Chemical Approaches to the Investigation of Cellular Systems

Bioorg. Med. Chem. 10 (2002) 829

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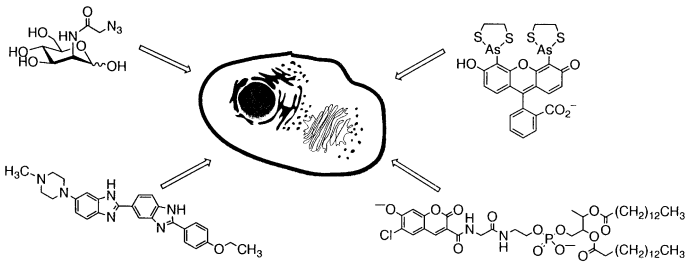
[b]*Department of Molecular and Cell Biology, University of California, Berkeley, CA 94720, USA*
[c]*Howard Hughes Medical Institute, University of California, Berkeley, CA 94720, USA*



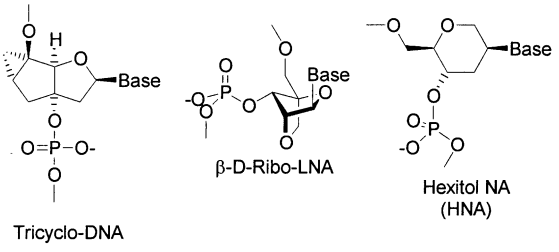
DNA Analogues: From Supramolecular Principles to Biological Properties

Bioorg. Med. Chem. 10 (2002) 841

Christian J. Leumann

Department of Chemistry and Biochemistry, University of Bern, Freiestrasse 3, CH-3012 Bern, Switzerland

A review on structure–activity relationships for a series of carbohydrate modified oligonucleotide analogues.

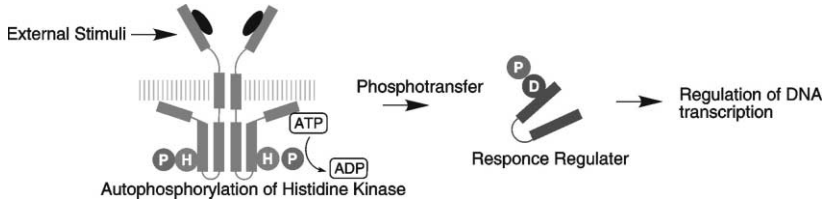


Histidine Kinases as Targets for New Antimicrobial Agents

Bioorg. Med. Chem. 10 (2002) 855

Masayuki Matsushita, 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

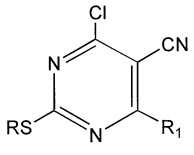


Chloropyrimidines as a New Class of Antimicrobial Agents

Bioorg. Med. Chem. 10 (2002) 869

Nidhi Agarwal, Pratibha Srivastava, Sandeep K. Raghuwanshi,[b] D.N. Upadhyay, Sudhir Sinha,[a] P.K. Shukla[b] and Vishnu Ji Ram

[a]*Membrane Biology, Central Drug Research Institute, Lucknow 226001, India*
[b]*Medical Mycology and Medicinal Chemistry, Central Drug Research Institute, Lucknow 226001, India*

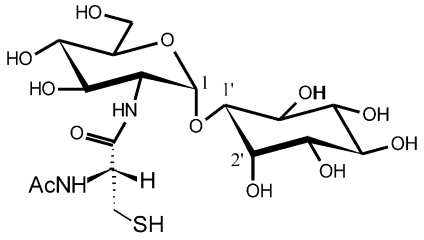


Bioorg. Med. Chem. 10 (2002) 875

Synthesis of Mycothiol, 1D-1-*O*-(2-[*N*-acetyl-L-cysteinyl]amino-2-deoxy-α-D-glucopyranosyl)-*myo*-inositol, Principal Low Molecular Mass Thiol in the Actinomycetes

M. Anwar Jardine,[a] Hendrik S.C. Spies,[b] Comfort M. Nkambule,[c] David W. Gammon[c] and Daniel J. Steenkamp[a,*]

[a]*Department of Chemical Pathology, University of Cape Town Medical School, Observatory 7925, South Africa*
[b]*NMR Laboratory, University of Stellenbosch, Matieland 7602, South Africa*
[c]*Department of Chemistry, University of Cape Town, Rondebosch, South Africa*

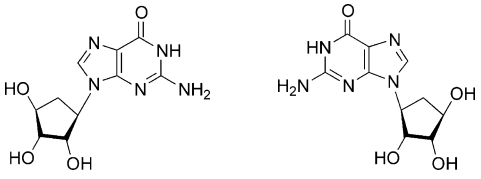


Bioorg. Med. Chem. 10 (2002) 883

The Enantiomers of Carbocyclic 5′-Norguanosine: Activity Towards Epstein–Barr Virus

Vasanthakumar Rajappan,[a] Stewart W. Schneller,[a,*] Stephanie L. Williams[b] and Earl R. Kern[b]

[a]*Department of Chemistry, Auburn University, Auburn, AL 36849-5312, USA*
[b]*Department of Pediatrics, Division of Clinical Virology, University of Alabama at Birmingham, Birmingham, AL 35294-2170, USA*



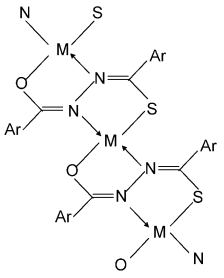
Bioorg. Med. Chem. 10 (2002) 887

Synthesis, Characterization and Antitumor Studies of Mn(II), Fe(III), Co(II), Ni(II), Cu(II) and Zn(II) Complexes of *N*-Salicyloyl-*N*′-*o*-hydroxythiobenzhydrazide

Anuraag Shrivastav,[a] Nand K. Singh[a] and Sukh Mahendra Singh[b]

[a]*Department of Chemistry, Faculty of Science, Banaras Hindu University, Varanasi 221005, India*
[b]*School of Biotechnology, Faculty of Science, Banaras Hindu University, Varanasi 221005, India*

A new ligand *N*-salicyloyl-*N*′-*o*-hydroxythiobenzhydrazide (H_2Sotbh) and its Mn(II), Fe(III), Co(II), Ni(II), Cu(II) and Zn(II) complexes were prepared and characterized by analytical and physicochemical studies. The therapeutic implication of H_2Sotbh and its metal complexes in tumor regression and tumor growth associated immuno-supression were studied.



M=Cu, Zn or Co; Ar=C_6H_4-2-OH

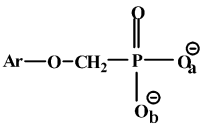
Bioorg. Med. Chem. 10 (2002) 897

Molecular Modeling of Protein Tyrosine Phosphatase 1B (PTP 1B) Inhibitors

V. Sreenivasa Murthy and Vithal M. Kulkarni

Pharmaceutical Division, Department of Chemical Technology, University of Mumbai, Matunga, Mumbai 400 019, India

Binding modes of aryloxymethylphosphonates and monoanionic biosteres of phosphate group from benzylic, -diffluoro phosphate and its biosteres as PTP 1B inhibitors were identified by docking, molecular dynamics and solvent accessible surface area calculations. The findings are in consistent with the biological activity of the inhibitors.



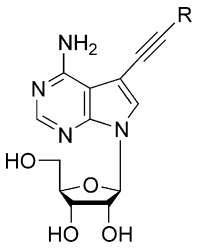
Bioorg. Med. Chem. 10 (2002) 907

Study on the Synthesis and PKA-I Binding Activities of 5-Alkynyl Tubercidin Analogues

Liangren Zhang, Yunlong Zhang, Xianghui Li and Lihe Zhang

National Research Laboratory of Natural and Biomimetic Drugs, School of Pharmaceutical Sciences, Peking University, Beijing 100083, China

5-Alkynyl tubercidin analogues were synthesized and their biological behavior was evaluated.

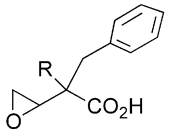


Bioorg. Med. Chem. 10 (2002) 913

Syntheses and Kinetic Evaluation of Racemic and Optically Active 2-Benzyl-2-methyl-3,4-epoxybutanoic Acids as Irreversible Inactivators for Carboxypeptidase A

Mijoon Lee and Dong H. Kim

Division of Molecular and Life Sciences and Center for Integrated Molecular Systems, Pohang University of Science and Technology, San 31 Hyojadong, Pohang 790–784, Republic of Korea



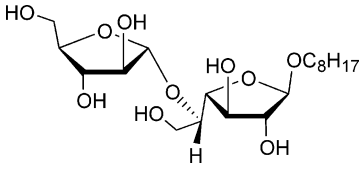
2: R = H
3: R = CH_3

Bioorg. Med. Chem. 10 (2002) 923

Studies on *n*-Octyl-5-(α-D-arabinofuranosyl)-β-D-galactofuranosides for Mycobacterial Glycosyltransferase Activity

Ashish K. Pathak,[a] Vibha Pathak,[a] William J. Suling,[b] Sudagar S. Gurcha,[c] Caroline B. Morehouse,[c] Gurdyal S. Besra,[c] Joseph A. Maddry[a] and Robert C. Reynolds[a]

[a]*Department of Organic Chemistry, Southern Research Institute, PO Box 55305, Birmingham, AL 35255, USA*
[b]*Department of Biochemistry, Southern Research Institute, PO Box 55305, Birmingham, AL 35255, USA*
[c]*The School of Microbiological, Immunological & Virological Sciences, The University of Newcastle upon Tyne, The Medical School, Framlington Place, Newcastle upon Tyne NE2 4HH, UK*



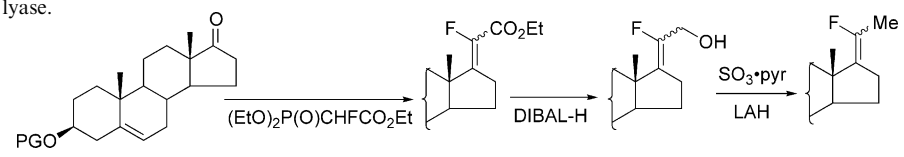
Bioorg. Med. Chem. 10 (2002) 929

Novel Steroidal Vinyl Fluorides as Inhibitors of Steroid $C_{17(20)}$ Lyase

Joseph P. Burkhart, Philip M. Weintraub, Cynthia A. Gates, Robert J. Resvick, Roy J. Vaz, Dirk Friedrich, Michael R. Angelastro, Philippe Bey and Norton P. Peet

Aventis Pharmaceuticals, Route 202-206, Bridgewater, New Jersey 08807-0800, USA

20-Fluoro-17(20)-pregnenolone derivatives were designed as enol mimics of pregnenolone. All of the targeted, novel fluoroolefins were potent inhibitors of $C_{17(20)}$ lyase.



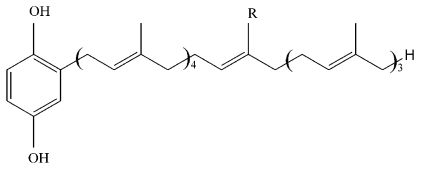
Bioorg. Med. Chem. 10 (2002) 935

Antioxidant Potential of Natural and Synthesised Polyprenylated Hydroquinones

Leto-A. Tziveleka,[a] Angeliki P. Kourounakis,[b] Panos N. Kourounakis,[b] Vassilios Roussis[a] and Constantinos Vagias[a]

[a]*School of Pharmacy, Department of Pharmacognosy, University of Athens, Panepistimiopolis Zografou, 157 71 Athens, Greece*
[b]*School of Pharmacy, Department of Medicinal Chemistry, Aristotles University of Thessaloniki, GR-540 06 Thessaloniki, Greece*

Metabolites 2-octaprenyl-1,4-hydroquinone (**1**) and 2-(24-hydroxy)-octaprenyl-1,4-hydroquinone (**2**), isolated from the sponge *Ircinia spinosula*, along with eight synthetic derivatives, were evaluated for their antioxidant capacity. The antioxidant potential of the compounds was evaluated in vitro by their ability: (1) to interact with the stable free radical DPPH and (2) to inhibit the peroxidation, induced by the Fe^{++}/ascorbate system, of heat inactivated hepatic microsomal membrane lipids.



	R
1	Me
2	CH_2OH

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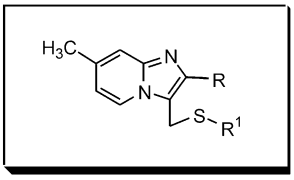
Influence of 2-Substituent on the Activity of Imidazo[1,2-*a*] Pyridine Derivatives Against Human Cytomegalovirus

Sylvie Mavel,[a] Jean-Louis Renou,[a] Christophe Galtier,[a] Hassan Allouchi,[b] Robert Snoeck,[c] Graciella Andrei,[c] Erik De Clercq,[c] Jan Balzarini[c] and Alain Gueiffier[a]

[a]*Laboratoire de Chimie Thérapeutique, Faculté de Pharmacie, 31 Av. Monge, 37200 Tours, France*
[b]*Laboratoire de Chimie Physique, Faculté de Pharmacie, 31 Av. Monge, 37200 Tours, France*
[c]*Rega Institute for Medical Research, Katholieke Universiteit Leuven, Minderbroedersstraat 10, B-3000 Leuven, Belgium*

Synthesis and antiviral activity against human cytomegalovirus of new 2-subsituted imidazo[1,2-*a*] pyridine were described.



R = alkyl, aryl
R^1 = phenyl, benzyl

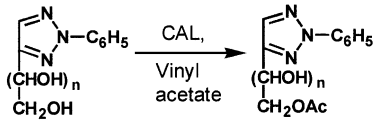
Bioorg. Med. Chem. 10 (2002) 947

Novel Lipase-Catalysed Highly Selective Acetylation Studies on D-*Arabino*- and D-*Threo*-polyhydroxyalkyltriazoles

Ashok K. Prasad,[a] Himanshu,[a] Anupam Bhattacharya,[a] Carl E. Olsen[b] and Virinder S. Parmar[a]

[a]*Department of Chemistry, University of Delhi, Delhi-110 007, India*
[b]*Chemistry Department, Royal Veterinary and Agricultural University, 40 Thorvaldsensvej, Frederiksberg C, DK-1871 Copenhagen, Denmark*

Candida antarctica lipase and porcine pancreatic lipase have exhibited exclusive selectivity for the acetylation of primary hydroxyl group over secondary hydroxyl group(s) in D-*arabino*- and D-*threo*-polyhydroxyalkyltriazoles.



95-98% yields

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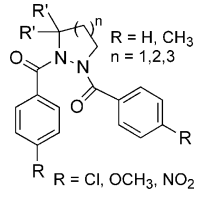
Cyclic Dibenzoylhydrazines Reproducing the Conformation of Ecdysone Agonists, RH-5849

Tetsuya Toya,[a] Kentaro Yamaguchi[b] and Yasuyuki Endo[c]

[a]*Research & Development Laboratories, Nippon Kayaku Co., Ltd., 225-1 Koshikiya, Ageo, Saitama 362-0064, Japan*
[b]*Chemical Analysis Center, Chiba University, 1-33 Yayoi-cho, Inage-ku, Chiba 263-8522, Japan*
[c]*Graduate School of Pharmaceutical Sciences, University of Tokyo, 7-3-1, Hongo, Bunkyo-ku, Tokyo 113-0033, Japan*

Synthesis and conformational analysis of cyclic hydrazine derivatives of ecdysone agonist, RH5849 were investigated six-membered cyclic hydrazine bearing two benzoyl groups exists as three conformational states in solution, and the major unsymmetrical conformer is similar to that of RH-5849 by ^1H NMR experiment and X-ray analysis.



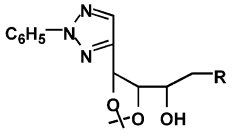
Bioorg. Med. Chem. 10 (2002) 963

Synthesis and Antiviral Activity Evaluation of Novel 2-Phenyl-4-(D-*arabino*-4′-cycloaminobutyl)triazoles: Acyclonucleosides Containing Unnatural Bases

Himanshu,[a] Rahul Tyagi,[a] Carl E. Olsen,[b] William Errington,[c] Virinder S. Parmar[a] and Ashok K. Prasad[a]

[a]*Department of Chemistry, University of Delhi, Delhi-110 007, India*
[b]*Chemistry Department, Royal Veterinary and Agricultural University, 40 Thorvaldsensvej, Frederiksberg C, DK-1871 Copenhagen, Denmark*
[c]*Department of Chemistry, University of Warwick, Coventry CV4 7AL, UK*

Five 2-phenyl-4-(D-*arabino*-4′-cycloamino-3′-hydroxy-*O*-1′,2′-*iso*propylidenebutyl)-2*H*-1,2,3-triazoles, acyclonucleosides containing unnatural bases have been synthesised by opening of the epoxide ring of 2-phenyl-4-(D-*arabino*-3′,4′-epoxy-*O*-1′,2′-*iso*propylidenebutyl)-2*H*-1,2,3-triazole with the corresponding cyclic amine and subjected to antiviral activity evaluation against HIV-1_{IIIB} and HIV-1_{RF} viruses.



R = Cyclic amine

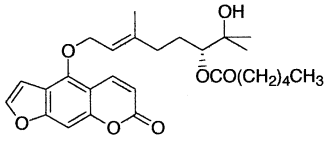
Bioorg. Med. Chem. 10 (2002) 969

Dihydroxybergamottin Caproate as a Potent and Stable CYP3A4 Inhibitor

Tomihisa Ohta, Minoru Nagahashi, Shinzo Hosoi and Sachiko Tsukamoto

Faculty of Pharmaceutical Sciences, Kanazawa University, Takara-machi, Kanazawa 920-0934, Japan

Semi-synthetic dihydroxybergamottin caproate showed potent CYP3A4 inhibition with IC_{50} value of 0.07 μM.



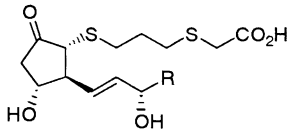
Bioorg. Med. Chem. 10 (2002) 975

Design and Synthesis of a Selective EP4-Receptor Agonist. Part 1: Discovery of 3,7-DithiaPGE$_1$ Derivatives and Identification of Their ω Chains

Toru Maruyama, Masaki Asada, Tai Shiraishi, Hiromu Egashira, Hideyuki Yoshida, Takayuki Maruyama, Shuichi Ohuchida, Hisao Nakai, Kigen Kondo and Masaaki Toda

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

3,7-DithiaPGE$_1$ analogues **4a** and **4p** were discovered as a new chemical lead for development of selective EP4-receptor agonists.



4a R = *n*-C_5H_{11}
4p R = $CH_2C_6H_5$

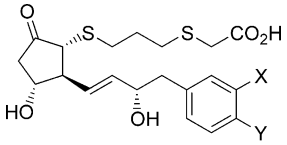
Bioorg. Med. Chem. 10 (2002) 989

Design and Synthesis of a Selective EP4-Receptor Agonist. Part 2: 3,7-DithiaPGE$_1$ Derivatives with High Selectivity

Toru Maruyama, Masaki Asada, Tai Shiraishi, Akiharu Ishida, Hideyuki Yoshida, Takayuki Maruyama, Shuichi Ohuchida, Hisao Nakai, Kigen Kondo and Masaaki Toda

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

3,7-DithiaPGE$_1$ analogues **13-$_{6q}$** and **18-$_{14e}$** were discovered to be highly selective EP4-receptor agonists.



13-$_{6q}$ X = CH_2OCH_3, Y = H
18-$_{14e}$ X = CH_3, Y = OH

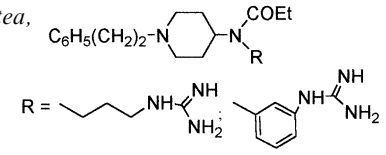
Bioorg. Med. Chem. 10 (2002) 1009

Guanidinium and Aminoimidazolinium Derivatives of *N*-(4-Piperidyl) propanamides as Potential Ligands for μ Opioid and I_2-imidazoline Receptors: Synthesis and Pharmacological Screening

Ana Montero,[a] Pilar Goya,[a] Nadine Jagerovic,[a] Luis F. Callado,[b] J. Javier Meana,[b] Rocío Girón,[c] Carlos Goicoechea[c] and Mª Isabel Martín[c]

[a]*Instituto de Química Médica, CSIC, Juan de la Cierva, 3, E-28006 Madrid, Spain*
[b]*Departamento de Farmacología, Universidad del Pais Vasco/Euskal Herriko Unibertsitatea, Leioa, E-48940 Bizkaia, Spain*
[c]*Facultad de Ciencias de la Salud, Area de Farmacología, Universidad Rey Juan Carlos, Av. de Atenas s/n, E-28922 Madrid, Spain*

The synthesis of *N*-(1-phenethyl-4-piperidyl) propanamides incorporating guanidinium and aminoimidazolinium groups are reported. Two of them showed high affinity for μ opioid receptors and moderate analgesic properties in vivo. Low affinity for the I_2-imidazoline receptor was shown.



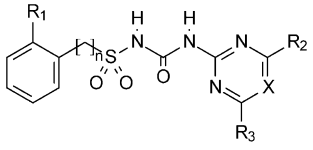
Bioorg. Med. Chem. 10 (2002) 1019

Molecular Structure and Stereoelectronic Properties of Herbicide Sulphonylureas

R. Galeazzi,[a] C. Marucchini,[b] M. Orena[a] and C. Zadra[b]

[a]*Dipartimento di Scienze dei Materiali e della Terra, Università di Ancona, Via Brecce Bianche, I-60131 Ancona, Italy*
[b]*Dipartimento Agroambientale e della Produzione Vegetale, Università di Perugia, Borgo XX Giugno 72, I-06121 Perugia, Italy*

Electronic properties and quantum chemical descriptors for a number of sulphonylureas were determined, in order to correlate them with their activity as inhibitors of ALS synthase.



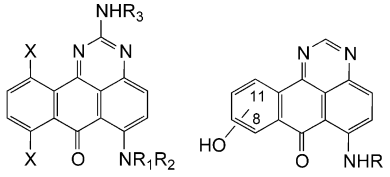
Bioorg. Med. Chem. 10 (2002) 1025

Effect of Modification of 6-[(Aminoalkyl)amino]-7*H*-benzo[*e*]-perimidin-7-ones on Their Cytotoxic Activity Toward Sensitive and Multidrug Resistant Tumor Cell Lines. Synthesis and Biological Evaluation

Maria Dzieduszycka,[a] Sante Martelli,[b] Małgorzata Arciemiuk,[a] Maria M. Bontemps-Gracz,[a] Agnieszka Kupiec[a] and Edward Borowski[a]

[a]*Department of Pharmaceutical Technology and Biochemistry, Technical University of Gdańsk, 80-952 Gdańsk, Poland*
[b]*Department of Chemistry, University of Camerino, 62033 Camerino, Italy*

Derivatives of 6-[(aminoalkyl)amino]-7*H*-benzo[*e*]-perimidin-7-ones were synthesized and evaluated for their cytotoxic activity toward murine and human leukemia sensitive and resistant (MDR and MRP) cell lines.

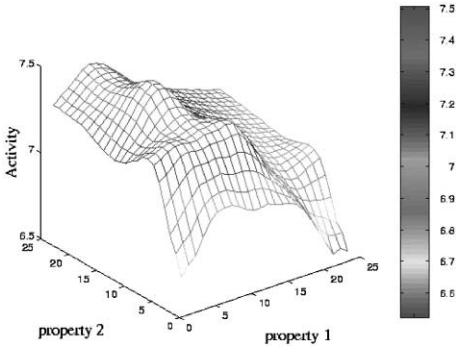


Bioorg. Med. Chem. 10 (2002) 1037

Application of Non-Parametric Regression to Quantitative Structure–Activity Relationships

Jonathan D. Hirst,[a] T. John McNeany,[a] Trevor Howe[b] and Lewis Whitehead[b]

[a]*School of Chemistry, University of Nottingham, University Park, Nottingham NG7 2RD, UK*
[b]*Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB, UK*

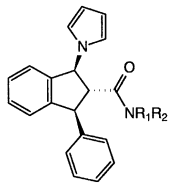


Bioorg. Med. Chem. 10 (2002) 1043

Synthesis of a Novel Class of Non-Peptide NK-2 Receptor Ligand, Derived from 1-Phenyl-3-pyrrol-1-ylindan-2-carboxamides

Jean Guillon,[a] Patrick Dallemagne,[b] Jean-Michel Léger,[a] Jana Sopkova,[b] Philippe R. Bovy,[c] Christian Jarry[a] and Sylvain Rault[b]

[a]*EA 2962—Pharmacochimie, UFR des Sciences Pharmaceutiques, Université Victor Segalen Bordeaux 2, 146, rue Léo Saignat, 33076 Bordeaux Cedex, France*
[b]*Centre d'Etudes et de Recherche sur le Médicament de Normandie, UFR des Sciences Pharmaceutiques, Université de Caen, 1, rue Vaubénard, 14032 Caen Cedex, France*
[c]*Synthélabo, 10, rue des Carrières, 92500 Rueil-Malmaison, France*

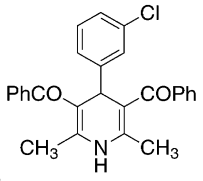


Bioorg. Med. Chem. 10 (2002) 1051

3,5-Dibenzoyl-1,4-dihydropyridines: Synthesis and MDR Reversal in Tumor Cells

Masami Kawase,[a] Anamik Shah,[b] Harsukh Gaveriya,[b] Noboru Motohashi,[c] Hiroshi Sakagami,[d] Andreas Varga[e] and Joseph Molnár[f]

[a]*Faculty of Pharmaceutical Sciences, Josai University, Saitama 350-0295, Japan*
[b]*Department of Chemistry, Saurashtra University, Rajkot-360 005, India*
[c]*Meiji Pharmaceutical University, Tokyo 204-8588, Japan*
[d]*Department of Dental Pharmacology, Meikai University School of Dentistry, Saitama 350-0283, Japan*
[e]*Department of Molecular Parasitology, Humboldt University, Berlin, Germany*
[f]*Faculty of Medicine, Institute of Microbiology, Albert Szent-Györgyi Medical University, Szeged, Hungary*



Bioorg. Med. Chem. 10 (2002) 1057

Studies on the Immuno-Modulating and Antitumor Activities of *Ganoderma lucidum* (Reishi) Polysaccharides: Functional and Proteomic Analyses of a Fucose-Containing Glycoprotein Fraction Responsible for the Activities

Yuan-Yuan Wang,[a] Kay-Hooi Khoo,[b] Shui-Tein Chen,[b] Chun-Cheng Lin,[a] Chi-Huey Wong[a] and Chun-Hung Lin[a,b]

[a]*Laboratory of Bioorganic Chemistry, Institute of Chemistry, Academia Sinica, Taipei, Taiwan*
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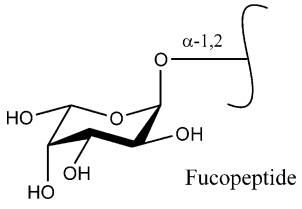
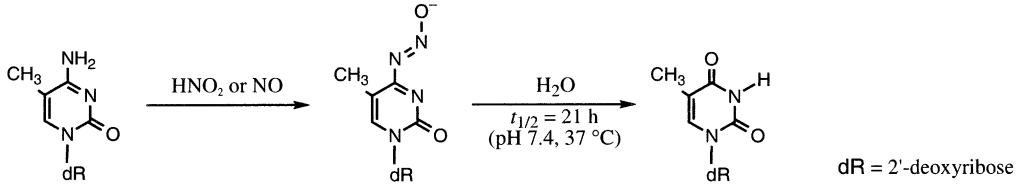


Bioorg. Med. Chem. 10 (2002) 1063

Formation of a Fairly Stable Diazoate Intermediate of 5-Methyl-2′-deoxycytidine by HNO_2 and NO, and Its Implication to a Novel Mutation Mechanism in CpG Site

Toshinori Suzuki,[a] Masaki Yamada,[a] Takanori Nakamura,[b] Hiroshi Ide,[c] Kenji Kanaori,[b] Kunihiko Tajima,[b] Takashi Morii[a] and Keisuke Makino[a]

[a]*Institute of Advanced Energy, Kyoto University, Gokasho, Uji 611-0011, Japan*
[b]*Department of Polymer Science and Engineering, Kyoto Institute of Technology, Matsugasaki, Sakyo-ku, Kyoto 606-8585, Japan*
[c]*Department of Mathematical and Life Sciences, Graduate School of Science, Hiroshima University, Kagamiyama, Higashi-Hiroshima 739-8526, Japan*



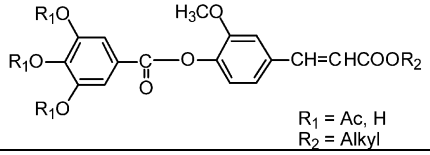
Bioorg. Med. Chem. 10 (2002) 1069

Synthesis of Novel Polyphenols Consisted of Ferulic and Gallic Acids, and Their Inhibitory Effects on Phorbol Ester-Induced Epstein–Barr Virus Activation and Superoxide Generation

Eisaku Nomura,[a] Asao Hosoda,[a] Hideko Morishita,[b] Akira Murakami,[c] Koichi Koshimizu,[c] Hajime Ohigashi[d] and Hisaji Taniguchi[a]

[a]*Industrial Technology Center of Wakayama Prefecture, 60 Ogura, Wakayama 649-6261, Japan*
[b]*Faculty of Education, Wakayama University, 930 Sakaedani, Wakayama 640-8510, Japan*
[c]*Faculty of Biology-Oriented Science and Technology, Kinki University, Iwade-Uchita, Wakayama 649-6493, Japan*
[d]*Division of Food Science and Biotechnology, Graduate School of Agriculture, Kyoto University, Kyoto 606-8502, Japan*

The condensation of the two natural occurring molecules and investigations of inhibitory effects of the conjugates on TPA-induced EBV activation and superoxide generation in vitro are described.



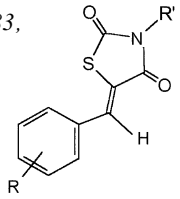
Bioorg. Med. Chem. 10 (2002) 1077

Synthesis and Aldose Reductase Inhibitory Activity of 5-Arylidene-2,4-thiazolidinediones

G. Bruno,[a] L. Costantino,[b] C. Curinga,[c] R. Maccari,[c] F. Monforte,[c] F. Nicolò,[a] R. Ottanà[c] and M.G. Vigorita[c]

[a]*Dipartimento Ch. Inorg., Chim. Anal. e Ch.-Fis, Facoltà Scienze MMFFNN, Università di Messina, Salita Sperone 31, 98166 Messina, Italy*
[b]*Dipartimento Sc. Farmaceutiche, Facoltà Farmacia, Università di Modena e Reggio Emilia, Via G. Campi 183, 41100 Modena, Italy*
[c]*Dipartimento Farmaco-chimico, Facoltà Farmacia, Università di Messina, Vl. SS. Annunziata, 98168 Messina, Italy*

Several (*Z*)-5-arylidene-2,4-thiazolidinediones (**2**–**4**) were synthesized and tested as aldose reductase inhibitors (ARIs) and most of them exerted appreciable inhibitory activity.



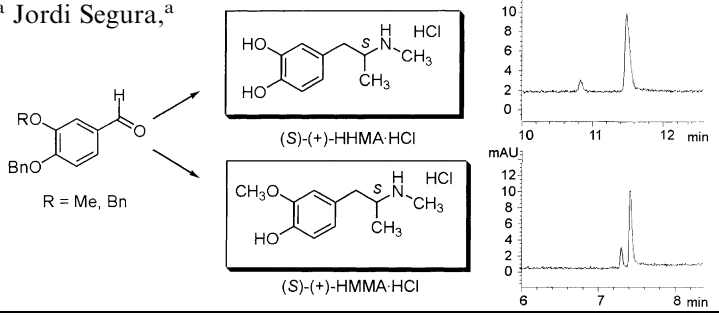
2 - 4

Bioorg. Med. Chem. 10 (2002) 1085

Synthesis and Capillary Electrophoretic Analysis of Enantiomerically Enriched Reference Standards of MDMA and its Main Metabolites

Nieves Pizarro,[a] Rafael de la Torre,[a] Magí Farré,[a] Jordi Segura,[a] Amadeu Llebaria[b] and Jesús Joglar[b]

[a]*Pharmacology Research Unit, Institut Municipal d'Investigació Mèdica (IMIM), Doctor Aiguader 80, E-08003 Barcelona, Spain*
[b]*Department of Biological Organic Chemistry, IIQAB-CSIC, Jordi Girona 18-26, E-08034 Barcelona, Spain*



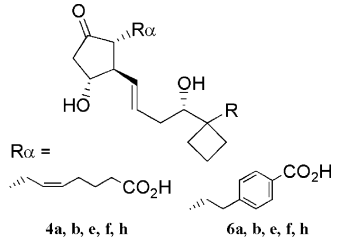
Bioorg. Med. Chem. 10 (2002) 1093

Development of a Highly Selective EP2-Receptor Agonist. Part 1: Identification of 16-hydroxy-17,17-trimethylene PGE_2 Derivatives

Kousuke Tani, Atsushi Naganawa, Akiharu Ishida, Kenji Sagawa, Hiroyuki Harada, Mikio Ogawa, Takayuki Maruyama, Shuichi Ohuchida, Hisao Nakai, Kigen Kondo and Masaaki Toda

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

Two series of prostaglandin analogues **4a**,**b**,**e**,**f**,**h** and **6a**,**b**,**e**,**f**,**h** possessing 15-hydroxy-17,17-trimethylene moiety were identied to be potent and selective EP2-receptor agonists.



4a, b, e, f, h **6a, b, e, f, h**

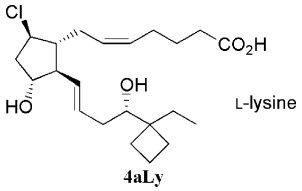
Bioorg. Med. Chem. 10 (2002) 1107

Development of a Highly Selective EP2-receptor Agonist. Part 2: Identification of 16-Hydroxy-17,17-trimethylene 9β-chloro PGF Derivatives

Kousuke Tani, Atsushi Naganawa, Akiharu Ishida, Hiromu Egashira, Kenji Sagawa, Hiroyuki Harada, Mikio Ogawa, Takayuki Maruyama, Shuichi Ohuchida, Hisao Nakai, Kigen Kondo and Masaaki Toda

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

9β-Chloro-16-hydroxy-17,17-trimethylene PGF analogues were identified to be potent and selective EP2-receptor agonists. Among them, **4aLy** exhibited an excellent profile both in biological activities and physiochemical properties.



4aLy

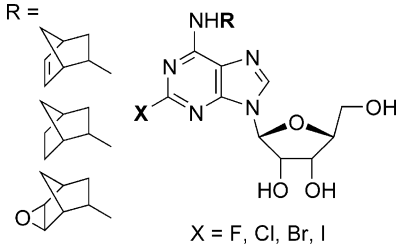
Bioorg. Med. Chem. 10 (2002) 1115

New 2,N^6-Disubstituted Adenosines: Potent and Selective A_1 Adenosine Receptor Agonists

Sally A. Hutchinson,[a] Stephen P. Baker[b] and Peter J. Scammells[a]

[a]*Centre for Chiral & Molecular Technologies, Deakin University, Geelong, VIC 3217, Australia*
[b]*Department of Pharmacology, University of Florida College of Medicine, Box 100267, Gainesville, FL 32610, USA*

A range of 2,N^6-disustututed adenosines were synthesised and evaluated as adenosine receptor agonists. The combination of N^6-norborn-2-yl and 2-halo substitution afforded potent and selective A_1 AR agonists.

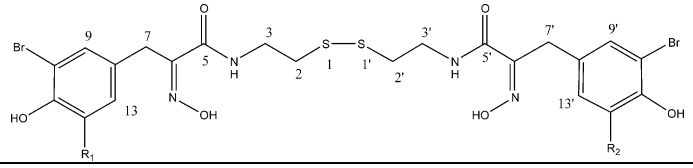


Bioorg. Med. Chem. 10 (2002) 1123

Psammaplin A, a Chitinase Inhibitor Isolated from the Fijian Marine Sponge *Aplysinella Rhax*

J. N. Tabudravu,[a] V. G. H. Eijsink,[c] G. W. Gooday,[b] M. Jaspars,[a] D. Komander,[d] M. Legg,[e] B. Synstad[c] and D. M. F. van Aalten[d]

[a]*Marine Natural Products Laboratory, Department of Chemistry, University of Aberdeen, Old Aberdeen AB24 3UE, Scotland, UK*
[b]*Department of Molecular and Cell Biology, University of Aberdeen, Foresterhill AB25 2ZD, Scotland, UK*
[c]*Department of Chemistry and Biotechnology, Agricultural University of Norway, As, Norway*
[d]*Wellcome Trust Biocentre, School of Life Sciences, University of Dundee, Dundee DD1 5EH, Scotland, UK*
[e]*Syngenta Ltd., Jealott's Hill International Research Centre, Bracknell, Berkshire RG42 6ET, UK*

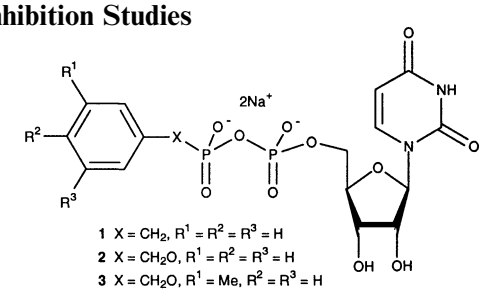


Bioorg. Med. Chem. 10 (2002) 1129

SAR Directed Design and Synthesis of Novel β(1-4)-Glucosyltransferase Inhibitors and Their In Vitro Inhibition Studies

Asish K. Bhattacharya,[a] Florian Stolz,[a] Jürgen Kurzeck,[b] Wolfgang Rüger[b] and Richard R. Schmidt[a]

[a]*Fachbereich Chemie, Universität Konstanz, Fach M725, D-78457 Konstanz, Germany*
[b]*Arbeitsgruppe Molekulare Genetik, Fakultät für Biologie, Ruhr Universität, D-44780 Bochum, Germany*



1 X = CH_2, $R^1 = R^2 = R^3 = H$
2 X = CH_2O, $R^1 = R^2 = R^3 = H$
3 X = CH_2O, R^1 = Me, $R^2 = R^3 = H$
4 X = CH_2O, $R^1 = R^2 = R^3$ = OMe
5 X = CH_2O, $R^1 = R^3$ = OMe, $R^2 = H$

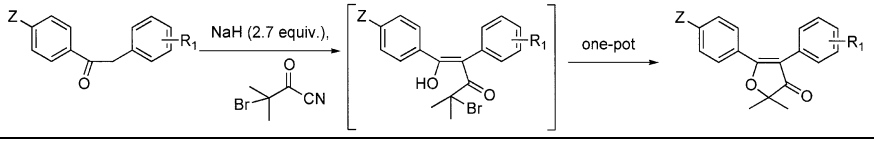
Bioorg. Med. Chem. 10 (2002) 1137

A Facile One-Pot Synthesis of 4,5-Diaryl-2,2-dimethyl-3(*2H*)-furanones

Ki-Wha Lee, Young Hoon Choi, Yung Hyup Joo, Jin Kwan Kim, Song Seok Shin, Young Joo Byun, Yeonjoon Kim and Shin Chung

Drug Discovery, Pacific Corporation R&D Center, 314-1 Bora-ri, Kiheung-eup, Yongin-si, Kyounggi-do 449-729, South Korea

An efficient and practical one-pot synthesis of 4,5-diaryl-2,2-dimethyl-3(*2H*)-furanones has been achieved from 1,2-diarylethanones and 2-bromoisobutyryl cyanide in the presence of excess base.

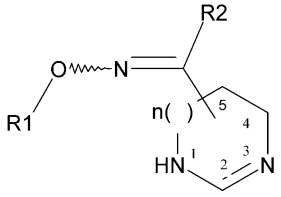


Bioorg. Med. Chem. 10 (2002) 1143

Synthesis and In Vitro Muscarinic Activities of a Series of 1,3-Diazacycloalkyl Carboxaldehyde Oxime Derivatives

Ralf Plate,[a] Christan G.J.M. Jans,[a] Marc J.M. Plaum[a] and Thijs de Boer[b]

[a]*Department of Medicinal Chemistry, N.V. Organon, PO Box 20, 5340 BH Oss, The Netherlands*
[b]*Lead Discovery Unit, N.V. Organon, PO Box 20, 5340 BH Oss, The Netherlands*



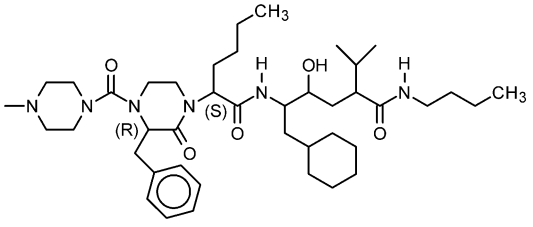
Bioorg. Med. Chem. 10 (2002) 1153

Insights into the Selective Inhibition of *Candida albicans* Secreted Aspartyl Protease: A Docking Analysis Study

S. K. Pranav Kumar and Vithal M. Kulkarni

Pharmaceutical Division, Department of Chemical Technology, University of Mumbai, Mumbai 400 019, India

Docking analysis performed on *Candida albicans* secreted aspartyl protease complexed with selective inhibitors (analogues of compound A-70450) has revealed the importance of hydrophobic and hydrogen bond interactions in mediating the inhibitor selectivity and potency. This analysis should be useful in the design of selective non-peptidic inhibitors of *C. albicans* aspartyl protease.



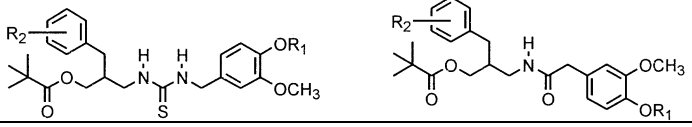
Compound A-70450

Bioorg. Med. Chem. 10 (2002) 1171

Phenolic Modification as an Approach to Improve the Pharmacology of the 3-Acyloxy-2-benzylpropyl Homovanillic Amides and Thioureas, a Promising Class of Vanilloid Receptor Agonists and Analgesics

Jeewoo Lee,[a] Jiyoun Lee,[a] Myung-Sim Kang,[a] Kang-Pil Kim,[b] Suk-Jae Chung,[b] Peter M. Blumberg,[c] Jung-Bum Yi[d] and Young Ho Park[d]

[a]*Laboratory of Medicinal Chemistry College of Pharmacy, Seoul National University, Shinlim-Dong, Kwanak-Ku, Seoul 151-742, South Korea*
[b]*Laboratory of Pharmaceutics, College of Pharmacy, Seoul National University, Shinlim-Dong, Kwanak-Ku, Seoul 151-742, South Korea*
[c]*Laboratory of Cellular Carcinogenesis and Tumor Promotion, Division of Basic Sciences, National Cancer Institute, NIH, Bethesda, MD 20892, USA*
[d]*Pacific R&D Center, 314-1, Bora-Ri, Kiheung-Eup, Yougin-Si, Kyounggi-Do 449-900, South Korea*



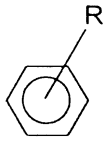
Bioorg. Med. Chem. 10 (2002) 1181

QSAR Study on Toxicity to Aqueous Organisms Using the PI Index

Padmakar V. Khadikar,[a] Anjani Phadnis[b] and Anjali Shrivastava[c]

[a]*Research Division, Laxmi Fumigation and Pest Control Pvt. Ltd., 3, Khatipura, Indore 452 007, India*
[b]*Department of Chemistry, Ranjeet Singh College, Khandwa Road, Indore 452 001, India*
[c]*Department of Chemistry, Holkar Model and Autonomous College, Indore 452 001, India*

Quantitative structure—toxicity relationship (QSTR) studies for a group of benzene derivatives have been made using recently introduced PI Padmakar–Ivan (PI) Index. Excellent results are obtained in tetra-parametric correlations upon the introduction of indicator parameters. The predictive potential of the proposed models is discussed on the basis of cross-validation parameters. The superiority of the PI index over other topological indices is discussed critically.



Bioorg. Med. Chem. 10 (2002) 1189

Syntheses of Ferulic Acid Derivatives and Their Suppressive Effects on Cyclooxygenase-2 Promoter Activity

Asao Hosoda,[a] Yoshihiko Ozaki,[a] Ayumi Kashiwada,[b] Michihiro Mutoh,[c] Keiji Wakabayashi,[c] Kazuhiko Mizuno,[d] Eisaku Nomura[a] and Hisaji Taniguchi[a]

[a]*Industrial Technology Center of Wakayama Prefecture, 60 Ogura, Wakayama 649-6261, Japan*
[b]*Japan Science and Technology Corporation, 4-1-8 Honmachi, Kawaguchi 332-0012, Japan*
[c]*Cancer Prevention Division, National Cancer Center Research Institute, 5-1-1, Tsukiji, Chuo-ku, Tokyo 104-0045, Japan*
[d]*Department of Applied Chemistry, College of Engineering, Osaka Prefecture University, 1-1 Gakuen-cho, Sakai, Osaka 599-8531, Japan*

Novel ferulic acid derivatives were synthesized, and their COX-2 promoter activity was evaluated.