

Chemical and Pharmaceutical Bulletin

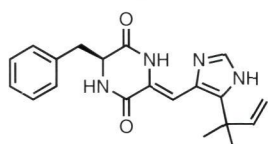
September 2013

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CPBTAL 61 (9) 889-986 (2013)

Medicinal Chemistry

Natural product



(S)-(-)-Phenylahistin

IC₅₀ 394 nM (HT-29 cells)



Phase II clinical trial



Plinabulin

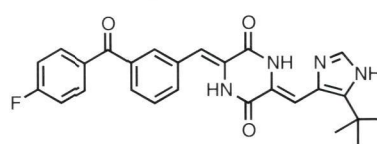
IC₅₀ 15 nM

Water-solubility < 0.0001 mg/mL

Injection Drug



The most potent derivative



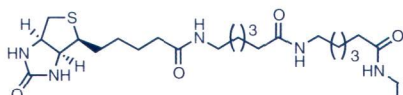
KPU-133

IC₅₀ 0.5 nM

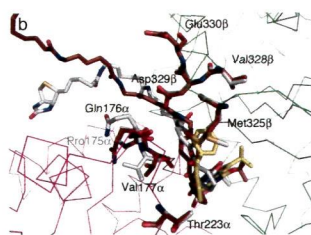
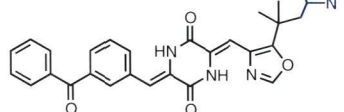


Chemical Biology

Tubulin binding site analysis
by photoaffinity labeling

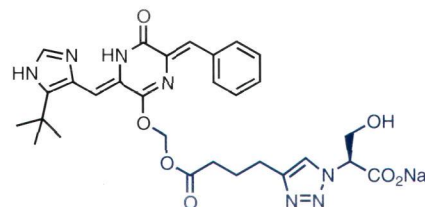


Photoaffinity
Chemical probes



Water-soluble Prodrug

Conversion from DKP to monolactim
and click chemistry



water-solubilizing unit

Water-solubility = 6.4 mg/mL

Diketopiperazine Microtubule Depolymerization & Vascular-Disrupting Agents

Medicinal Chemistry and Chemical Biology of Diketopiperazine-Type
Antimicrotubule and Vascular-Disrupting Agents

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THE PHARMACEUTICAL SOCIETY OF JAPAN

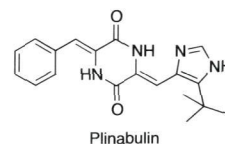
<http://cpb.pharm.or.jp>

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Y. Hayashi, Y. Yamazaki-Nakamura, and F. Yakushiji

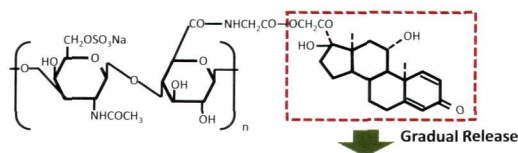


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Regular Articles

Conjugate between Chondroitin Sulfate and Prednisolone with a Glycine Linker: Preparation and *in Vitro* Conversion Analysis

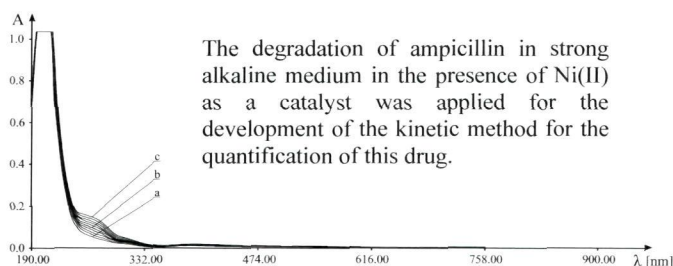
H. Onishi and M. Matsuyama



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A Simple Method for the Ampicillin Determination in Pharmaceuticals and Human Urine

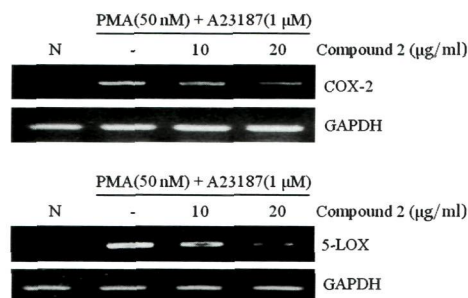
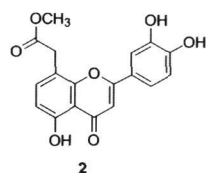
I. Rašić Mišić, G. Miletić, S. Mitić, M. Mitić, and E. Pecev-Marinković



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Anti-allergic Flavones from *Arthroxon hispidus*

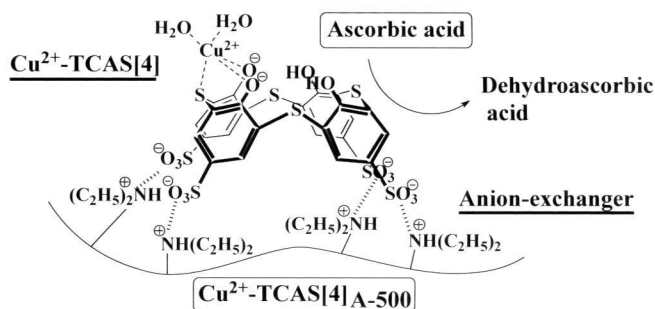
G.-H. Quan, H.-S. Chae, H. H. Song, K.-S. Ahn, H.-K. Lee, Y.-H. Kim, S.-R. Oh, and Y.-W. Chin



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Catalytic Activity of Thiocalix[4]arene-tetrasulfonate Metal Complexes on Modified Anion-Exchangers for Ascorbic Acid Oxidation

J. Odo, T. Hirashima, T. Hayashida, A. Miyauchi, M. Minemoto, M. Iuchi, and M. Inoguchi

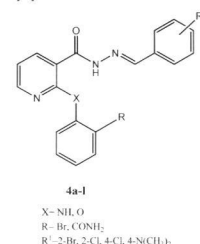


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Synthesis of New Nicotinic Acid Derivatives and Their Evaluation as Analgesic and Anti-inflammatory Agents

N. A. Khalil, E. M. Ahmed, K. O. Mohamed, and S. A.-B. Zaitone

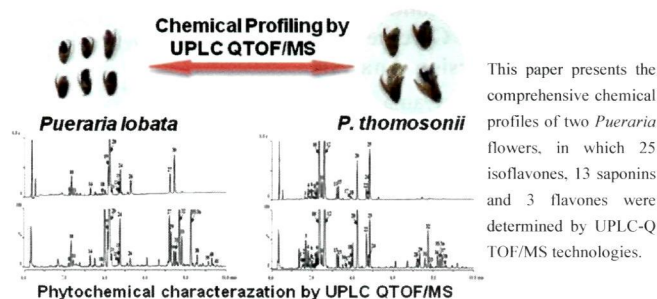
A series of 2-substitutedphenyl derivatives of nicotinic acid **4a-1** were synthesized and evaluated for their analgesic and anti-inflammatory activities. Effect of the compounds **4a-1** on the serum level of certain inflammatory cytokines such as TNF- α and IL-6 was also determined.



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Simultaneous Determination of Isoflavones, Saponins and Flavones in Flos Puerariae by Ultra Performance Liquid Chromatography Coupled with Quadrupole Time-of-Flight Mass Spectrometry

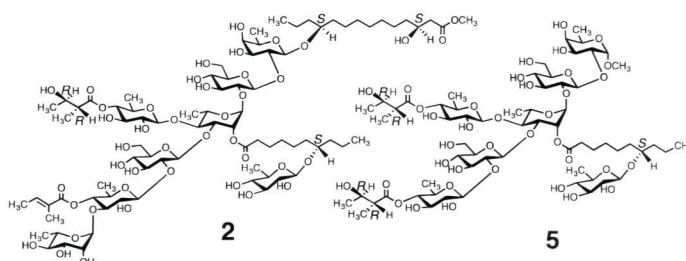
J. Lu, Y. Xie, Y. Tan, J. Qu, H. Matsuda, M. Yoshikawa, and Dan Yuan



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Three Acylated Glycosidic Acid Methyl Esters and Two Acylated Methyl Glycosides Generated from the Convolvulin Fraction of Seeds of Quamoclit pennata by Treatment with Indium(III) Chloride in Methanol

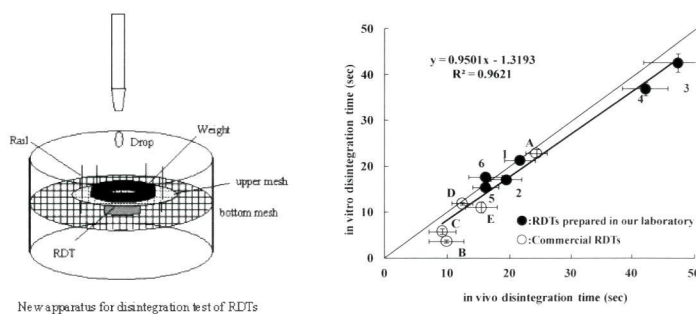
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Development of a Novel and Simple Method to Evaluate Disintegration of Rapidly Disintegrating Tablets

Y. Hoashi, Y. Tozuka, and H. Takeuchi

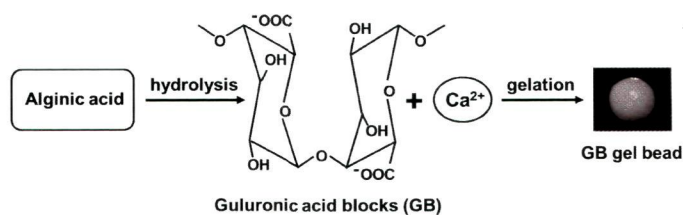


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Notes

Characteristics of Drug Release from Gel Beads Formed by Hydrolysis of Alginate Acid into Guluronic Acid Blocks

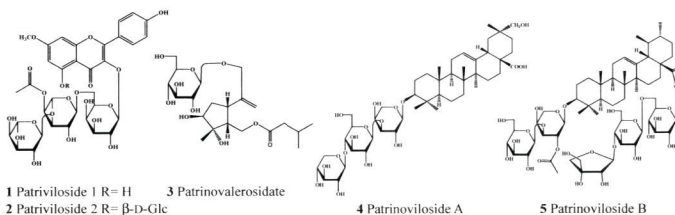
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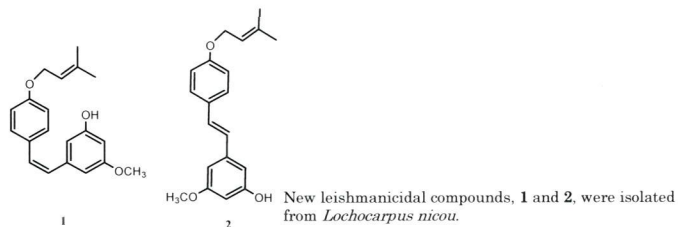
J. Y. Lee, J. S. Kim, Y. S. Kim, and S. S. Kang



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New Leishmanicidal Stilbenes from a Peruvian Folk Medicine, *Lonchocarpus nicou*

H. Fuchino, F. Kiuchi, A. Yamanaka, A. Obu, H. Wada, K. Mori-Yasumoto, N. Kawahara, D. Flores, O. Palacios, S. Sekita, and M. Satake



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Two New Sesquiterpenoids Including a Sesquiterpenoid Lactam from *Curcuma wenyujin*

G. Qiu, P. Yan, W. Shao, J. Zhou, W. Lin, L. Fang, X. Zhao, and J. Dong



Two new sesquiterpenoids, together with five known analogues were isolated from the rhizomes of *Curcuma wenyujin*. Compound 1 showed stronger inhibitory effects on NO production in RAW264.7 cells.

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