

Bioorganic & Medicinal Chemistry Vol. 13, No. 17, 2005

Symposium-in-Print

**Chemistry & Biology of Natural Products**  
**Tetrahedron Prize for Creativity in Organic Chemistry 2004**  
**K. Nakanishi**

*Edited by:* Chi-Huey Wong

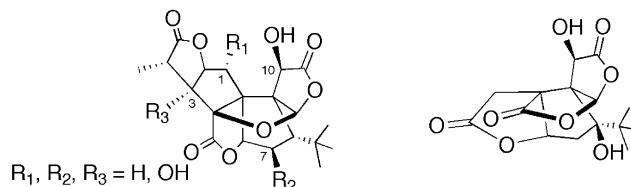
*Department of Chemistry, The Scripps Research Institute, 10550 North Torrey Pines Road,  
La Jolla, CA 92037, USA*

**Contents**

<b>Preface</b>	<b>p 4983</b>
<b>Biographical sketch: Professor Koji Nakanishi</b>	<b>p 4985</b>

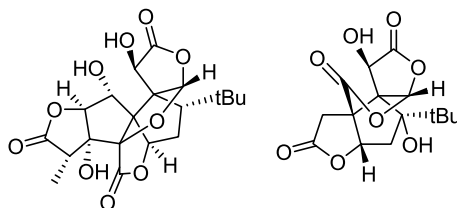
**AWARDEE'S ARTICLE**

<b>Terpene trilactones from <i>Ginkgo biloba</i>: From ancient times to the 21st century</b>	<b>pp 4987–5000</b>
Koji Nakanishi*	



**REVIEWS**

<b>Ginkgolides and bilobalide: Their physical, chromatographic and spectroscopic properties</b>	<b>pp 5001–5012</b>
Teris A. van Beek*	



Ginkgolides and bilobalide are rare terpene trilactones isolated from *Ginkgo biloba* possessing interesting pharmacological properties like PAF antagonism. Their physical, chromatographic (TLC, HPLC, GC) and spectral properties (UV, IR, MS, NMR) published since 1965 are tabulated and discussed.

**Protein oligomerization: How and why**

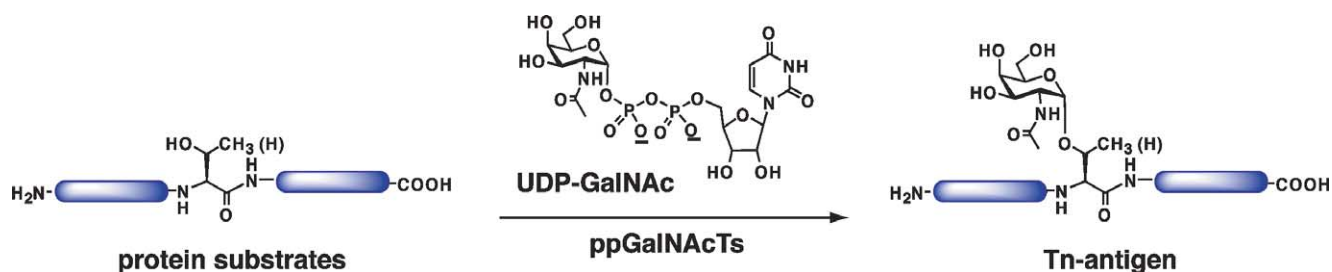
Mayssam H. Ali and Barbara Imperiali\*

pp 5013–5020

**The chemistry and biology of mucin-type O-linked glycosylation**

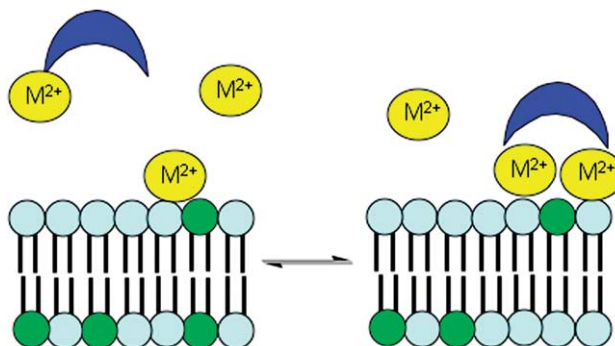
Howard C. Hang and Carolyn R. Bertozzi\*

pp 5021–5034

**New reagents for phosphatidylserine recognition and detection of apoptosis**

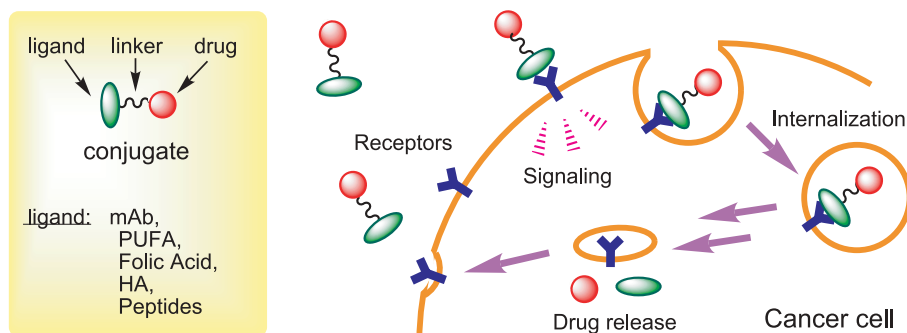
Roger G. Hanshaw and Bradley D. Smith\*

pp 5035–5042

**Recent advances in tumor-targeting anticancer drug conjugates**

Stanislav Jaracz, Jin Chen, Larisa V. Kuznetsova and Iwao Ojima\*

pp 5043–5054

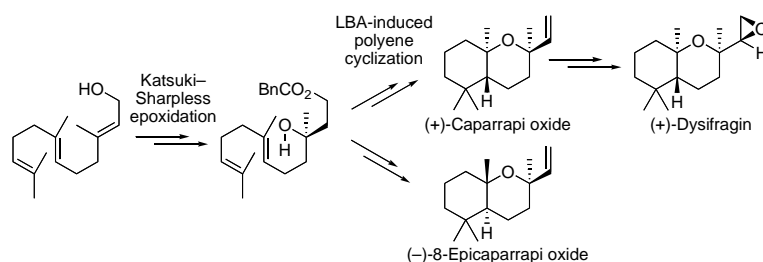


## ARTICLES

**Biomimetic synthesis of acid-sensitive (–)- and (+)-caparrapi oxides, (–)- and (+)-8-epicaparrapi oxides, and (+)-dysifragin induced by artificial cyclases**

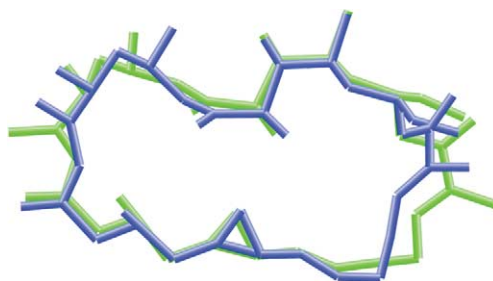
pp 5055–5065

Muhammet Uyanik, Kazuaki Ishihara\* and Hisashi Yamamoto\*

**Solution conformations of amphidinolide H**

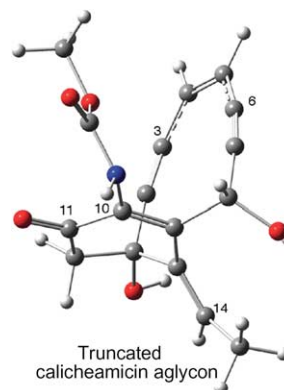
pp 5066–5071

Kazutaka Shimbo, Kohei Nozawa, Masashi Tsuda and Jun'ichi Kobayashi\*

X-ray structure (blue) and solution conformation in CDCl<sub>3</sub> (green) of amphidinolide H (I).**Theoretical simulation of the electronic circular dichroism spectrum of calicheamicin**

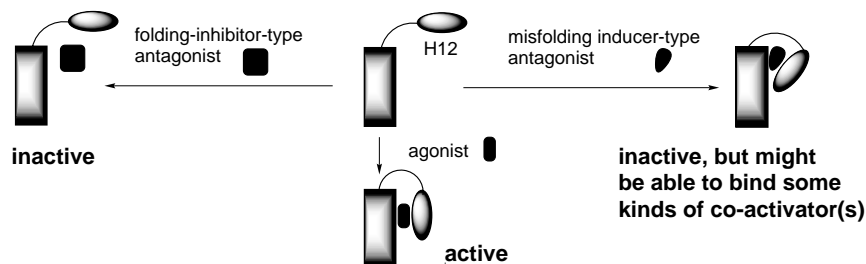
pp 5072–5079

Egidio Giorgio, Katsunori Tanaka, Weidong Ding, Girija Krishnamurthy, Keith Pitts, George A. Ellestad,\* Carlo Rosini\* and Nina Berova\*

**Nuclear receptor antagonists designed based on the helix-folding inhibition hypothesis**

pp 5080–5093

Yuichi Hashimoto\* and Hiroyuki Miyachi



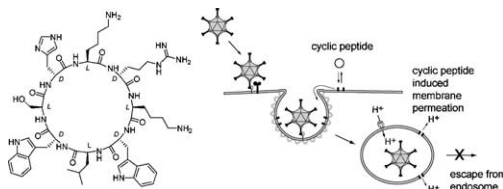
Here we review our studies on the molecular design of nuclear receptor antagonists, including RAR antagonists, RXR antagonists, AR antagonists, and VDR antagonists, based on inhibition of folding of helix 12, which contains a co-activator binding site.



**Antiviral cyclic D,L- $\alpha$ -peptides: Targeting a general biochemical pathway in virus infections**

pp 5145–5153

W. Seth Horne, Christopher M. Wiethoff, Chunli Cui, Keith M. Wilcoxon, Manuel Amarin, M. Reza Ghadiri\* and Glen R. Nemerow\*

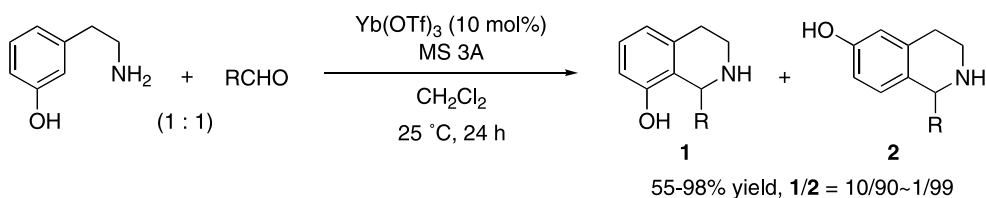


Using adenovirus as a model non-enveloped virus, we have determined that eight-residue cyclic D,L- $\alpha$ -peptides can specifically prevent the development of low pH in endocytic vesicles, arresting the escape of virions from the endosome and preventing gene delivery by the virus.

**Catalytic Pictet–Spengler reactions using Yb(OTf)<sub>3</sub>**

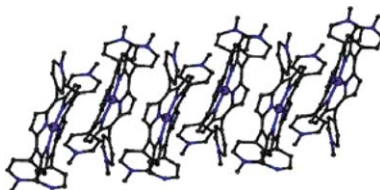
pp 5154–5158

Kei Manabe, Daisuke Nobutou and Sh Kobayashi\*

**Induction and memory of chirality in porphyrin hetero-aggregates: The role of the central metal ion**

pp 5159–5163

Angela Mammana, Massimo De Napoli, Rosaria Lauceri and Roberto Purrello\*

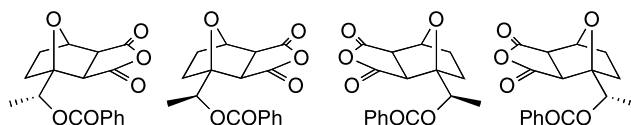


The central copper ion is capable of modulating the extension of the aggregates formed by oppositely charged porphyrins in aqueous solution without preventing the aggregates' capability to memorize the chiral information borrowed by the  $\alpha$ -helical polyglutamate.

**Optically active cantharidin analogues possessing selective inhibitory activity on Ser/Thr protein phosphatase 2B (calcineurin): Implications for the binding mode**

pp 5164–5170

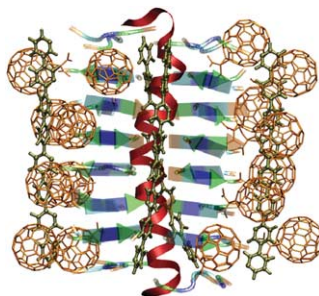
Yoshiyasu Baba, Nozomu Hirukawa and Mikiko Sodeoka\*



**Synthetic multifunctional pores that open and close in response to chemical stimulation**

pp 5171–5180

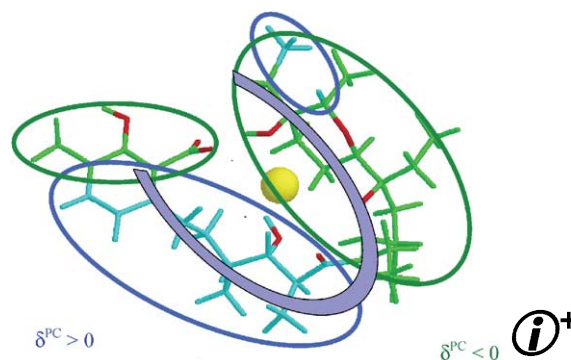
Virginie Gorteau, Guillaume Bollot, Jiri Mareda, Dario Pasini, Duy-Hien Tran,  
Adina N. Lazar, Anthony W. Coleman, Naomi Sakai and Stefan Matile\*

**The solution structure of a lasalocid A metal complex in lipophilic solvents**

pp 5181–5188

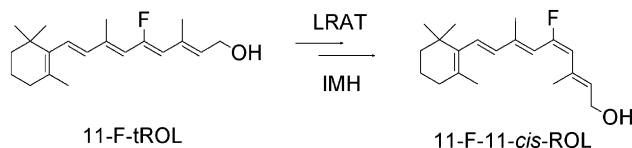
Silvia Ripoli, Simona Scarano, Lorenzo Di Bari and  
Piero Salvadori\*

We describe the solution structure of the ionophore antibiotic lasalocid complexed to Yb(III), solved by means of paramagnetic NMR. Binding to the metal, lasalocid assumes a rigid wrapped horseshoe-shaped conformation. Structural identity between acetonitrile (where experiments are more easily carried out) and chloroform is verified, demonstrating that this structure is an excellent model for the role of Lasalocid as cation carrier through membranes.

**On the mechanism of isomerization of all-*trans*-retinol esters to 11-*cis*-retinol in retinal pigment epithelial cells: 11-Fluoro-all-*trans*-retinol as substrate/inhibitor in the visual cycle**

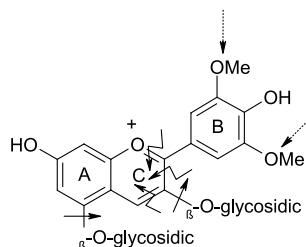
pp 5189–5194

Nathan Fishkin, Revital Yefidoff, Deviprasad R. Gollipalli and Robert R. Rando\*

**Metabolism of anthocyanins and their phenolic degradation products by the intestinal microflora**

pp 5195–5205

Katrin Keppler and Hans-Ulrich Humpf\*

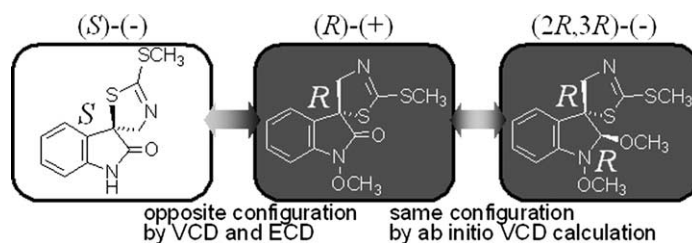


Degradation of anthocyanins by deglycosylation, O-demethylation and C-ring cleavage by the intestinal microflora.

**Chiral cruciferous phytoalexins: Preparation, absolute configuration, and biological activity**

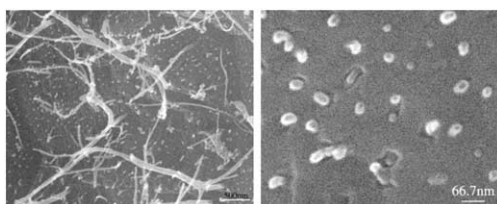
pp 5206–5212

Kenji Monde,\* Tohru Taniguchi, Nobuaki Miura, Peter Kutschy,\* Zuzana Čurillová, Martina Pilátová and Ján Mojžiš

**Imaging amyloid  $\beta$  peptide oligomeric particles in solution**

pp 5213–5217

Jijun Dong, Robert P. Apkarian and David G. Lynn\*

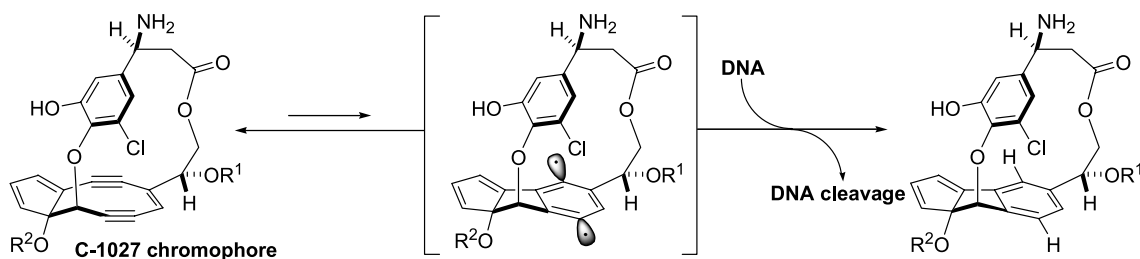


Cryo-etch high-resolution scanning electron microscopy (Cryo-HRSEM) was applied for the first time to visualize amyloid  $\beta$  peptide oligomeric particles directly in frozen solution. This approach enables direct visualization of assembly intermediates and may now be used for the evaluation of small molecule probes of structure, stability, stages of self-assembly, and even potential therapeutic intervention for conformational diseases.

**ESR studies on DNA cleavage induced by enediyne C-1027 chromophore**

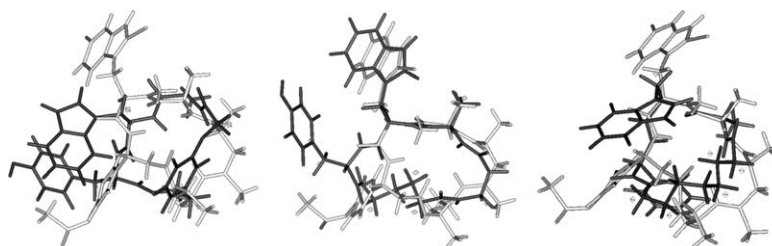
pp 5218–5224

Toyonobu Usuki, Masayuki Inoue,\* Kimio Akiyama and Masahiro Hirama\*

**Synthesis, solution structure, and bioactivity of six new simplified analogues of the natural cyclodepsipeptide jaspamide**

pp 5225–5239

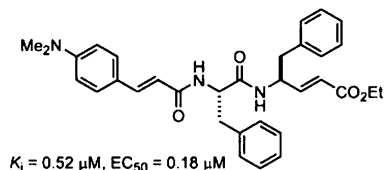
Stefania Terracciano, Ines Bruno, Giuseppe Bifulco, Elvira Avallone, Charles D. Smith, Luigi Gomez-Paloma and Raffaele Riccio\*



**Inhibition of the severe acute respiratory syndrome 3CL protease by peptidomimetic  $\alpha,\beta$ -unsaturated esters**

pp 5240–5252

Jiun-Jie Shie, Jim-Min Fang,\* Tun-Hsun Kuo, Chih-Jung Kuo, Po-Huang Liang,\* Hung-Jyun Huang, Yin-Ta Wu, Jia-Tsong Jan, Yih-Shyun E. Cheng and Chi-Huey Wong

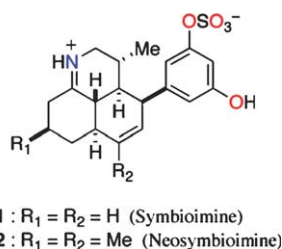


The dipeptide-conjugated ester derived from Phe-Phe dipeptide and 4-(dimethylamino)cinnamic acid shows a potent anti-SARS activity by inhibition of the 3CL protease.

**Symbioimine and neosymbioimine, amphoteric iminium metabolites from the symbiotic marine dinoflagellate *Symbiodinium* sp.**

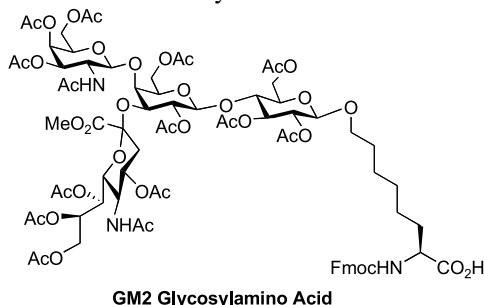
pp 5253–5258

Masaki Kita, Nao Ohishi, Kazuto Washida, Mikiko Kondo, Tomoyuki Koyama, Kaoru Yamada and Daisuke Uemura\*


**Organic synthesis in pursuit of immunology: Large-scale synthesis of peracetylated GM2 glycosylamino acid for preparation of a multiantigenic prostate cancer vaccine**

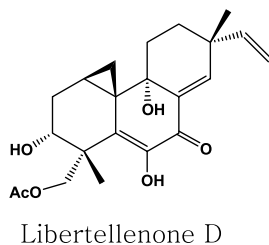
pp 5259–5266

Young Shin Cho, Qian Wan and Samuel J. Danishefsky\*


**Libertellenones A–D: Induction of cytotoxic diterpenoid biosynthesis by marine microbial competition**

pp 5267–5273

Dong-Chan Oh, Paul R. Jensen, Christopher A. Kauffman and William Fenical\*

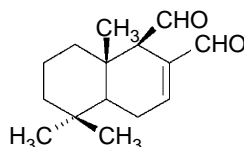




**Rediscovery of known natural compounds: Nuisance or goldmine?**

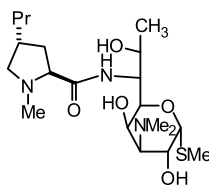
pp 5274–5282

Martin Tulp and Lars Bohlin\*

**3-*N,N*-Dimethylamino-3-deoxy lincomycin: A structure-based hybrid between lincomycin and the desosamine unit of erythromycin**

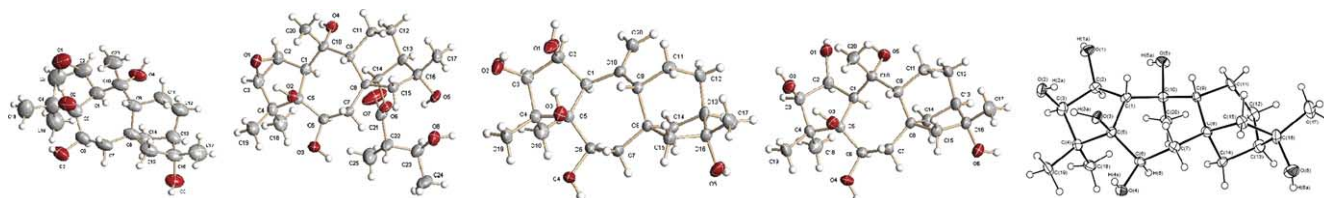
pp 5283–5288

Stephen Hanessian\* and Kiran Kumar Kothakonda

The synthesis of 3-*N,N*-dimethylamino-3-deoxylincomycin is described.**Grayanane diterpenoids from the leaves of *Craibiodendron yunnanense***

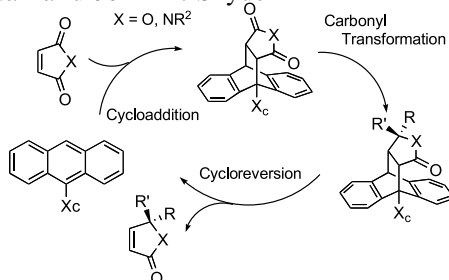
pp 5289–5298

Hua-Ping Zhang, Li-Quan Wang and Guo-Wei Qin\*

**Chiral anthracene and anthrone templates as stereocontrolling elements in Diels–Alder/retro Diels–Alder sequences**

pp 5299–5309

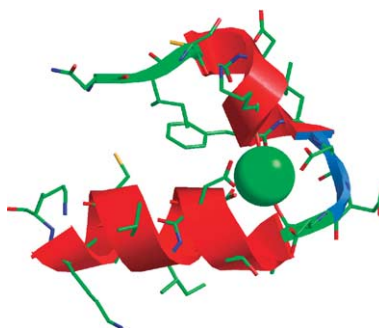
Kerrie L. Burgess, Matthew S. Corbett, Paul Eugenio, Neil J. Lajkiewicz, Xiang Liu, Amitav Sanyal, Wanlin Yan, Qian Yuan and John K. Snyder\*



**Cyclic peptide models of the  $\text{Ca}^{2+}$ -binding loop of  $\alpha$ -lactalbumin**

pp 5310–5320

Viktor Farkas, Elemér Vass, Ignace Hanssens, Zsuzsa Majer\* and Miklós Hollósi

**OTHER CONTENTS**

Reviews and perspectives  
Contributors to this issue  
Instructions to contributors

pp 5321–5323

p I  
p II

\*Corresponding author

i+ Supplementary data available via ScienceDirect

**COVER**

Ginkgo leaves and ginkgolide C. Designed by Sergei Dzyuba and Milan Balaz, postdoctoral research scientists, Koji Nakanishi Research Group, Department of Chemistry, Columbia University, New York, NY 10027, USA. © 2005 Elsevier Ltd.



Full text of this journal is available, on-line from **ScienceDirect**. Visit [www.sciencedirect.com](http://www.sciencedirect.com) for more information.



This journal is part of **ContentsDirect**, the *free* alerting service which sends tables of contents by e-mail for Elsevier books and journals. You can register for **ContentsDirect** online at: <http://contentsdirect.elsevier.com>

Indexed/Abstracted in: Beilstein, Biochemistry & Biophysics Citation Index, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/BIODISE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE



ISSN 0968-0896