Bioorg. Med. Chem. 11 (2003) 2663

Recent Progress in Discovery of Small-Molecule CCR5 Chemokine Receptor Ligands as HIV-1 Inhibitors

Wieslaw Kazmierski,[a,*] Neil Bifulco,[a] Hanbiao Yang,[a] Larry Boone,[b] Felix DeAnda,[c] Chris Watson[d] and Terry Kenakin[d]

[a]*Department of Medicinal Chemistry, GlaxoSmithKline Research and Development, Five Moore Drive, Research Triangle Park, NC 27709-3398, USA*
[b]*Department of Virology, GlaxoSmithKline Research and Development, Five Moore Drive, Research Triangle Park, NC 27709-3398, USA*
[c]*Computational, Analytical and Structural Sciences, GlaxoSmithKline Research and Development, Five Moore Drive, Research Triangle Park, NC 27709-3398, USA*
[d]*Systems Research, GlaxoSmithKline Research and Development, Five Moore Drive, Research Triangle Park, NC 27709-3398, USA*

This review addresses key pharmacology and virology issues relevant in discovery and development of CCR5 antagonists as anti-HIV drugs, such as target validation, receptor internalization, allosterism, viral resistance and tropism. Recent progress in the discovery and development of CCR5 antagonists, SAR and clinical status are reviewed. Finally, modeling-based structure of CCR5 is discussed in the context of a small-molecule antagonism of the CCR5 receptor.

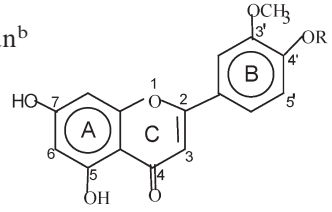
Bioorg. Med. Chem. 11 (2003) 2677

Effect of *O*-Glycosilation on the Antioxidant Activity and Free Radical Reactions of a Plant Flavonoid, Chrysoeriol

Beena Mishra,[a] K. Indira Priyadarsini,[a,*] M. Sudheer Kumar,[b] M. K. Unnikrishnan[b] and Hari Mohan[a]

[a]*Radiation Chemistry & Chemical Dynamics Division, Bhabha Atomic Research Centre, Trombay, Mumbai-400085, India*
[b]*College of Pharmaceutical Sciences, Kasturba Medical College, Manipal, 576119, India*

Effect of *O*-glycosilation on the antioxidant activity and free radical reactivity of a plant flavonoid has been studied and compared with its aglycone.



R = H (**Chrysoeriol**)
R = 6-*O*-Acetyl-4'-β-D-glucoside (**Chrysoeriol glycoside**)

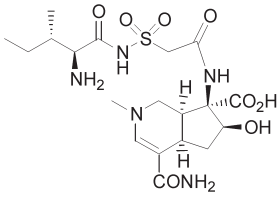
Bioorg. Med. Chem. 11 (2003) 2687

Synthesis and Activity of Analogues of the Isoleucyl tRNA Synthetase Inhibitor SB-203207

Curtis F. Crasto,[a] Andrew K. Forrest,[b] Tomislav Karoli,[a] Darren R. March,[a] Lucy Mensah,[b] Peter J. O'Hanlon,[b] Michael R. Nairn,[a] Mark D. Oldham,[a] Weimin Yue,[a] Martin G. Banwell[a,*] and Christopher J. Easton[a,*]

[a]*Research School of Chemistry, Institute of Advanced Studies, Australian National University, Canberra, ACT 0200, Australia*
[b]*GlaxoSmithKline, New Frontiers Science Park, Harlow, CM19 5AW, UK*

Twenty two analogues of SB-203207 have been prepared and evaluated as inhibitors of a range of amino acyl tRNA synthetases. A methionine derivative was found to be a potent and selective inhibitor of methionyl tRNA synthetase.



SB-203207

Bioorg. Med. Chem. 11 (2003) 2695

Superoxide Dismutase Mimetics. Part 2: Synthesis and Structure–Activity Relationship of Glyoxylate- and Glyoxamide-Derived Metalloporphyrins

Michael P. Trova,[a] Polivina Jolicia F. Gauuan,[a,*] Anthony D. Pechulis,[a] Stephen M. Bubb,[a] Stephen B. Bocckino,[b] James D. Crapo[c] and Brian J. Day[c]

[a]*Albany Molecular Research, Inc., 21 Corporate Circle, PO Box 15098, Albany, NY 12212-5098, USA*
[b]*Incara, Inc., PO Box 14287, Research Triangle Park, NC 27709, USA*
[c]*National Jewish Medical and Research Center, 715A Goodman Building, 1400 Jackson Street, Denver, CO 80206, USA*

Novel glyoxylate- and glyoxamide-derived metalloporphyrins **26**–**58** were synthesized and evaluated as potential superoxide dismutase (SOD) mimetics. Relative to previously studied MnTBAP analogues, the majority of the analogues in the current series showed enhanced inhibition of lipid peroxidation and catalase activity and the glyoxylate-derived metalloporphyrins **32**, **39**, and **54** and glyoxamide-derived metalloporphyrin **49**, exhibited enhanced activity in the SOD assay.

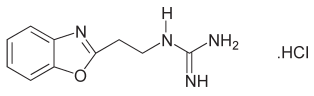
Bioorg. Med. Chem. 11 (2003) 2709

Synthesis and Pharmacological Characterization of a New Benzoxazole Derivative as a Potent 5-HT_3 Receptor Agonist

Pedro Luis López-Tudanca, Luis Labeaga, Ana Innerárity, Luisa Alonso-Cires, Inés Tapia, Ramón Mosquera and Aurelio Orjales*

Department of Research, FAES FARMA, S.A., Máximo Aguirre 14, 48940 Leioa, Vizcaya, Spain

N-(2-Benzoxazol-2-yl-ethyl)-guanidine hydrochloride (**10**) was synthesized and pharmacologically tested. This compound showed high affinity for the 5-HT_3 receptor ($K_i = 0.77$ nM) and potently triggered the von Bezold–Jarisch reflex (BJR) in rats with an $ED_{50} = 0.52$ μg/kg iv and intrinsic activity next to 1 (i.a. = 0.94). This stimulant effect was abolished by pretreatment with the 5-HT_3 receptor antagonist granisetron and was subject to a rapid and pronounced tachyphylaxis, due to desensitization of the peripheric cardiac 5-HT_3 receptor. Consequently, **10** acts as an in vivo 5-HT_3 antagonist inhibiting the BJR responses evoked by submaximal doses of 5-HT with an $ID_{50} = 5.8$ μg/kg iv.



10

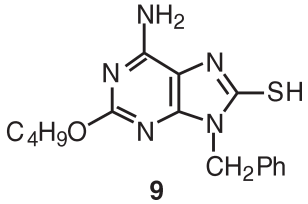
Bioorg. Med. Chem. 11 (2003) 2715

Synthesis and Biological Evaluation of 2,8-Disubstituted 9-Benzyladenines: Discovery of 8-Mercaptoadenines as Potent Interferon-Inducers

Kosaku Hirota,* Kazunori Kazaoka and Hironao Sajiki

Laboratory of Medicinal Chemistry, Gifu Pharmaceutical University, 5-6-1 Mitahora-higashi, Gifu 502-8585, Japan

Synthesis and interferon (IFN)-inducing activity of 8-substituted 9-benzyladenines possessing an appropriate substituent at the 2-position based on a 2-substituted 9-benzyl-8-hydroxyadenine scaffold have been investigated. Compounds **9** indicated potent IFN-inducing activity in vitro with MEC of 0.001 μM.



9

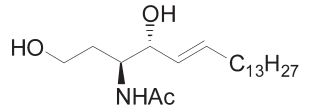
Bioorg. Med. Chem. 11 (2003) 2723

Apoptotic Activities of C2-Ceramide and C2-Dihydroceramide Homologues Against HL-60 Cells

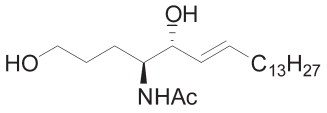
Keiji Shikata, Hayato Niiro, Hideki Azuma, Kenji Ogino* and Taro Tachibana*

Department of Applied and Bioapplied Chemistry, Graduate School of Engineering, Osaka City University, Sugimoto 3-3-138, Sumiyoshi-ku, Osaka 558-8585, Japan

The apoptotic activities of non-natural ceramide homologues, C2-*homo*-ceramide, C2-*homo*-dihydroceramide, C2-*bishomo*-ceramide and C2-*bishomo*-dihydroceramide, were examined using human leukemia HL-60 cells.



C2-*homo*-ceramide



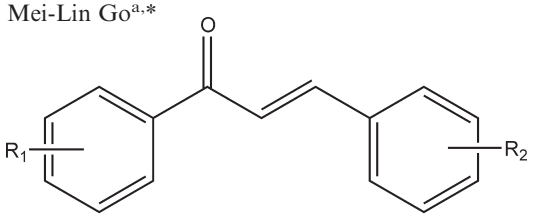
C2-*bishomo*-ceramide

Bioorg. Med. Chem. 11 (2003) 2729

Structure–Activity Relationships of Antileishmanial and Antimalarial Chalcones

Mei Liu,[a] Prapon Wilairat,[b] Simon L. Croft,[c] Agnes Lay-Choo Tan[d] and Mei-Lin Go[a,*]

[a]*Department of Pharmacy, National University of Singapore, 18 Science Drive 4, Singapore 117543, Singapore*
[b]*Department of Biochemistry, Mahidol University, Rama VI Road, Bangkok 10400, Thailand*
[c]*Department of Infectious and Tropical Diseases, London School of Hygiene and Tropical Medicine, London WC1E 7HT, UK*
[d]*Institute of Cell and Molecular Biology, National University of Singapore, 30 Medical Drive, Singapore 117609, Singapore*



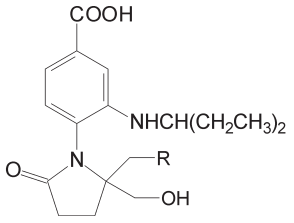
8: R_1= 2',4'-diOCH_3;R_2= 4-C_2H_5
19: R_1= 4'-OCH_3; R_2 = 4-OH

Bioorg. Med. Chem. 11 (2003) 2739

Pyrrolidinobenzoic Acid Inhibitors of Influenza Virus Neuraminidase: Modifications of Essential Pyrrolidinone Ring Substituents

Wayne J. Brouillette,[a,b,*] Saroj N. Bajpai,[a] Shoukath M. Ali,[a] Sadanandan E. Velu,[b] Venkatram R. Atigadda,[a] Barbara S. Lommer,[c] James B. Finley,[c] Ming Luo[b,c] and Gillian M. Air[d]

[a]*Department of Chemistry, 901 14th Street South, CHEM 201, The University of Alabama at Birmingham, Birmingham, AL 35294, USA*
[b]*Center for Biophysical Sciences and Engineering, The University of Alabama at Birmingham, Birmingham, AL 35294, USA*
[c]*Department of Microbiology, The University of Alabama at Birmingham, Birmingham, AL 35294, USA*
[d]*Department of Biochemistry & Molecular Biology, University of Oklahoma Health Sciences Center, Oklahoma City, OK 73190, USA*



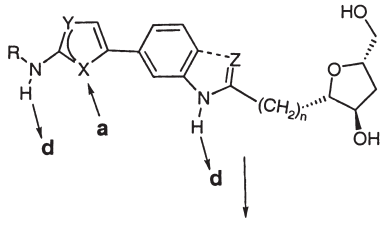
8 R = OH
9 R = NH_2
10 R = CH_2OH
11 R = CH_2NH_2

Bioorg. Med. Chem. 11 (2003) 2751

Design of Artificial Nucleobases for the Recognition of the AT Inversion by Triple-Helix Forming Oligonucleotides: A Structure–Stability Relationship Study and Neighbour Bases Effect

Dominique Guianvarc'h,[a] Jean-Louis Fourrey,[b] Rosalie Maurisse,[a] Jian-Sheng Sun[a,*] and Rachid Benhida[b,c,*]

[a]*Laboratoire de Biophysique, UR 565 INSERM, UMR 8646 CNRS, Muséum National d'Histoire Naturelle, 43 rue Cuvier 75231 Paris Cédex 05, France*
[b]*Institut de Chimie des Substances Naturelles, CNRS, 1 avenue de la Terrasse, 91198 Gif-sur-Yvette, France*
[c]*Laboratoire de Chimie Bioorganique, UMR 6001 CNRS, Université de Nice-Sophia Antipolis, Parc Valrose, 06108 Nice Cédex 2, France*



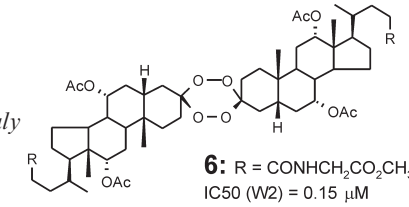
(1) **incorporation into TFOs**
(2) **triplex hybridization study**

Bioorg. Med. Chem. 11 (2003) 2761

Antimalarial and Antiproliferative Evaluation of Bis-Steroidal Tetraoxanes

Dejan Opsenica,[a] Goran Angelovski,[b] Gabriella Pocsfalvi,[c] Zorica Juranić,[d] Željko Žižak,[d] Dennis Kyle,[e] Wilbur K. Milhous[e] and Bogdan A. Šolaja[b]

[a]*Institute of Chemistry, Technology and Metallurgy, Belgrade, Yugoslavia*
[b]*Faculty of Chemistry, University of Belgrade, Studentski trg 16, PO Box 158, YU-11001 Belgrade, Yugoslavia*
[c]*Centro di Spettrometria di Massa Proteomica e Biomolecolare, Istituto di Scienze dell'Alimentazione, Consiglio Nazionale delle Ricerche, Avellino, Italy*
[d]*National Cancer Research Institute, Belgrade, Yugoslavia*
[e]*Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Washington, DC 20307-5100, USA*



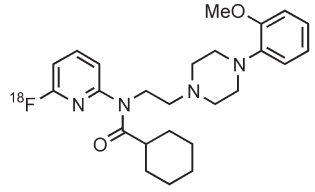
6: R = $CONHCH_2CO_2CH_3$
IC50 (W2) = 0.15 μM
TGI (UO-31) = 1.51 μM

Bioorg. Med. Chem. 11 (2003) 2769

Synthesis of a [6-*Pyridinyl*-^{18}F]-labelled Fluoro Derivative of WAY-100635 as a Candidate Radioligand for Brain 5-HT_{1A} Receptor Imaging with PET

Mylène Karramkam,[a] Françoise Hinnen,[a] Myriam Berrehouma,[a] Christophe Hlavacek,[a,*] Françoise Vaufrey,[a] Christer Halldin,[b] Julie A. McCarron,[c] Victor W. Pike[c] and Frédéric Dollé[a,*]

[a]*Service Hospitalier Frédéric Joliot, Département de Recherche Médicale, CEA, 4 place du Général Leclerc, F-91401 Orsay, France*
[b]*Karolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital, S-17176 Stockholm, Sweden*
[c]*PET Radiopharmceutical Sciences Section, Molecular Imaging Branch, National Institute of Mental Health, Building 10, Room B3C346A, 10 Center Drive, Bethesda, Maryland 20892-01003, USA*



6-Fluoro-WAY-100635 has been synthesized and labelled with fluorine-18 ($t_{1/2}$: 109.8 min) as a potential positron-emission-tomography (PET) tracer for imaging the 5-HT_{1A} receptor.

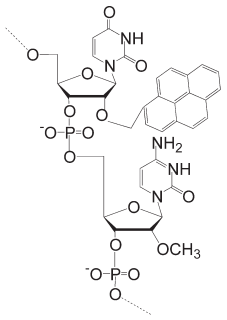
Bioorg. Med. Chem. 11 (2003) 2783

Detection of Acceptor Sites for Antisense Oligonucleotides on Native Folded RNA by Fluorescence Spectroscopy

Atsushi Mahara,[a] Reiko Iwase,[a] Takashi Sakamoto,[a] Tetsuji Yamaoka,[a] Kazushige Yamana[b] and Akira Murakami[a,*]

[a]*Department of Polymer Science and Engineering, Kyoto Institute of Technology, Matsugasaki, Kyoto 606-8585, Japan*
[b]*Department of Applied Chemistry, Himeji Institute of Technology, Shosha, Himeji, Japan*

We developed the method to detect acceptor regions of antisense molecule on native folded RNA under physiological conditions by 2′-*O*-methyloligoribonucleotide containing a pyrene.



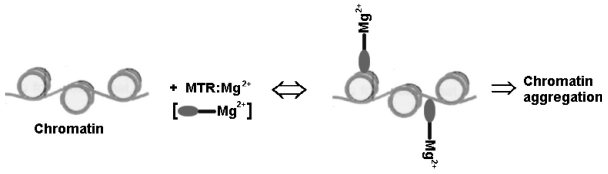
Bioorg. Med. Chem. 11 (2003) 2791

Association of Chromatin with Anticancer Antibiotics, Mithramycin and Chromomycin A_3

Mohd Ayoub Mir, Sangita Majee, Suman Das and Dipak Dasgupta*

Biophysics Division, Saha Institute of Nuclear Physics, 37 Belgachhia Road, Kolkata, 700 037, India

Reversible binding of drug:Mg^{2+} complex to DNA leads to the aggregation of chromatin.

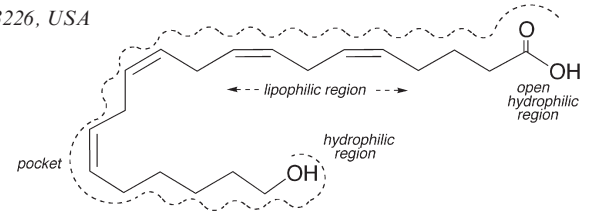


Bioorg. Med. Chem. 11 (2003) 2803

20-Hydroxyeicosatetraenoic Acid (20-HETE): Structural Determinants for Renal Vasoconstriction

Ming Yu,[a] Magdalena Alonso-Galicia,[a] Cheng-Wen Sun,[a] Richard J. Roman,[a,*] Naoya Ono,[b] Hitomi Hirano,[b] Tsuyoshi Ishimoto,[b] Y. Krishna Reddy,[c] Kishta Reddy Katipally,[c] Komandla Malla Reddy,[c] V. Raj Gopal,[c] Ji Yu,[c] Mohamed Takhi[c] and J. R. Falck[c,*]

[a]*Department of Physiology, Medical College of Wisconsin, Milwaukee, WI 53226, USA*
[b]*Medicinal Research Laboratories, Taisho Pharmaceutical Co., Ltd., 1-403 Yoshino-Cho, Saitama-shi, Saitama 330-8530, Japan*
[c]*Departments of Biochemistry and Pharmacology, University of Texas Southwestern Medical Center, Dallas, TX 75390, USA*



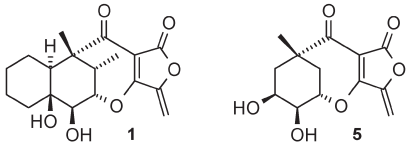
Bioorg. Med. Chem. 11 (2003) 2823

Synthesis and Antimicrobial Activity of Tetrodecamycin Partial Structures

Franz F. Paintner,[a,*] Lars Allmendinger,[a] Gerd Bauschke,[a] Caroline Berns[a] and Peter Heisig[b]

[a]*Department Pharmazie-Zentrum für Pharmaforschung, Ludwig-Maximilians-Universität München, Butenandtstraße 5-13, Haus C, D-81377 München, Germany*
[b]*Institut für Pharmazie der Universität Hamburg, Abteilung für Pharmazeutische Biologie und Mikrobiologie, Bundesstraße 45, D-20146 Hamburg, Germany*

An efficient synthetic approach to the core structure **5** of the novel polyketide antibiotic tetrodecamycin (**1**) is presented and the antibacterial and cytotoxic properties of **5** and analogues thereof are discussed.

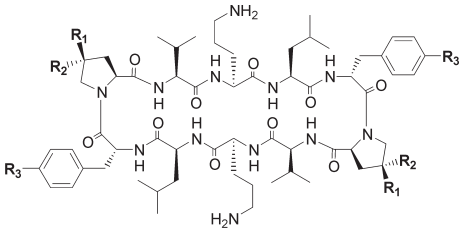


Synthesis and Biological Evaluation of Novel Turn-Modified Gramicidin S Analogues

Bioorg. Med. Chem. 11 (2003) 2835

Gijsbert M. Grotenbreg,[a] Emile Spalburg,[b] Albert J. de Neeling,[b] Gijsbert A. van der Marel,[a] Herman S. Overkleeft,[a] Jacques H. van Boom[a] and Mark Overhand[a,*]

[a]*Leiden Institute of Chemistry, Gorlaeus Laboratories, PO Box 9502, 2300 RA Leiden, The Netherlands*
[b]*National Institute of Public Health and the Environment, Research Laboratory for Infectious Diseases, PO Box 1, 3720 BA Bilthoven, The Netherlands*



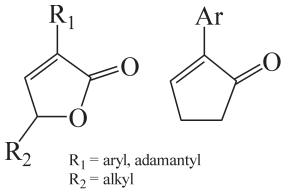
Synthesis and Structure–Antifungal Activity Relationships of 3-Aryl-5-alkyl-2,5-dihydrofuran-2-ones and Their Carbanalogues: Further Refinement of Tentative Pharmacophore Group

Bioorg. Med. Chem. 11 (2003) 2843

Milan Pour,[a,*] Marcel Špulák,[a] Vojtěch Balšánek,[a] Jiří Kuneš,[a] Petra Kubanová[b] and Vladimír Buchta[b]

[a]*Laboratory of Structure and Interactions of Biologically Active Molecules, Department of Inorganic and Organic Chemistry, Faculty of Pharmacy, Charles University, Heyrovského 1203, CZ-500 05 Hradec Králové, Czech Republic*
[b]*Department of Biological and Medical Sciences, Faculty of Pharmacy, Charles University, Heyrovského 1203, CZ-500 05 Hradec Králové, Czech Republic*

SARs in the group of 3,5-disubstituted 2,5-dihydrofuran-2-ones were explored with a view to determining the pharmacophoric element. The presence of a halophenyl moiety at C(3) has a positive influence on antifungal activity, while the lenghth of the alkyl chain at C(5) is not an important factor. Simple carbanalogues, 2-aryl cyclopent-2-ones, were inactive. Consequently, Michael-accepting ability of the furanones does not play a significant role in their mode of antifungal action.



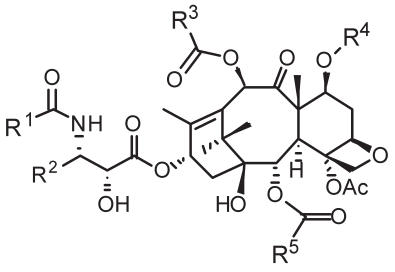
Structure–Activity Relationship Study of Taxoids for Their Ability to Activate Murine Macrophages as well as Inhibit the Growth of Macrophage-like Cells

Bioorg. Med. Chem. 11 (2003) 2867

Iwao Ojima,[a,*] Cecilia L. Fumero-Oderda,[a] Scott D. Kuduk,[a] Zhuping Ma,[a] Fumiko Kirikae[b] and Teruo Kirikae[b]

[a]*Department of Chemistry, State University of New York at Stony Brook, Stony Brook, NY 11794-3400, USA*
[b]*Department of Infectious Diseases and Tropical Medicine, Research Institute, International Medical Center of Japan, Tokyo 162-8655, Japan*

A series of new taxoids modified at the C-3′, C-3′*N*, C-10, C-2 and C-7 positions are designed, synthesized and evaluated for their potency to induce NO and TNF production by peritoneal murine macrophages (Mϕ) and for their ability to inhibit the growth of Mϕ-like cell lines.



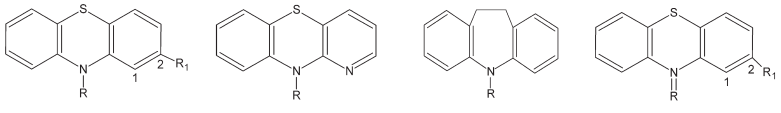
QSAR and 3D-QSAR of Phenothiazine Type Multidrug Resistance Modulators in P388/ADR Cells

Bioorg. Med. Chem. 11 (2003) 2889

Ivanka M. Tsakovska*

Centre of Biomedical Engineering, Bulgarian Academy of Sciences, Acad. G. Bonchev Str., Bl. 105, 1113 Sofia, Bulgaria

A series of 25 phenothiazines and structurally related compounds was investigated by QSAR (quantitative structure activity relationship) and 3D-QSAR methods with respect to their MDR (multidrug resistance) reversing activity in P388/ADR-murine leukemia cell line resistant to ADR (adriamycin). The obtained QSAR and 3D-QSAR models give directions for design of new phenothiazines as active MDR modulators.



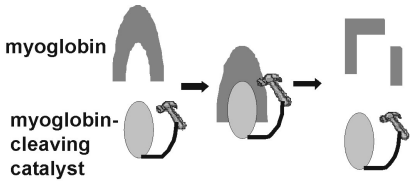
Bioorg. Med. Chem. 11 (2003) 2901

Toward Protein-Cleaving Catalytic Drugs: Artificial Protease Selective for Myoglobin

Joong Won Jeon, Sang Jun Son, Chang Eun Yoo, In Seok Hong and Junghun Suh*

School of Chemistry and Center for Molecular Catalysis, Seoul National University, Seoul 151-747, South Korea

As the first target-selective protein-cleaving catalyst, artificial proteases selective for myoglobin are synthesized and characterized.



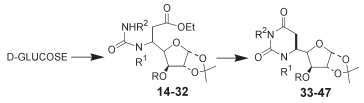
Bioorg. Med. Chem. 11 (2003) 2911

Synthesis and Bioevaluation of Glycosyl Ureas as α-Glucosidase Inhibitors and Their Effect on Mycobacterium

Neetu Tewari,[a] V. K. Tiwari,[a] R. C. Mishra,[a] R. P. Tripathi,[a,*] A. K. Srivastava,[b] R. Ahmad,[b] R. Srivastava[c] and B. S. Srivastava[c]

[a]*Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow-226001, India*
[b]*Division of Biochemistry, Central Drug Research Institute, Lucknow-226001, India*
[c]*Division of Microbiology, Central Drug Research Institute, Lucknow-226001, India*

A number of glycosyl ureas, **14**–**47**, have been synthesized and evaluated for their α-glucosidase inhibitory and antitubercular activities.



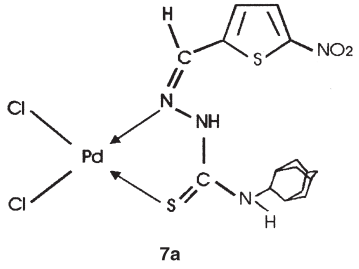
Bioorg. Med. Chem. 11 (2003) 2923

New Palladium(II) Complexes of 5-Nitrothiophene-2-carboxaldehyde Thiosemicarbazones: Synthesis, Spectral Studies and In Vitro Anti-Amoebic Activity

Neelam Bharti, Shailendra, Sangita Sharma, Fehmida Naqvi and Amir Azam*

Department of Chemistry, Jamia Millia Islamia, Jamia Nagar, New Delhi 110025, India

Thiosemicarbazone derivatives and their palladium(II) complexes were synthesised from 5-nitrothiophene-2-carboxaldehyde and $[Pd(DMSO)_2Cl_2]$, respectively. Anti-amoebic screening of all the compounds were evaluated in vitro against (*HK-9*) strain of *Entamoeba histolytica* by using microdilution method and compound **7a** exhibited better anti-amoebic activity than metronidazole.



7a

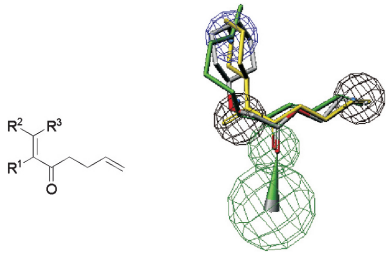
Bioorg. Med. Chem. 11 (2003) 2931

Substituted Hepta-1,6-dien-3-ones with Green/Fruity Odours Green/Galbanum Olfactophore Model

Jerzy A. Bajgrowicz,* Katja Berg-Schultz and Gerhard Brunner

Givaudan Schweiz AG, Fragrance Research, Überlandstrasse 138, CH-8600 Dübendorf, Switzerland

New potent and readily available green/galbanum smelling compounds were prepared. The acquired structure–odour relationship data together with the selected literature information were used in the generation of the corresponding *olfactophore* model.

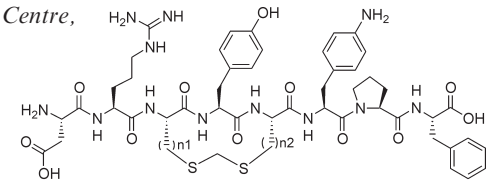


Bioorg. Med. Chem. 11 (2003) 2947

Effect of 3–5 Monocyclizations of Angiotensin II and 4-AminoPhe^6-Ang II on AT_2 Receptor Affinity

Susanna Lindman,[a] Gunnar Lindeberg,[a] Per-Anders Frändberg,[b] Fred Nyberg,[b] Anders Karlén[a] and Anders Hallberg[a,*]

[a]*Department of Organic Pharmaceutical Chemistry, Uppsala Biomedical Centre, Uppsala University, Box 574, SE-751 23 Uppsala, Sweden*
[b]*Department of Biological Research on Drug Dependence, Uppsala Biomedical Centre, Uppsala University, Box 591, SE-751 24 Uppsala, Sweden*

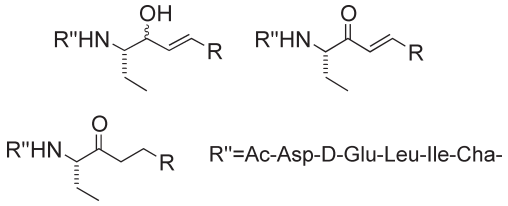


Bioorg. Med. Chem. 11 (2003) 2955

Peptide-Based Inhibitors of Hepatitis C Virus Full-Length NS3 (Protease-Helicase/NTPase): Model Compounds Towards Small Molecule Inhibitors

Karin Oscarsson,[a] Anton Poliakov,[b] Stefan Oscarson,[a] U. Helena Danielson,[b] Anders Hallberg[c] and Bertil Samuelsson[a,d,*]

[a]*Department of Organic Chemistry, Arrhenius Laboratory, Stockholm University, S-106 91 Stockholm, Sweden*
[b]*Department of Biochemistry, Uppsala University, BMC, Box 576, SE-751 23 Uppsala, Sweden*
[c]*Department of Organic Pharmacutical Chemistry, BMC, Uppsala University, Box 574, S-751 23 Uppsala, Sweden*
[d]*Medivir AB, Lunastigen 7, S-141 44 Huddinge, Sweden*

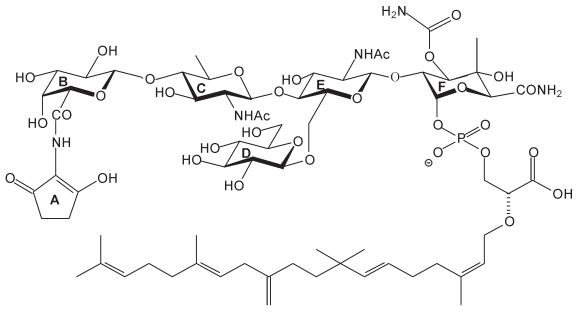


Bioorg. Med. Chem. 11 (2003) 2965

Studies on the Interaction of the Antibiotic Moenomycin A with the Enzyme *Penicillin-Binding Protein 1b*

Thomas Rühl,[a] Mohammed Daghish,[a] Andrij Buchynskyy,[a] Karen Barche,[a] Daniela Volke,[a] Katherina Stembera,[a] Uwe Kempin,[a] Dietmar Knoll,[a] Lothar Hennig,[a] Matthias Findeisen,[a] Ramona Oehme,[a] Sabine Giesa,[a] Juan Ayala[b] and Peter Welzel[a,*]

[a]*Universität Leipzig, Fakultät für Chemie und Mineralogie, Johannisallee 29, D-04103 Leipzig, Germany*
[b]*Centro de Biologica Molecular, Universidad Autonoma, Canto Blanco, E-28049 Madrid, Spain*



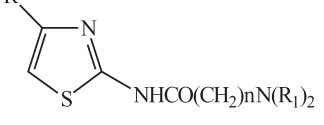
Bioorg. Med. Chem. 11 (2003) 2983

Aminothiazole Derivatives with Antidegenerative Activity on Cartilage

Anna Maria Panico,[a] Athina Geronikaki,[b,*] Remi Mgonzo,[b] Venera Cardile,[c] Barbara Gentile[a] and Irini Doytchinova[d]

[a]*Department of Pharmaceutical Sciences, Faculty of Pharmacy, University of Catania, V.le A.Doria 6, 95125 Catania, Italy*
[b]*Aristotle University of Thessaloniki, School of Pharmacy, Thessaloniki, 54124 Greece*
[c]*Department of Physiological Sciences, University of Catania, V. le A. Doria 6, 95125, Catania, Italy*
[d]*Bioinformatics Edward Jenner Institute for Vaccine Research Compton, Newbury, Berkshire RG20 7NN, UK*

A series of 2-dialkylamino-*N*-(4-substituted thiazolyl-2)acetamides and 3-dialkylamino-*N*-(4-substituted thiazolyl-2)propionamides were evaluated on the prevention of cartilage destruction in articular disease. A QSAR study was performed.

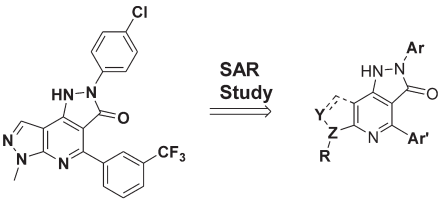


Bioorg. Med. Chem. 11 (2003) 2991

Structure–Activity Studies of a Series of Dipyrazolo[3,4-*b*:3′,4′-*d*]pyridin-3-ones Binding to the Immune Regulatory Protein B7.1

Neal J. Green,[a,*] Jason Xiang,[a] Jing Chen,[a] Lihren Chen,[a] Audrey M. Davies,[a] Dave Erbe,[b] Steve Tam[a] and James F. Tobin[b]

[a]*Department of Chemical Sciences, Wyeth Research, 200 Cambridge Park Drive, Cambridge, MA 02140, USA*
[b]*Department of Metabolic Diseases, Wyeth Research, 200 Cambridge Park Drive, Cambridge, MA 02140, USA*



Bioorg. Med. Chem. 11 (2003) 3015

QSAR of Apoptosis Induction in Various Cancer Cells

Corwin Hansch,[a,*] Ali Jazirehi,[b] Suresh Babu Mekapati,[a] Rajni Garg[a] and Benjamin Bonavida[b,*]

[a]*Pomona College, Department of Chemistry, Claremont, CA 91711, USA*
[b]*UCLA, Department of Microbiology, Immunology and Molecular Genetics, Los Angeles, CA 90095, USA*

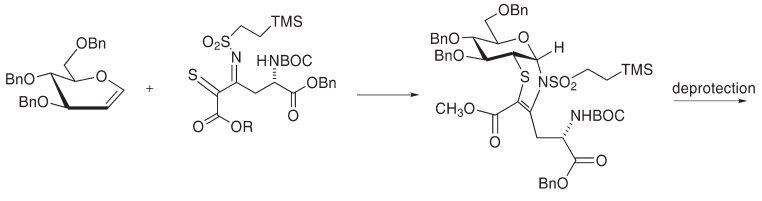
The action of phenolic compounds on Ramos cells (non-Hodgkins B-cell lymphoma) are considered.

Bioorg. Med. Chem. 11 (2003) 3021

A Novel Neoglycopeptide Building Block

Alessandra Bartolozzi, Baoqing Li and Richard W. Franck*

Department of Chemistry, Hunter College of CUNY, 695 Park Ave., New York, NY 10021, USA



Bioorg. Med. Chem. 11 (2003) 3029

Modulation of the Skeletal Muscle Ca^{2+} Release Channel/Ryanodine Receptor by Adenosine and Its Metabolites: A Structure–Activity Approach

Armando Butanda-Ochoa,[a] Germund Höjer[b] and Mauricio Díaz-Muñoz[a,*]

[a]*Departamento de Neurobiología Celular y Molecular, Instituto de Neurobiología, UNAM, Juriquilla Querétaro 76001, Apdo.Postal 1-1141, Mexico*
[b]*Departamento de Física y Química Teórica, Facultad de Química, UNAM, Cd. Universitaria. 04510, Mexico D.F.*