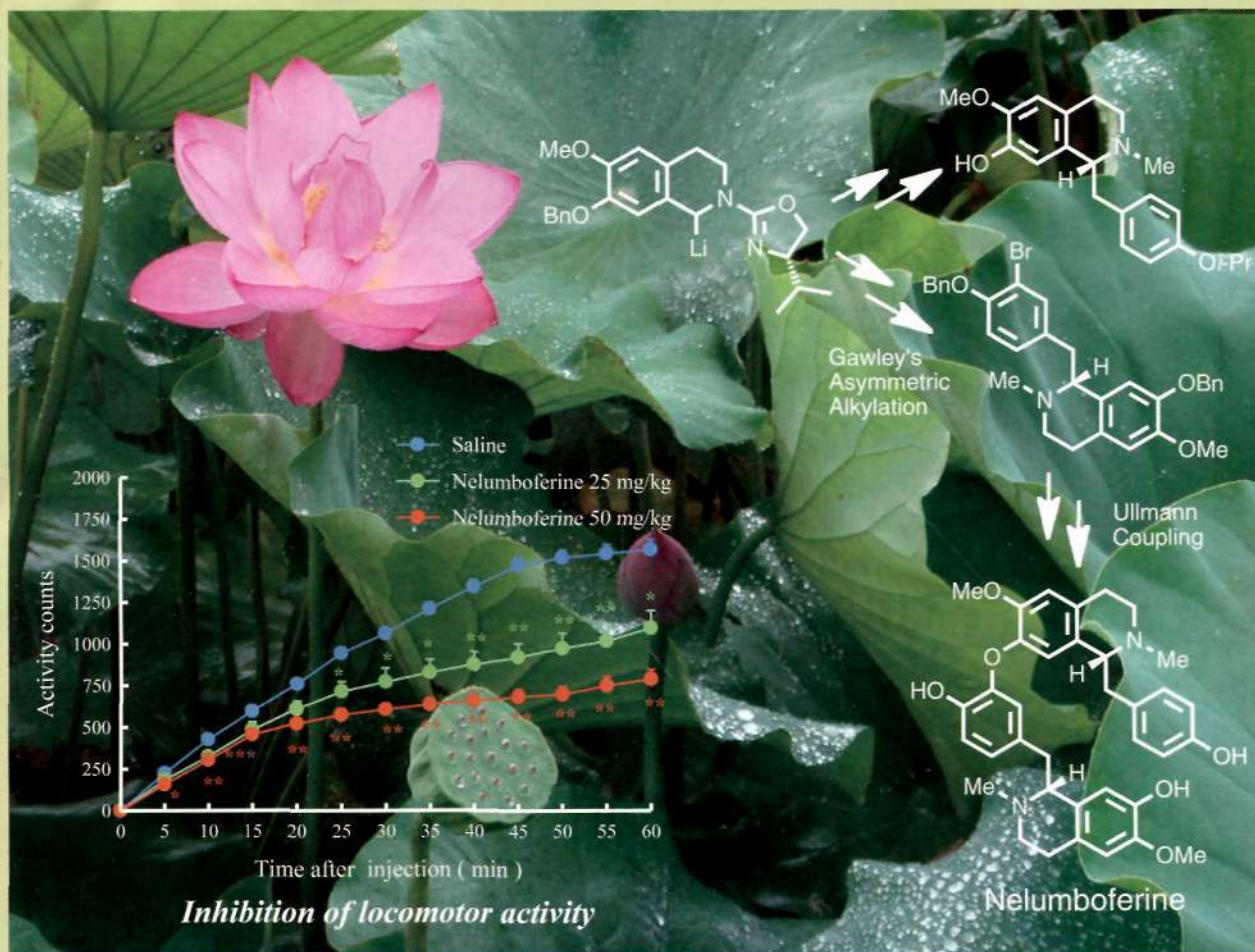


# Chemical and Pharmaceutical Bulletin

January 2013

CPBTAL 61 (1) 1-110 (2013)

Vol. 61 No. 1



Synthesis and Pharmacological Activity of Alkaloids from Embryo of Lotus, *Nelumbo nucifera*

pp. 59-68



THE PHARMACEUTICAL SOCIETY OF JAPAN

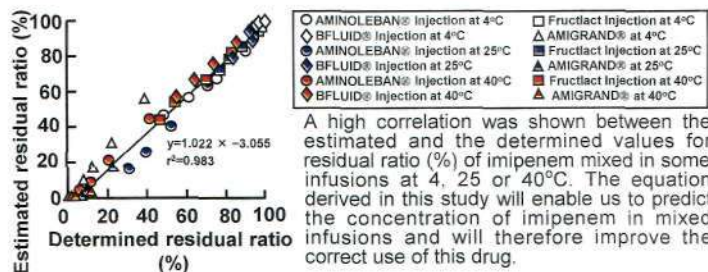
<http://cpb.pharm.or.jp>

## Contents

### Regular Articles

#### Prediction of the Stability of Imipenem in Intravenous Mixtures

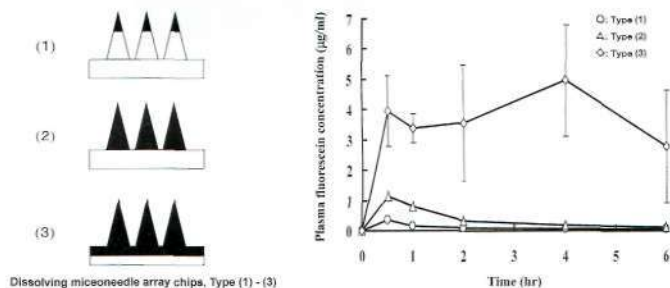
M. Yoshida, Y. Takasu, K. Shimizu, K. Asahara, and T. Uchida



pp. 1-7

#### Method to Increase the Systemically Delivered Amount of Drug from Dissolving Microneedles

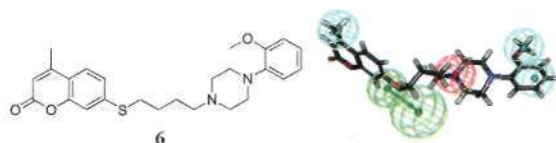
Y. Ito, N. Hamasaki, H. Higashino, Y. Murakami, N. Miyamoto, and K. Takada



pp. 8-15

#### Design, Synthesis and Biological Evaluation of Novel 7-Mercaptocoumarin Derivatives as $\alpha_1$ -Adrenoceptor Antagonists

S.-S. Xie, X.-B. Wang, J.-Y. Li, and L.-Y. Kong

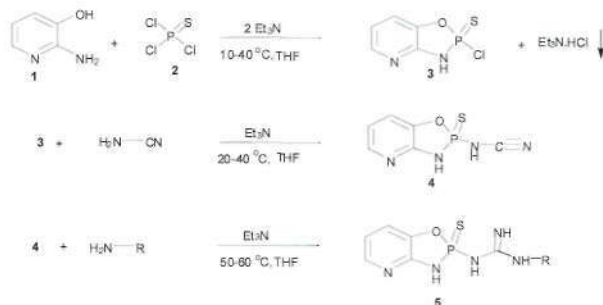


A series of novel 7-mercaptocoumarin derivatives has been designed, synthesized and evaluated as  $\alpha_1$ -AR antagonists. Most of them exhibited strong antagonistic activity. Especially compound 6 showed excellent activity, which was better than that of the reference compound prazosine. The pharmacophore model of  $\alpha_1$ -AR antagonists was performed to rationalize the relationships between their structural features and pharmacological activities.

pp. 16-24

#### Synthesis of Novel Phosphorylated Guanidine Derivatives from Cyanamide and Their Anti-inflammatory Activity

V. R. Katla, R. Syed, C. S. Kuruva, H. K. Kuntrapakam, and N. R. Chamarthi

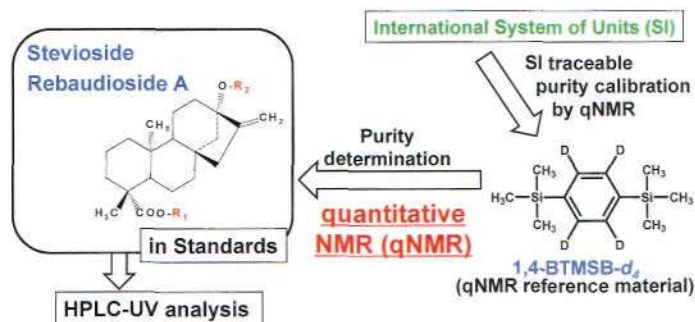


pp. 25-32



### Absolute Quantitation of Stevioside and Rebaudioside A in Commercial Standards by Quantitative NMR

A. Tada, K. Takahashi, K. Ishizuki, N. Sugimoto, T. Suematsu, K. Arifuku, M. Tahara, T. Akiyama, Y. Ito, T. Yamazaki, H. Akiyama, and Y. Kawamura

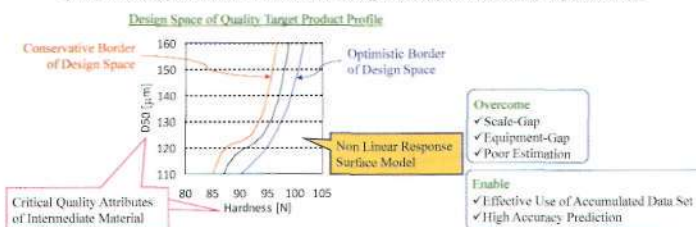


pp. 33–38

### A Novel Approach to Establishing the Design Space for the Oral Formulation Manufacturing Process

T. Norioka, Y. Hayashi, Y. Onuki, H. Andou, D. Tsunashima, K. Yamashita, and K. Takayama

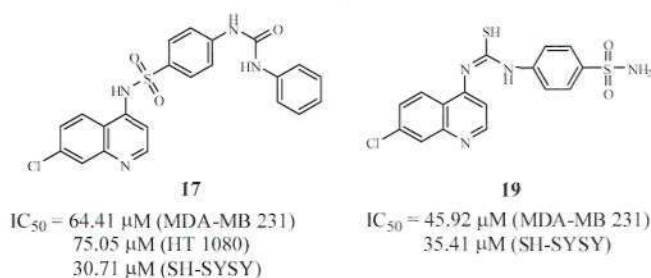
Design space for the oral formulation manufacturing process determined by nonlinear response surface model using critical quality attributes of intermediate material overcomes difficulties of pharmaceutical development studies.



pp. 39–49

### Discovering Some Novel 7-Chloroquinolines Carrying a Biologically Active Benzenesulfonamide Moiety as a New Class of Anticancer Agents

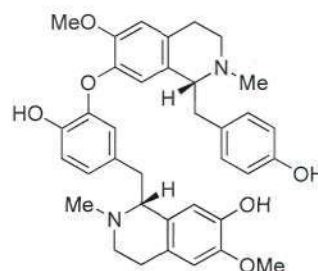
M. S. Al-Dosari, M. M. Ghorab, M. S. Al-Said, and Y. M. Nissan



pp. 50–58

### Synthesis and Pharmacological Activity of Alkaloids from Embryo of Lotus, *Nelumbo nucifera*

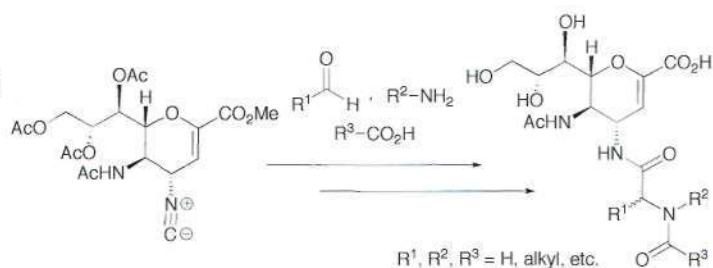
K. Nishimura, S. Horii, T. Tanahashi, Y. Sugimoto, and J. Yamada



pp. 59–68

### Syntheses of 2-Deoxy-2,3-didehydro-*N*-acetylneuraminic Acid Analogues Modified by $\alpha$ -Acyloamido Groups at the C-4 Position Using Isocyanide-Based Four-Component Coupling and Biological Evaluation as Inhibitors of Human Parainfluenza Virus Type 1

R. Nishino, T. Hayakawa, T. Takahashi, T. Suzuki, M. Sato, and K. Ikeda

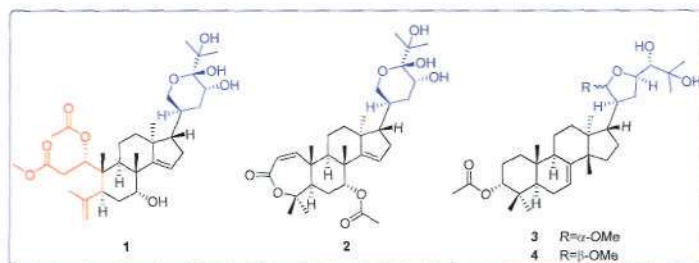


pp. 69–74

## Notes

**Polystanins A–D, Four New Protolimonoids from the Fruits of *Aphanamixis polystachya***

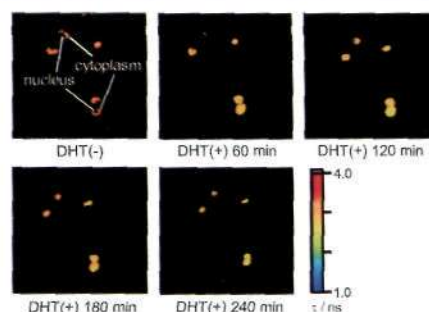
Y. Zhang, J.-S. Wang, X.-B. Wang, Y.-C. Gu, and L.-Y. Kong



pp. 75–81

**Fluorescence Lifetime Imaging Microscopy for the Monitoring of Green Fluorescent Protein-Tagged Androgen Receptors in Living Cells**

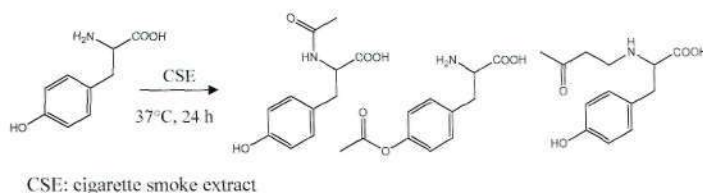
R. Miyake, T. Uchimura, X. Li, and T. Imasaka



pp. 82–84

**A Chemical Approach to Searching for Bioactive Ingredients in Cigarette Smoke**

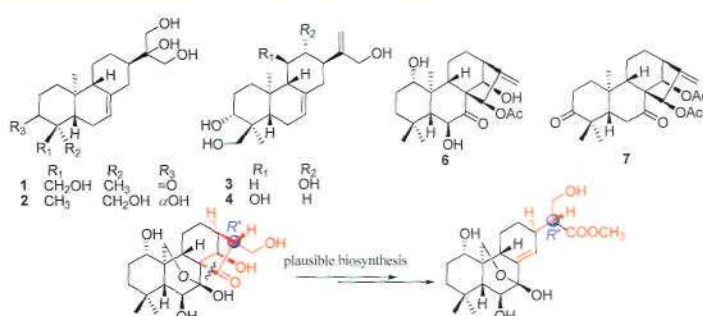
Y. Takahashi, S. Horiyama, C. Honda, K. Suwa, K. Nakamura, M. Kunitomo, S. Shimma, M. Toyoda, H. Sato, M. Shizuma, and M. Takayama



pp. 85–89

**New *ent*-Abietane and *ent*-Kaurane Diterpenoids from *Isodon rubescens***

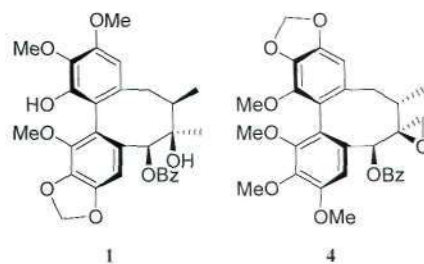
X. Liu, R. Zhan, W.-G. Wang, X. Du, X.-N. Li, J.-H. Yang, P. Zhang, Y. Li, J.-X. Pu, J.-Z. Wu, and H.-D. Sun



pp. 90–95

**Schisphenlignans A–E: Five New Dibenzocyclooctadiene Lignans from *Schisandra sphenanthera***

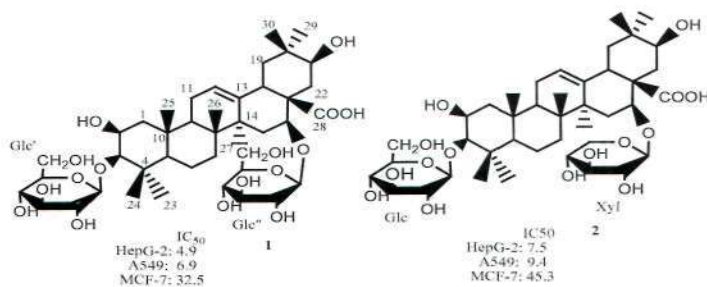
C.-Q. Liang, J. Hu, Y.-M. Shi, S.-Z. Shang, X. Du, R. Zhan, W.-Y. Xiong, H.-B. Zhang, W.-L. Xiao, and H.-D. Sun



pp. 96–100

### Two New Triterpenoid Saponins from the Root of *Platycodon grandiflorum*

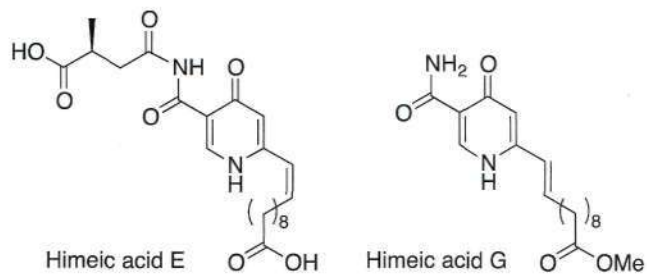
G. Ma, W. Guo, L. Zhao, Q. Zheng, Z. Sun, J. Wei, J. Yang, and X. Xu



pp. 101–104

### Himeic Acids E–G, New 4-Pyridone Derivatives from a Culture of *Aspergillus* sp.

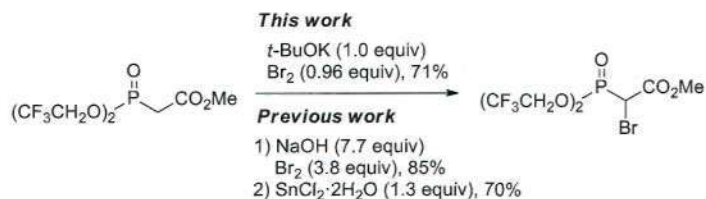
T. Kuwana, M. Miyazaki, H. Kato, and S. Tsukamoto



pp. 105–107

### Improved Preparation of Methyl Bis(2,2,2-trifluoroethoxy)bromophosphonoacetate for the Stereoselective Synthesis of (*E*)- $\alpha$ -Bromoacrylates

A. Nakata, K. Kobayashi, and H. Kogen



This work includes reproducible purification process compared to our previous one.

pp. 108–110

**About the cover:** Lotus (*Nelumbo nucifera* GAERTNER, Nelumbonaceae) is a popular plant in Japan and Asia, and the flower is known to be beautiful. Embryos of seeds of lotus have been used in Chinese traditional medicine. Nelumboferine, a minor bisbenzylisoquinoline alkaloid isolated from lotus embryo in our laboratory, was synthesized by using Gawley's asymmetric alkylation and Ullmann coupling as key reactions. Pharmacological study revealed that nelumboferine has sedative effects. See the article by Nishimura on page 59 of this issue.