Architectural Self-Construction in Nature and Chemical Synthesis

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This personal perspective describes an achievement in organic natural product synthesis based on the concept of architectural self-construction.

Synthesis and Biological Activity of Novel *S*-Adenosyl-L-homocysteine Hydrolase Inhibitors

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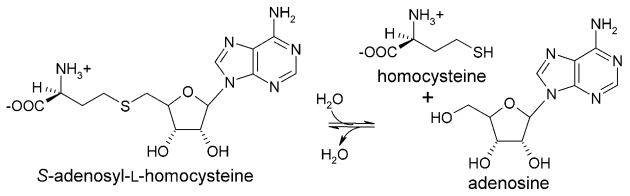
Four potential *S*-adenosyl-L-homocysteine hydrolase inhibitors were prepared and tested against purified recombinant rat liver enzyme. Each compound presented distinct kinetic characteristics against this enzyme.



Synthesis and Evaluation of Esters and Carbamates to Identify Critical Functional Groups for Esterase-specific Metabolism

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Kyoung Jin P. Yoon,[a] Christopher L. Morton,[a] Philip M. Potter,[a] Mary K. Danks[a] and Richard E. Lee[b,*]

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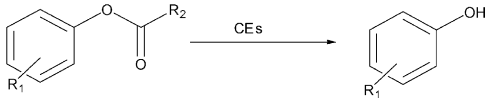

1,4-Benzothiazine Analogues and Apoptosis: Structure–Activity Relationship

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Renata Fringuelli,[a,*] Fausto Schiaffella,[a] M. Pilar Utrilla Navarro,[b] Lara Milanese,[a] Cristina Santini,[b] Michela Rapucci,[a] Cristina Marchetti[b] and Carlo Riccardi[b]

[a]*Department of Drug Chemistry and Technology, University of Perugia, Via del Liceo 1, 06123 Perugia, Italy*
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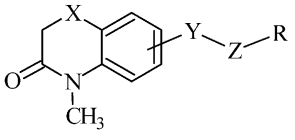
We synthesised several 1,4-benzothiazine analogues that differ in the nature of the skeleton and in the nature of the side chain and tested for apoptosis activity at equimolar concentrations.



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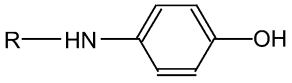
Enhancement of Antioxidant Activity of *p*-Alkylaminophenols by Alkyl Chain Elongation

Noriko Takahashi,* Kayoko Tamagawa, Yoshinori Kubo, Tetsuya Fukui, Hitoshi Wakabayashi and Toshio Honda

Faculty of Pharmaceutical Sciences, Hoshi University, 4-41, Ebara 2-Chome, Shinagawa-ku, Tokyo 142-8501, Japan



3 was the most potent lipid peroxidation inhibitor, at approximately 350-fold higher potency than **6**.

3 $R=CH_3(CH_2)_7$
4 $R=CH_3(CH_2)_5$
5 $R=CH_3(CH_2)_3$
6 $R=CH_3$
7 $R=CH_3OC_6H_4CH_2$

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Synthesis of Furanone-Based Natural Product Analogues with Quorum Sensing Antagonist Activity

Thomas Hjelmgaard,[b] Tobias Persson,[a,b] Thomas B. Rasmussen,[c] Michael Givskov[c] and John Nielsen[a,*]

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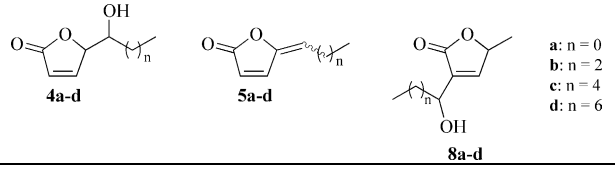
[b]*Department of Chemistry, Organic Chemistry, Bld. 201, Technical University of Denmark, DK-2800 Kgs. Lyngby, Denmark*
[c]*Department of Molecular Microbiology, BioCentrum-DTU, Bld. 301, Technical University of Denmark, DK-2800 Kgs. Lyngby, Denmark*



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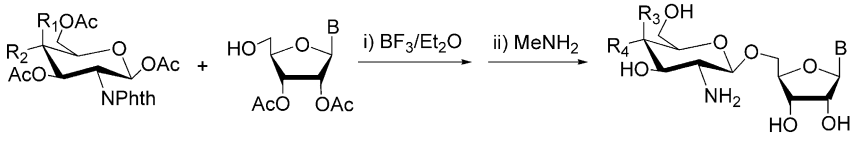
Synthesis of 2-Amino-2-deoxy-β-glycosyl-(1→5)-nucleosides and the Interaction with RNA

Guisheng Zhang, Zhu Guan, Liangren Zhang,* Jimei Min and Lihe Zhang

School of Pharmaceutical Sciences, Peking University, Beijing 100083, China



Bioorg. Med. Chem. 11 (2003) 3279

Preferential Binding to DNA Sequences of Peptides Related to a Novel XPRK Motif

Chia-Hung Yang,[a] Ping-Jen Chou,[a] Zhen Long Luo,[a] I. Chun Chou,[a] Jung-Cheng Chang,[a] Chien-Chung Cheng,[b] Christopher R.H. Martin,[c] Michael. J. Waring[c,*] and Leung Sheh[a,*]

[a]*Department of Chemistry, Tunghai Christian University, Taichung, Taiwan 407*
[b]*Department of Chemistry, Tamkang University, Taipei, Taiwan 251*
[c]*Department of Pharmacology, University of Cambridge, Tennis Court Road, Cambridge CB2 1PD, UK*

Two dodecapeptide amides: $(WPRK)_3NH_2$ (WR-12) and $(YPRK)_3NH_2$ (YR-12) and a polypeptide (SP-30) incorporating repeating sequences of the SPK(R)K motif were synthesized. DNase I footprinting on a 117-mer DNA showed that all three peptides prefer A/T rich sequences but YR-12 is better able to extend its recognition site to include CG pairs than is SP-30. Scatchard analyses of the two dodecapeptides on six oligonucleotide duplexes are reported.

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A New Infrared Fluorescent-Labeling Agent and Labeled Antibody for Diagnosing Microcancers

Masaya Tadatsu,[a] Susumu Ito,[a] Naoki Muguruma,[a,*] Yoshihiro Kusaka,[a] Kumi Inayama,[a] Terumi Bando,[a] Yoko Tadatsu,[a] Koichi Okamoto,[a] Kunio, Ii,[a] Yoshimitsu Nagao,[b] Shigeki Sano[b] and Hiromi Taue[b]

[a]*Department of Digestive and Cardiovascular Medicine, The University of Tokushima School of Medicine, 3-18-15, Kuramoto-cho, Tokushima City, 770-8503, Japan*
[b]*Faculty of Pharmaceutical Sciences, The University of Tokushima School of Medicine, Tokushima, Japan*

We synthesized indocyanine green acylthiazolidinethione (ICG-ATT), which was expected to label various target molecules having amino groups efficiently. ICG-ATT labeled antibody seems to be useful as a fluorescent-labeling agent for diagnosis of microcancers by infrared fluorescence.

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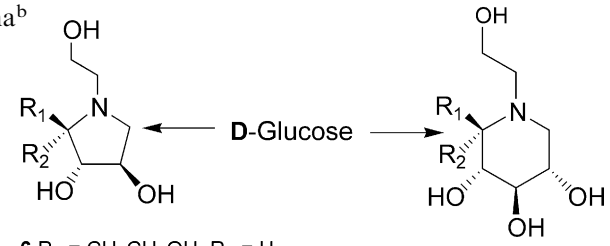
N-Hydroxyethyl-piperidine and -Pyrrolidine Homoazasugars: Preparation and Evaluation of Glycosidase Inhibitory Activity

Dilip D. Dhavale,[a,*] Mohammed M. Matin,[a] Tarun Sharma[b] and Sushma G. Sabharwal[b]

[a]*Department of Chemistry, Garware Research Centre, University of Pune, Pune-411 007, India*
[b]*Department of Chemistry, Division of Biochemistry, University of Pune, Pune-411 007, India*



6 $R_1 = CH_2CH_2OH$, $R_2 = H$
7 $R_1 = H$, $R_2 = CH_2CH_2OH$

4 $R_1 = CH_2CH_2OH$, $R_2 = H$
5 $R_1 = H$, $R_2 = CH_2CH_2OH$

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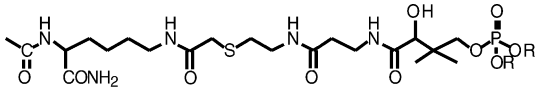
Synthesis and Analysis of Potential Prodrugs of Coenzyme A Analogues for the Inhibition of the Histone Acetyltransferase p300

Marek Cebrat,[a,b] Cheol M. Kim,[a] Paul R. Thompson,[a] Matthew Daugherty[c] and Philip A. Cole[a,*]

[a]*Department of Pharmacology and Molecular Sciences, Johns Hopkins University, School of Medicine, 725 N. Wolfe St., Baltimore, MD 21205, USA*
[b]*Faculty of Chemistry, University of Wroclaw, 50-383 Wroclaw, Poland*
[c]*Integrated Genomics, Incorporated, Chicago, IL 60612, USA*

Truncated analogues of Lys-CoA were tested as inhibitors of p300HAT and as substrates for PPAT/DPCK enzyme.



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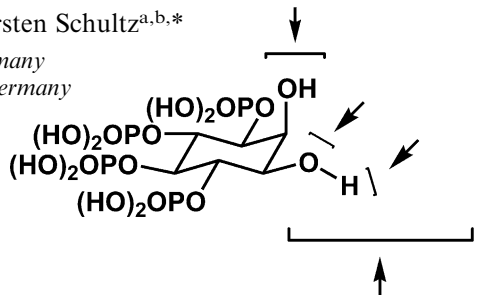
Antagonists of *myo*-Inositol 3,4,5,6-Tetrakisphosphate Allow Repeated Epithelial Chloride Secretion

Marco T. Rudolf,[a] Carlo Dinkel,[b] Alexis E. Traynor-Kaplan[c] and Carsten Schultz[a,b,*]

[a]*Institut für Organische Chemie, Universität Bremen, UFT, 28359 Bremen, Germany*
[b]*European Molecular Biology Laboratory, Meyerhofstr. 1, 69117 Heidelberg, Germany*
[c]*Inologic, Inc., 101 Elliot Ave. W, Suite 400, Seattle, WA 98119, USA*

A series of membrane-permeant Ins(3,4,5,6)P_4 derivatives, carrying alkyl substituents on the hydroxyl groups has been synthesized and screened for effects on Cl^- secretion in a human colonic epithelial cell line, T_{84}.



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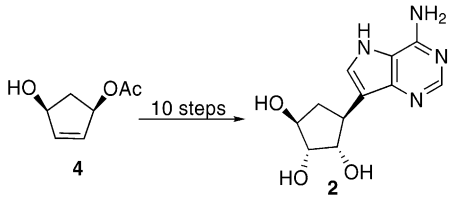
9-Deaza-5′-noraristeromycin

Meral Tuncbilek and Stewart W. Schneller*

Department of Chemistry, Auburn University, Auburn, AL 36849, USA

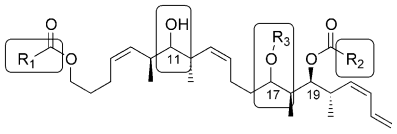


Bioorg. Med. Chem. 11 (2003) 3335

Synthesis and Biological Assessment of Simplified Analogues of the Potent Microtubule Stabilizer (+)-Discodermolide

José M. Mínguez,[a] Sun-Young Kim,[a] Kenneth A. Giuliano,[b] Raghavan Balachandran,[c] Charitha Madiraju,[c] Billy W. Day[a] and Dennis P. Curran[a,*]

[a]*Department of Chemistry, Chevron Science Center, 219 Parkman Avenue, Pittsburgh, PA 15260, USA*
[b]*Cellomics, Inc., Pittsburgh, PA 15238, USA*
[c]*Department of Pharmaceutical Sciences, University of Pittsburgh, Pittsburgh, PA 15261, USA*



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Comparison between Gd-DTPA and Several Bisamide Derivatives as Potential MRI Contrast Agents

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[b]*Laboratory of Magnetic Resonance and Atomic and Molecular Physics, Wuhan Institute of Physics and Mathematics, Chinese Academy of Sciences, Wuhan 430071, PR China*

Potential application of four neutral gadolinium complexes of DTPA-bisamide derivatives as tissue-specific and low-osmolarity MRI contrast agents has been evaluated by in vitro and in vivo experiments.