

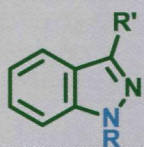
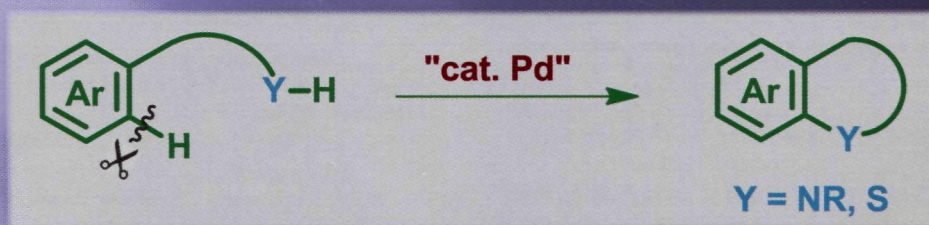
# Chemical and Pharmaceutical Bulletin

October 2013

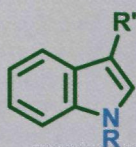
CPBTAL 61 (10) 987-1098 (2013)

Vol. 61 No. 10

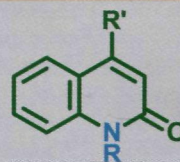
## PALLADIUM-CATALYZED C-H CYCLIZATION FOR SYNTHESIS OF HETEROCYCLES



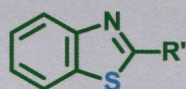
Indazoles



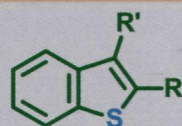
Indoles



2-Quinolinones



Benzothiazoles



Benzo[b]thiophenes

Synthesis of Heterocyclic Compounds through Palladium-Catalyzed  
C-H Cyclization Processes

pp. 987-996



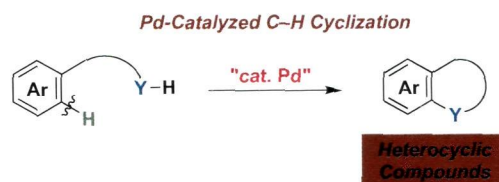
THE PHARMACEUTICAL SOCIETY OF JAPAN

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

## Review

### Synthesis of Heterocyclic Compounds through Palladium-Catalyzed C–H Cyclization Processes

K. Inamoto

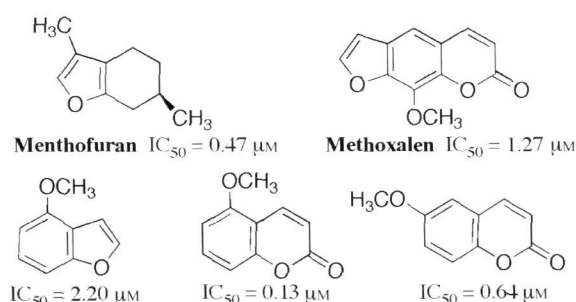


pp. 987–996

## Regular Articles

### Synthetic Models Related to Methoxalen and Menthofuran–Cytochrome P450 (CYP) 2A6 Interactions. Benzofuran and Coumarin Derivatives as Potent and Selective Inhibitors of CYP2A6

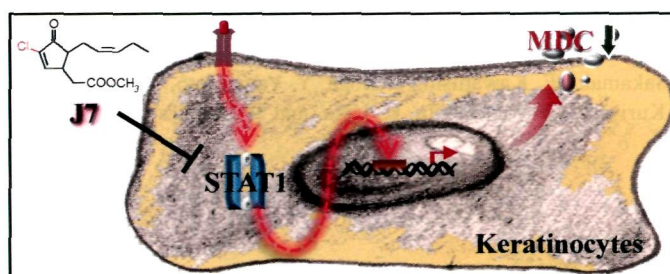
Y. Yamaguchi, I. Akimoto, K. Motegi, T. Yoshimura, K. Wada, N. Nishizono, and K. Oda



pp. 997–1001

### Methyl 5-Chloro-4,5-didehydrojasmonate (J7) Inhibits Macrophage-Derived Chemokine Production *via* Down-Regulation of the Signal Transducers and Activators of Transcription 1 Pathway in HaCaT Human Keratinocytes

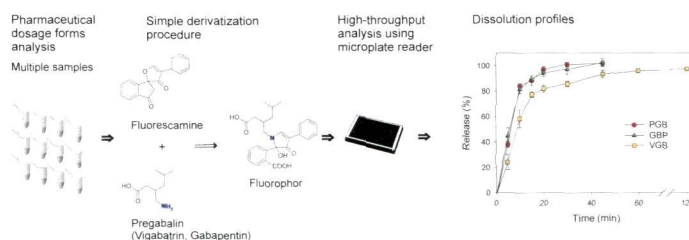
G.-J. Kang, H.-T. Dang, S.-C. Han, N.-J. Kang, D.-H. Koo, Y. S. Koh, J. W. Hyun, H.-K. Kang, J. H. Jung, and E.-S. Yoo



pp. 1002–1008

### A Simple High-Throughput Method for Determination of Antiepileptic Analogues of $\gamma$ -Aminobutyric Acid in Pharmaceutical Dosage Forms Using Microplate Fluorescence Reader

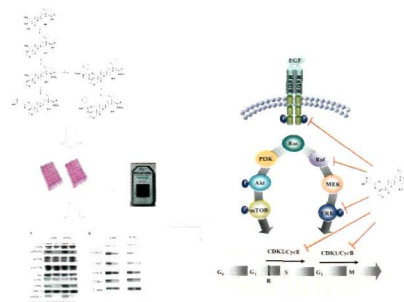
B. Martinc and T. Vovk



pp. 1009–1014

### Antiproliferative, Cell-Cycle Dysregulation Effects of Novel Asiatic Acid Derivatives on Human Non-small Cell Lung Cancer Cells

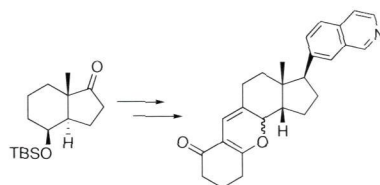
L. Wang, J. Xu, C. Zhao, L. Zhao, and B. Feng



pp. 1015–1023

### Synthetic Studies of Cortistatin A Analogue from the CD-Ring Fragment of Vitamin D<sub>2</sub>

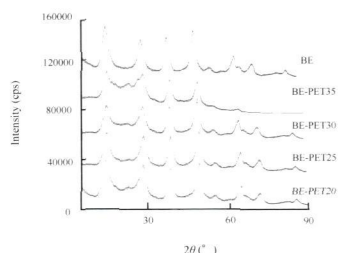
N. Kotoku, K. Mizushima, S. Tamura, and M. Kobayashi



pp. 1024–1029

### Phosphate-Ion-Adsorption Capability of Granulated Boehmite Fabricated Using Organic Binder (Polyethylene Terephthalate)

F. Ogata, A. Ueda, and N. Kawasaki

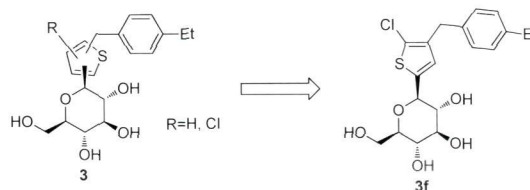


XRD of BE and BE-PETs

pp. 1030–1036

### Synthesis and Biological Evaluation of Thiophene-C-glucosides as Sodium-Dependent Glucose Cotransporter 2 Inhibitors

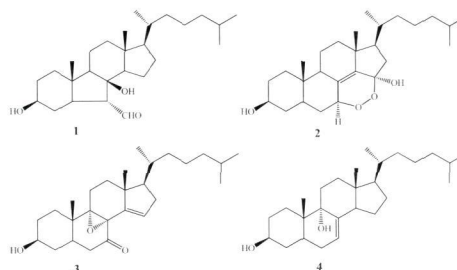
S. Sakamaki, E. Kawanishi, Y. Koga, Y. Yamamoto, C. Kuriyama, Y. Matsushita, K. Ueta, and S. Nomura



pp. 1037–1043

### Steroidal Constituents from the Starfish *Astropecten polyacanthus* and Their Anticancer Effects

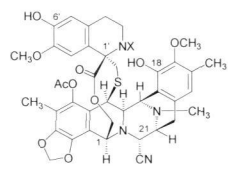
N. P. Thao, N. X. Cuong, B. T. T. Luyen, N. H. Nam, P. V. Cuong, N. V. Thanh, N. X. Nhiem, T. T. H. Hanh, E.-J. Kim, H.-K. Kang, P. V. Kiem, C. V. Minh, and Y. H. Kim



pp. 1044–1051

### Chemistry of Ecteinascidins. Part 4: Preparation of 2'-N-Acyl Ecteinascidin 770 Derivatives with Improved Cytotoxicity Profiles

M. Tsujimoto, W. Lowtangkitcharoen, N. Mori, W. Pangkruang, P. Putongking, K. Suwanborirux, and N. Saito



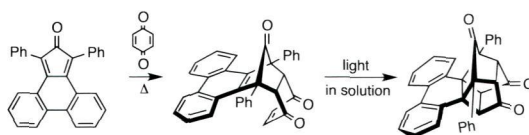
ecteinascidin 770: X = H  
2'-N-acyl derivatives of Et 770: X = COR

pp. 1052–1064

### Notes

#### Formation Mechanism of Trikeo Cage Compounds from Reaction of Phencyclone with Benzoquinones: Cascade Reaction of Intermolecular [4+2] $\pi$ and Intramolecular [2+2] $\pi$ Cycloadditions

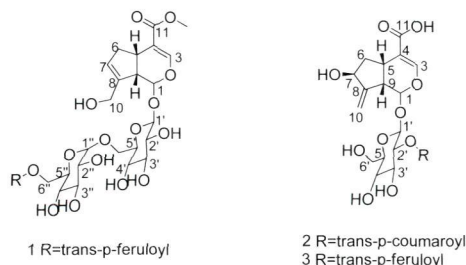
K. Yamaguchi, M. Eto, and K. Harano



pp. 1065–1070

#### Three New Iridoid Glycosides from the Fruit of *Gardenia jasminoides* var. *radicans*

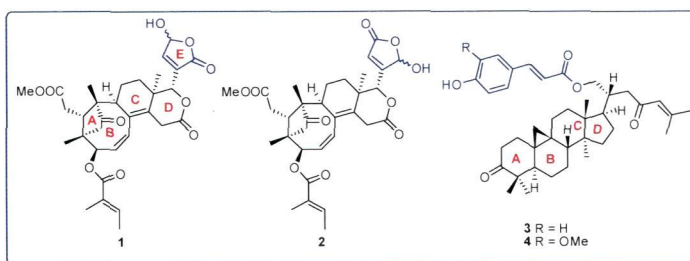
F. Qin, L. Meng, H. Zou, and G. Zhou



pp. 1071–1074

#### Inhibitory Effect of Four Triterpenoids from *Trichilia connaroides* on Nitric Oxide Production in Lipopolysaccharide-Stimulated RAW264.7 Cells

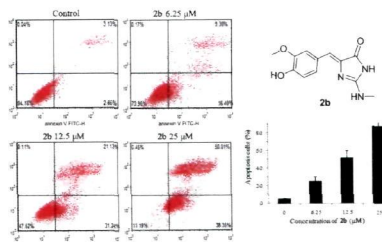
H.-Y. Wang, J.-S. Wang, Y. Zhang, J. Luo, M.-H. Yang, X.-B. Wang, and L.-Y. Kong



pp. 1075–1080

#### Benzylidene 2-Aminoimidazolones Derivatives: Synthesis and *in Vitro* Evaluation of Anti-tumor Carcinoma Activity

Y. Ling, Z.-Q. Wang, Y.-A. Xiao, C. Zhu, L. Shen, X.-M. Wang, Y. Hui, and X.-Y. Wang

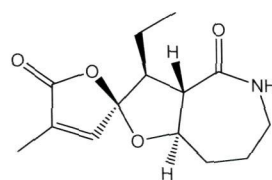


A series of benzylidene 2-aminoimidazolones derivatives were synthesized. Compound **2b** exhibited the strongest antitumor activities and induced SMMC-7721 cell apoptosis in a dose-dependent manner.

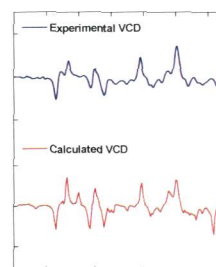
pp. 1081–1084

### Absolute Structures of Stemona-Lactam S and Tuberospiroline, Alkaloids from *Stemona tuberosa*

H. Fukaya, Y. Hitotsuyanagi, Y. Aoyagi, Z. Shu, K. Komatsu, and K. Takeya



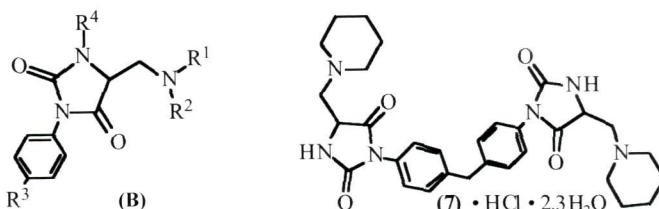
stemona-lactam S (1)



pp. 1085–1089

### Antibacterial Activity of Some 5-Dialkylaminomethylhydantoin and Related Derivatives

F. Fujisaki, K. Toyofuku, M. Egami, S. Ishida, N. Nakamoto, N. Kashige, F. Miake, and K. Sumoto

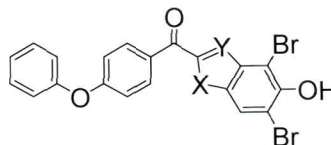


Among the hydantoin derivatives designed in this study, C<sub>2</sub>-symmetrical twin-drug type compound (7) showed the highest level of antibacterial activity against *S. aureus* strain.

pp. 1090–1093

### Synthesis of Novel Benzo-Fused Heteroaryl Derivatives as Calmodulin-Dependent Protein Kinase II Inhibitors

M. Komiya, S. Asano, N. Koike, E. Koga, J. Igarashi, S. Nakatani, and Y. Isobe



**8**(X=O, Y=CH):  
CaMKII IC<sub>50</sub>=0.024 μM  
**14**(X=S, Y=N):  
CaMKII IC<sub>50</sub>=0.032 μM

pp. 1094–1097

**About the cover:** Synthetic methods for heterocyclic compounds based on the palladium-catalyzed carbon–hydrogen bond (C–H) functionalization/intramolecular carbon–heteroatom (nitrogen or sulfur) bond formation process was developed. By using this C–H cyclization approach, various *N*-heterocycles, including indazoles, indoles, and 2-quinolinones, as well as *S*-heterocycles such as benzothiazoles and benzo[*b*]thiophenes, were efficiently prepared. Yields are typically good to high and good functional-group tolerance is observed for each process, thereby indicating that the method provides a novel, highly applicable synthetic route to the above-mentioned biologically important heterocyclic frameworks. See the review by Inamoto on page 987 of this issue.