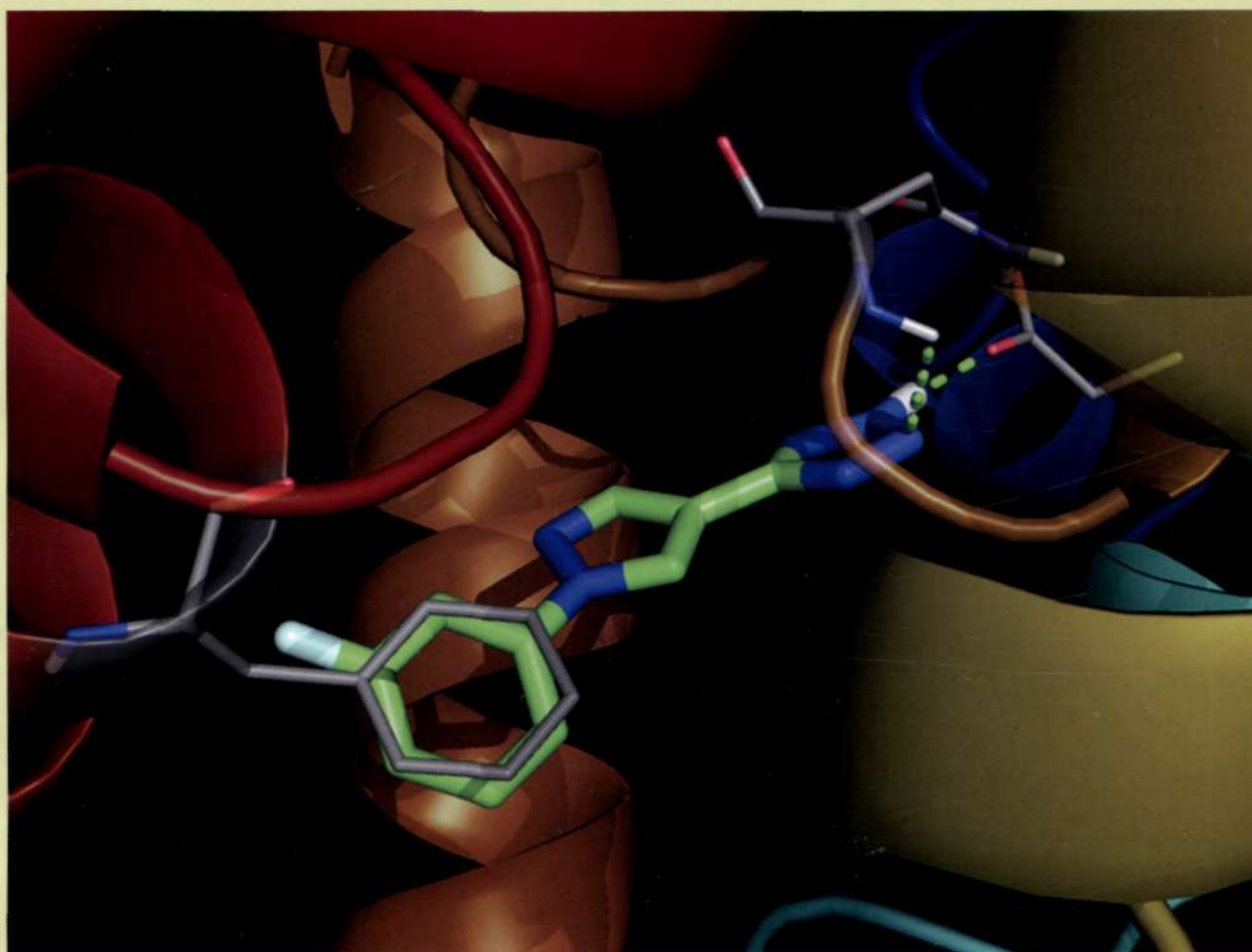


Chemical and Pharmaceutical Bulletin

May 2013

CPBTAL 61 (5) 497-598 (2013)

Vol. 61 No. 5



Synthesis, Docking Studies, Pharmacological Activity and Toxicity of a Novel Pyrazole Derivative (LQFM 021)—Possible Effects on Phosphodiesterase

pp. 524-531



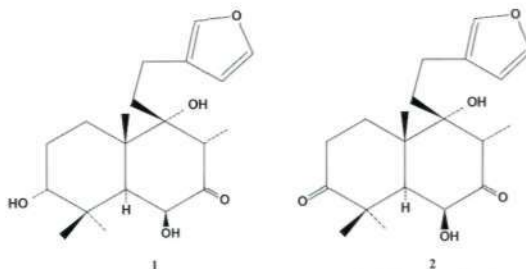
THE PHARMACEUTICAL SOCIETY OF JAPAN

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

Regular Articles

Two New Labdane Diterpenes from Fresh Leaves of *Leonurus japonicus* and Their Degradation during Drying

H. Fuchino, A. Daikonya, T. Kumagai, Y. Goda, Y. Takahashi, and N. Kawahara

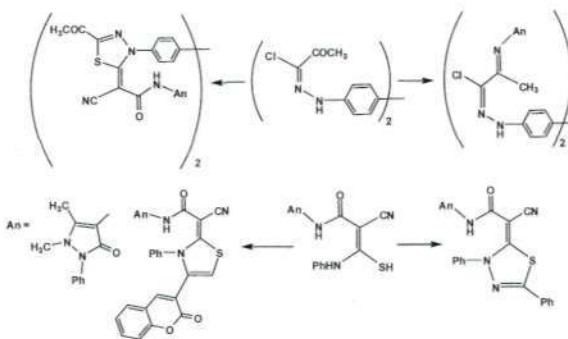


Compound 1 and 2 were isolated from *Leonurus* Herb. They immediately decomposed even at 40 °C in chloroform solution.

pp. 497–503

Azoles and *bis*-Azoles: Synthesis and Biological Evaluation as Antimicrobial and Anti-cancer Agents

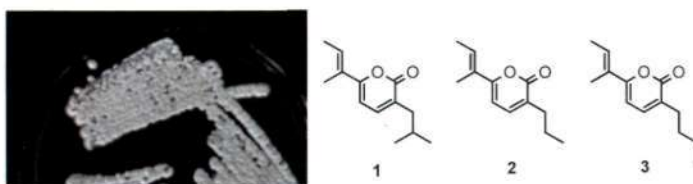
N. A. Kheder, S. M. Riyadh, and A. M. Asiry



pp. 504–510

Nocapyrones H–J, 3,6-Disubstituted α -Pyrone from the Marine Actinomycete *Nocardioopsis* sp. KMF-001

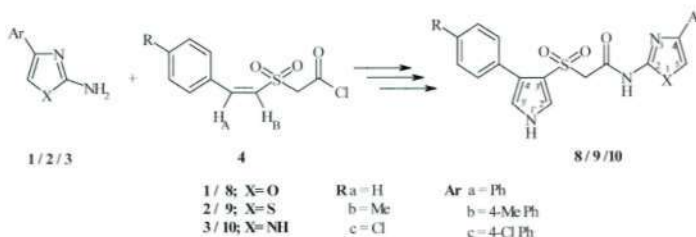
M. C. Kim, O.-W. Kwon, J.-S. Park, S. Y. Kim, and H. C. Kwon



pp. 511–515

Synthesis and Antimicrobial Activity of Azole Derivatives

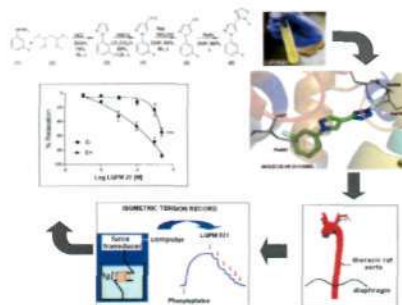
T. Bhanu Prakash, L. Mallikarjuna Reddy, A. Padmaja, and V. Padmavathi



pp. 516–523

Synthesis, Docking Studies, Pharmacological Activity and Toxicity of a Novel Pyrazole Derivative (LQFM 021)—Possible Effects on Phosphodiesterase

D. Ramos Martins, F. Pazini, V. de Medeiros Alves, S. Santana de Moura, L. Morais Lião, M. Torquato Quezado de Magalhães, M. Campos Valadares, C. Horta Andrade, R. Menegatti, and M. Lavorenti Rocha

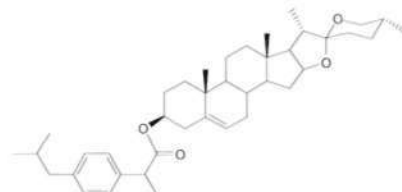


pp. 524–531

Synthesis of Diosgenin-Ibuprofen Derivatives and Their Activities against Insulin-Dependent Diabetes Mellitus

G. Xin, Y. Wang, X. Guo, B. Huang, D. Du, S. He, R. Zhang, Z. Xing, H. Zhao, Q. Chen, W. Huang, and Y. He

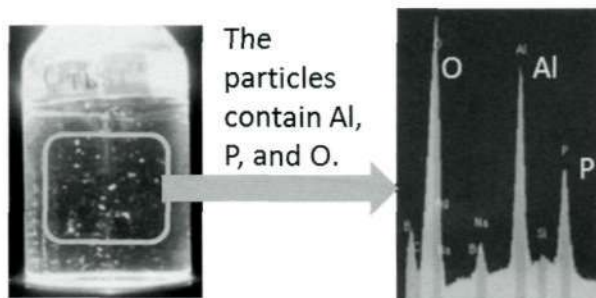
A series of new type of diosgenin-ibuprofen derivatives have been synthesized, one of which was found to have good anti-inflammatory effect on RAW264.7 cells *in vitro*, and to reduce the risk of NOD mice to develop type 1 diabetes significantly *in vivo*.



pp. 532–538

Effects of Phosphate Buffer in Parenteral Drugs on Particle Formation from Glass Vials

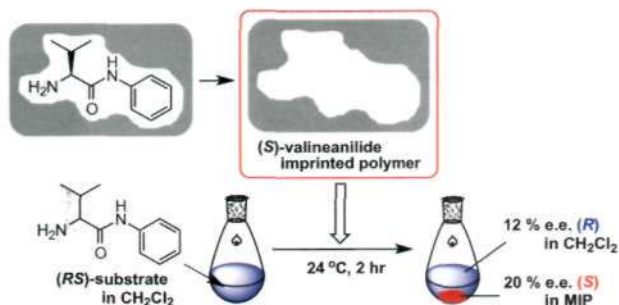
T. Ogawa, M. Miyajima, N. Wakiyama, and K. Terada



pp. 539–545

A Study of the Various Factors That Affect the Properties of Molecularly Imprinted Polymers

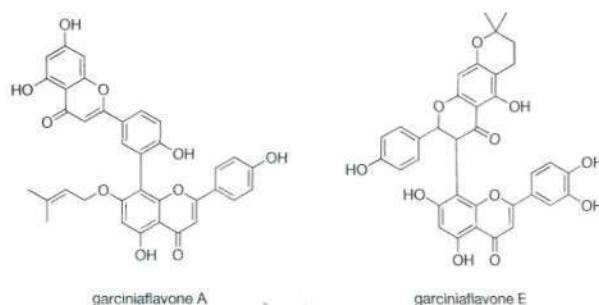
T. Yasuyama, H. Matsunaga, S. Ando, and T. Ishizuka



pp. 546–550

Isolation of Six Isoprenylated Biflavonoids from the Leaves of *Garcinia subelliptica*

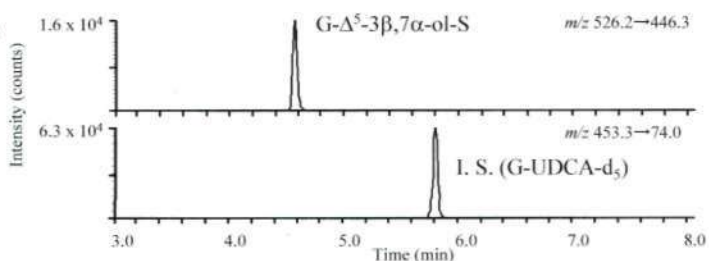
T. Ito, R. Yokota, T. Watarai, K. Mori, M. Oyama, H. Nagasawa, H. Matsuda, and M. Iinuma



pp. 551–558

Measurement of Transport Activities of 3β -Hydroxy- Δ^5 -bile Acids in Bile Salt Export Pump and Multidrug Resistance-Associated Proteins Using LC-MS/MS

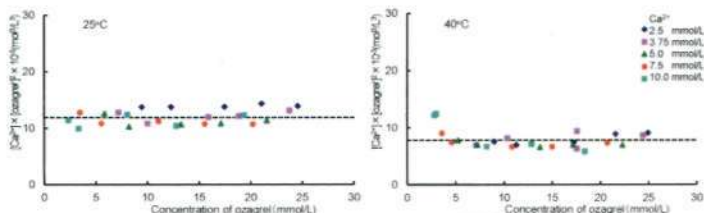
T. Murai, K. Oda, T. Toyo, H. Nittono, H. Takei, A. Muto, A. Kimura, and T. Kurosawa



pp. 559–566

Prediction of Compatibility between Ozagrel Sodium Preparation for Injection and Calcium on the Basis of the Solubility Product

M. Tange, M. Yoshida, M. Hazekawa, T. Haraguchi, Y. Nakai, and T. Uchida



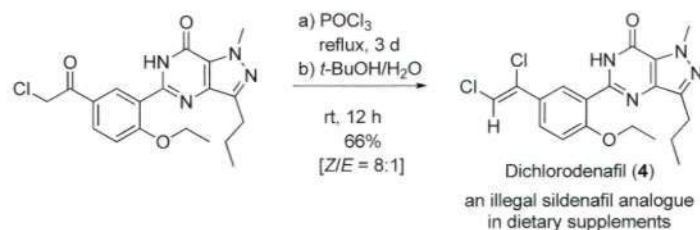
Solubility product constant of ozagrel-calcium ($[Ca^{2+}] \times [ozagrel]^2$) was $11.89 \times 10^{-3} \text{ mol}^3/\text{L}^3$ (25 °C) and $7.82 \times 10^{-3} \text{ mol}^3/\text{L}^3$ (40 °C).

pp. 567–571

Notes

Efficient Synthesis of Dichlorodenafil, an Unapproved Sildenafil Analogue Appearing in Non-prescription Supplements

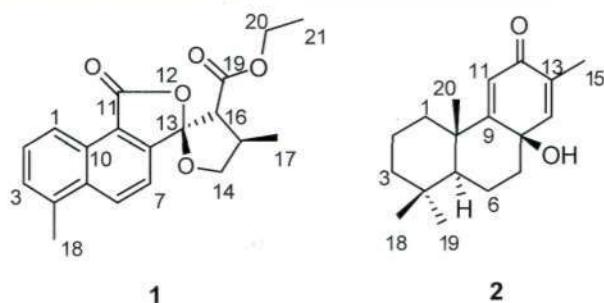
J. Y. Kim, I. G. Hwang, J. H. Oh, I. H. Kang, S. W. Kwon, and D. Kim



pp. 572–575

Two New Diterpenoids from Cell Cultures of *Salvia miltiorrhiza*

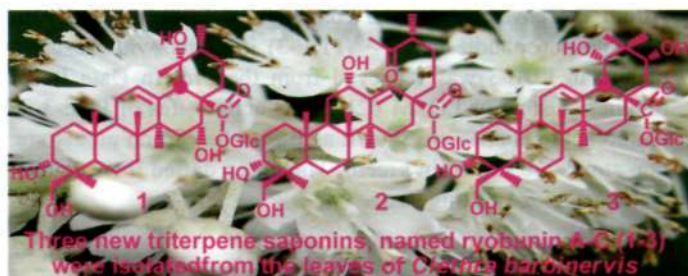
D.-W. Zhang, X. Liu, D. Xie, R. Chen, X.-Y. Tao, J.-H. Zou, and J. Dai



pp. 576–580

Structures of Ryobunins A–C from the Leaves of *Clethra barbinervis*

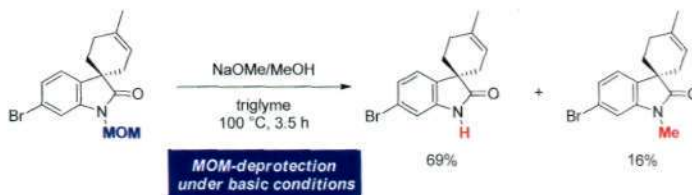
S. Sugimoto, K. Matsunami, and H. Otsuka



pp. 581–586

Deprotection of the Methoxymethyl Group on 3-Spiro-2-oxindole under Basic Conditions

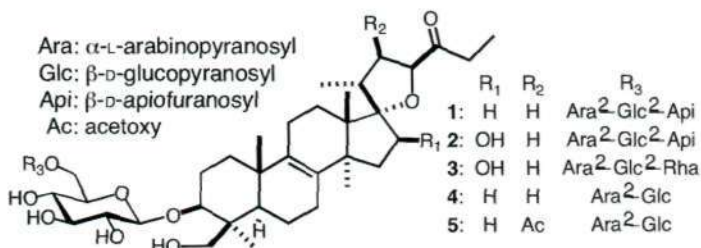
A. Nakazaki, K. Iwakiri, T. Hirano, T. Suzuki, and S. Kobayashi



pp. 587–591

Five New Nortriterpenoid Glycosides from the Bulbs of *Scilla scilloides*

M. Ono, T. Ochiai, S. Yasuda, Y. Nishida, T. Tanaka, M. Okawa, J. Kinjo, H. Yoshimitsu, and T. Nohara



pp. 592–598

About the cover: Molecular computational docking study-discovery of a new pyrazole derivative (LQFM 021), a possible phosphodiesterase-3 (PDE-3) inhibitor with vasorelaxant activity and low toxicity. The crystal structure of human PDE-3 was retrieved from the Protein Data Bank. This Figure shows the major energetically favored binding clusters of LQFM 021 in the active sites of PDE-3. The 3D representation of PDE-3 structures with select amino acid residues are shown as lines (the carbon atoms are in gray). The LQFM 021 is shown as sticks (the carbon atoms are shown in green). The green dotted lines denote hydrogen bonds. See the article by Ramos Martins *et al.* on page 524 of this issue.