Bioorg. Med. Chem. Lett. 12 (2002) 837

Parallel Suspension Polymerization for High-Throughput Resin Synthesis

Thomas S. Reger and Kim D. Janda*

Department of Chemistry and The Skaggs Institute of Chemical Biology, The Scripps Research Institute, 10550 North Torrey Pines Road, La Jolla, CA 92037, USA

A simple, straightforward approach for parallel suspension polymerization is described. This technique utilizes equipment common to most organic chemistry laboratories and should facilitate the custom synthesis of new polymers.

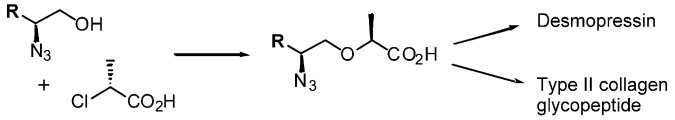
Bioorg. Med. Chem. Lett. 12 (2002) 841

Stereoselective Synthesis of Ψ[CH_2O] Pseudodipeptides and Conformational Analysis of a PheΨ[CH_2O]Ala Containing Analogue of the Drug Desmopressin

Mattias Hedenström, Lotta Holm, ZhongQing Yuan, Hans Emtenäs and Jan Kihlberg*

Organic Chemistry, Department of Chemistry, Umeå University, SE-901 87 Umeå, Sweden

A method for the synthesis of Xaaψ[CH_2O]Ala/Gly pseudodipeptides in good yields and excellent diastereoselectivity has been developed. Insertion of one of the pseudodipeptide building blocks in the peptide drug desmopressin revealed that methylene either isosteres may have only a minor influence on the secondary structure of peptides.

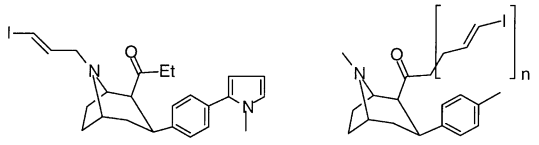


Bioorg. Med. Chem. Lett. 12 (2002) 845

Synthesis of Iodinated 3β-Aryltropanes with Selective Binding to either the Dopamine or Serotonin Transporters

Huw M. L. Davies,[a,*] Pingda Ren,[a] Norman X. Kong,[a] Tammy Sexton[b] and Steven R. Childers[b,*]

[a]*Department of Chemistry, State University of New York at Buffalo, Buffalo, NY 14260-3000, USA*
[b]*Department of Physiology and Pharmacology, Wake Forest University School of Medicine, Winston-Salem, NC 27157, USA*



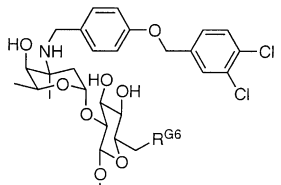
Bioorg. Med. Chem. Lett. 12 (2002) 849

Antibacterial Activity of G6-Quaternary Ammonium Derivatives of a Lipophilic Vancomycin Analogue

Timothy A. Blizzard,* Ronald M. Kim, Jerry D. Morgan II, Jiang Chang, Joyce Kohler, Ruth Kilburn, Kevin Chapman and Milton L. Hammond

Merck Research Laboratories, RY800-B116, PO Box 2000, Rahway, NJ 07065, USA

Lipophilic vancomycin G6-amino analogues (**5a**–**e** and **6a**–**b**) were prepared. Antibacterial activity was inversely proportional to the degree of substitution of the G6-nitrogen. The quaternary analogues were inactive against vanA phenotype VREF but retained substantial activity against other bacteria, a profile reminiscent of teicoplanin.



{Vancomycin aglycone}

5a-e $R^{G6} = N^+R_3$

6 $R^{G6} = NR^1R^2$

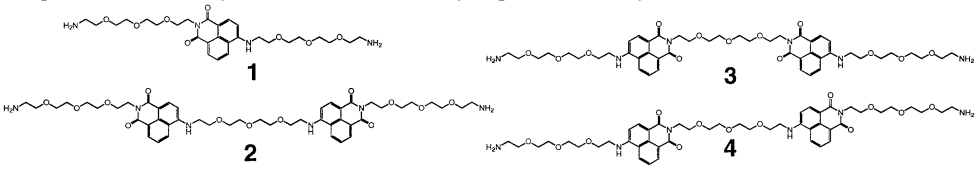
Bioorg. Med. Chem. Lett. 12 (2002) 853

Synthesis and Photochemical Protein Crosslinking Studies of Hydrophilic Naphthalimides

Jianxing Zhang,[a] R. Jeremy Woods,[a] Philip B. Brown,[a] Kap Duk Lee[b] and Robert R. Kane[a,*]

[a]*Department of Chemistry & Biochemistry and Center for Drug Discovery, Baylor University, Waco, TX 76798-7348, USA*
[b]*Department of Chemistry, Dongguk University, Kyong-Ju, Kyungbook 780-350, South Korea*

Four hydrophilic naphthalimides were synthesized and their ability to photochemically crosslink RNase A evaluated.



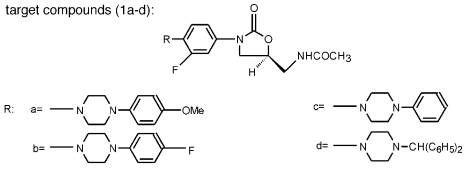
Bioorg. Med. Chem. Lett. 12 (2002) 857

Synthesis and Antibacterial Activity of Linezolid Analogues

Du Yu and Guo Huiyuan*

Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences, Peking Union Medical College, Beijing 100050, China

In order to investigate the relationship of antibacterial activity and the increasing lipophilicity of group R, several new compounds of oxazolidinone class (target compounds **1a**–**d**) were designed and synthesized and their antibacterial activity was studied.

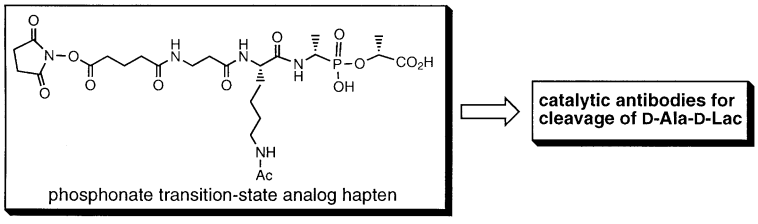


Bioorg. Med. Chem. Lett. 12 (2002) 861

Antibody-Catalyzed Cleavage of the D-Ala-D-Lac Depsipeptide: An Immunological Approach to the Problem of Vancomycin Resistance

Shigeki Isomura, Jon A. Ashley, Peter Wirsching* and Kim D. Janda*

The Scripps Research Institute and the Skaggs Institute for Chemical Biology, Department of Chemistry, BCC-582, 10550 N. Torrey Pines Road, La Jolla, CA 92037, USA



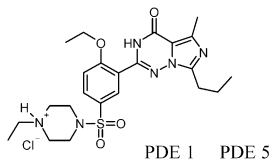
Bioorg. Med. Chem. Lett. 12 (2002) 865

Imidazo[5,1-*f*][1,2,4]triazin-4(3*H*)-ones, a New Class of Potent PDE 5 Inhibitors

Helmut Haning,[a,*] Ulrich Niewöhner,[a] Thomas Schenke,[a] Mazen Es-Sayed,[a] Gunter Schmidt,[a] Thomas Lampe[a] and Erwin Bischoff[b]

[a]*Department of Medicinal Chemistry, BAYER AG, Business Group Pharma, D-42096 Wuppertal, Germany*
[b]*Department of Cardiovascular Research, BAYER AG, Business Group Pharma, D-42096 Wuppertal, Germany*

2-aryl substituted imidazo[5,1-*f*][1,2,4]triazin-4(3*H*)-ones represent a new class of potent cGMP-PDE inhibitors which proves to be superior to other purine-isosteric inhibitors. Subnanomolar and orally bioavailable inhibitors of PDE 5 have been identified. BAY 38-9456 (Vardenafil* HCl) is currently being evaluated in clinical studies for the indication erectile dysfunction.



	PDE 1	PDE 5
BAY 38-9456	180nM	0.7nM

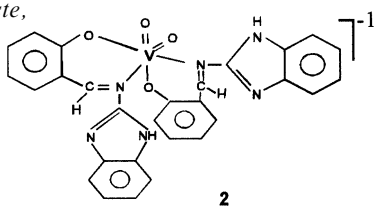
Bioorg. Med. Chem. Lett. 12 (2002) 869

Synthesis, Characterization and Antiamoebic Activity of Benzimidazole Derivatives and Their Vanadium and Molybdenum Complexes

Neelam Bharti,[a] Shailendra,[a] M. T. Gonzalez Garza,[b] Delia E. Cruz-Vega,[b] J. Castro-Garza,[b] Kishwar Saleem,[a] Fehmida Naqvi,[a] Mannar R. Maurya[c] and Amir Azam[a,*]

[a]*Department of Chemistry, Jamia Millia Islamia, Jamia Nagar, New Delhi-110025, India*
[b]*Division de Biologia Celular of Molecular, Centro de Investigacion, Biomedica sdel Noreste, IMSS, Monetary, NL, Mexico*
[c]*Department of Chemistry, University of Roorkee, Roorkee-247667, India*

Synthesis of dioxovanadium (V) and dioxomolybdenum (VI) complexes of 2-(salicylideneimine) benzimidazole along with nine other related compounds were screened for antiamoebic activity in vitro against *Entamoeba histolytica*. Compound **2** exhibited well-balanced antiamoebic activity comparable to that of metronidazole.



2

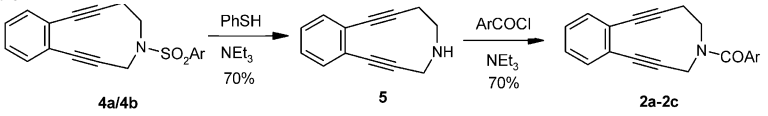
Bioorg. Med. Chem. Lett. 12 (2002) 873

Benzene Fused Monocyclic Enediynyl Amides: Synthesis, Reactivity and DNA-Cleavage Activity in Comparison to the Corresponding Sulfonamides

Amit Basak,[a,*] Subrata Mandal,[a] Amit Kumar Das[b] and Valerio Bertolasi[c]

[a]*Department of Chemistry, Indian Institute of Technology, Kharagpur 721302, India*
[b]*Department of Biotechnology, Indian Institute of Technology, Kharagpur 721302, India*
[c]*Dipartimento di Chimica, Universita di Ferrara, Ferrara, Italy*

Novel enediynyl amides **2a**–**2c** have been synthesized. In organic solvents like $CDCl_3$, the reactivity towards Bergman cyclozation BC of these amides is less than that of the corresponding sulfonamides **4a**/**4b**. However, in solid state and also in aqueous buffer, the amides showed higher reactivity as revealed by differential scanning calorimetry (DSC) and DNA-cleavage studies.



showed DNA Cleavage

Bioorg. Med. Chem. Lett. 12 (2002) 879

Dermorphin and Deltorphin Heptapeptide Analogues: Replacement of Phe Residue by Dmp Greatly Improves Opioid Receptor Affinity and Selectivity

Akihiro Ambo, Harumi Murase, Hideko Niizuma, Hidekazu Ouchi, Yutaka Yamamoto and Yusuke Sasaki*

Tohoku Pharmaceutical University, 4-1 Komatsushima 4-chome, Aoba-ku, Sendai 981-8558, Japan

Tyr-D-Ala-Xaa-Gly-Tyr-Pro-Ser-NH_2

Tyr-D-Ala-Xaa-Glu-Val-Val-Gly-NH_2

Xaa = L- or

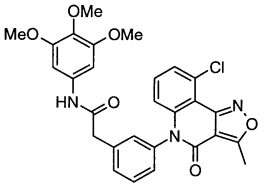
D-2,6-dimethylphenylalanine

Bioorg. Med. Chem. Lett. 12 (2002) 883

Tricyclic Isoxazoles Are Novel Inhibitors of the Multidrug Resistance Protein (MRP1)

Bryan H. Norman,[a,*] Joseph M. Gruber,[a] Sean P. Hollinshead,[c] Joseph W. Wilson,[c] James J. Starling,[b] Kevin L. Law,[b] Tracy D. Self,[b] Linda B. Tabas,[b] Daniel C. Williams,[b] Donald C. Paul,[b] Margaret M. Wagner[b] and Anne H. Dantzig[b]

[a]*Discovery Chemistry Research, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285, USA*
[b]*Cancer Research, Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285, USA*
[c]*Department of Chemistry, Sphinx Laboratories, Eli Lilly and Company, 20 T. W. Alexander, Research Triangle Park, NC 27709, USA*



LY 402913

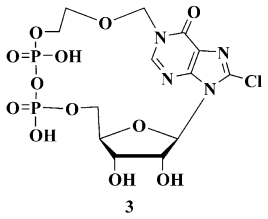
Bioorg. Med. Chem. Lett. 12 (2002) 887

Chemical Synthesis and Calcium Release Activity of N^1-Ether Strand Substituted cADPR Mimic

Li-Jun Huang,[a] Yong-Yuan Zhao,[b] Lan Yuan,[c] Ji-Mei Min[a] and Li-He Zhang[a,*]

[a]*National Research Laboratory of Natural and Biomimetic Drugs, Peking University, Beijing 100083, PR China*
[b]*Department of Chemical Biology, School of Pharmaceutical Sciences, Peking University, Beijing 100083, PR China*
[c]*Health and Drug Analytical Center, Peking University, Beijing 100083, PR China*

The synthesis of the cell permeant cADPR mimic **3** is reported.



3

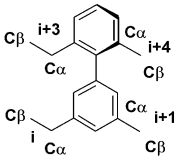
Bioorg. Med. Chem. Lett. 12 (2002) 891

Biphenyls as Potential Mimetics of Protein α-Helix

Edgar Jacoby

Novartis Pharma AG, Combinatorial Chemistry Unit, WSJ-507.7.53, CH-4002 Basel, Switzerland

Biphenyl analogues are proposed as protein α-helix mimetics. Knowing that many protein–protein interactions involve α-helix contacts, the communication outlines how this novel category of scaffolds might potentially open access to such targets.



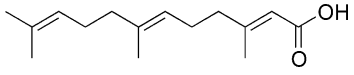
Bioorg. Med. Chem. Lett. 12 (2002) 895

Evaluation of Morphogenic Regulatory Activity of Farnesoic Acid and Its Derivatives Against *Candida albicans* Dimorphism

Sanghee Kim,[a,*] Eunkyung Kim,[a] Dong-Sun Shin,[a] Heonjoong Kang[b] and Ki-Bong Oh[a,*]

[a]*Natural Products Research Institute, Seoul National University, 28 Yungun, Jongro, Seoul 110-460, Republic of Korea*
[b]*MBL, School of Earth and Environmental Sciences, Seoul National University, San 56-1, Shinlim, Kwanak, Seoul 151-747, Republic of Korea*

A series of farnesoic acid derivatives was prepared and their morphogenic regulatory activities were evaluated against *C. albicans* dimorphism. It was found that the selective regulatory ability and inhibitory potency were very dependent upon the chain length and the acid functionality.



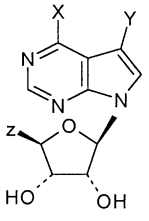
Bioorg. Med. Chem. Lett. 12 (2002) 899

QSAR Study on Adenosine Kinase Inhibition of Pyrrolo[2,3-*d*]-pyrimidine Nucleoside Analogues Using the Hansch Approach

K. Srikanth, Bikash Debnath and Tarun Jha*

Department of Pharmaceutical Technology, Division of Medicinal and Pharmaceutical Chemistry, PO Box No. 17020, Jadavpur University, Kolkata-700032, India

A QSAR study of the pyrrolo[2,3-*d*]pyrimidine nucleoside analogues using the extra thermodynamic approach of Hansch is reported for their adenosine kinase inhibitory activity.



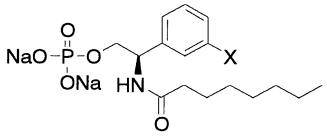
Bioorg. Med. Chem. Lett. 12 (2002) 903

Highly Potent Inhibitors of TNF-α Production. Part 1: Discovery of Chemical Leads

Toshiaki Matsui,[b] Takashi Kondo,[a] Yoshitaka Nishita,[b] Satoshi Itadani,[a] Shingo Nakatani,[a] Nagashige Omawari,[c] Masaru Sakai,[a] Shuichi Nakazawa,[a] Akihito Ogata,[a] Hiroyuki Ohno,[a] Takaaki Obata,[a] Hisao Nakai[a,*] and Masaaki Toda[a]

[a]*Minase Research Institute, Ono Pharmaceutical Co., Ltd., Shimamoto, Mishima, Osaka 618-8585, Japan*
[b]*Fukui Research Institute, Ono Pharmaceutical Co., Ltd., Technoport, Yamagishi, Mikuni, Sakai, Fukui 913-8638, Japan*
[c]*Headquarters, Ono Pharmaceutical Co., Ltd., Doshoumachi, Chuou, Osaka 541-8526, Japan*

The discovery of 2-acylamino-2-phenylethyl disodium phosphates **1** and **2** as structurally novel inhibitors of TNF-α production is reported. Structure–activity relationships (SARs) are also discussed.



1 : X = H (ID_{50} 3.0 mg/kg, iv in rats)

2: X = OMe (ID_{50} 0.26 mg/kg, iv in rats)

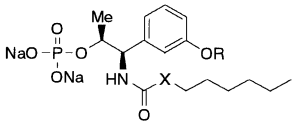
Bioorg. Med. Chem. Lett. 12 (2002) 907

Highly Potent Inhibitors of TNF-α Production. Part 2: Identification of Drug Candidates

Toshiaki Matsui,[b] Takashi Kondo,[a] Yoshitaka Nishita,[b] Satoshi Itadani,[a] Hiroshi Tsuruta,[a] Setsuko Fujita,[a] Nagashige Omawari,[c] Masaru Sakai,[a] Shuichi Nakazawa,[a] Akihito Ogata,[a] Hideaki Mori,[b] Hiroyuki Ohno,[a] Takaaki Obata,[a] Hisao Nakai*[,a] and Masaaki Toda[a]

[a]*Minase Research Institute, Ono Pharmaceutical Co., Ltd., Shimamoto, Mishima, Osaka 618-8585, Japan*
[b]*Fukui Research Institute, Ono Pharmaceutical Co., Ltd., Technoport, Yamagishi, Mikuni, Sakai, Fukui 913-8638, Japan*
[c]*Headquarters, Ono Pharmaceutical Co., Ltd., Doshoumachi, Chuou, Osaka 541-8526, Japan*

Identification of compounds **2**, **3** and **4** as metabolically stabilized inhibitors of TNF-α production is reported. The analysis of an active conformer is reported.



2 : R = Me, X = CH_2, (ID_{50} 0.03 mg/kg, iv in rats)

3 : R = ^{i}Pr, X = CH_2, (ID_{50} 0.05 mg/kg, iv in rats)

4: R = Me, X = O, (ID_{50} 0.02 mg/kg, iv in rats)

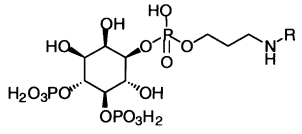
Bioorg. Med. Chem. Lett. 12 (2002) 911

Hydrophobic Modifications at 1-Phosphate of Inositol 1,4,5-Trisphosphate Analogues Enhance Receptor Binding

Waka Nakanishi,[a] Kazuya Kikuchi,[a,b] Takanari Inoue,[a] Kenzo Hirose,[c] Masamitsu Iino[c] and Tetsuo Nagano[a,*]

[a]*Graduate School of Pharmaceutical Sciences, The University of Tokyo, Tokyo, Japan*
[b]*Presto, JST Corporation, Kawaguchi, Saitama, Japan*
[c]*Department of Pharmacology, Graduate School of Medicine, The University of Tokyo, Tokyo, Japan*

Four inositol 1,4,5-trisphosphate (IP_3) analogues were synthesized in order to investigate the influence of the environment of the 1-phosphate moiety on the binding to IP_3 receptor. Hydrophobic modification at 1-phosphate enhances the binding affinity, with considerable latitude of substituent structure.



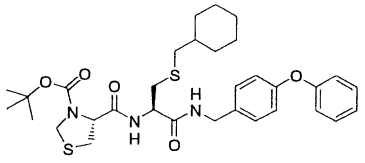
Bioorg. Med. Chem. Lett. 12 (2002) 915

Structure–Activity Study of L-Cysteine-Based N-Type Calcium Channel Blockers: Optimization of N- and C-Terminal Substituents

Takuya Seko,* Masashi Kato, Hiroshi Kohno, Shizuka Ono, Kazuya Hashimura, Hideyuki Takimizu, Katsuhiko Nakai, Hitoshi Maegawa, Nobuo Katsube and Masaaki Toda

Minase Research Institute, Ono Pharmaceutical Co., Ltd., 3-1-1 Sakurai, Shimamoto, Mishima, Osaka 618-8585, Japan

The synthesis and SAR studies of L-cysteine-based N-type calcium channel blockers are described. L-Cysteine derivative **4b** was found to be a potent N-type calcium channel blocker with an IC_{50} value of 0.14 μM.



4b IC_{50} = 0.14 μM

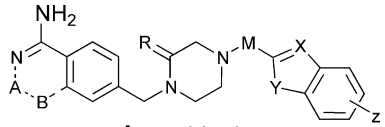
Bioorg. Med. Chem. Lett. 12 (2002) 919

Benzimidazoles and Isosteric Compounds as Potent and Selective Factor Xa Inhibitors

Wei He,* Barbara Hanney, Michael R. Myers, Stephen Condon, Michael R. Becker, Alfred P. Spada, Christopher Burns, Karen Brown, Dennis Colussi and Valeria Chu

Department of Chemistry, Aventis Pharmaceuticals, Route 202-206, Bridgewater, NJ 08807, USA

Benzimidazoles and their isosteric compounds as factor Xa inhibitors are discussed.



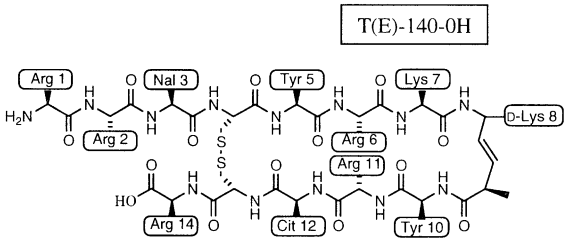
4 M = SO_2, CH_2
X, Y = N, NH, CH, O, S

Bioorg. Med. Chem. Lett. 12 (2002) 923

Synthesis and Evaluation of Pseudopeptide Analogues of a Specific CXCR4 Inhibitor, T140: The Insertion of an (*E*)-alkene Dipeptide Isostere into the βII′-Turn Moiety

Hirokazu Tamamura,[a,*] Kenichi Hiramatsu,[a] Kazuhide Miyamoto,[a] Akane Omagari,[a] Shinya Oishi,[a] Hideki Nakashima,[b] Naoki Yamamoto,[c] Yoshihiro Kuroda,[a] Terumichi Nakagawa,[a] Akira Otaka[a] and Nobutaka Fujii[a,*]

[a]*Graduate School of Pharmaceutical Sciences, Kyoto University, Sakyo-ku, Kyoto 606-8501, Japan*
[b]*St. Marianna University, School of Medicine, Miyamae-ku, Kawasaki 216-8511, Japan*
[c]*Tokyo Medical and Dental University, School of Medicine, Bunkyo-ku, Tokyo 113-8519, Japan*



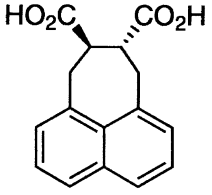
Bioorg. Med. Chem. Lett. 12 (2002) 929

Probing the Overlap of Chorismate Mutase and Prephenate Dehydrogenase Sites in the *Escherichia coli* T-Protein: A Dehydrogenase-Selective Inhibitor

Sarah Vincent,[a] Shuqing Chen,[b] David B. Wilson[b] and Bruce Ganem[a,*]

[a]*Department of Chemistry and Chemical Biology, Cornell University, Ithaca, NY 14853, USA*
[b]*Department of Molecular Biology and Genetics, Cornell University, Ithaca, NY 14853, USA*

The pleiadanedicarboxylic acid shown was identified as a selective inhibitor of prephenate dehydrogenase.



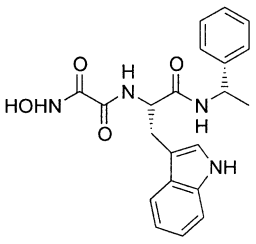
Bioorg. Med. Chem. Lett. 12 (2002) 933

Oxal Hydroxamic Acid Derivatives with Inhibitory Activity against Matrix Metalloproteinases

Dirk Krumme* and Harald Tschesche

University of Bielefeld, Department Biochemie I, Universitätsstraße 25, D-33615 Bielefeld, Germany

Novel inhibitors for matrix metalloproteinases containing an amide-bound oxal hydroxamic acid moiety have been synthesized and tested for their inhibitory effects.

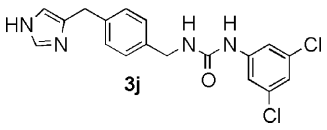


Bioorg. Med. Chem. Lett. 12 (2002) 937

Identification of a Novel, Orally Bioavailable Histamine H_3 Receptor Antagonist Based on the 4-Benzyl-(1*H*-imidazol-4-yl) Template

Robert Aslanian,* Mwangi W. Mutahi, Neng-Yang Shih, Kevin D. McCormick, John J. Piwinski, Pauline C. Ting, Margaret M. Albanese, Michael Y. Berlin, Xiaohong Zhu, Shing-Chun Wong, Stuart B. Rosenblum, Yueheng Jiang, Robert West, Susan She, Shirley M. Williams, Matthew Bryant and John A. Hey

The Schering Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033, USA



3j

The discovery of the potent, orally bioavailable H_3 receptor antagonist **3j** is reported.

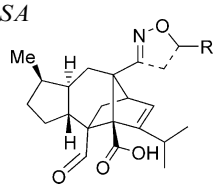
Bioorg. Med. Chem. Lett. 12 (2002) 943

Oxime Derivatives of Sordaricin as Potent Antifungal Agents

Michael H. Serrano-Wu,* Denis R. St. Laurent, Charles E. Mazzucco, Terry M. Stickle, John F. Barrett, Dolatrai M. Vyas and Balu N. Balasubramanian*

Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492, USA

Oxime derivatives of the sordarin aglycone are described as potent antifungal agents.



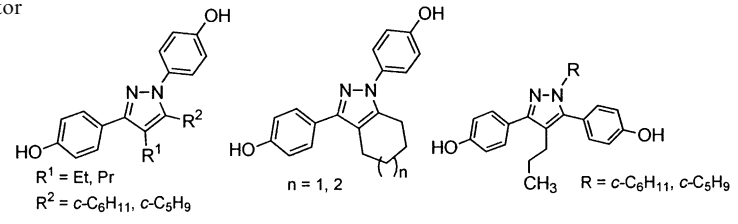
Bioorg. Med. Chem. Lett. 12 (2002) 947

Diaryl-Dialkyl-Substituted Pyrazoles: Regioselective Synthesis and Binding Affinity for the Estrogen Receptor

Gisele A. Nishiguchi, Alice L. Rodriguez and John A. Katzenellenbogen*

Department of Chemistry, University of Illinois, 600 S. Mathews Avenue, Urbana, IL 61801, USA

The novel diaryl-dialkyl pyrazole bind to the estrogen receptor with affinities up to 70% that of estradiol.



Bioorg. Med. Chem. Lett. 12 (2002) 951

Design and Synthesis of Antiangiogenic/Heparin-Binding Arginine Dendrimer Mimicking the Surface of Endostatin

Soko Kasai,[a] Hideko Nagasawa,[a] Mariko Shimamura,[b] Yoshihiro Uto[a] and Hitoshi Hori[a,*]

[a]*Department of Biological Science and Technology, Faculty of Engineering, The University of Tokushima, Minamijosanjimacho-2, Tokushima 770-8506, Japan*
[b]*Department of Molecular Oncology, The Tokyo Metropolitan Institute of Medical Science, Bunkyo-ku, Tokyo 113-8613, Japan*

TX-1944: $R_8R_8K_4K_2KG$-OH

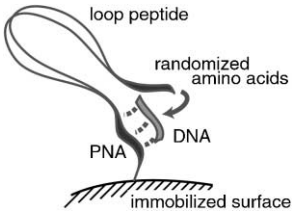
Bioorg. Med. Chem. Lett. 12 (2002) 955

Construction of the Novel Conformationally-Restricted Peptide Library for Screening of Peptides that Control the Interaction Between Nucleobases

Mizuki Takahashi,[a] Akihiko Ueno[a] and Hisakazu Mihara[a,b,*]

[a]*Graduate School of Bioscience and Biotechnology, Tokyo Institute of Technology, Yokohama 226-8501, Japan*
[b]*Form and Function, PRESTO, Japan Science and Technology Corporation, Yokohama 226-8501, Japan*

A unique conformationally-restricted peptide library was constructed using a loop structure as a structural scaffold and peptide nucleic acids (PNAs) as a recognition site. This library was used for the screening of the amino acid sequences that control the interaction between nucleobase triplets.



Bioorg. Med. Chem. Lett. 12 (2002) 959

Soluble Polymer-Supported Synthesis of Benzodiazepinones

Cheng-Yi Wu and Chung-Ming Sun*

Department of Chemistry, National Dong-Hwa University, Shou-Feng, Hualien 974, Taiwan

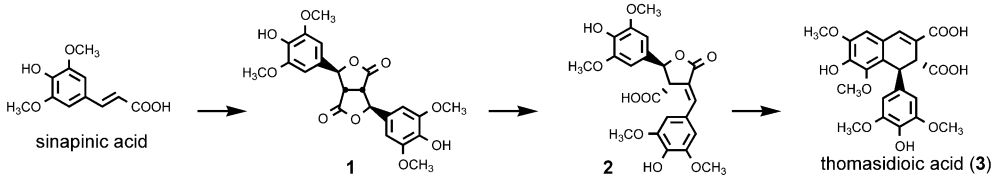
Benzodiazepinone, combinatorial chemistry, liquid-phase method, solid-phase method, scaffold.

Bioorg. Med. Chem. Lett. 12 (2002) 963

Formation of Thomasidioic Acid from Dehydrosinapinic Acid Dilactone under Neutral Conditions, and a Remaining Inhibitory Activity against Peroxynitrite-Mediated Protein Nitration

Toshio Niwa,[a,*] Umeyuki Doi[a] and Toshihiko Osawa[b]

[a]*Department of Research and Development, San-ei Sucrochemical Co., Ltd., 24-5 Kitahama-machi, Chita, Aichi 478-8503, Japan*
[b]*Laboratory of Food and Biodynamics, Nagoya University Graduate School of Bioagricultural Sciences, Chikusa, Nagoya, Aichi 464-8601, Japan*

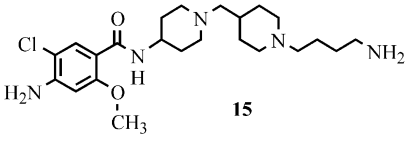


Bioorg. Med. Chem. Lett. 12 (2002) 967

Novel *N*-[1-(1-Substituted 4-Piperidinylmethyl)-4-piperidinyl]benzamides as Potent Colonic Prokinetic Agents

Hiroshi Harada,[a] Hiroshi Yamazaki,[a] Yoshihito Toyotomi,[a] Hirotaka Tateishi,[a] Yukiko Mine,[b] Naoyuki Yoshida[b] and Shiro Kato[a,*]

[a]*Medicinal Chemistry Group, Chemistry Research Laboratories, Dainippon Pharmaceutical Co., Ltd., Enoki 33-94, Suita, Osaka 564-0053, Japan*
[b]*Discovery Pharmacological II Group, Pharmacology & Microbiology Research Laboratories, Dainippon Pharmaceutical Co., Ltd., Enoki 33-94, Suita, Osaka 564-0053, Japan*



15

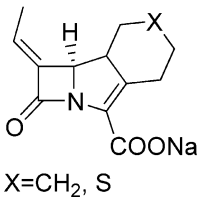
Bioorg. Med. Chem. Lett. 12 (2002) 971

Design, Synthesis and Bioactivity Evaluation of Tribactam β Lactamase Inhibitors

Anton Copar,[a] Tadeja Prevec,[a] Borut Anžič,[a] Tomaž Mesar,[a] Lovro Selič,[a] Mateja Vilar[a] and Tom Solmajer[a,b,*]

[a]*Lek d.d., Research and Development, Celovška 135, 1526 Ljubljana, Slovenia*
[b]*National Institute of Chemistry, POB 660, Hajdrihova 19, 1001 Ljubljana, Slovenia*

The synthesis of novel tricyclic carbapenems **14a**–**d** with considerable inhibitory activity against Class C β lactamase (IC_{50} = 1–5 μM) is reported.



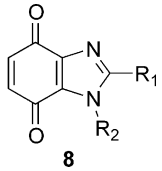
Bioorg. Med. Chem. Lett. 12 (2002) 977

Benzimidazole-4,7-diones as Inhibitors of Protozoal (*Toxoplasma gondii*) Purine Nucleoside Phosphorylase

Frédéric Alvarez,[a] Arnaud Ghérardi,[b] Pascal Nebois,[a] Marie-Elizabeth Sarciron,[b] Anne-Françoise Pétavy[b] and Nadia Walchshofer[a,*]

[a]*Laboratoire de Chimie Organique (EA 635), Faculté de Pharmacie, Université Lyon I, 8, Avenue Rockefeller, 69373 Lyon Cedex 08, France*
[b]*Laboratoire de Parasitologie (EA 1887), Faculté de Pharmacie, Université Lyon I, 8, Avenue Rockefeller, 69373 Lyon Cedex 08, France*

The synthesis of the benzimidazoledione derivatives **8** is reported, They were identified as inhibitors of purine nucleoside phosphorylase (PNP) isolated from *Toxoplasma gondii*.



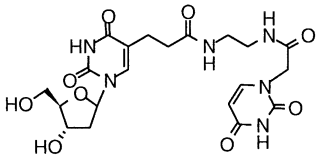
8

Bioorg. Med. Chem. Lett. 12 (2002) 981

Binding Properties of Oligonucleotides Containing a Modified 2′-Deoxyuridine with a Thymine Ended Linker to Pair with 2′-Deoxyadenosine

Pascal Savy,[a] Rachid Benhida,[a] Jean-Louis Fourrey,[a,*] Rosalie Maurisse[b] and Jian-Sheng Sun[b,*]

[a]*Institut de Chimie des Substances Naturelles, CNRS, 91198 Gif-sur-Yvette, France*
[b]*Laboratoire de Biophysique, MNHN, 43 rue Cuvier, 75231 Paris Cedex 05, France*

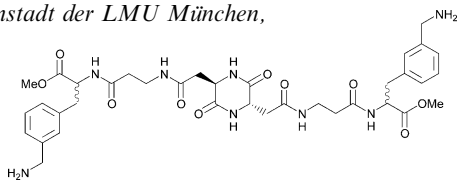


Bioorg. Med. Chem. Lett. 12 (2002) 985

Bivalent Inhibition of β-Tryptase: Distance Scan of Neighboring Subunits by Dibasic Inhibitors

Norbert Schaschke,[a,*] Andreas Dominik,[b] Gabriele Matschiner[c] and Christian P. Sommerhoff[c]

[a]*Max-Planck-Institut für Biochemie, D-82152 Martinsried, Germany*
[b]*Byk Gulden Lomberg Chemische Fabrik GmbH, D-78467 Konstanz, Germany*
[c]*Abteilung für Klinische Chemie und Klinische Biochemie, Klinikumsstandort Innenstadt der LMU München, D-80336 München, Germany*

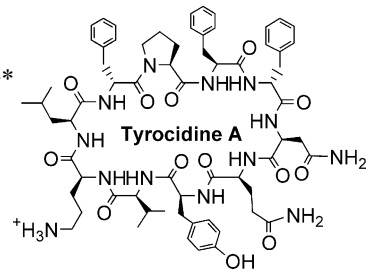


Bioorg. Med. Chem. Lett. 12 (2002) 989

Substrate Spectrum of Tyrocidine Thioesterase Probed with Randomized Peptide *N*-Acetylcysteamine Thioesters

Guiyang Xie,[a] Mahesh Uttamchandani,[b] Grace Y. J. Chen,[b] Xianzhang Bu,[a] San San Lin,[a] Ka Man Wong,[a] Weili Yan,[a] Shao Q. Yao[b,*] and Zhihong Guo[a,*]

[a]*Department of Chemistry and Biotechnology Research Institute, The Hong Kong University of Science and Technology, Kowloon, Hong Kong SAR, China*
[b]*Department of Chemistry and Department of Biological Sciences, National University of Singapore, Singapore 117543, Singapore*



Apparent catalyic efficiency of tyrocidine thioesterase towards randomized peptide substrate analogues is reported.