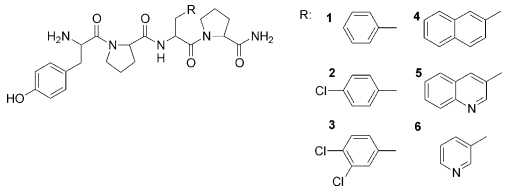
Bioorg. Med. Chem. 11 (2003) 3855

Synthesis of Novel Morphiceptin Analogues Modified in Position 3 and Their Binding to μ-Opioid Receptors in Experimental Mammary Adenocarcinoma

A. Janecka,[a,*] J. Fichna,[a] R. Wiercioch[b] and M. Mirowski[b]

[a]*Department of Medicinal Chemistry, Medical University of Lodz, Mazowiecka 6/8, Lodz, Poland*
[b]*Department of Pharmaceutical Biochemistry, Medical University, Lodz, Poland*

A new series of morphiceptin analogues was synthesized and their binding to experimental mouse adenocarcinoma was examined in in vitro and in vivo studies.



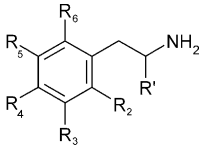
Bioorg. Med. Chem. 11 (2003) 3861

Electron-Conformational Study for the Structure–Hallucinogenic Activity Relationships of Phenylalkylamines

Ahmet Altun,[a,*] Kurtulus Golcuk,[a] Mustafa Kumru[a] and Abraham F. Jalbout[b]

[a]*Department of Physics, Fatih University, 34900, B. Çekmece, Istanbul, Turkey*
[b]*Department of Chemistry, The University of New Orleans, New Orleans, LA 70148-2820, USA*

Reported herein is an investigation of the structure–hallucinogenic activity relationships of a series of phenylalkylamine derivaties by means of electron-confirmational method.



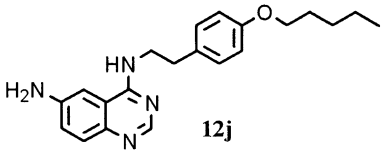
Bioorg. Med. Chem. 11 (2003) 3869

A Novel Structural Class of Potent Inhibitors of NF-κB Activation: Structure–Activity Relationships and Biological Effects of 6-Aminoquinazoline Derivatives

Masanori Tobe, Yoshiaki Isobe, Hideyuki Tomizawa, Takahiro Nagasaki, Hirotada Takahashi and Hideya Hayashi*

Research Division, Sumitomo Pharmaceuticals Co., Ltd., 1-98 Kasugade Naka 3-Chome, Konohana-ku, Osaka 554-0022, Japan

Compound **12j** showed a potent inhibitory activity toward NF-κB activation with IC_{50} value of 2 nM, and also showed an excellent anti-inflammatory effect.



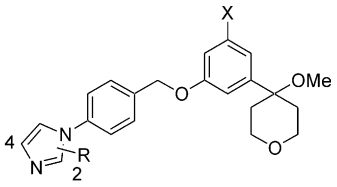
Bioorg. Med. Chem. 11 (2003) 3879

Novel Imidazole Compounds as a New Series of Potent, Orally Active Inhibitors of 5-Lipoxygenase

Takashi Mano,* Rodney W. Stevens, Kazuo Ando, Kazunari Nakao, Yoshiyuki Okumura, Minoru Sakakibara, Takako Okumura, Tetsuya Tamura and Kimitaka Miyamoto

Pfizer Global Research & Development, Nagoya Laboratories, 5-2 Taketoyo, Aichi 470-2393, Japan

Discovery, synthesis and structure–activity relationship (SAR) of novel imidazole 5-lipoxygenase inhibitors are reported.

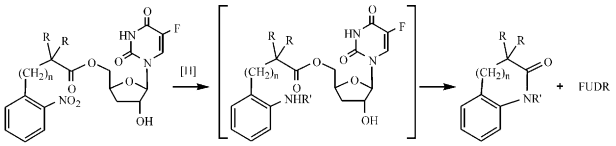


Bioorg. Med. Chem. 11 (2003) 3889

5′-(2-Nitrophenylalkanoyl)-2′-deoxy-5-fluorouridines as Potential Prodrugs of FUDR for Reductive Activation

Bin Liu and Longqin Hu*

Department of Pharmaceutical Chemistry, Ernest Mario School of Pharmacy, Rutgers, The State University of New Jersey, 160 Frelinghuysen Road, Piscataway, NJ 08854, USA



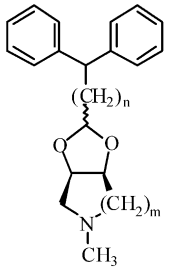
Bioorg. Med. Chem. 11 (2003) 3901

Muscarinic Subtypes Profile Modulation within a Series of New Antagonists, Bridged Bicyclic Derivatives of 2,2-Diphenyl-[1,3]-dioxolan-4-ylmethyl-dimethylamine

Alessandro Piergentili, Francesco Gentili, Francesca Ghelfi, Gabriella Marucci, Maria Pigini, Wilma Quaglia and Mario Giannella*

Dipartimento di Scienze Chimiche, Università degli Studi di Camerino, Via S. Agostino, 1, 62032 Camerino, Italy

The *trans* stereoisomer **6** ($n=1$, $m=1$) is a muscarinic antagonist equipotent with Pirenzepine on rabbit vas deferens (M_1-putative) but shows a better selectivity profile.

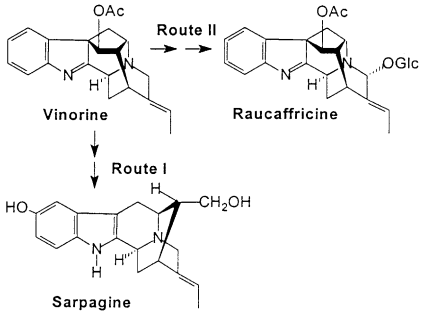


Bioorg. Med. Chem. 11 (2003) 3913

In Vivo Monitoring of Alkaloid Metabolism in Hybrid Plant Cell Cultures by 2D Cryo-NMR without Labelling

Christiane Hinse,[a] Christian Richter,[b] Alessandro Provenzani[c] and Joachim Stöckigt[a,*]

[a]*Lehrstuhl für Pharmazeutische Biologie, Institut für Pharmazie, Johannes Gutenberg-Universität, Staudinger Weg 5, D-55099 Mainz, Germany*
[b]*Bruker BioSpin AG, NMR Division, Industriestrasse 26, CH-8117 Fällanden, Switzerland*
[c]*Centro di Risonanze Magnetiche, Universita degli Studi di Firenze, Via L. Sacconi 6, I-50019 Sesto Fiorentino, Firenze, Italy*

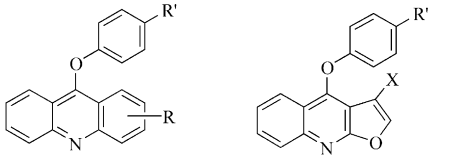


Bioorg. Med. Chem. 11 (2003) 3921

Synthesis and Anti-Inflammatory Evaluation of 9-Phenoxyacridine and 4-Phenoxyfuro[2,3-*b*]quinoline Derivatives. Part 2

Yeh-Long Chen,[a,*] I-Li Chen,[a] Chih-Ming Lu,[a] Cherng-Chyi Tzeng,[a] Lo-Ti Tsao[b] and Jih-Pyang Wang[b]

[a]*School of Medicinal and Applied Chemistry, College of Life Science, Kaohsiung Medical University, Kaohsiung City 807, Taiwan*
[b]*Department of Education and Research, Taichung Veterans General Hospital, Taichung 407, Taiwan*



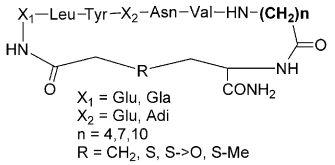
Bioorg. Med. Chem. 11 (2003) 3929

Global Optimization of Conformational Constraint on Non-phosphorylated Cyclic Peptide Antagonists of the Grb2-SH2 Domain

Ya-Qiu Long,[a,*] Feng-Di T. Lung[b] and Peter P. Roller[b,*]

[a]*State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Shanghai Institutes for Biological Sciences, Chinese Academy of Sciences, 555 Zuchongzhi Road, Shanghai 201203, China*
[b]*Laboratory of Medicinal Chemistry, Division of Basic Sciences, National Cancer Institute, National Institutes of Health, FCRDC, Building 376, PO Box B, Frederick, MD 21702, USA*

A series of small peptide analogues with various cyclization linkage or various ring size were designed and synthesized and evaluated to optimize the conformational constraint of the non-phosphorylated cyclic Grb2-SH2 antagonist, thus providing new templates with improved activity and less peptidic character for further chemical elaboration.



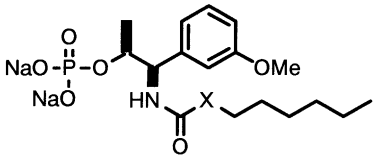
Bioorg. Med. Chem. 11 (2003) 3937

Synthesis, Further Biological Evaluation and Pharmacodynamics of Newly Discovered Inhibitors of TNF-α Production

Toshiaki Matsui,[a,*] Takashi Kondo,[b] Shingo Nakatani,[b] Nagashige Omawari,[c] Masaru Sakai,[b] Hideaki Mori,[b] Akihito Ogata,[b] Junya Kato,[b] Hiroyuki Ohno,[b] Takaaki Obata,[b] Hisao Nakai[b] and Masaaki Toda[b]

[a]*Fukui Research Institute, Ono Pharmaceutical Co., Ltd., Technoport, Yamagishi, Mikuni, Sakai, Fukui 913-0032, Japan*
[b]*Minase Research Institute, Ono Pharmaceutical Co., Ltd., Shimamoto, Mishima, Osaka 618-8585, Japan*
[c]*Headquarters, Ono Pharmaceutical Co., Ltd., Doshoumachi, Chuou, Osaka 541-8526, Japan*

More efficient alternative synthesis, hypotensive activity and pharmacokinetic data of new inhibitors of TNF-α production **2a**, **2b** and others are reported.



2a : X = CH_2, **2b**: X = O

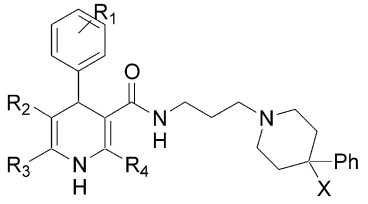
Bioorg. Med. Chem. 11 (2003) 3945

Self-Organizing Molecular Field Analysis on α_{1a}-Adrenoceptor Dihydropyridine Antagonists

Minyong Li, Lüpei Du, Bin Wu and Lin Xia*

Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing 210009, China

A self-organizing molecular field analysis on 63 α_{1a}-adrenoceptor dihydropyridine antagonists (50 in the training set and 13 in the test set) is represented.

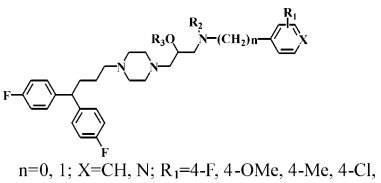


Bioorg. Med. Chem. 11 (2003) 3953

Novel Diphenylalkyl Piperazine Derivatives with High Affinities for the Dopamine Transporter

Makoto Kimura,[a,*] Tomoko Masuda,[a] Koji Yamada,[a] Masaki Mitani,[a] Nobuo Kubota,[a] Nobuyuki Kawakatsu,[a] Kenichi Kishii,[a] Masato Inazu,[b] Yuji Kiuchi,[c] Katsuji Oguchi[d] and Takayuki Namiki[a,*]

[a]*POLA Chemical Industries, Inc., Pharmaceutical R&D Laboratories, 560 Kashio-cho, Totsuka-ku, Yokohama, Kanagawa 244-0812, Japan*
[b]*Department of Pharmacology, and Intractable Disease Research Center, Tokyo Medical University, 6-1-1 Shinjuku, Shinjuku-ku, Tokyo 160-8402, Japan*
[c]*Department of Pathophysiology, School of Pharmaceutical Sciences, Showa University, 1-5-8Hatanodai, Shinagawa-ku, Tokyo 142-8555, Japan*
[d]*Department of Pharmacology, School of Medicine, Showa University, 1-5-8Hatanodai, Shinagawa-ku, Tokyo 142-8555, Japan*



n=0, 1; X=CH, N; R_1=4-F, 4-OMe, 4-Me, 4-Cl, 4-NO_2, 4-$N(CH_3)_2$, 3,4-diCl, 3,4,5-triOMe, 4-NH_2, 4-OH, 3,5-di-*tert*-Bu-4-OH; R_2=H, Me, Ac, Ms; R_3=H, Me, Ac.

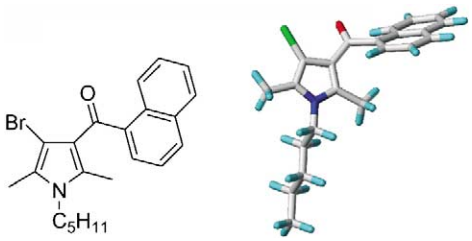
Bioorg. Med. Chem. 11 (2003) 3965

Synthesis and Structure–Activity Relationships of a Series of Pyrrole Cannabinoid Receptor Agonists

Giorgio Tarzia,[a,*] Andrea Duranti,[a] Andrea Tontini,[a] Gilberto Spadoni,[a] Marco Mor,[b] Silvia Rivara,[b] Pier Vincenzo Plazzi,[b] Satish Kathuria[c] and Daniele Piomelli[c]

[a]*Istituto di Chimica Farmaceutica e Tossicologica, Università degli Studi di Urbino 'Carlo Bo', Piazza del Rinascimento 6, I-61029 Urbino, Italy*
[b]*Dipartimento Farmaceutico, Università degli Studi di Parma, Parco Area delle Scienze 27/A, I-43100 Parma, Italy*
[c]*Department of Pharmacology, 360 MSII, University of California, Irvine, CA 92697-4625, USA*

Chemical modulations in a series of pyrrole derivatives revealed the importance of 2- and 5-methyl groups, and that of a bromine atom in position 4, for binding affinity towards CB_1 and CB_2 receptors. The results obtained provide new insights, also regarding the possibility of bioisosteric replacement of the naphthyl nucleus, in the field of non classical cannabinoid receptor agonists related to aminoalkylindoles.



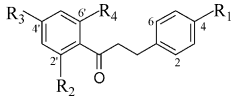
Bioorg. Med. Chem. 11 (2003) 3975

Antileishmanial Activities of Dihydrochalcones from *Piper elongatum* and Synthetic Related Compounds. Structural Requirements for Activity

Alicia Hermoso,[a] Ignacio A. Jiménez,[b] Zulma A. Mamani,[a] Isabel L. Bazzocchi,[b,*] José E. Piñero,[a] Angel G. Ravelo[b] and Basilio Valladares[a]

[a]*Departamento de Parasitología, Ecología y Genética, Facultad de Farmacia, Universidad de La Laguna, Avda. Francisco Sánchez s/n, La Laguna, 38206 Tenerife, Canary Islands, Spain*
[b]*Instituto Universitario de Bio-Orgánica Antonio González, Universidad de La Laguna, Avda. Astrofísico Francisco Sánchez 2, La Laguna, 38206 Tenerife, Canary Islands, Spain*

Two dihydrochalcones were isolated from *Piper elongatum* by activity-guided fractionation against extracellular promastigotes of *Leishmania braziliensis*. Their structures were elucidated by spectral analysis. Their acetylated derivatives and 20 synthetic related compounds were also assayed to establish the structural requirements for antileishmanial activity. The most active compounds were further assayed against *Leishmania tropica* and *Leishmania infantum*. Correlation between the molecular structures and activity is discussed in detail.



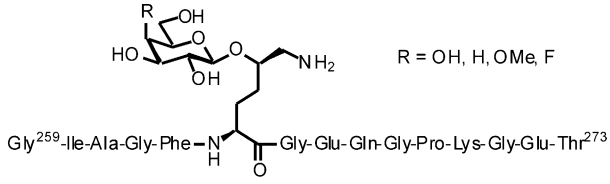
Bioorg. Med. Chem. 11 (2003) 3981

Role of the Galactosyl Moiety of Collagen Glycopeptides for T-Cell Stimulation in a Model for Rheumatoid Arthritis

Björn Holm,[a] Syed M. Baquer,[a] Lotta Holm,[a] Rikard Holmdahl[b] and Jan Kihlberg[a,*]

[a]*Organic Chemistry, Department of Chemistry, Umeå University, SE-901 87 Umeå, Sweden*
[b]*Section of Medical Inflammation Research, Lund University, Sölvegatan 19, I11 BMC, SE-221 84 Lund, Sweden*

HO-4 in the galactose moiety of the CII259-273 glycopeptide makes critical contacts with the T-cell receptor. Modifications at this position lead to large changes in the T-cell response.



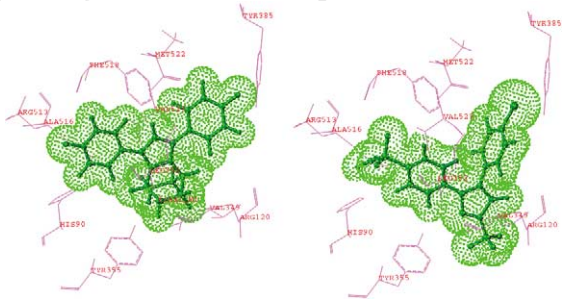
Bioorg. Med. Chem. 11 (2003) 3989

Computer-Aided Design of Non Sulphonyl COX-2 Inhibitors: An Improved Comparative Molecular Field Analysis Incorporating Additional Descriptors and Comparative Molecular Similarity Indices Analysis of 1,3-Diarylisoindole Derivatives

Asit K. Chakraborti* and R. Thilagavathi

Department of Medicinal Chemistry, National Institute of Pharmaceutical Education and Research (NIPER), Sector 67, S.A.S. Nagar, Punjab-160-062, India

CoMFA, CoMSIA studies have been performed to derive a 3-D QSAR model for a set of 1,3-diaryl 4,5,6,7-tetrahydro-2*H*-isoindoles. Incorporation of CMR produced an improved 3-D QSAR model.



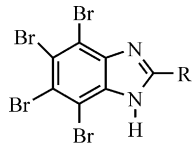
Bioorg. Med. Chem. 11 (2003) 3997

Polyhalogenobenzimidazoles: Synthesis and Their Inhibitory Activity against Casein Kinases

Mariola Andrzejewska,[a] Mario A. Pagano,[b] Flavio Meggio,[b] Anna Maria Brunati[b] and Zygmunt Kazimierczuk[a,c,*]

[a]*Institute of Chemistry, Agricultural University, 159C Nowoursynowska St., 02-787 Warsaw, Poland*
[b]*Department of Biological Chemistry, University of Padova, and Istituto di Neuroscienze del CNR, viale G. Colombo 3, 35-121 Padova, Italy*
[c]*Laboratory of Experimental Pharmacology, Polish Academy of Sciences Medical Research Center, 5 Pawinskiego St., 02-106 Warsaw, Poland*

A series of polyhalogenated benzimidazoles was prepared and their activity against casein kinases CK1, CK2 and G-CK was studied.



$R = C_nF_{2n+1}$, Cl, Br, SH

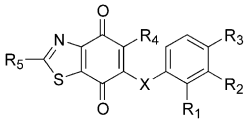
Bioorg. Med. Chem. 11 (2003) 4003

Synthesis and Antifungal Activity of 6-Arylthio-/6-Arylamino-4,7-dioxobenzothiazoles

Chung-Kyu Ryu,* Ko Un Choi, Ju-Yeon Shim, Hea-Jung You, Ik Hwa Choi and Mi Jin Chae

College of Pharmacy, Ewha Womans University, Seodaemun-ku, Seoul 120-750, South Korea

6-Arylthio-/6-arylamino-4,7-dioxobenzothiazoles were synthesized and tested for in vitro antifungal activity against pathogenic fungi. Among them, 6-arylamino-4,7-dioxobenzothiazoles exhibited potent antifungal activity.



X = NH or S
R_1, R_2, R_3 = H, F, Cl, ..
R_4, R_5 = H, CH_3, or CH_3O

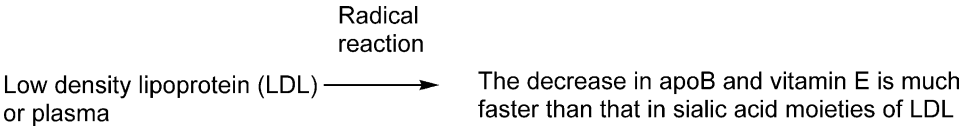
Bioorg. Med. Chem. 11 (2003) 4009

Higher Reactivity of Apolipoprotein B-100 and α-Tocopherol Compared to Sialic Acid Moiety of Low-Density Lipoprotein (LDL) in Radical Reaction

Nao Matsukawa, Yoko Nariyama, Ryoko Hashimoto and Shosuke Kojo*

Department of Food Science and Nutrition, Nara Women's University, Nara 630-8506, Japan

The decrease in apolipoprotein B (apoB) and vitamin E proceeded much faster than that in apoB-bound sialic acid moieties during radical reaction of isolated low-density lipoprotein (LDL) as well as of plasma.



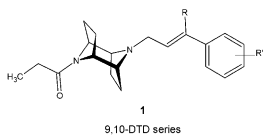
Bioorg. Med. Chem. 11 (2003) 4015

Synthesis of Novel Diazatricyclodecanes (DTDs). Effects of Structural Variation at the C3′ Allyl End and at the Phenyl Ring of the Cinnamyl Chain on μ-Receptor Affinity and Opioid Antinociception

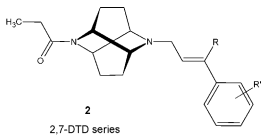
Gérard Aimè Pinna,[a,*] Giorgio Cignarella,[b] Stefania Ruiu,[c] Giovanni Loriga,[a,c] Gabriele Murineddu,[a,c] Stefania Villa,[b] Giuseppe Enrico Grella,[a] Gregorio Cossu[d] and Walter Fratta[d]

[a]*Dipartimento Farmaco Chimico Tossicologico, Università di Sassari, via F. Muroni 23/A, 07100 Sassari, Italy*
[b]*Istituto di Chimica Farmaceutica e Tossicologica, Università di Milano, viale Abruzzi 42, 20131 Milan, Italy*
[c]*Neuroscienze S.c.a.r.l., Zona Industriale Macchiareddu, 09010 Uta, Cagliari, Italy*
[d]*Dipartimento di Neuroscienze, Università di Cagliari, Cittadella Universitaria, 09042 Monserrato, Cagliari, Italy*

Some news *N*-aralkenyl analogues were prepared in the 9,10-DTD series **1** and 2,7-DTD series **2** and the effect of the *N*-substituent on opioid receptor affinity was examined. Several *N*-substituted diazatricyclodecanes were found to possess high μ-affinity and selectivity. Compound **1b** (R = CH_3, R′ = H) showed similar analgesic activity, in the mouse hot plate assay following intraperitoneal (ip) administration, as morphine.



1
9,10-DTD series



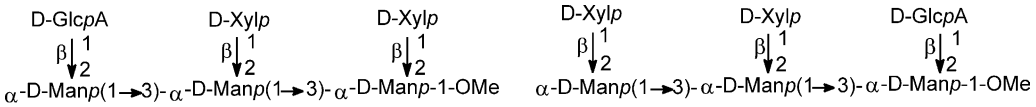
2
2,7-DTD series

Bioorg. Med. Chem. 11 (2003) 4027

Facile Syntheses of the Hexasaccharide Repeating Unit of the Exopolysaccharide from Cryptococcus Neoformans Serovar A

Jianjun Zhang and Fanzuo Kong*

Research Center for Eco-Environmental Sciences, Chinese Academy of Sciences, Beijing 100085, China



Bioorg. Med. Chem. 11 (2003) 4039

Topological Approach to Quantifying Molecular Lipophilicity of Heterogeneous Set of Organic Compounds

Vijay K. Agrawal,[a] Shahnaz Bano[a] and Padmakar V. Khadikar[b,*]

[a]*QSAR and Computer Chemical Laboratories, A.P.S. University, Rewa-486 003, India*
[b]*Research Division, Laxmi Fumigation and Pest Control Pvt. Ltd., 3 Khatipura, Indore-452 007, India*

The lipophilicity of the large set of organic compounds is investigated using distance-based topological indices. The results haveshown that molecular lipophilicity can be modeled in multi-parametric model in that W, $^1\chi$, B, J and logRB along with indicator parameters are involved. The results are discussed critically using cross-validated parameters.

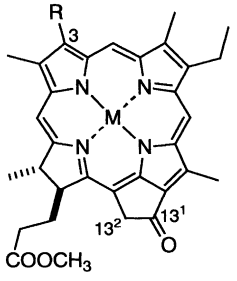
Bioorg. Med. Chem. 11 (2003) 4049

Synthesis of ^{18}O-Labelled Chlorophyll Derivatives at Carbonyl Oxygen Atoms by Acidic Hydrolysis of the Ethylene Ketal and Acetal

Hidetada Morishita and Hitoshi Tamiaki*

Department of Bioscience and Biotechnology, Faculty of Science and Engineering, Ritsumeikan University, Kusatsu, Shiga 525-8577, Japan

13^1-Singly and 3^1,13^1-doubly ^{18}O-oxo-labelled chlorophyll derivatives (ca. 90% ^{18}O-atom, M = H_2, Zn) lacking 13^2-$COOCH_3$ and possessing various 3-substituents (R = CH = CH_2, $COCH_3$, $CH(OH)CH_3$, CHO, CH_2OH) were prepared.



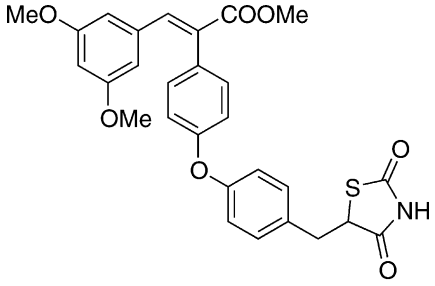
Bioorg. Med. Chem. 11 (2003) 4059

Synthesis and Structure–Activity Relationship Studies of Cinnamic Acid-based Novel Thiazolidinedione Antihyperglycemic Agents

Partha Neogi,[a,*] Fredrick J. Lakner,[a] Satyanarayana Medicherla,[b] Jin Cheng,[b] Debendranath Dey,[c] Maya Gowri,[c] Bishwajit Nag, Somesh D. Sharma, Lesley B. Pickford[b] and Coleman Gross[d]

[a]*Department of Chemistry, Calyx Therapeutics Inc., 3513 Breakwater Avenue, Hayward, CA 94545, USA*
[b]*Department of Physiology, Calyx Therapeutics Inc., 3513 Breakwater Avenue, Hayward, CA 94545, USA*
[c]*Department of Biochemistry, Calyx Therapeutics Inc., 3513 Breakwater Avenue, Hayward, CA 94545, USA*
[d]*Department of Clinical Development, Calyx Therapeutics Inc., 3513 Breakwater Avenue, Hayward, CA 94545, USA*

Novel cinnamic acid based thiazolidinediones are synthesized and evaluated their PPARγ agonist activity.



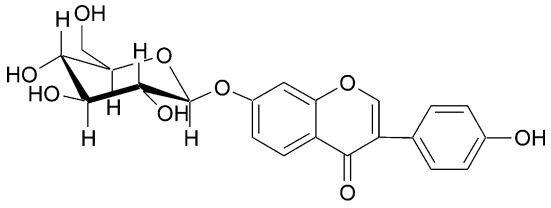
Bioorg. Med. Chem. 11 (2003) 4069

Synthesis of Daidzin Analogues as Potential Agents for Alcohol Abuse

Guang-Yao Gao, Dian-Jun Li and Wing Ming Keung*

Center for Biochemical and Biophysical Science and Medicine and Department of Psychiatry at Massachusetts Mental Health Center, Harvard Medical School, Boston, MA 02115, USA

Daidzin reduces alcohol intake in alcohol preferring Syrian golden hamsters by raising the mitochondrial MAO/ALDH-2 activity ratio. The synthesis of 36 new daidzin analogues and their effect on liver MAO and ALDH-2 activity is described.

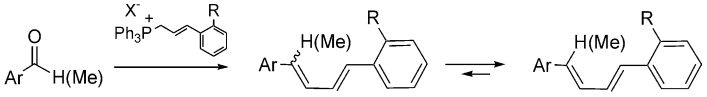


Bioorg. Med. Chem. 11 (2003) 4083

Synthesis and Evaluation of a Series of 1,4-Diarylbutadienes for Anticoccidial Activity

Jennifer L. Gage,* Herbert A. Kirst, Deirdre O'Neil, Bridget A. David, Charles K. Smith, II and Sharon A. Naylor

Elanco Animal Health Research and Development, A Division of Eli Lilly & Co., 2001 West Main Street, Greenfield, IN 46140-0708, USA



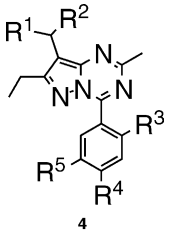
Bioorg. Med. Chem. 11 (2003) 4093

Pyrazolo-[1,5-*a*]-1,3,5-triazine Corticotropin-Releasing Factor (CRF) Receptor Ligands

Paul J. Gilligan,* Beverly K. Folmer, Richard A. Hartz, Stephanie Koch, Kausik K. Nanda, Stephen Andreuski, Lawrence Fitzgerald, Keith Miller and William J. Marshall

Bristol-Myers Squibb Co., Discovery Chemistry Department and E.I. DuPont de Nemours and Co., Central Research and Development Department, Experimental Station, Wilmington, DE 19880-0500, USA

The syntheses and rat CRF receptor binding affinities of compounds **4** are described. Example **4j** ($R^1 = R^2 = Pr$, $R^3 = Cl$, $R^4 = R^5 = OMe$) had very high affinity ($K_i = 0.7$ nM).



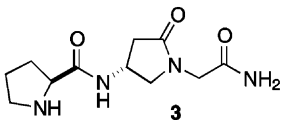
4

Bioorg. Med. Chem. 11 (2003) 4103

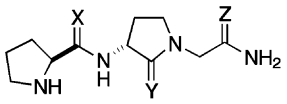
iso-Lactam and Reduced Amide Analogues of the Peptidomimetic Dopamine Receptor Modulator 3(*R*)-[(2(*S*)-Pyrrolidinylcarbonyl)amino]-2-oxo-1-pyrrolidineacetamide

Kristine Dolbeare,[a] Giuseppe F. Pontoriero,[b] Suresh K. Gupta,[b] Ram K. Mishra[b] and Rodney L. Johnson[a,*]

[a]*Department of Medicinal Chemistry, University of Minnesota, 308Harvard St. SE, Minneapolis, MN 55455, USA*
[b]*Department of Psychiatry and Behavioural Neurosciences, McMaster University, 1200 Main St. W., Hamilton, Ontario, Canada L8N 3Z5*



3



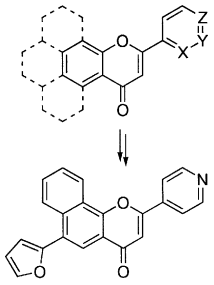
4: X = Z = O, Y = H_2
5: X = H_2, Y = Z = O
6: X = Y = O, Z = H_2

Bioorg. Med. Chem. 11 (2003) 4113

Benzoflavone Activators of the Cystic Fibrosis Transmembrane Conductance Regulator: Towards a Pharmacophore Model for the Nucleotide-Binding Domain

Mark F. Springsteel,[a] Luis J.V. Galietta,[b] Tonghui Ma,[c] Kolbot By,[a] Gideon O. Berger,[a] Hong Yang,[c] Christopher W. Dicus,[a] Wonken Choung,[a] Chao Quan,[a] Anang A. Shelat,[e] R. Kiplin Guy,[d] A.S. Verkman,[c] Mark J. Kurth[a,*] and Michael H. Nantz[a,*]

[a]*Department of Chemistry, University of California, Davis, CA 95616, USA*
[b]*Laboratorio di Genetica Molecolare, Istituto Giannina Gaslini, 16148 Genova, Italy*
[c]*Departments of Medicine and Physiology, Cardiovascular Research Institute, University of California, San Francisco, CA 94143, USA*
[d]*Departments of Pharmaceutical Chemistry and Cellular and Molecular Pharmacology, University of California, San Francisco, CA 94143, USA*
[e]*Chemistry and Chemical Biology Program, University of California, San Francisco, CA 94143, USA*



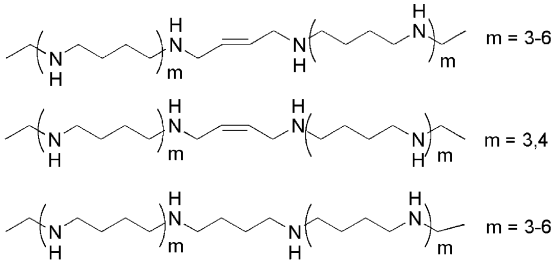
UCCF-339

Bioorg. Med. Chem. 11 (2003) 4121

Long-Chain Polyamines (Oligoamines) Exhibit Strong Cytotoxicities against Human Prostate Cancer Cells

Aldonia Valasinas, Venodhar K. Reddy, Andrei V. Blokhin, Hirak S. Basu, Subhra Bhattacharya, Aparajita Sarkar, Laurence J. Marton and Benjamin Frydman*

SLIL Biomedical Corp., 535 Science Drive, Suite C, Madison, WI 53711, USA

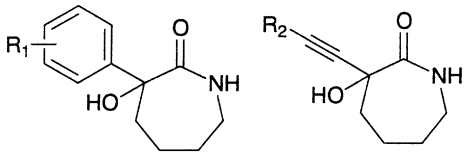


Bioorg. Med. Chem. 11 (2003) 4133

Design, Synthesis, and Development of Novel Caprolactam Anticonvulsants

Jonathan B. Grimm,[a] James P. Stables[b] and Milton L. Brown[a,*]

[a]*Department of Chemistry, University of Virginia, McCormick Road, PO Box 400319, Charlottesville, VA 22904, USA*
[b]*Anticonvulsant Drug Development Program, National Institute of Neurological Disorders and Stroke, 6001 Rockville, MD 20892, USA*



Bioorg. Med. Chem. 11 (2003) 4143

Novel Ligands for the Opioid Receptors: Synthesis and Structure–Activity Relationships among 5′-Aryl and 5′-Heteroaryl 17-Cyclopropylmethyl-4,5α-epoxypyrido[2′,3′:6,7]morphinans

Subramaniam Ananthan,[a,*] Naveen K. Khare,[a] Surendra K. Saini,[a] Peg Davis,[b] Christina M. Dersch,[c] Frank Porreca[b] and Richard B. Rothman[c]

[a]*Organic Chemistry Department, Southern Research Institute, Birmingham, AL 35255, USA*
[b]*Department of Pharmacology, The University of Arizona Health Sciences Center, Tucson, AZ 85724, USA*
[c]*Clinical Psychopharmacology Section, IRP, National Institute on Drug Abuse, Baltimore, MD 21224, USA*