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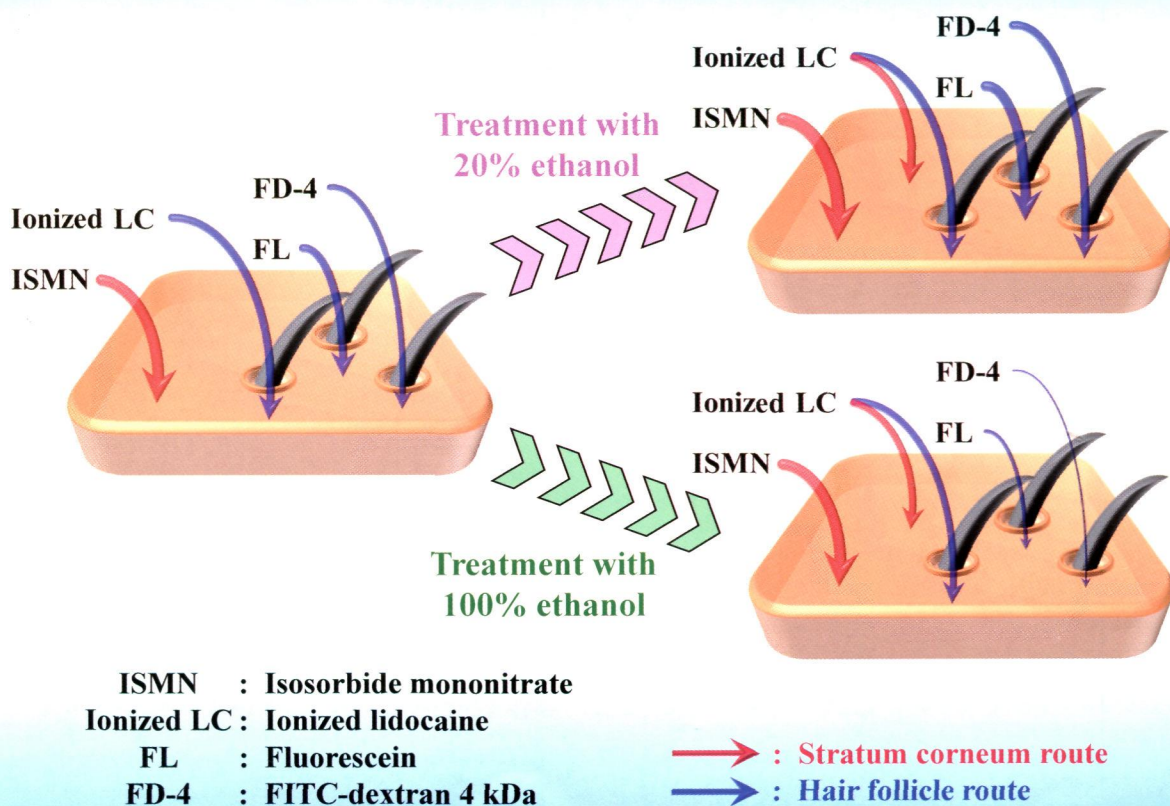
Chemical and Pharmaceutical Bulletin

June 2014

CPBTAL 62 (6) 505-616 (2014)

Vol. 62 No. 6

Skin permeabilities and permeation pathways of drugs



Analysis of the Pretreatment Effect of Ethanol on the Stratum Corneum- and Hair Follicular-Penetration of Drugs Using the Hair Follicle-Plugging Method

pp. 578-585



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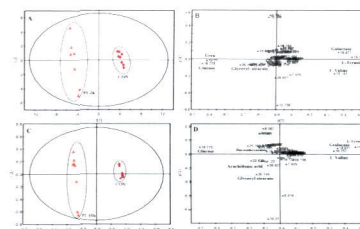
Contents

Communication to the Editor

An Evaluation of Acute Hydrogen Sulfide Poisoning in Rats through Serum Metabolomics Based on Gas Chromatography-Mass Spectrometry

M. Zhang, M. Deng, J. Ma, and X. Wang

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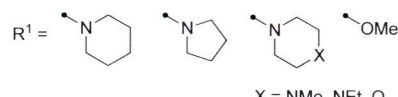
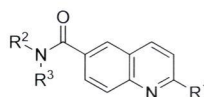


PLS-DA score results of rat serum samples, acute hydrogen sulfide poisoning after 2h group (PI-2h), acute hydrogen sulfide poisoning after 48h group (PI-48h), control group (CON), B, D were corresponding load diagram of A, C.

Regular Articles

Synthesis and Biological Evaluation of 2-Substituted Quinoline 6-Carboxamides as Potential mGluR1 Antagonists for the Treatment of Neuropathic Pain

Y. Kim, J. Son, J. Kim, D.-J. Baek, Y. S. Lee, E. J. Lim, J. K. Lee, A. N. Pae, S.-J. Min, and Y. S. Cho



X = NMe, NEt, O

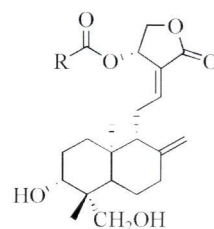
R² or R³ = H, Me, Et, Pr, iPr, Ph, Bn, cyclic amines

mGluR1 negative allosteric modulators

pp. 508–518

Synthesis and Preliminary Biologic Activity Evaluation of Nitric Oxide-Releasing Andrographolide Derivatives in RIN-m Cells

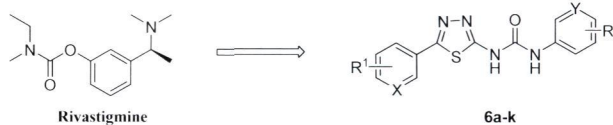
Z. Liang, E. Du, L. Xu, Y. Sun, G. Zhang, P. Yu, and Y. Wang



pp. 519–523

Synthesis and *in Vitro* Evaluation of 1,3,4-Thiadiazol-2-yl Urea Derivatives as Novel AChE Inhibitors

X. Xue, Y. Wang, P. Lu, H. Shang, J. She, L. Xia, H. Qian, and W. Huang

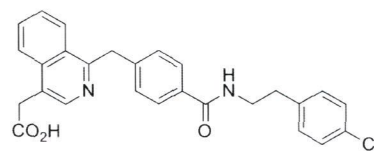


1, 3, 4-Thiadiazole and urea group were hybridized to form new molecular skeleton and 11 compounds were synthesized and evaluated as AChE inhibitors. Most of them showed comparable effects in inhibition of AChE, especially compound **6b** which exhibited activity with IC₅₀ value 1.17 μM, as strong as galanthamine.

pp. 524–527

Isoquinoline Derivatives as Potent, Selective, and Orally Active CRTH2 Antagonists

R. Nishikawa-Shimono, Y. Sekiguchi M. Kawamura, D. Wakasugi, M. Kawanishi, K. Watanabe, Y. Asakura, A. Takaoka, and T. Takayama



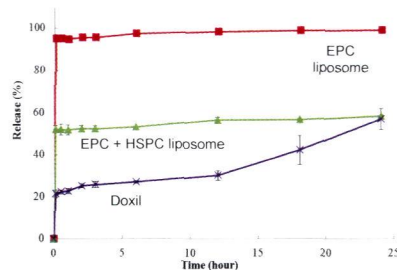
TASP0412098 (9I)

hCRTH2 binding $IC_{50} = 2.1$ nM

pp. 528–537

Characterization of a Doxorubicin Liposome Formulation by a Novel *in Vitro* Release Test Methodology Using Column-Switching High-Performance Liquid Chromatography

N. Ohnishi, H. Tomida, Y. Ito, K. Tahara, and H. Takeuchi

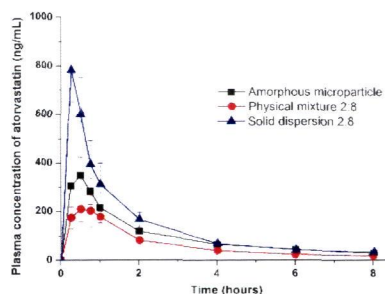


Doxorubicin release profile by Column-switching HPLC system

pp. 538–544

Preparation and Evaluation of Solid Dispersion of Atorvastatin Calcium with Soluplus[®] by Spray Drying Technique

E.-S. Ha, I.-h. Baek, W. Cho, S.-J. Hwang, and M.-S. Kim

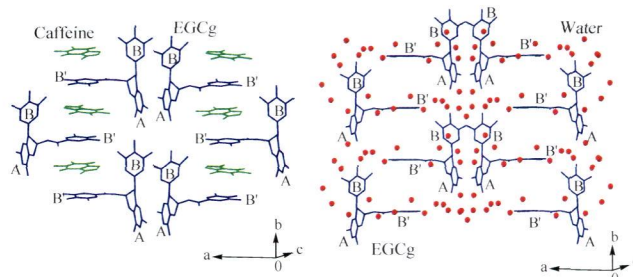


The absorption of atorvastatin calcium after oral administration of Soluplus[®] solid dispersion to rats was markedly increased, due to the enhanced supersaturation and dissolution properties.

pp. 545–551

Properties of Precipitate of Creaming Down by (–)-Epigallocatechin-3-*O*-gallate and Caffeine

T. Ishizu, H. Tsutsumi, Y. Kinoshita, H. Mukaida, T. Sato, and S. Kajitani



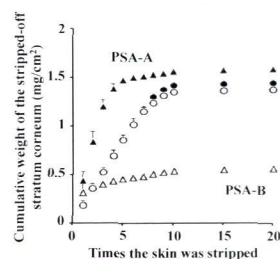
Layer structure of the 2:2 complex of (–)-epigallocatechin-3-*O*-gallate (EGCg) and caffeine

pp. 552–558

Usefulness of Pressure-Sensitive Adhesives as a Pretreatment Material before Application of Topical Drug Formulations and a Peeling Tape for Excess Stratum Corneum Layers

K. Kikuchi, H. Todo, and K. Sugibayashi

The unique pressure-sensitive adhesive tape (PSA-B) can be very safely utilized to peel the stratum corneum to increase skin permeation of topically applied drugs.

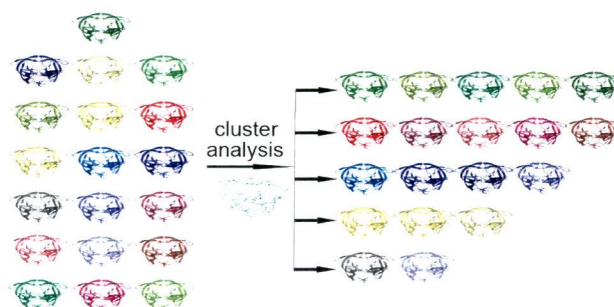


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A Cluster Analysis on the Structural Diversity of Protein Crystals, Exemplified by Human Immunodeficiency Virus Type 1 Protease

F. Qi, S. Fudo, S. Neya, and T. Hoshino

pp. 568–577

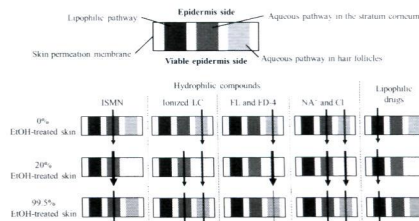


Analysis of the Pretreatment Effect of Ethanol on the Stratum Corneum- and Hair Follicular-Penetration of Drugs Using the Hair Follicle-Plugging Method

D. Horita, H. Todo, and K. Sugibayashi

pp. 578–585

The penetrants were categorized into 5 groups for their primary permeation route by skin permeation test using the hair follicle-plugging method.



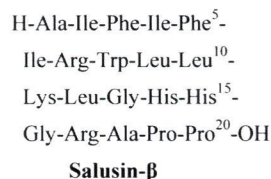
Schematic Pathway Model of the Effects of EtOH on the Skin Permeation of Drugs

Salusin- β , an Antimicrobially Active Peptide against Gram-Positive Bacteria

M. Kimura, M. Shindo, T. Moriizumi, N. Tagawa, A. Fujinami, I. Kato, and Y. Uchida

pp. 586–590

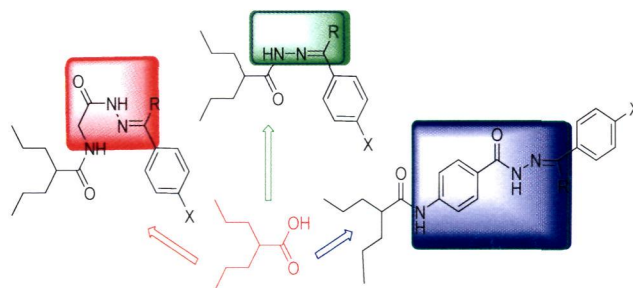
Salusin- β having various effects on the mammalian cardiovascular system showed the high antibiotic activity against Gram-positive microorganisms, and the ability of the bacterial cytoplasmic membrane depolarization.



Synthesis and Biological Activity of Schiff Base Series of Valproyl, *N*-Valproyl Glycyl, and *N*-Valproyl-4-aminobenzoyl Hydrazide Derivatives

A. El-Faham, M. Farooq, S. N. Khattab, A. M. Elkayal, M. F. Ibrahim, N. Abutaha, M. A. Wadaan, and E. A. Hamed

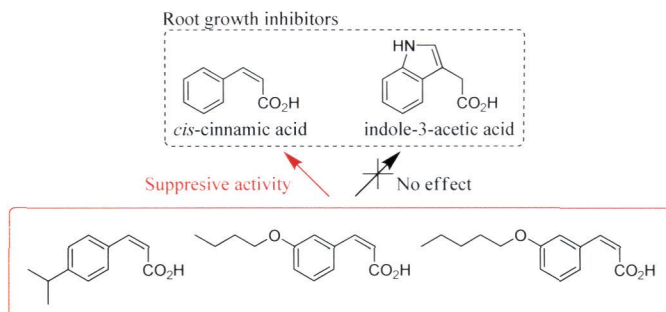
pp. 591–599



cis-Cinnamic Acid Selective Suppressors Distinct from Auxin Inhibitors

K. Okuda, K. Nishikawa, H. Fukuda, Y. Fujii, and M. Shindo

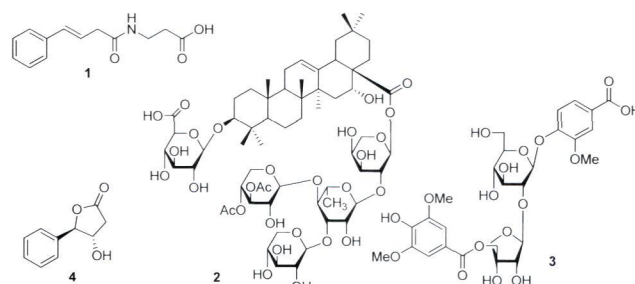
pp. 600–607



Notes

Chemical Constituents of Aerial Parts and Roots of *Pycnanthemum flexuosum*

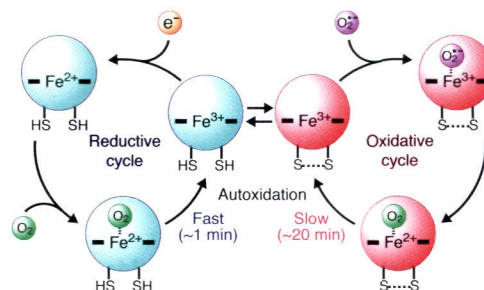
T. Murata, M. Nakano, T. Miyase, and F. Yoshizaki



pp. 608–612

Ferric Human Neuroglobin Scavenges Superoxide to Form Oxy Adduct

T. Yamashita, L. Hafsi, E. Masuda, H. Tsujino, and T. Uno



pp. 613–615

About the cover: This study was based on the assumption that hydrophilic drugs permeated through the aqueous pathway in the stratum corneum and hair follicles, and we evaluated the effects of ethanol (EtOH) pretreatment on each specific skin permeation pathway using the hair follicle-plugging method. Isosorbide mononitrate (ISMN), ionized lidocaine (ionized LC), fluorescein (FL) and FITC-dextran 4kDa (FD-4) were selected as model hydrophilic drugs. Skin pretreatment with low and high concentration of EtOH markedly increased and decreased, respectively, on the skin permeabilities of four model drugs. Such different skin permeations were closely related to effects of different concentrations of EtOH on the aqueous pathway in stratum corneum and hair follicles. See the article by Horita *et al.* on page 578 of this issue.