*-substituted-pyrrolidin-2-ones with Raney-Ni. This methodology was successfully implemented in a new and appealing route to the anti-epileptic drug gabapentin.



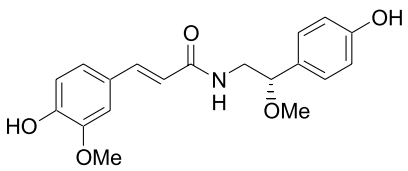

**GBP-L**

## Synthesis, stereochemistry confirmation and biological activity evaluation of a constituent from *Isodon excisus*

*Tetrahedron 59 (2003) 9961*

Xuechao Xing, Pei Ho, Geoffroy Bourquin, Li-An Yeh and Gregory D. Cuny*

*Laboratory for Drug Discovery in Neurodegeneration, Brigham and Women's Hospital and Harvard Medical School, 65 Landsdowne St., Cambridge, MA 02139, USA*

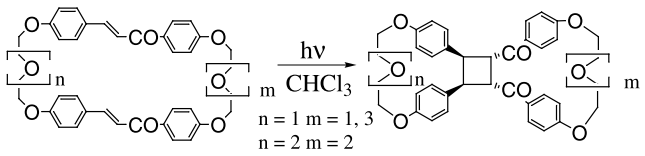



## Photocycloaddition of chalcones to yield cyclobutyl ditopic cyclophanes

*Tetrahedron 59 (2003) 9971*

Francesca R. Cibin,[a] Nicoletta Di Bello,[a] Giancarlo Doddi,[a,*] Vincenzo Fares,[b,*] Paolo Mencarelli[a,*] and Elio Ullucci[a]

[a]*Dipartimento di Chimica e CNR IMC-Sez. Meccanismi di Reazione, Università di Roma 'La Sapienza', P.le Aldo Moro 5, 00185 Roma, Italy*
[b]*Istituto di Cristallografia, Sez. di Monterotondo, Area della Ricerca Roma1 del CNR, Via Salaria Km 29,300, CP 10, 00016 Monterotondo Stazione, Italy*



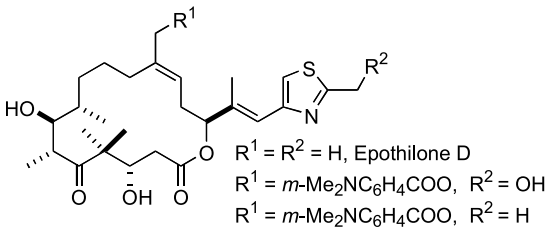

## Synthesis and biological evaluation of fluorescently labeled epothilone analogs for tubulin binding studies

*Tetrahedron 59 (2003) 9979*

Thota Ganesh,[a] Jennifer K. Schilling,[a] Radha K. Palakodety,[a] Rudravajhala Ravindra,[b] Natasha Shanker,[b] Susan Bane[b] and David G. I. Kingston[a,*]

[a]*Department of Chemistry, Virginia Polytechnic Institute and State University, 3111 Hahn Hall, MC 0212, Blacksburg, VA 24061, USA*
[b]*Department of Chemistry, State University of New York, Binghamton, NY 13902, USA*

Two fluorescently labeled epothilone D analogs have been synthesized using known strategies. The cytotoxicities of the synthetic compounds in two cell lines and the fluorescent properties of the molecules are described.



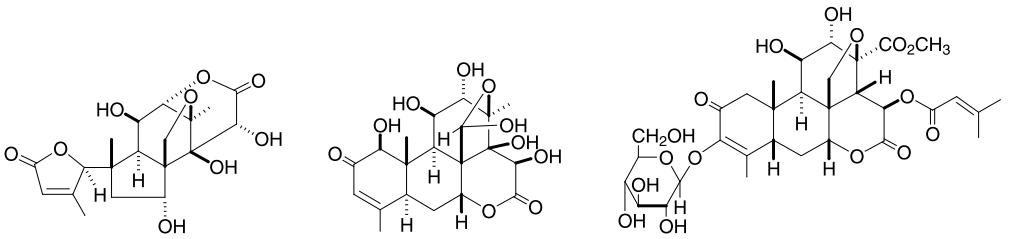

$R^1 = R^2 = H$, Epothilone D
$R^1 = m\text{-}Me_2NC_6H_4COO$, $R^2 = OH$
$R^1 = m\text{-}Me_2NC_6H_4COO$, $R^2 = H$

## Three novel quassinoids, javanicolides A and B, and javanicoside A, from seeds of *Brucea javanica*

*Tetrahedron 59 (2003) 9985*

Ik Hwi Kim, Ryoko Suzuki, Yukio Hitotsuyanagi and Koichi Takeya*

*School of Pharmacy, Tokyo University of Pharmacy and Life Science, 1432-1 Horinouchi, Hachioji, Tokyo 192-0392, Japan*

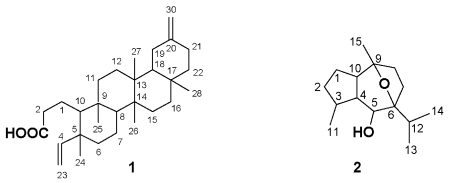


## A novel 29-*nor*-3,4-*seco*-friedelane triterpene and a new guaiane sesquiterpene from the roots of *Phyllanthus oxyphyllus*

*Tetrahedron 59 (2003) 9991*

Somyote Sutthivaiyakit,[a,*] Narissara Na Nakorn,[a] Wolfgang Kraus[b] and Pakawadee Sutthivaiyakit[c]

[a]*Department of Chemistry, Faculty of Science, Ramkhamhaeng University, Ramkhamhaeng Road, Hau mark, Bangkok 10240, Thailand*
[b]*Department of Chemistry, University of Hohenheim, Stuttgart D-70593, Germany*
[c]*Department of Chemistry, Faculty of Science, Kasetsart University, Bangkok 10903, Thailand*

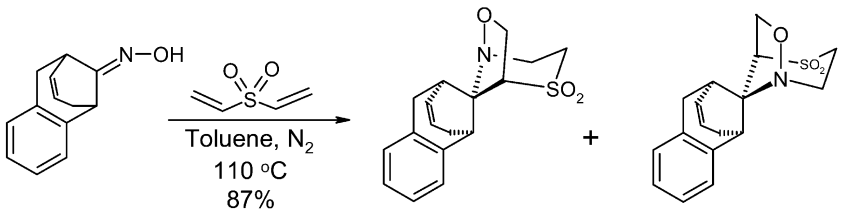


## X=Y–ZH system as potential 1,3-dipoles. Part 59: Cascade 1,3-azaprotio cyclotransfer–1,3-dipolar cycloaddition (1,3-APT–1,3-DC) reactions of benzobicyclo[3.3.1]non-5-en-9-one oxime

*Tetrahedron 59 (2003) 9997*

H. Ali Dondas,[a,b] Colin W. G. Fishwick,[a] Ronald Grigg[a,*] and Mark Thornton-Pett[a]

[a]*Molecular Innovation, Diversity and Automated Synthesis (MIDAS) Centre, Department of Chemistry, The University of Leeds, Leeds LS2 9JT, UK*
[b]*Department of Chemistry, Faculty of Pharmacy, Mersin University, Mersin, Turkey*

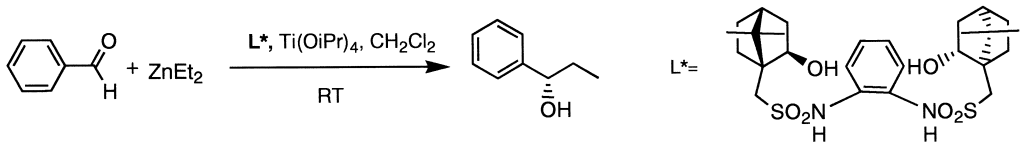



## Titanium-promoted enantioselective diethylzinc addition to benzaldehyde in the presence of $C_2$-symmetrical bis(camphorsulfonamide) ligands

*Tetrahedron 59 (2003) 10009*

Tomasz Bauer* and Joanna Gajewiak

*Department of Chemistry, University of Warsaw, Pasteura 1, PL-02-093 Warsaw, Poland*



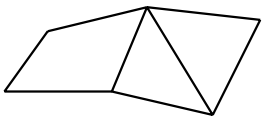
## Tricyclo[2.2.0.0$^{1,3}$]hexane: a new hypothetical molecule which should have only one inverted carbon atom

*Tetrahedron 59 (2003) 10013*

H. Dodziuk,[a,*] G. Dolgonos[a] and J. Leszczynski[b,*]

[a]*Institute of Physical Chemistry, Polish Academy of Sciences, Kasprzaka 44, 01-224 Warsaw, Poland*
[b]*Department of Chemistry, Computational Center for Molecular Structure and Interactions, Jackson State University, Jackson, MS 39217, USA*

According to MP2/cc-pVTZ calculations, hypothetical tricyclo[2.2.0.0$^{1,3}$]hexane has a single inverted carbon atom.



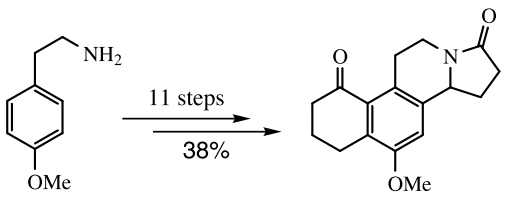
## Synthesis of the first monoaromatic B-ring 13-azasteroid ring system by sequential angular annulation

*Tetrahedron 59 (2003) 10019*

Charles M. Marson,[a,*] Jennifer H. Pink[a] and Christopher Smith[b]

[a]*Department of Chemistry, University of Sheffield, Sheffield S3 7HF, UK*
[b]*Rhône-Poulenc Rorer Ltd, Rainham Road South, Dagenham, Essex RM10 7XS, UK*

An acyliminium cyclisation followed by a Haworth ring closure is used to construct the 13-azasteroid tetracyclic core.



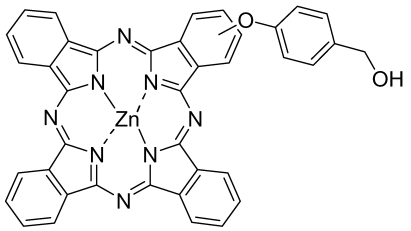

## Synthesis and antimycotic activity of new unsymmetrical substituted zinc phthalocyanines

*Tetrahedron 59 (2003) 10025*

Barbara Cosimelli,[a,*] Gabrio Roncucci,[b] Donata Dei,[b] Lia Fantetti,[b] Fiammetta Ferroni,[c] Micaela Ricci[c] and Domenico Spinelli[c]

[a]*Dipartimento di Chimica Farmaceutica e Tossicologica, Università di Napoli 'Federico II'. Via D. Montesano 49, I-80131 Napoli, Italy*
[b]*Molteni Farmaceutici, S.S. 67 Loc. Granatieri, I-50018 Scandicci, Firenze, Italy*
[c]*Dipartimento di Chimica Organica 'A. Mangini', Via S. Donato 15, I-40127 Bologna, Italy*

The synthesis of unsymmetrical phthalocyanines has been described and their activity against *Candida albicans* reported.

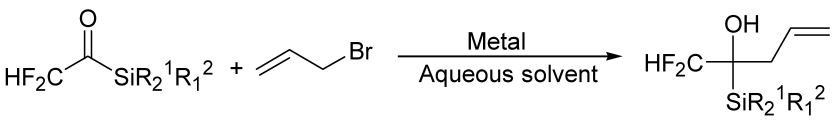



## Indium- and zinc-mediated allylation of difluoroacetyl-trialkylsilanes in aqueous media

*Tetrahedron 59 (2003) 10031*

Woo Jin Chung, Seiichiro Higashiya, Yukiko Oba and John T. Welch*

*Department of Chemistry, University at Albany, State University of New York, 1400 Washington Ave., Albany, NY 12222, USA*

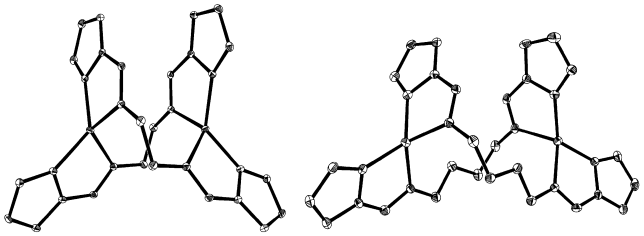


## Self-assembly of bis(pyrrol-2-yl-methyleneamine)s bridged by flexible linear carbon chains

*Tetrahedron 59 (2003) 10037*

Lan-Ying Yang,[a] Qing-Qi Chen,[b] Guo-Qiang Yang[a] and Jin-Shi Ma[a,*]

[a]*CAS Key Laboratory of Photochemistry, Institute of Chemistry, Chinese Academy of Sciences, Beijing 100080, People's Republic of China*
[b]*Biomarin Pharmaceutical Inc., 46 Galli Drive, Novato, CA 94949, USA*



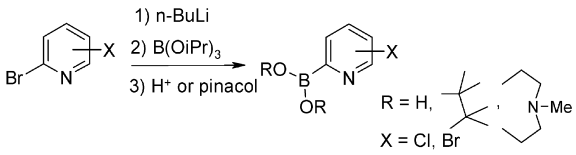
## Synthesis of novel halopyridinylboronic acids and esters. Part 4: Halopyridin-2-yl-boronic acids and esters are stable, crystalline partners for classical Suzuki cross-coupling

*Tetrahedron 59 (2003) 10043*

Alexandre Bouillon,[a] Jean-Charles Lancelot,[a] Jana Sopkova de Oliveira Santos,[a] Valérie Collot,[a] Philippe R. Bovy[b] and Sylvain Rault[a,*]

[a]*Centre d'Etudes et de Recherche sur le Médicament de Normandie, UFR des Sciences Pharmaceutiques, 5, rue Vaubénard, 14032 Caen Cedex, France*
[b]*Sanofi-Synthélabo Recherche, 10, rue des Carrières, 92500 Rueil-Malmaison, France*

This paper describes a general method for the synthesis and isolation of stable 5, or 6-halopyridin-2-yl-boronic acids and esters. Two methods are carried out using Halogen–metal exchange (HMe) followed by either in situ transesterification, or isolation of the crude boronic acid followed by room temperature esterification.

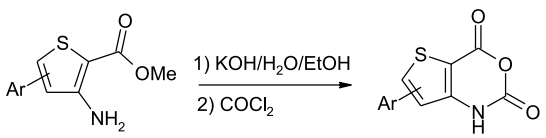



## Synthesis and combinatorial approach of the reactivity of 6- and 7-arylthieno[3,2-*d*][1,3]oxazine-2,4-diones

*Tetrahedron 59 (2003) 10051*

François-Xavier Le Foulon, Emmanuelle Braud, Frédéric Fabis, Jean-Charles Lancelot and Sylvain Rault*

*Centre d'Etudes et de Recherche sur le Médicament de Normandie 5, rue Vaubénard, 14032 Caen Cedex, France*

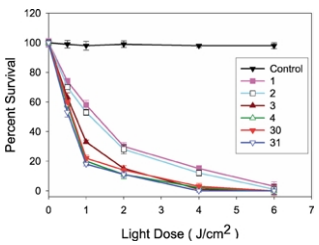



## Fluorinated photosensitizers: synthesis, photophysical, electrochemical, intracellular localization, in vitro photosensitizing efficacy and determination of tumor-uptake by $^{19}F$ in vivo NMR spectroscopy

*Tetrahedron 59 (2003) 10059*

Suresh K. Pandey,[a] Amy L. Gryshuk,[a] Andrew Graham,[b] Kei Ohkubo,[c] Shunichi Fukuzumi,[c,*] Mahabeer P. Dobhal,[a] Gang Zheng,[a] Zhongping Ou,[d] Riqiang Zhan,[d] Karl M. Kadish,[d,*] Allan Oseroff,[b] S. Ramaprasad[e,*] and Ravindra K. Pandey[a,f,*]

[a]*Photodynamic Therapy Center, Roswell Park Cancer Institute, Elm and Carlton Streets, Buffalo, NY 14263, USA*
[b]*Department of Dermatology, Roswell Park Cancer Institute, Buffalo, NY 14263, USA*
[c]*Department of Material and Life Science, Graduate School of Engineering, Osaka University, CREST, Japan Science and Technology Agency (JST), Yamada-oka, Suita, Osaka 565-0871, Japan*
[d]*Department of Chemistry, University of Houston, Houston, TX 77204-5003, USA*
[e]*Department of Radiology, University of Nebraska, Omaha, Nebraska, USA*
[f]*Department of Nuclear Medicine, Roswell Park Cancer Institute, Buffalo, NY 14263, USA*

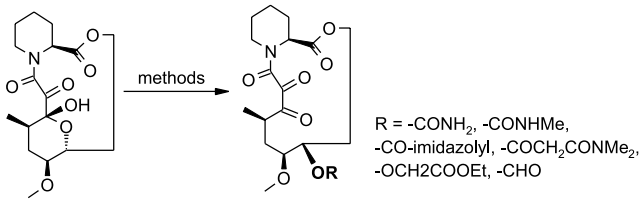


## On the reactivity of ascomycin at the binding domain. Part 1: Liberation of the tricarbonyl portion of ascomycin

*Tetrahedron 59 (2003) 10075*

Karl Baumann,* Markus Bacher, Annelaure Damont, Klemens Högenauer and Andrea Steck

*Department of Medicinal Chemistry, Novartis Research Institute Vienna, Brunnerstrasse 59, A-1235 Vienna, Austria*

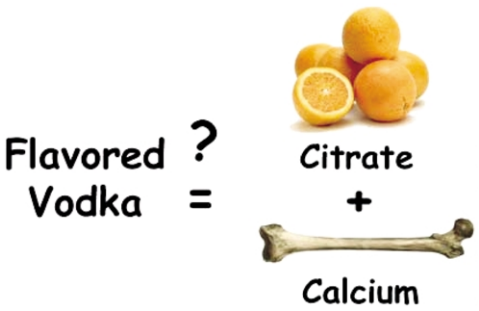



## Citrate and calcium determination in flavored vodkas using artificial neural networks

*Tetrahedron 59 (2003) 10089*

Shawn C. McCleskey, Pierre N. Floriano, Sheryl L. Wiskur, Eric V. Anslyn* and John T. McDevitt*

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




## Non-template synthesis of '$N_4$' di- and tetra-amide macrocylic ligands with variable ring sizes

*Tetrahedron 59 (2003) 10093*

S. J. Swamy,* B. Veerapratap, D. Nagaraju, K. Suresh and P. Someshwar

*Department of Chemistry, Kakatiya University, Vidyaranyapuri, Warangal 506 009, India*