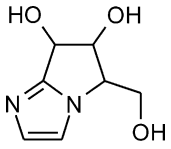
Bioorg. Med. Chem. 11 (2003) 3559

Carbohydrate Transition State Mimics: Synthesis of Imidazolo-Pyrrolidinoses as Potential Nectrisine Surrogates

Théophile Tschamber,[a] François Gessier,[a] Estelle Dubost,[a] Jeffery Newsome,[a] Céline Tarnus,[a] Josiane Kohler,[b] Markus Neuburger[c] and Jacques Streith[a,*]

[a]*Ecole Nationale Supérieure de Chimie, Université de Haute-Alsace, 3, rue Alfred Werner, F - 68093 Mulhouse, France*
[b]*Optical Spectroscopy, F. Hoffmann-La Roche Ltd, Grenzacherstrasse, CH - 4070 Basle, Switzerland*
[c]*Institut für anorganische Chemie, Universität Basel, Spitalstrasse 51, CH - 4056 Basle, Switzerland*

Synthesis of all eight stereomers. Determination of their inhibition data for six commercially available glycosidases.



Bioorg. Med. Chem. 11 (2003) 3569

Physicochemical Prediction of a Brain–Blood Distribution Profile in Polycyclic Amines

Jaco Zah,[a] Gisella Terre'Blanche,[a] Elardus Erasmus[b] and Sarel F. Malan[a,*]

[a]*Pharmaceutical Chemistry, Pothefstroom University for CHE, Private Bag X6001, Potchefstroom 2520, South Africa*
[b]*Biochemistry, Pothefstroom University for CHE, Private Bag X6001, Potchefstroom 2520, South Africa*

A four-component model ($R^2 = 0.9996$), depicting lipophilicity (log P_{oct}), total system energy [Min.Energy(Hyp)], solvent accessible molecular volume (SV) and molar refractivity (MR) as the prime determinants for the brain–blood distribution profile of the pentacycloundecyl amines was obtained.

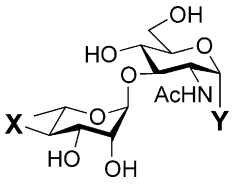
$$\log BB_{ST} = 4.56796 - 0.52226(\log P_{oct}) + 0.00521[\text{Min.Energy(Hyp)}] + 0.05559(\text{SV}) - 0.33873(\text{MR})$$

Bioorg. Med. Chem. 11 (2003) 3579

Analogues of the Mycobacterial Arabinogalactan Linkage Disaccharide as Cell Wall Biosynthesis Inhibitors

Xianghui Wen,[a] Dean C. Crick,[b] Patrick J. Brennan[b] and Philip G. Hultin[a,*]

[a]*Department of Chemistry, University of Manitoba, Winnipeg, MB, Canada R3T 2N2*
[b]*Department of Microbiology, Colorado State University, Ft. Collins, CO 80523-1677, USA*



X = H, F, OMe, OH, OBz
Y = OH, OMe, OBn, CO_2Me, $CH_2P(O)(OEt)_2$

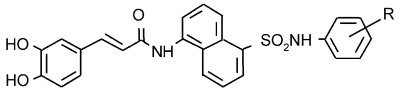
Bioorg. Med. Chem. 11 (2003) 3589

Caffeoyl Naphthalenesulfonamide Derivatives as HIV Integrase Inhibitors

Yu-Wen Xu,[a] Gui-Sen Zhao,[a,*] Cha-Gyun Shin,[b] Heng-Chang Zang,[a] Chong-Kyo Lee[c] and Yong Sup Lee[d]

[a]*College of Pharmacy, Shandong University, P.O.Box 111, 44# Wenhuaxi Road, Ji'nan 250012, Shandong Province, PR China*
[b]*Department of Biotechnology, Chung Ang University, An-Sung 456-756, South Korea*
[c]*Pharmaceutical Screening Center, Korea Research Institute of Chemical Technology, PO Box 107, Yusong, Taejeon 305-600, South Korea*
[d]*Division of Life Sciences, Korea Institute of Science & Technology, PO Box 131, Cheongryang, Seoul 130-650, South Korea*

A series of caffeoylnaphfhalenesulonaminde derivatives have been synthesized and the anti-HIV IN activities were described.



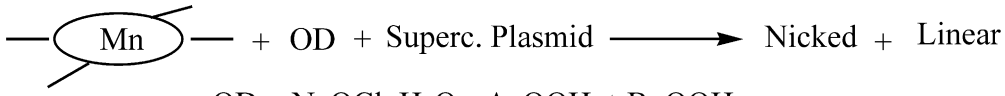
Bioorg. Med. Chem. 11 (2003) 3595

Oxidative Cleavage of Plasmid Bluescript by Water-Soluble Mn-Porphyrins and Artificial Oxidants or Molecular Oxygen

Stefano Banfi,* Elisabetta Cassani, Enrico Caruso and Mersia Cazzaro

Department of Structural and Functional Biology, University of Insubria, via H.J. Dunant, 3-21100 Varese, Italy

The oxidative demolition of Plasmid Bluescript was achieved by using Mn-tetraarylporphyrins as catalysts. The influence of the nature of the OD, of the pH of the aqueous phase and that one of the catalyst structures was studied in detail. Only the positively charged catalysts were found active on DNA, while the anphiphilic ones were suited for the oxidative demolition of tumour cells in the presence of light.



OD = NaOCl, H_2O_2, AcOOH, t-BuOOH

Bioorg. Med. Chem. 11 (2003) 3607

2,4-Decadienals are Produced via (*R*)-11-HPITE from Arachidonic Acid in Marine Green Alga *Ulva conglobata*

Yoshihiko Akakabe,* Kenji Matsui and Tadahiko Kajiwara

Department of Biological Chemistry, Faculty of Agriculture, Yamaguchi University, 1677-1 Yoshida, Yamaguchi 753-8515, Japan

Marine green alga *Ulva conglobata* was investigated for the biogeneration of oxygenated products from exogenously added arachidonic acid (ARA). A crude enzyme from the alga afforded the detectable amount of a hydroperoxyicosatetraenoic acid (HPITE), which was identified as (*R*)-11-HPITE by HPLC and GC–MS. Headspace–SPME method indicated that ARA was selectively used to form 2,4-decadienals. These results showed that 2,4-decadienals are produced via (*R*)-11-HPITE from ARA exclusively.

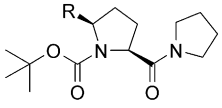
Bioorg. Med. Chem. 11 (2003) 3611

Conformationally Rigid *N*-Acyl-5-alkyl-L-prolyl-pyrrolidines as Prolyl Oligopeptidase Inhibitors

Erik A. A. Wallén,[a,*] Johannes A. M. Christiaans,[a] Taija J. Saarinen,[a] Elina M. Jarho,[a] Markus M. Forsberg,[b] Jarkko I. Venäläinen,[b] Pekka T. Männistö[b,c] and Jukka Gynther[a,c]

[a]*Department of Pharmaceutical Chemistry, University of Kuopio, PO Box 1627, FIN-70211 Kuopio, Finland*
[b]*Department of Pharmacology and Toxicology, University of Kuopio, PO Box 1627, FIN-70211 Kuopio, Finland*
[c]*Finncovery Ltd., Kuopio, Finland*

In the *N*-acyl-L-prolyl-pyrrolidine type of prolyl oligopeptidase inhibitors the L-prolyl group was replaced by different 5-alkyl-L-prolyl groups, resulting in a series of *N*-acyl-5-alkyl-L-prolyl-pyrrolidines.



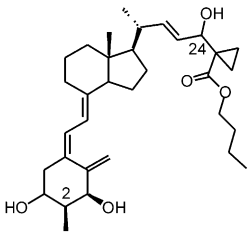
R = H: IC_{50} = 29 nM
R = *t*-Bu: IC_{50} = 2.2 nM

Bioorg. Med. Chem. 11 (2003) 3621

Design and Synthesis of Potent Vitamin D Receptor Antagonists with A-Ring Modifications: Remarkable Effects of 2α-Methyl Introduction on Antagonistic Activity

Toshie Fujishima,[a] Yoshinori Kojima,[a] Isao Azumaya,[b] Atsushi Kittaka[a,*] and Hiroaki Takayama[a]

[a]*Faculty of Pharmaceutical Sciences, Teikyo University, Sagamiko, Kanagawa 199-0195, Japan*
[b]*School of Pharmaceutical Sciences, Kitasato University, Shirokane, Tokyo 108-8641, Japan*



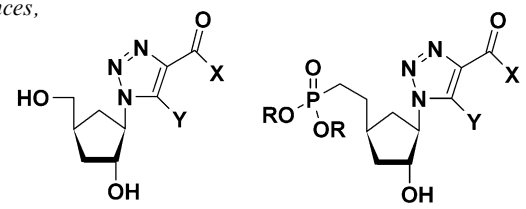
Bioorg. Med. Chem. 11 (2003) 3633

Synthesis of 1,2,3-Triazolo-carbanucleoside Analogues of Ribavirin Targeting an HCV in Replicon

Yoshio Saito,[a] Vanessa Escuret,[b] David Durantel,[b] Fabien Zoulim,[b] Raymond F. Schinazi[c] and Luigi A. Agrofoglio[a,*]

[a]*Institut de Chimie Organique et Analytique, ICOA UMR 6005, UFR Sciences, BP 6759, 45067 Orléans Cedex 2, France*
[b]*Inserm U271, 151 Cours Albert Thomas, 69003 Lyon, France*
[c]*Veterans Affairs Medical Center and Laboratory of Biochemical Pharmacology, Department of Pediatrics, Emory University School of Medicine, Atlanta, GA 30033, USA*

The synthesis of a series of carbocyclic and phosphonocarbocyclic analogues of ribavirin and their in vitro antiviral activity (HCV, HIV, HBV, HSV-1) and toxicity are described.



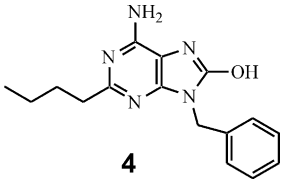
Bioorg. Med. Chem. 11 (2003) 3641

Synthesis and Structure–Activity Relationships of 2-Substituted-8-hydroxyadenine Derivatives as Orally Available Interferon Inducers without Emetic Side Effects

Yoshiaki Isobe,[a] Masanori Tobe,[a] Haruhisa Ogita,[a] Ayumu Kurimoto,[a] Tetsuhiro Ogino,[a] Hajime Kawakami,[a] Haruo Takaku,[a] Hironao Sajiki,[b] Kosaku Hirota[b] and Hideya Hayashi[a,*]

[a]*Research Division, Discovery Research Laboratories II, Sumitomo Pharmaceuticals Co. Ltd., Konohana-ku, Osaka 554-0022, Japan*
[b]*Department of Medicinal Chemistry, Gifu Pharmaceutical University, Mitahora-higashi, Gifu 502-8585, Japan*

Compound **4** exhibited a potent IFN-inducing activity both in vitro and in vivo. Compound **4** induced IFN from a dosage of 0.3 mg/kg in mice, and caused no emesis in ferret even at a dosage of 10 mg/kg.



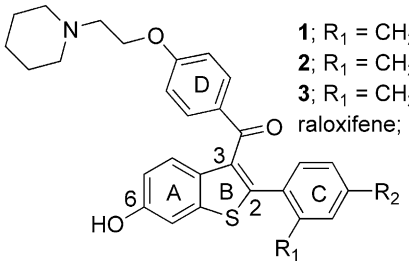
4

Bioorg. Med. Chem. 11 (2003) 3649

Synthesis and Binding Affinities of Fluoroalkylated Raloxifenes

Kyo Chul Lee,[a] Byung Seok Moon,[a] Jae Hak Lee,[a] Kyoo-Hyun Chung,[a] John A. Katzenellenbogen[b,*] and Dae Yoon Chi[a,*]

[a]*Department of Chemistry, Inha University, 253 Yonghyundong, Namgu, Inchon 402-751, South Korea*
[b]*Department of Chemistry, University of Illinois, Urbana, IL 61801, USA*



1; $R_1 = CH_2CH_2CH_2F$, $R_2 = OH$ RBA = 45%
2; $R_1 = CH_2CH_2CH_2F$, $R_2 = H$ RBA = 60%
3; $R_1 = CH_2CH_2F$, $R_2 = H$ RBA = 89%
raloxifene; $R_1 = H$, $R_2 = OH$ RBA = 34%

Bioorg. Med. Chem. 11 (2003) 3659

Cytotoxic versus Anti-Inflammatory Effects in HeLa, Jurkat T and Human Peripheral Blood Cells Caused by Guaianolide-Type Sesquiterpene Lactones

Fatima Hilmi,[a] Jürg Gertsch,[a] Paul Bremner,[b] Silvia Valovic,[a] Michael Heinrich,[b] Otto Sticher[a] and Jörg Heilmann[a,*]

[a]*Department of Chemistry and Applied BioSciences, Institute of Pharmaceutical Sciences, Swiss Federal Institute of Technology (ETH) Zurich, Winterthurerstr. 190, CH-8057 Zürich, Switzerland*
[b]*Centre for Pharmacognosy and Phytotherapy, The School of Pharmacy, University of London, 29/39 Brunswick Square, London WC1N 1AX, UK*

Two new dimeric guaianolide-type sesquiterpene lactones were isolated from *Warionia saharae*. Their cytotoxic and anti-inflammatory potential was characterized in different in-vitro test systems, and compared to results obtained for two known monomeric guaianolides. The study revealed that the investigated guaianolides differentially modulate native gene transcription of pro-inflammatory and house-keeping genes despite of similar activity in NF-κB EMSA, IL-6 reporter gene, and cytotoxicity assays.

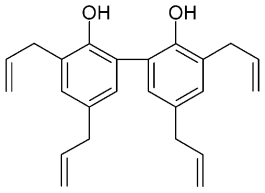
Bioorg. Med. Chem. 11 (2003) 3665

Allylmagnolol, a Novel Magnolol Derivative as Potent Antioxidant

Chi-Yuan Li,[a] Yvonne Wang[b] and Ming-Kuan Hu[b,*]

[a]*Department of Anesthesiology, Tri-Service General Hospital, National Defense Medical Center, 325, Chenggung Road, Section 2, Taipei 114, Taiwan*
[b]*School of Pharmacy, National Defense Medical Center, 161, Minchuan East Road, Section 6, Taipei 114, Taiwan*

3,3′-Bisallylmagnolol (**3b**) was synthesized and evaluated to show more promising scavenging effects on oxygen-derived free radicals than the naturally occurring magnolol in whole cell models.



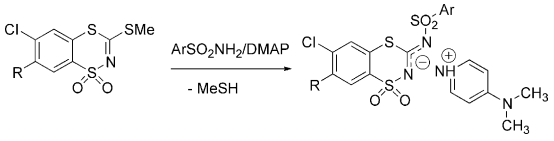
3b

Bioorg. Med. Chem. 11 (2003) 3673

Synthesis, Structural Characterization and In Vitro Antitumor Activity of Novel 6-Chloro-1,1-dioxo-1,4,2-benzodithiazie Derivatives

Zdzislaw Brzozowski,[a] Franciszek Sączewski[a,*] and Maria Gdaniec[b]

[a]*Department of Chemical Technology of Drugs, Medical University of Gdańsk, Al. Gen. Hallera 107, 80-416 Gdańsk, Poland*
[b]*Faculty of Chemistry, A. Mickiewicz University, 60-780 Poznań, Poland*



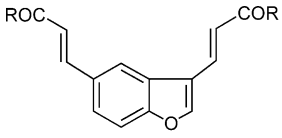
Bioorg. Med. Chem. 11 (2003) 3683

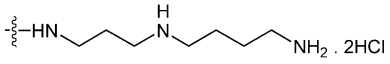
Benzofuranyl 3,5-bis-Polyamine Derivatives as Time-Dependent Inhibitors of Trypanothione Reductase

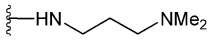
Chris J. Hamilton,[a] Ahilan Saravanamuthu,[b] Alan H. Fairlamb[b] and Ian M. Eggleston[a,*]

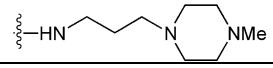
[a]*Division of Biological Chemistry & Molecular Microbiology, Faculty of Life Sciences, Carnelley Building, University of Dundee, Dundee DD1 4HN, UK*
[b]*Division of Biological Chemistry & Molecular Microbiology, Faculty of Life Sciences, Wellcome Trust Biocentre, University of Dundee, Dundee DD1 5EH, UK*

The synthesis and evaluation of 3,5-di-substituted benzofuran derivatives as time-dependent inhibitors of the protozoan oxidoreductase trypanothione reductase are reported.



2 R = 

3 R = 

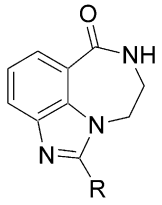
4 R = 

Bioorg. Med. Chem. 11 (2003) 3695

Design and Synthesis of Poly(ADP-Ribose) Polymerase-1 (PARP-1) Inhibitors. Part 4: Biological Evaluation of Imidazobenzodiazepines as Potent PARP-1 Inhibitors for Treatment of Ischemic Injuries

Dana Ferraris,* Rica Pargas Ficco, David Dain, Mark Ginski, Susan Lautar, Kathy Lee-Wisdom, Shi Liang, Qian Lin, May X.-C. Lu, Lisa Morgan, Bert Thomas, Lawrence R. Williams, Jie Zhang, Yinong Zhou and Vincent J. Kalish

Guilford Pharmaceuticals Inc., 6611 Tributary Street, Baltimore, MD 21224, USA



R = Aryl, Heteroaryl, Aminoalkyl

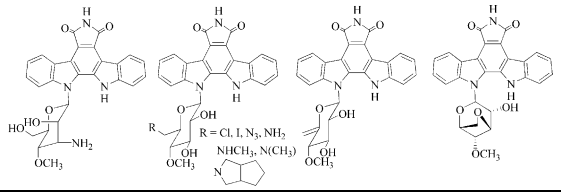
Bioorg. Med. Chem. 11 (2003) 3709

Rebeccamycin Analogues Bearing Amine Substituents or Other Groups on the Sugar Moiety

Fabrice Anizon,[a] Pascale Moreau,[a] Martine Sancelme,[a] William Laine,[b] Christian Bailly[b] and Michelle Prudhomme[a,*]

[a]*Université Blaise Pascal, Synthèse et Etude de Systèmes à Intérêt Biologique, UMR 6504 du CNRS, 63177 Aubière, France*
[b]*INSERM U524 et Laboratoire de Pharmacologie Antitumorale du Centre Oscar Lambret, IRCL, Place de Verdun, 59045 Lille, France*

The synthesis of a series of rebeccamycin analogues bearing an amino function or modified on the sugar moiety is described. Their interaction with DNA and their effects on human topoisomerases I and II are examined. Their antimicrobial activities against two Gram-positive bacteria, a Gram-negative bacterium and a yeast are determined.

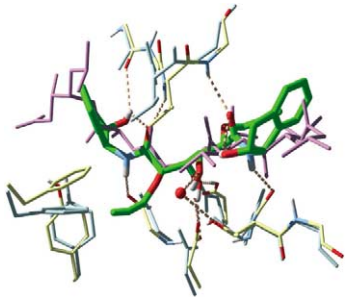


Bioorg. Med. Chem. 11 (2003) 3723

C_2-Symmetric Inhibitors of *Plasmodium falciparum* Plasmepsin II: Synthesis and Theoretical Predictions

Karolina Ersmark,[a] Isabella Feierberg,[b] Sinisa Bjelic,[b] Johan Hultén,[a] Bertil Samuelsson,[c] Johan Åqvist[b] and Anders Hallberg[a,*]

[a]*Department of Medicinal Chemistry, Uppsala University, BMC, Box 574, SE-751 23 Uppsala, Sweden*
[b]*Department of Cell and Molecular Biology, Uppsala University, BMC, Box 596, SE-751 24 Uppsala, Sweden*
[c]*Medivir AB, Lunastigen 7, SE-141 44 Huddinge, Sweden*



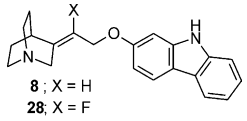
Bioorg. Med. Chem. 11 (2003) 3735

Syntheses of 3-Ethylidenequinuclidine Derivatives as Squalene Synthase Inhibitors. Part 2: Enzyme Inhibition and Effects on Plasma Lipid Levels

Tsukasa Ishihara,* Hirotoshi Kakuta, Hiroshi Moritani, Tohru Ugawa, Shuichi Sakamoto, Shin-ichi Tsukamoto and Isao Yanagisawa

Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., 21 Miyukigaoka, Tsukuba, Ibaraki 305-8585, Japan

3-Ethylidenequinuclidine derivatives were synthesized. They were administered orally to hamsters and evaluated as inhibitors of squalene synthase and non-HDL cholesterol levels. Carbazole derivatives reduced plasma non-HDL cholesterol levels and were not hepatotoxic since plasma transaminase levels did not rise.

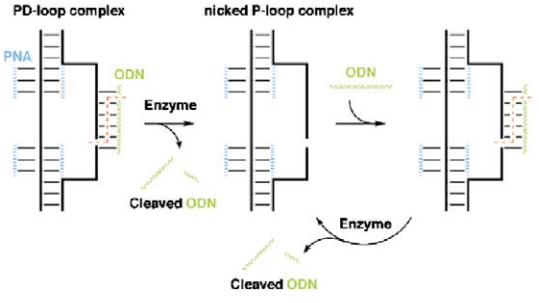


Bioorg. Med. Chem. 11 (2003) 3747

P-Loop Catalytically Assisting the Enzymatic Cleavage of Single-Stranded DNA

Akimitsu Okamoto, Kazuhito Tanabe and Isao Saito*

Department of Synthetic Chemistry and Biological Chemistry, Faculty of Engineering, Kyoto University and SORST, Japan Science and Technology Corporation, Kyoto 606-8501, Japan



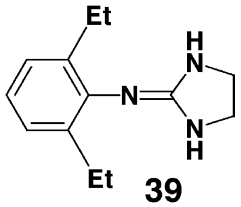
Bioorg. Med. Chem. 11 (2003) 3753

Three-Dimensional Molecular-Field Analyses of Octopaminergic Agonists for the Cockroach Neuronal Octopamine Receptor

Akinori Hirashima,[a,*] Masako Morimoto,[b] Eiichi Kuwano[a] and Morifusa Eto[c]

[a]*Department of Applied Genetics and Pest Management, Faculty of Agriculture, Graduate School, Kyushu University, Fukuoka 812-8581, Japan*
[b]*Department of Bioresource and Bioenvironmental Sciences, School of Agriculture, Kyushu University, 6-10-1 Hakozaki, Higashi-ku, Fukuoka 812-8581, Japan*
[c]*Professor Emeritus of Kyushu University, 7-32-2 Aoba, Higashi-ku, Fukuoka 813-0025, Japan*

The quantitative structure–activity relationship of a set of 40 octopaminergic agonists including **39** against receptor 2 in cockroach nervous tissue, was analyzed using molecular-field analysis (MFA). MFA on the study set of those compounds evaluated effectively the energy between a probe and a molecular model at a series of points defined by a rectangular grid. Contour surfaces for the molecular fields were presented and the results provided useful information in the characterization and differentiation of octopaminergic receptor.



39

Bioorg. Med. Chem. 11 (2003) 3761

pK_a and Volume of Residue One Influence δ/μ Opioid Binding: QSAR Analysis of Tyrosine Replacement in a Nonselective Deltorphin Analogue

Deborah L. Heyl,[a,*] Stephen E. Schullery,[a] Kutralanathan Renganathan,[a] Malika N. Jayamaha,[a] David W. Rodgers[a] and John R. Traynor[b]

[a]*Department of Chemistry, Eastern Michigan University, Ypsilanti, MI 48197, USA*
[b]*Department of Pharmacology, The University of Michigan Medical School, Ann Arbor, MI 48109, USA*

The synthesis, opioid binding affinities, and a QSAR study of a series of non-receptor selective deltorphin peptides modified in the Tyr[1] position with ring-substituted tyrosines, *para*-substituted phenylalanines, and other nonaromatic and heterocyclic amino acids are reported. Results support a dual hydrogen bond donor/acceptor role for the Tyr[1] hydroxyl moiety, with less acidic hydroxyl groups exhibiting stronger binding to opioid receptors. Steric bulk in the Tyr[1] position also independently strengthens binding.

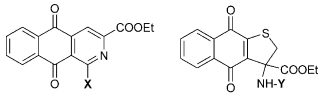
X-D-Ala-Phe-Gly-Val-Val-Gly-NH_2

Bioorg. Med. Chem. 11 (2003) 3769

New Benzo[*g*]isoquinoline-5,10-diones and Dihydrothieno [2,3-*b*]naphtho-4,9-dione Derivatives: Synthesis and Biological Evaluation as Potential Antitumoral Agents

Isabel Gomez-Monterrey,[a] Pietro Campiglia,[a] Paolo Grieco,[a] Maria Vittoria Diurno,[a] Adele Bolognese,[b] Paolo La Colla[c] and Ettore Novellino[a,*]

[a]*Dipartimento di Chimica Farmaceutica e Tossicologica, Università di Napoli 'Federico II', Naples, Italy*
[b]*Dipartimento di Biologia Sperimentale, Sezione di Microbiologia, Università di Cagliari, 09124 Cagliari, Italy*
[c]*Dipartimento di Chimica Organica e Biologica, Università di Napoli 'Federico II', Naples, Italy*



2a-h **DTNQ** derivatives

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Synthesis and Structure–Activity Relationship of 2-Amino-3-heteroaryl-quinoxalines as Non-peptide, Small-Molecule Antagonists for Interleukin-8 Receptor

Jie Jack Li,[a,*] Kenneth G. Carson,[c] Bharat K. Trivedi,[a] Wen Song Yue,[a] Qing Ye,[c] Roberta A. Glynn,[c] Steven R. Miller,[a] David T. Connor,[a] Bruce D. Roth,[a] Jay R. Luly,[c] Joseph E. Low,[b] David J. Heilig,[b] Weixing Yang,[d] Shixin Qin[d] and Stephen Hunt[b]

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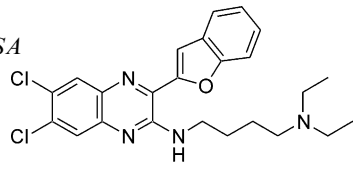

13y IC_{50} = 90 nM

Bioorg. Med. Chem. 11 (2003) 3791

A Short Synthesis of Antimalarial Peroxides

L. Cointeaux,[a] J.-F. Berrien,[a,*] J. Mahuteau,[a] M. E. Trân Huu-Dâu,[b] L. Cicéron,[c] M. Danis[c] and J. Mayrargue[a,*]

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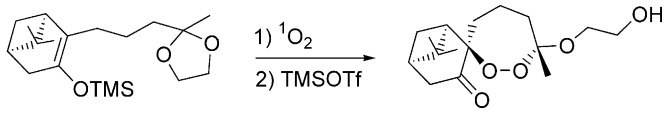

Bioorg. Med. Chem. 11 (2003) 3795

8,9-Methylenedioxybenzo[*i*]phenanthridines: Topoisomerase I-Targeting Activity and Cytotoxicity

Dajie Li,[a] Baoping Zhao,[a] Sai-Peng Sim,[b] Tsai-Kun Li,[b] Angela Liu,[b] Leroy F. Liu[b,c] and Edmond J. LaVoie[a,c,*]

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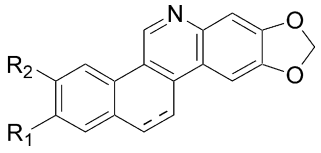
[b]*Department of Pharmacology, The University of Medicine and Dentistry of New Jersey, Robert Wood Johnson Medical School, Piscataway, NJ 08854, USA*
[c]*The Cancer Institute of New Jersey, New Brunswick, NJ 08901, USA*

Where $R_1 = OCH_3$, $R_2 = H$; $R_1 = H$, $R_2 = OCH_3$; $R_1 = OCH_3$; $R_2 = Bn$; and with 11,12-dihydro $R_1R_2 = OCH_3$; $R_1 = OCH_3$, $R_2 = H$; $R_1 = H$, $R_2 = OCH_3$; $R_1 = OCH_3$; $R_2 = Bn$; $R_1 = OH$, $R_2 = OCH_3$; $R_1 = OCH_3$, $R_2 = OH$.



Bioorg. Med. Chem. 11 (2002) 3807

Synthesis of Amide Compounds of Ferulic Acid, and Their Stimulatory Effects on Insulin Secretion In Vitro

Eisaku Nomura,[a,*] Ayumi Kashiwada,[b] Asao Hosoda,[a] Kozo Nakamura,[b] Hideko Morishita,[c] Takuo Tsuno[d] and Hisaji Taniguchi[a]

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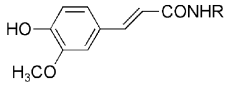
[c]*Faculty of Education, Wakayama University, 930 Sakaedani, Wakayama 640-8510, Japan*
[d]*Tsuno Food Industrial Co., Ltd., Katsuragi, Wakayama 649-7194, Japan*

The amides from ferulic acid were synthesized. Investigations of stimulatory effects of the amides on insulin secretion using rat pancreatic RIN-5F cells are described.

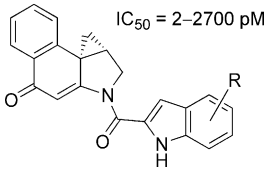


Bioorg. Med. Chem. 11 (2003) 3815

Establishment of Substituent Effects in the DNA Binding Subunit of CBI Analogues of the Duocarmycins and CC-1065

Jay P. Parrish, David B. Kastrinsky, Frederic Stauffer, Michael P. Hedrick, Inkyu Hwang and Dale L. Boger*

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



Bioorg. Med. Chem. 11 (2003) 3839

Efficacy Validation of Synthesized Retinol Derivatives In Vitro: Stability, Toxicity, and Activity

Hye-Sook Han,[a,b] Youn-Ja Kwon,[b] Myoung-Soon Park,[b] Si-Ho Park,[b] So-Mi Kim Cho,[b] Young-Soy Rho,[c] Jin-Wou Kim,[d] Hong-Sig Sin[b,*] and Soo-Jong Um[a,*]

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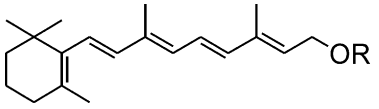
[d]*Department of Dermatology, St. Paul's Hospital, Catholic University, Seoul 130-709, South Korea*

Synthesis and in vitro evaluation of three retinol derivatives are described.



3. R= *N*-F-APM
4. R= *N*-Ac-ASP-ORet
5. R= Retinyl(Ret)