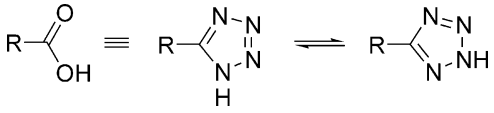
Bioorg. Med. Chem. 10 (2002) 3379

5-Substituted-1*H*-tetrazoles as Carboxylic Acid Isosteres: Medicinal Chemistry and Synthetic Methods

R. Jason Herr

Medicinal Chemistry Department, Albany Molecular Research, Inc., PO Box 15098, Albany, NY 12212-5098, USA

5-Substituted-1*H*-tetrazoles (RCN_4H) are used as metabolism-resistant surrogates for carboxylic acids (RCO_2H). This review provides a brief summary of the medicinal chemistry of tetrazoles and presents a survey of literature procedures for the preparation of tetrazolic acids, focusing on preparations from aryl and alkyl nitriles.



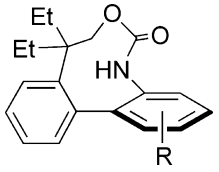
Bioorg. Med. Chem. 10 (2002) 3395

Synthesis and Biological Evaluation of A-Ring Biaryl-Carbamate Analogues of Rhazinilam

Olivier Baudoin, Fabien Claveau, Sylviane Thoret, Audrey Herrbach, Daniel Guénard and Françoise Guéritte

Institut de Chimie des Substances Naturelles, CNRS, avenue de la Terrasse, 91198 Gif-sur-Yvette cedex, France

A three-step synthesis of A-ring biaryl-carbamate analogues of rhazinilam is presented and their antitubulin and cytotoxic properties are discussed.



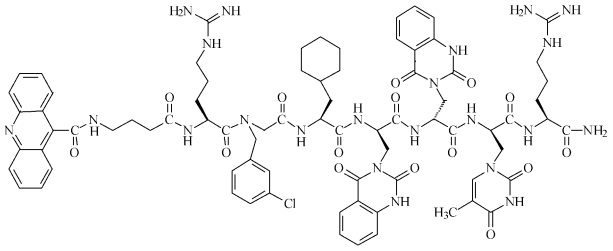
Bioorg. Med. Chem. 10 (2002) 3401

New dsDNA Binding Unnatural Oligopeptides with Pyrimidine Selectivity

Zhenyu Zhang, Patrick Chaltin, Arthur Van Aerschot, Jeff Lacey, Jef Rozenski, Roger Busson and Piet Herdewijn

Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Minderbroedersstraat 10, B-3000 Leuven, Belgium

Combinatorial optimization of dsDNA interacting unnatural oligopeptide lead molecules yielded increased affinities and a pronounced pyrimidine sequence selectivity.



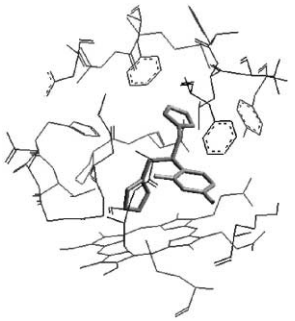
Bioorg. Med. Chem. 10 (2002) 3415

1,4-Benzothiazine and 1,4-Benzoxazine Imidazole Derivatives with Antifungal Activity: A Docking Study

Antonio Macchiarulo,[a] Gabriele Costantino,[a] Daniele Fringuelli,[b] Anna Vecchiarelli,[c] Fausto Schiaffella[a] and Renata Fringuelli

[a]*Department of Drug Chemistry and Technology, Via del Liceo 1, University of Perugia, 06123 Perugia, Italy*
[b]*Applied and Clinical Biochemistry Section, Department of Internal Medicine, Via del Giochetto, University of Perugia, 06122 Perugia, Italy*
[c]*Microbiology Section, Department of Experimental Medicine and Biochemical Sciences, Via del Giochetto, University of Perugia, 06122 Perugia, Italy*

We report a docking study of a representative set of 1,4-benzothiazine and 1,4-benzoxazine imidazole derivatives in a 3D model of CYP51 of *Candida albicans* (CA-CYP51).



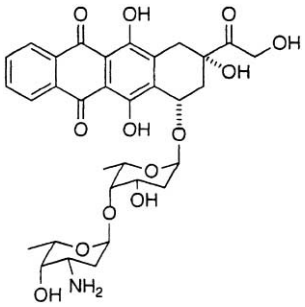
Bioorg. Med. Chem. 10 (2002) 3425

The Disaccharide Anthracycline MEN 10755 Binds Human Serum Albumin to a Non-classical Drug Binding Site

Luigi Messori,[a] Francesca Piccioli,[a] Silvia Gabrielli,[a] Pierluigi Orioli,[a] Leonardo Angeloni[a] and Cristina Di Bugno[b]

[a]*Department of Chemistry, University of Florence, Via Gino Capponi 7, I-50121 Florence, Italy*
[b]*Menarini Ricerche, Gruppo Menarini, Via Vecchia Livornese 897, I-56010, S.Piero a Grado, Pisa, Italy*

The interaction of the novel disaccharide anthracycline MEN 10755 with human serum albumin (HSA) has been investigated under physiological conditions. Notably, MEN 10755 binds serum albumin far stronger than doxorubicin; binding to albumin results into a drastic quenching of the intrinsic fluorescence of MEN 10755. To localize the HSA binding site of MEN 10755, several competition experiments were carried out with ligands that are selective for the individual drug binding sites of the protein. It is proposed that MEN 10755 binds serum albumin tightly to a non canonical surface binding site for which it competes specifically with ethacrinic acid.



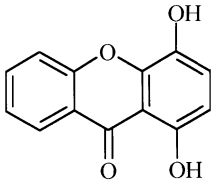
Bioorg. Med. Chem. 10 (2002) 3431

Xanthones as Inhibitors of Microsomal Lipid Peroxidation and TNF-α Induced ICAM-1 Expression on Human Umbilical Vein Endothelial Cells (HUVECs)

Babita Madan,[a] Ishwar Singh,[b] Ajit Kumar,[c] Ashok K. Prasad,[b] Hanumantharao G. Raj,[c] Virinder S. Parmar[b] and Balaram Ghosh[a]

[a]*Molecular Immunology and Immunogenetics Laboratory, Centre for Biochemical Technology, Mall Road, Delhi-110 007, India*
[b]*Bioorganic Laboratory, Department of Chemistry, University of Delhi, Delhi-110 007, India*
[c]*Department of Biochemistry, V.P. Chest Institute, University of Delhi, Delhi-110 007, India*

Hydroxyxanthones were found to exhibit potent inhibitory effect on NADPH-catalysed lipid peroxidation and TNF-α induced expression of ICAM-1 on endothelial cells.



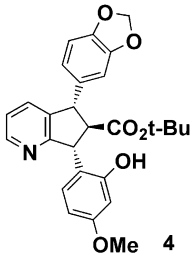
Bioorg. Med. Chem. 10 (2002) 3437

A Convenient Synthetic Method of a 5,7-Diarylcyclopenteno-[1,2-*b*]pyridine-6-carboxylate: A Key Intermediate for Potent Endothelin Receptor Antagonists

Kenji Niiyama, Takashi Yoshizumi, Hirobumi Takahashi, Akira Naya, Norikazu Ohtake, Takehiro Fukami, Toshiaki Mase, Takashi Hayama and Kiyofumi Ishikawa

Tsukuba Research Institute, Banyu Pharmaceutical Co., Ltd., 3 Okubo, Tsukuba, Ibaraki 300-2611, Japan

A convenient synthetic method of **4**, a key intermediate for a new class of endothelin receptor antagonists, was developed. Racemic **4** was synthesized from quinolinic anhydride in 13.4% overall yield without chromatographic purification.



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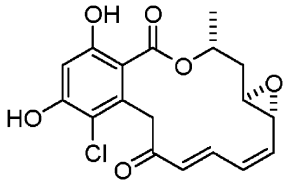
Bioorg. Med. Chem. 10 (2002) 3445

Halohydrin and Oxime Derivatives of Radicicol: Synthesis and Antitumor Activities

Tsutomu Agatsuma,[a] Harumi Ogawa,[a] Kazuhito Akasaka,[b] Akira Asai,[a] Yoshinori Yamashita,[a] Tamio Mizukami,[a] Shiro Akinaga[b] and Yutaka Saitoh[a]

[a]*Tokyo Research Laboratories, Kyowa Hakko Kogyo Co., Ltd., 3-6-6 Asahi-machi, Machida-shi, Tokyo 194-8533, Japan*
[b]*Pharmaceutical Research Institute, Kyowa Hakko Kogyo Co., Ltd., 1188 Shimotogari, Nagaizumi-cho, Sunto-gun, Shizuoka 411-8731, Japan*

Novel halohydrin and oxime derivatives of radicicol (**1**) were prepared and evaluated for their antitumor activities. Design and synthesis of radicicol-based novel affinity probes are also reported.



radicicol (**1**)

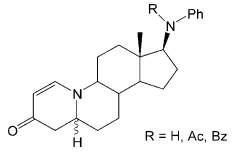
Bioorg. Med. Chem. 10 (2002) 3455

Synthesis of 17β-*N*-Substituted 19-Nor-10-azasteroids as Inhibitors of Human 5α-Reductases I and II

Dina Scarpi,[a] Ernesto G. Occhiato,[a] Giovanna Danza,[b] Mario Serio[b] and Antonio Guarna[a]

[a]*Dipartimento di Chimica Organica 'Ugo Schiff', Università di Firenze, Via della Lastruccia 13, I-50019 Sesto Fiorentino, Florence, Italy*
[b]*Dipartimento di Fisiopatologia Clinica, Unità di Endocrinologia, Università di Firenze, Viale G. Pieraccini 6, I-50134, Florence, Italy*

A series of 17β-[*N*-(phenyl)methyl/phenyl-amido] substituted 10-azasteroids with 5α-H and 5β-H stereochemistry were tested toward human 5α-reductases I and II. 5β-H epimers resulted in general more potent than the corresponding 5α-H inhibitors.



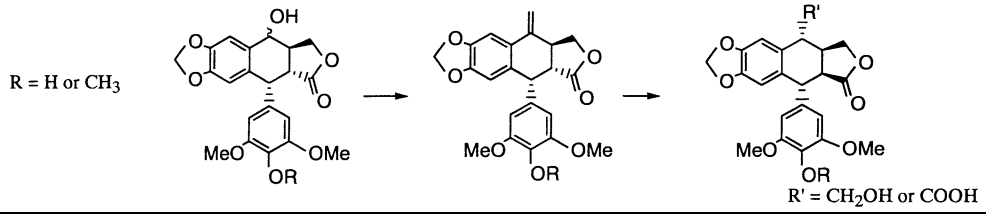
Bioorg. Med. Chem. 10 (2002) 3463

Hemi-synthesis and Biological Activity of New Analogues of Podophyllotoxin

Emmanuel Roulland, Prokopios Magiatis, Paola Arimondo, Emmanuel Bertounesque and Claude Monneret

Laboratoire de Pharmacochimie, UMR 176 CNRS-IC, Section Recherche de l'Institut Curie, 26 rue d'Ulm, 75248 Paris Cedex 05, France

The syntheses of new derivatives **3** of podophyllotoxin **1** via the formation of **2** are reported.



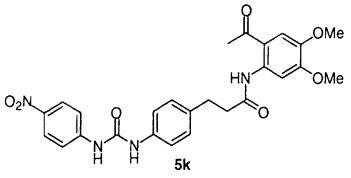
Bioorg. Med. Chem. 10 (2002) 3473

Synthesis and Structure–Activity Relationship of Diarylamide Derivatives as Selective Inhibitors of the Proliferation of Human Endothelial Cells

Haruhisa Ogita, Yoshiaki Isobe, Haruo Takaku, Rena Sekine, Yuso Goto, Satoru Misawa and Hideya Hayashi

Pharmaceuticals & Biotechnology Laboratory, Japan Energy Corporation, 3-17-35, Niizo-Minami, Toda-shi, Saitama 335-8502, Japan

A series of diarylamide derivatives were synthesized and evaluated for their inhibitory activities against the proliferation of human coronary artery endothelial cells (ECs). Compound **5k** was superior to Tranilast, in terms of both cell selectivity and the potency of its inhibitory activity toward the proliferation and angiogenesis of ECs.



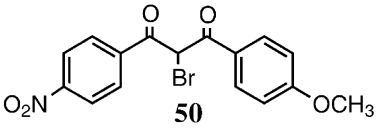
Bioorg. Med. Chem. 10 (2002) 3481

Antitumor Agents. Part 214: Synthesis and Evaluation of Curcumin Analogues as Cytotoxic Agents

Junko Ishida,[a] Hironori Ohtsu,[b] Yoko Tachibana,[b] Yuka Nakanishi,[b] Kenneth F. Bastow,[b] Masahiro Nagai,[a] Hui-Kang Wang,[b] Hideji Itokawa[b] and Kuo-Hsiung Lee[b]

[a]*Hoshi University, 2-4-41 Ebara, Shinagawa, Tokyo 158-0098, Japan*
[b]*Natural Products Laboratory, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599, USA*

Fifty-eight curcumin analogues were prepared and evaluated for in vitro cytotoxicity against a panel of human tumor cell lines. Compound **50** was the most potent analogue against several cell lines, including HOS (bone cancer) and 1A9 (breast cancer), with ED_{50} values of 0.97 and <0.63 μg/mL, respectively.

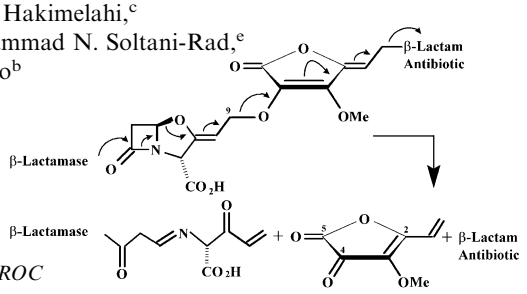


Bioorg. Med. Chem. 10 (2002) 3489

Design, Synthesis, and Biological Evaluation of a Series of β-Lactam-Based Prodrugs

Gholam Hossein Hakimelahi,[a,b] Kak-Shan Shia,[a] Cuihua Xue,[b] Shahram Hakimelahi,[c] Ali A. Moosavi-Movahedi,[d] Ali A. Saboury,[d] Ali Khalafi-Nezhad,[e] Mohammad N. Soltani-Rad,[e] Valeriy Osyetrov,[f] Kung-Pern Wang,[g] Jyh-Hsiung Liao[b] and Fen-Tair Luo[b]

[a]*TaiGen Biotechnology, 138 Hsin Ming Rd., Neihu Dist., Taipei, Taiwan 114, ROC*
[b]*Institute of Chemistry, Academia Sinica, Taipei, Taiwan 115, ROC*
[c]*Department of Cell Biology, Faculty of Medicine, University of Alberta, Edmonton, Alberta, Canada TG6 2H7*
[d]*Institute of Biochemistry-Biophysics, Tehran University, Tehran, Iran*
[e]*Department of Chemistry, Faculty of Science, Shiraz University, Shiraz, Iran*
[f]*Institute of Biomedical Sciences, Academia Sinica, Taipei, Taiwan 115, ROC*
[g]*Department of Chemistry, National Tsing Hua University, Hsinchu, Taiwan 30043, ROC*



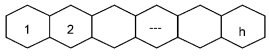
Bioorg. Med. Chem. 10 (2002) 3499

Prediction of Lipophilicity of Polyacenes Using Quantitative Structure–Activity Relationships

Padmakar V. Khadikar,[a] Vijay K. Agrawal[b] and Sneha Karmarkar[a]

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

A new PI-type index called Sadhna index and abbreviated as Sd is introduced for the first time and its relative correlation potential is established using the results obtained from Wiener (W), Szeged (Sz), first order Randic connectivity (χ) and Padmakar–Ivan (PI) indices. The effect due to size, shape, branching, steric and polarity effects on the exhibition of lipophilicity of first 25 derivatives of polyacenes is critically discussed. The predictive ability of the models is discussed on the basis of cross-validation parameters.



The Structure of polyacenes

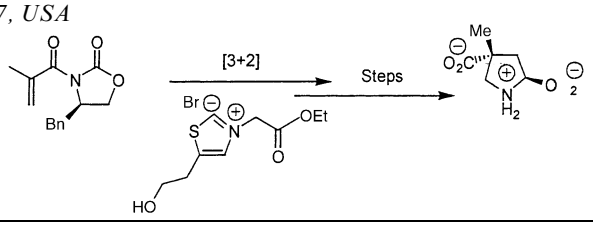
Bioorg. Med. Chem. 10 (2002) 3509

Methylation of L-*trans*-2,4-Pyrrolidine Dicarboxylate Converts the Glutamate Transport Inhibitor from a Substrate to a Non-substrate Inhibitor

C. Sean Esslinger,[a] Jody Titus,[b] Hans P. Koch,[a] Richard J. Bridges[a] and A. Richard Chamberlin[b]

[a]*COBRE Center For Structural and Functional Neuroscience, Department of Pharmaceutical Sciences, University of Montana, Missoula, MT 59812, USA*
[b]*Department of Chemistry, University of California, Irvine, CA 92717, USA*

Synthesis and evaluation of a potent glutamate neurotransmitter transport inhibitor.



Bioorg. Med. Chem. 10 (2002) 3517

QSAR Study on Narcotic Mechanism of Action and Toxicity: A Molecular Connectivity Approach to *Vibrio fischeri* Toxicity Testing

Vijay K. Agrawal[a] and Padmakar V. Khadikar[b]

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

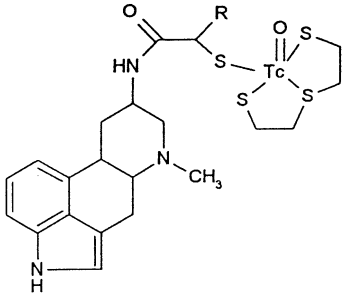
Quantitative structure–activity relationships (QSARs) have been established based on narcotic mechanism of action and toxicity data to *Vibrio fischeri* using molecular connectivity indices. The results suggest that the degree of branching and electronic characteristic of the compounds have dominant role in the observed toxicity.

Bioorg. Med. Chem. 10 (2002) 3523

Tc and Re Chelates of 8α-Amino-6-methyl-ergoline: Synthesis and Affinity to the Dopamine D_2 Receptor

H. Spies, B. Noll, St. Noll, M. Findeisen, P. Brust, R. Syhre and R. Berger

Forschungszentrum Rossendorf e.V.,
Institut für Bioanorganische und Radiopharmazeutische Chemie, POB 510119,
D-01314 Dresden, Germany

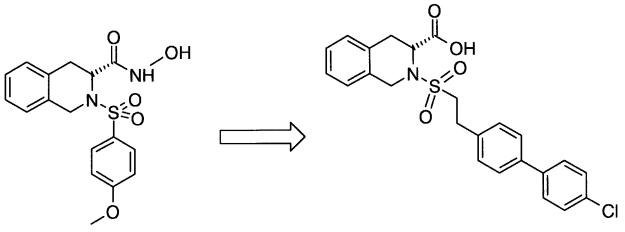


Bioorg. Med. Chem. 10 (2002) 3529

Tetrahydroisoquinoline-3-carboxylate Based Matrix-Metalloproteinase Inhibitors: Design, Synthesis and Structure–Activity Relationship

Hans Matter, Manfred Schudok, Wilfried Schwab, Werner Thorwart, Denis Barbier, Günter Billen, Burkhard Haase, Bernhard Neises, Klaus-Ulrich Weithmann and Theo Wollmann

Aventis Pharma Deutschland GmbH, D-65926 Frankfurt am Main, Germany



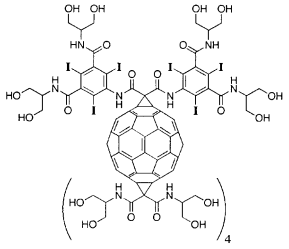
Bioorg. Med. Chem. 10 (2002) 3545

Highly-Iodinated Fullerene as a Contrast Agent For X-ray Imaging

Tim Wharton and Lon J. Wilson

Department of Chemistry and the Center for Nanoscale Science and Technology, MS-60 Rice University, Houston, TX 77251-1892, USA

A highly-iodinated, non-ionic, water-soluble C_{60} derivative for application as an X-ray contrast agent has been designed, synthesized, and characterized.

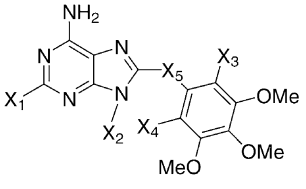


Bioorg. Med. Chem. 10 (2002) 3555

Development of a Purine-Scaffold Novel Class of Hsp90 Binders that Inhibit the Proliferation of Cancer Cells and Induce the Degradation of Her2 Tyrosine Kinase

Gabriela Chiosis,[a,b] Brian Lucas,[a] Alexander Shtil,[a] Henri Huezo[a] and Neal Rosen[a,b]

[a]*Program in Cell Biology, Memorial Sloan-Kettering Cancer Center, 1275 York Ave, New York, NY 10021, USA*
[b]*Department of Medicine, Memorial Sloan-Kettering Cancer Center, 1275 York Ave, New York, NY 10021, USA*



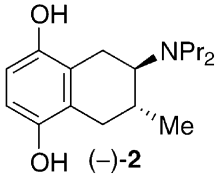
Bioorg. Med. Chem. 10 (2002) 3565

Synthesis of (−)-5,8-Dihydroxy-3*R*-methyl-2*R*-(dipropylamino)-1,2,3,4-tetrahydronaphthalene: An Inhibitor of β-Amyloid$_{1–42}$ Aggregation

Michael H. Parker, Robert Chen, Kelly A. Conway, Daniel H. S. Lee, Chi Luo, Robert E. Boyd, Samuel O. Nortey, Tina M. Ross, Malcolm K. Scott and Allen B. Reitz

Drug Discovery Division, Johnson and Johnson Pharmaceutical Research and Development, Spring House, PA 19477, USA

The synthesis of (−)-**2** using a key hydroboration-amination sequence is described, as well as the biological data which shows (−)-**2** to inhibit the aggregation of β-amyloid$_{1–42}$.



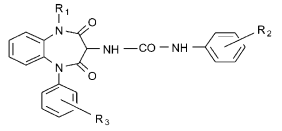
Bioorg. Med. Chem. 10 (2002) 3571

Quantitative Structure–Activity Relationship Studies on 5-Phenyl-3-ureido-1,5-benzodiazepine as Cholecystokinin-A Receptor Antagonists

Vijay K. Agrawal,[a] Ruchi Sharma[a] and Padmakar V. Khadikar[b]

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

Quantitative structure–activity relationship (QSAR) studies on a series of 5-phenyl-3-ureido-1,5-benzodiazepine-2,4-diones has been carried out using a pool of distance-based topological indices. Step-wise regression analysis indicated that penta-parametric regression expression containing Sz, B, Ip_1, Ip_2 and Ip_3 is the most potent and selective for CCK-A affinity. The predictive potential of the model is discussed on the basis of cross-validation parameters as well as by estimating root mean square (RMSR) of the residuals.



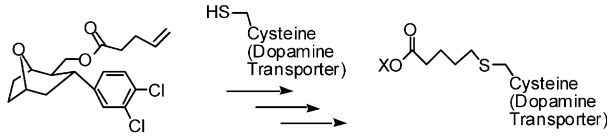
5-Phenyl-3-ureeido-1,5-benzodiazepine derivatives

Bioorg. Med. Chem. 10 (2002) 3583

Design and Synthesis of an Irreversible Dopamine-Sparing Cocaine Antagonist

Peter C. Meltzer,[a] Shanghao Liu,[a] Heather S. Blanchette,[a] Paul Blundell[a] and Bertha K. Madras[b]

[a]*Organix Inc., 240 Salem Street, Woburn, MA 01801, USA*
[b]*Department of Psychiatry, Harvard Medical School and New England Regional Primate Research Center, Southborough, MA 01772, USA*

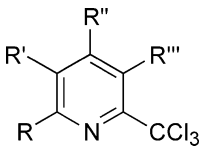


Bioorg. Med. Chem. 10 (2002) 3593

Determination of the Phamacophore of Penclomedine, a Clinically-evaluated Antitumor Pyridine Derivative

Anita Tiwari, William R. Waud and Robert F. Struck

Drug Discovery and Drug Development Divisions, Southern Research Institute, 2000 Ninth Avenue South, Birmingham, AL 35255-5305, USA



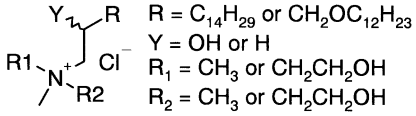
Bioorg. Med. Chem. 10 (2002) 3599

A Structure–Activity Study of Spermicidal and Anti-HIV Properties of Hydroxylated Cationic Surfactants

Yue-Ling Wong,[a] M. Patricia Hubieki,[a] Christopher L. Curfman,[a] Gustavo F. Doncel,[b] Travis C. Dudding,[a] Prashant S. Savle[a] and Richard D. Gandour[a]

[a]*Department of Chemistry, Virginia Tech, Blacksburg, VA 24061-0212, USA*
[b]*Department of Obstetrics and Gynecology, CONRAD Program, Eastern Virginia Medical School, Norfolk, VA 23507, USA*

All compounds show spermicidal activity and anti-HIV activity. Compound **1** (16)Cl ($R = C_{14}H_{29}$, $Y = OH$, $R_1 = CH_2CH_2OH$, $R_2 = CH_3$) shows the best combination of dual activity against sperm and HIV.



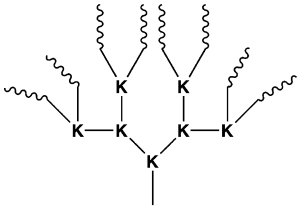
Bioorg. Med. Chem. 10 (2002) 3609

Novel Branching Membrane Translocational Peptide as Gene Delivery Vector

Ching-Hsuan Tung, Stephanie Mueller and Ralph Weissleder

Center for Molecular Imaging Research, Massachusetts General Hospital, Harvard Medical School, Charlestown, MA 02129, USA

Branching derivatives of membrane permeable tat peptide, GRKKRRQRRR, was able to deliver plasmid DNA and transfect cells efficiently in vitro.



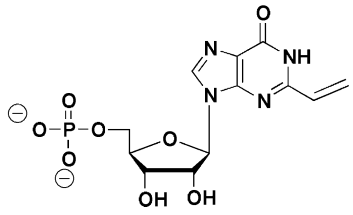
Bioorg. Med. Chem. 10 (2002) 3615

Inhibition of Inosine Monophosphate Dehydrogenase (IMPDH) by the Antiviral Compound, 2-Vinylinosine Monophosphate

Suresh Pal, Bindu Bera and Vasu Nair

Department of Chemistry, The University of Iowa, Iowa City, IA 52242, USA

Chemoenzymatic synthesis and inactivation studies with a potent inhibitor of IMPDH.

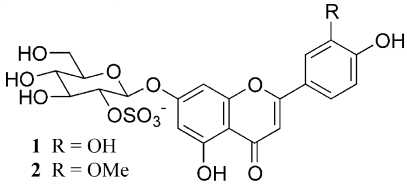


Bioorg. Med. Chem. 10 (2002) 3619

Thalassiolins A–C: New Marine-Derived Inhibitors of HIV cDNA Integrase

David C. Rowley,[a] Mark S. T. Hansen,[b] Denise Rhodes,[b] Christoph A. Sotriffer,[c] Haihong Ni,[c] J. Andrew McCammon,[c] Frederic D. Bushman[b] and William Fenical[a]

[a]*Center for Marine Biotechnology and Biomedicine, Scripps Institution of Oceanography, University of California–San Diego, La Jolla, CA 92093, USA*
[b]*Infectious Disease Laboratory, The Salk Institute, 10010 North Torrey Pines Road, La Jolla, CA 92037, USA*
[c]*Department of Chemistry and Biochemistry, Department of Pharmacology, University of California–San Diego, La Jolla, CA 92093, USA*



1 R = OH
2 R = OMe
3 R = H

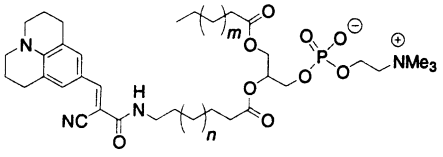
Bioorg. Med. Chem. 10 (2002) 3627

Phospholipid-Bound Molecular Rotors: Synthesis and Characterization

Mark A. Haidekker,[a] Thomas Brady,[b] Ke Wen,[b] Cliff Okada,[a] Hazel Y. Stevens,[a] Jeniffer M. Snell,[a] John A. Frangos[a] and Emmanuel A. Theodorakis[b]

[a]*Department of Bioengineering, University of California, San Diego, La Jolla, CA 92093-0412, USA*
[b]*Department of Chemistry and Biochemistry, University of California, San Diego, La Jolla, CA 92093-0358, USA*

The synthesis, biophysical characterization and membrane localization profile of a new family of fluorescent probes is described.

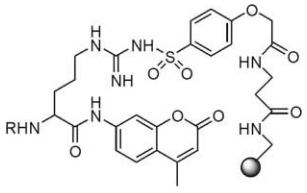


Bioorg. Med. Chem. 10 (2002) 3637

Synthesis and Physical Characterization of a P_1 Arginine Combinatorial Library, and Its Application to the Determination of the Substrate Specificity of Serine Peptidases

Stephen T. Furlong,[a] Russell C. Mauger,[b] Anne M. Strimpler,[a] Yi-Ping Liu,[b] Frank X. Morris[b] and Philip D. Edwards[b]

[a]*Department of Molecular Science, AstraZeneca, Wilmington, DE 19850, USA*
[b]*Department of Chemistry, AstraZeneca, Wilmington, DE 19850, USA*



9 = H-Arg(CMtr)-Amc-Resin

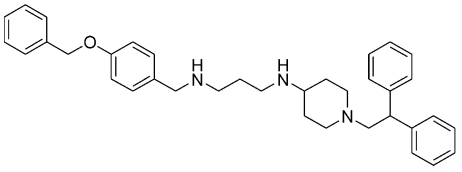
Bioorg. Med. Chem. 10 (2002) 3649

Novel and Potent Anti-malarial Agents

Kristin M. Brinner,[a] Jin Mi Kim,[a] Hiromu Habashita,[a] Ilya Y. Gluzman,[b] Daniel E. Goldberg[b] and Jonathan A. Ellman[a]

[a]*Center for New Directions in Organic Synthesis, Chemistry Department, University of California, Berkeley, CA 94720, USA*
[b]*Departments of Medicine and Molecular Microbiology, Washington University School of Medicine, St. Louis, MO 63110, USA*

Anti-malarial compound with an IC_{50} value of 70 nM against chloroquine resistant strain of *Plasmodium falciparum* in cell culture.

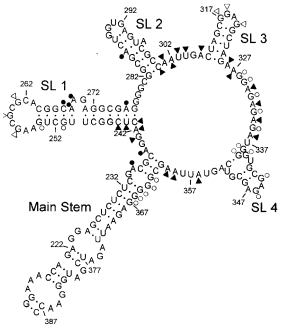


Bioorg. Med. Chem. 10 (2002) 3663

Footprinting and Circular Dichroism Studies on Paromomycin Binding to the Packaging Region of Human Immunodeficiency Virus Type-1

Mark P. McPike, Jerry Goodisman and James C. Dabrowiak

Department of Chemistry, Center for Science and Technology, R 1-014,Syracuse University, Syracuse, NY 13224-4100, USA

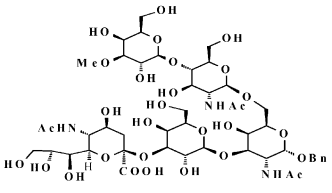


A Convergent Synthesis of Core 2 Branched Sialylated and Sulfated Oligosaccharides

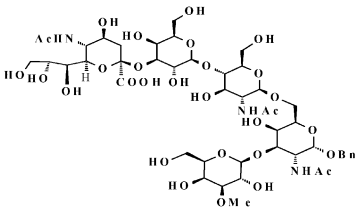
Bioorg. Med. Chem. 10 (2002) 3673

Jie Xia, James L. Alderfer, Thamarapu Srikrishnan, E. V. Chandrasekaran and Khushi L. Matta

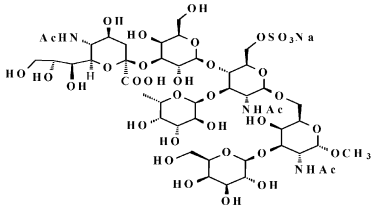
Molecular & Cellular Biophysics, Roswell Park Cancer Institute, Elm & Carlton Streets, Buffalo, NY 14263, USA



1



2



3

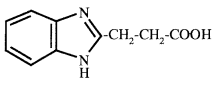
Novel Peptidomimics as Angiotensin-Converting Enzyme Inhibitors: A Combinatorial Approach

Bioorg. Med. Chem. 10 (2002) 3685

Manju Bala,[a] M. A. Qadar Pasha,[b] D. K. Bhardwaj[c] and Santosh Pasha[a]

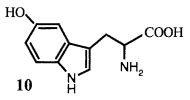
[a]*Peptide Research Laboratory, Centre for Biochemical Technology (CSIR), Delhi University Campus, Mall Road, Delhi-110007, India*
[b]*Biochemistry and Diagnostics Laboratory, Centre for Biochemical Technology (CSIR), Delhi University Campus, Mall Road, Delhi-110007, India*
[c]*Department of Chemistry, University of Delhi, Delhi-110007, India*

A focused library of di- and tri-peptidomimics was designed and synthesized as possible ACE inhibitors. Structure–activity relationship studies revealed that C_3-chain based hetrocyclic moieties exerted the desirable conformational constraint thereby enabling the peptidomimics to interact with tetra-coordinated Zinc and three hydrophobic subsites S_1, $S_{1'}$, S_2 of ACE. Four promising tripeptidomimics have been identified.



9

2-Benzimidazolepropionic acid



10

5-Hydroxy-L-tryptophan

2-Amino-3-[5-hydroxyindolyl]-propionic acid