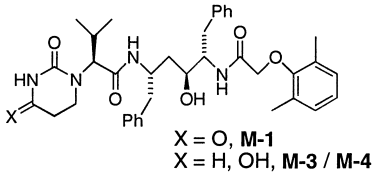
Synthesis and Antiviral Activities of the Major Metabolites of the HIV Protease Inhibitor ABT-378 (Lopinavir)

Bioorg. Med. Chem. Lett. 11 (2001) 1351

Hing L. Sham,* David A. Betebenner, Thomas Herrin, Gondi Kumar, Ayda Saldivar, Sudthida Vasavanonda, Akhter Molla, Dale J. Kempf, Jacob J. Plattner and Daniel W. Norbeck

Pharmaceutical Discovery, D47B, Building AP-10, Abbott Laboratories, Abbott Park, IL 60064-6101, USA

A concise synthesis of the major metabolites **M-1** and **M-3**/**M-4** of the protease inhibitor ABT-378 is described.



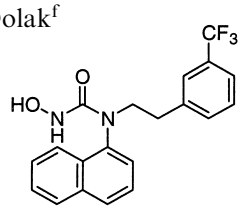
Identification of Novel Potent Hydroxamic Acid Inhibitors of Peptidyl Deformylase and the Importance of the Hydroxamic Acid Functionality on Inhibition

Bioorg. Med. Chem. Lett. 11 (2001) 1355

Atli Thorarensen,[a,*] Martin R. Douglas Jr.,[b] Douglas C. Rohrer,[c] Anne F. Vosters,[b] Anthony W. Yem,[b] Vincent D. Marshall,[b] Janet C. Lynn,[d] Michael J. Bohanon,[d] Paul K. Tomich,[d] Gary E. Zurenko,[e] Michael T. Sweeney,[e] Randy M. Jensen,[f] James W. Nielsen,[f] Eric P. Seest[f] and Lester A. Dolak[f]

[a]*Medicinal Chemistry 7254-209-615, Pharmacia, Kalamazoo, MI 49001-0199, USA*
[b]*Protein Science, Pharmacia, Kalamazoo, MI 49001-0199, USA*
[c]*Computer Aided Drug Discovery Research, Pharmacia, Kalamazoo, MI 49001-0199, USA*
[d]*Discovery Technologies, Pharmacia, Kalamazoo, MI 49001-0199, USA*
[e]*Biology I, Pharmacia, Kalamazoo, MI 49001-0199, USA*
[f]*Structural, Analytical & Medicinal Chemistry Research, Pharmacia, Kalamazoo, MI 49001-0199, USA*

The discovery of PDF inhibitors and evaluation of various metal coordination groups on PDF activity is reported.



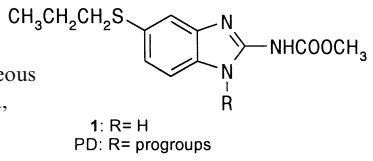
Synthesis and Hydrolytic Stability Studies of Albendazole Carrier Prodrugs

Bioorg. Med. Chem. Lett. 11 (2001) 1359

Francisco Hernández-Luis,[a,*] Alicia Hernández-Campos,[a] Lilián Yépez-Mulia,[b] Roberto Cedillo[b] and Rafael Castillo[a]

[a]*Departamento de Farmacia, Facultad de Química, UNAM, C.U. Mexico D.F. 04510, Mexico*
[b]*Unidad de Investigación Médica en Enfermedades Infecciosas y Parasitarias, IMSS, Mexico D.F., Mexico*

Six derivatives of albendazole (**1**) have been prepared and assessed as potential prodrugs (PD). Aqueous solublity, lipophilicity, and the conversion of these derivatives to **1** in buffer solution, human plasma, and pig liver esterase were determined.



A New *Antennapedia*-Derived Vector for Intracellular Delivery of Exogenous Compounds

Bioorg. Med. Chem. Lett. 11 (2001) 1363

C. García-Echeverría,[a,*] L. Jiang,[b] T. M. Ramsey,[b] S. K. Sharma[b] and Y.-N. P. Chen[b,*]

[a]*Oncology Research, Novartis Pharma Inc., CH-4002 Basel, Switzerland*
[b]*Oncology Research, Novartis Pharmaceuticals Corporation, 556 Morris Avenue, Summit, NJ 07901, USA*

We describe the design, synthesis and cell translocation capacity of a peptide derived from the third α-helix of the homeodomain of *Antennapedia*.

FITC-Adoa-Ser-Gly-Trp-Phe-Adoa-Arg-Arg-Adoa-Trp-Lys-Lys-NH_2

FTIC = fluorescein; Adoa = 8-amino-3,6-dioxaoctanoic acid.

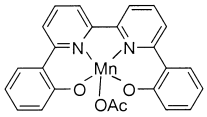
Bioorg. Med. Chem. Lett. 11 (2001) 1367

6,6′-Bis(2-hydroxyphenyl)-2,2′-Bipyridine Manganese(III) Complexes: A Novel Series of Superoxide Dismutase and Catalase Mimetics

Gerard M. P. Giblin,[a,*] Philip C. Box,[a] Ian B. Campbell,[a] Ashley P. Hancock,[a] Susan Roomans,[a] Gary I. Mills,[b] Christopher Molloy,[b] George E. Tranter,[c] Ann L. Walker,[a] Susan R. Doctrow,[d] Karl Huffman[d] and Bernard Malfroy[d]

[a]*Department of Medicinal Chemistry, GlaxoSmithKline Medicines Research Centre, Gunnels Wood Road, Stevenage SG1 2NY, UK*
[b]*Department of Enzyme Pharmacology, GlaxoSmithKline Medicines Research Centre, Gunnels Wood Road, Stevenage SG1 2NY, UK*
[c]*Biological Chemistry, Biomedical Sciences Division, Imperial College of Science, Technology & Medicine, Exhibition Road, South Kensington, London SW7 2AZ, UK*
[d]*Eukarion Inc., 6F Alfred Circle, Bedford, MA 01730, USA*

A series of novel manganese(III) complexes are described based on a 6,6′-bis(2-hydroxyphenyl)–2,2′-bipyridine template. These complexes show superoxide dismutase and catalase activity. The effect of aromatic substitution pattern on SAR is described.



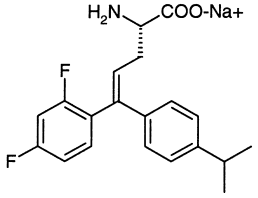
Bioorg. Med. Chem. Lett. 11 (2001) 1371

5,5-Diaryl-2-amino-4-pentenoates as Novel, Potent, and Selective Glycine Transporter Type-2 Reuptake Inhibitors

Methvin Isaac,* Abdelmalik Slassi, Kathleen Da Silva, Jalaj Arora, Neil MacLean, Bill Hung and Kirk McCallum

NPS Pharmaceuticals Inc., 6850 Goreway Drive, Mississauga, ON, Canada L4V 1V7

A novel series of 5,5-diaryl-2-amino-4-pentenoates was synthesized and found to be potent and selective glycine transporter type-2 reuptake inhibitors.



IC_{50} (GlyT-2)=150 nM

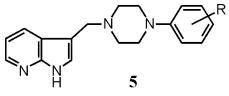
Bioorg. Med. Chem. Lett. 11 (2001) 1375

Synthesis of Potent and Selective Dopamine D_4 Antagonists as Candidate Radioligands

Yiyun Huang,[a,*] Lawrence S. Kegeles,[a] Sung-A Bae,[a] Dah-ren Hwang,[a] Bryan L. Roth,[b] Jason E. Savage[b] and Marc Laruelle[a]

[a]*Department of Psychiatry, Columbia University College of Physicians and Surgeons, New York, NY 10032, USA*
[b]*NIMH Psychoactive Drug Screening Program, Departments of Biochemistry and Psychiatry, Case Western Reserve University Medical School, Cleveland, OH 44106, USA*

Compounds **5a**–**i** were synthesized as selective dopamine D_4 receptor antagonists. Three compounds (**5b**, **5d**, and **5e**) were identified as potential candidates for development as PET radioligands.



5

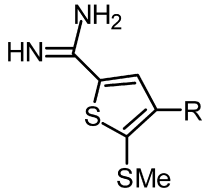
a. R = 4-F
b. R = 4-OMe
c. R = 2-F
d. R = 2-OMe
e. R = 4-SMe
f. R = 4-CF_3
g. R = 4-CH=CH_2
h. R = 4-CH_2CH_3
i. R = 4-$CH_2CH_2CH_3$
j. R = 4-phenyl

Bioorg. Med. Chem. Lett. 11 (2001) 1379

Structure-Based Design, Synthesis and SAR of a Novel Series of Thiopheneamidine Urokinase Plasminogen Activator Inhibitors

Nalin L. Subasinghe,* Carl Illig, James Hoffman, M. Jonathan Rudolph, Kenneth J. Wilson, Richard Soll, Troy Randle, David Green, Frank Lewandowski, Marie Zhang, Roger Bone, John Spurlino, Renee DesJarlais, Ingrid Deckman, Christopher J. Molloy, Carl Manthey, Zhau Zhou, Celia Sharp, Diane Maguire, Carl Crysler and Bruce Grasberger

3-Dimensional Pharmaceuticals Inc., 665 Stockton Drive, Exton, PA 19341, USA



Bioorg. Med. Chem. Lett. 11 (2001) 1383

Interaction between Morphine and Lysine

Sachiko Nakai* and Fumio Yoneda

Fujimoto Pharmaceutical Corporation, 1-3-40 Nishi-Otsuka, Matsubara-shi, Osaka, 580-8503, Japan

A study by the molecular orbital theory suggested that the interaction between morphine and lysine may be the key binding of morphine toward the μ-opioid receptor.

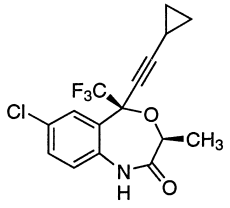
Bioorg. Med. Chem. Lett. 11 (2001) 1389

4,1-Benzoxazepinone Analogues of Efavirenz (Sustiva™) as HIV-1 Reverse Transcriptase Inhibitors

Anthony J. Cocuzza,* Dennis R. Chidester, Beverly C. Cordova, Ronald M. Klabe, Susan Jeffrey, Sharon Diamond, Carolyn A. Weigelt, Soo S. Ko, Lee T. Bacheler, Susan K. Erickson-Viitanen and James D. Rodgers

DuPont Pharmaceuticals Company, Experimental Station, E336/141, PO Box 80336, Wilmington, DE 19880-0336, USA

A series of 4,1-benzoxazepinone analogues of efavirenz as potent NNRTIs has been discovered. The *cis*-3-alkylbenzoxazepinones are more potent than the *trans* isomers and can be synthesized preferentially by a novel stereoselective cyclization.



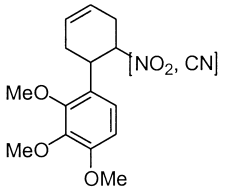
Bioorg. Med. Chem. Lett. 11 (2001) 1393

Structure–Activity Relationships of Phenylcyclohexene and Biphenyl Antitubulin Compounds against Plant and Mammalian Cells

David H. Young,* Colin M. Tice, Enrique L. Michelotti, Renee C. Roemmele, Richard A. Slawecki, Fernando M. Rubio and Judith A. Rolling

Rohm and Haas Company, 727 Norristown Road, Spring House, PA 19477-0904, USA

Phenylcyclohexene derivatives **2** were found to bind weakly to the colchicine site of bovine tubulin, but are the first mimics of colchicine with high activity towards plant cells. Structure–activity relationships for phenyl cyclohexenes and biphenyls such as 2,3,4,4′-tetramethoxy-2′-methyl-1,1′-biphenyl are discussed.



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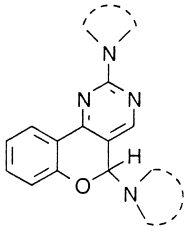
Bioorg. Med. Chem. Lett. 11 (2001) 1397

Synthesis and Pharmacological Evaluation of 2,5-Cycloamino-5*H*-[1]benzopyrano[4,3-*d*]pyrimidines Endowed with In Vitro Antiplatelet Activity

O. Bruno,[a,*] C. Brullo,[a] A. Ranise,[a] S. Schenone,[a] F. Bondavalli,[a] E. Barocelli,[b] V. Ballabeni,[b] M. Chiavarini,[b] M. Tognolini[b] and M. Impicciatore[b]

[a]*Dipartimento di Scienze Farmaceutiche, Università degli Studi, Viale Benedetto XV, 3-16132 Genova, Italy*
[b]*Istituto di Farmacologia e Farmacognosia, Università degli Studi, Parco Area delle Scienze 27/A, 43100 Parma, Italy*

A series of new 2,5-cycloamino-5*H*-[1]benzopyrano[4,3-*d*]pyrimidines has been synthesized and tested in vivo for the anti-inflammatory/analgesic/antipyretic effects and in vitro to evaluate the antiplatelet activity. Title compounds were ineffective in vivo; however, the pyrrolidino derivatives exhibited an antiplatelet activity against all the aggregants (collagen, adenosine-5′-diphosphate, arachidonic acid), differing from that of acetylsalicylic acid (ASA) while the 5-morpholino derivatives showed the most potent ASA-like antiplatelet activity.



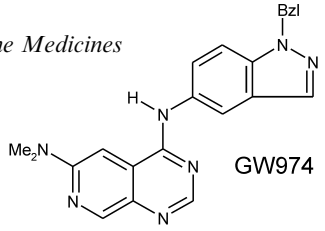
Bioorg. Med. Chem. Lett. 11 (2001) 1401

Indazolylamino Quinazolines and Pyridopyrimidines as Inhibitors of the EGFr and C-erbB-2

Stuart Cockerill,* Colin Stubberfield, Jeremy Stables, Malcolm Carter, Stephen Guntrip, Kathryn Smith, Steve McKeown, Robert Shaw, Peter Topley, Lindy Thomsen, Karen Affleck, Amanda Jowett, David Hayes, Malcolm Willson, Patrick Woollard and David Spalding

Enzyme Chemistry 1, Oncology Unit, Enzyme Pharmacology, Research Biomet. Glaxo Wellcome Medicines Research Centre, Gunnels Wood Road, Stevenage, Hertfordshire SG1 2NY, UK

The identification of the c-erbB-2/EGFr inhibitor GW974 is described.



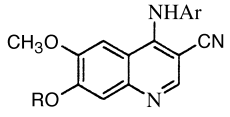
Bioorg. Med. Chem. Lett. 11 (2001) 1407

MEK (MAPKK) Inhibitors. Part 2: Structure–Activity Relationships of 4-Anilino-3-cyano-6,7-dialkoxyquinolines

Nan Zhang,[a,*] Biqi Wu,[a] Nancy Eudy,[a] Yanong Wang,[a] Fei Ye,[a] Dennis Powell,[a] Allan Wissner,[a] Larry R. Feldberg,[b] Steven C. Kim,[b] Robert Mallon,[b] Eleonora D. Kovacs,[b] Lourdes Toral-Barza[b] and Constance A. Kohler[b]

[a]*Chemical Sciences, Wyeth-Ayerst Research, Pearl River, NY 10965, USA*
[b]*Discovery Oncology, Wyeth-Ayerst Research, Pearl River, NY 10965, USA*

A series of 4-anilino-3-cyano-6,7-dialkoxyquinolines with different anilino groups at the 4-position has been prepared as MEK (MAP kinase kinase) inhibitors.

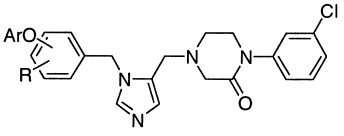


Bioorg. Med. Chem. Lett. 11 (2001) 1411

Aryloxy Substituted *N*-Arylpiperazinones as Dual Inhibitors of Farnesyltransferase and Geranylgeranyltransferase-I

Jeffrey M. Bergman,[a,*] Marc T. Abrams,[b] Joseph P. Davide,[b] Ian B. Greenberg,[b] Ronald G. Robinson,[b] Carolyn A. Buser,[b] Hans E. Huber,[b] Kenneth S. Koblan,[b] Nancy E. Kohl,[b] Robert B. Lobell,[b] Samuel L. Graham,[a] George D. Hartman,[a] Theresa M. Williams[a] and Christopher J. Dinsmore[a]

[a]*Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA 19486, USA*
[b]*Department of Cancer Research, Merck Research Laboratories, West Point, PA 19486, USA*

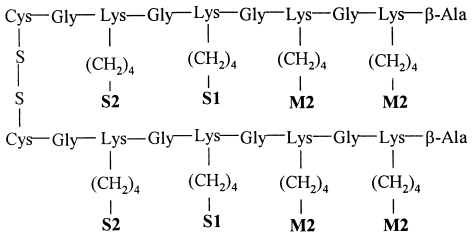


Bioorg. Med. Chem. Lett. 11 (2001) 1417

Synthesis of a Disulfide-Linked Octameric Peptide Construct Carrying Three Different Antigenic Determinants

Goran Kragol,[a,*] Laszlo Otvos, Jr.,[a] JingQi Feng,[a] Walter Gerhard[a] and John D. Wade[b]

[a]*The Wistar Institute, 3601 Spruce Street, Philadelphia, PA 19104, USA*
[b]*Howard Florey Institute, University of Melbourne, Victoria 3010, Australia*



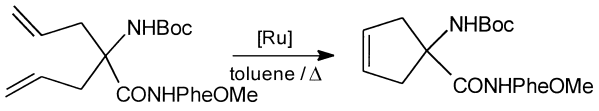
M2 = SLLTEVETPIRNEWGSRSNDSSDP;
S1 = SFERFEIFPKE; **S2** = HNTNGVTAASSHE

Bioorg. Med. Chem. Lett. 11 (2001) 1421

Modification of Constrained Peptides by Ring-Closing Metathesis Reaction

Sambasivarao Kotha,* Nampally Sreenivasachary, Kumar Mohanraja and Susheel Durani

Department of Chemistry, Indian Institute of Technology-Bombay, Mumbai-400 076, India

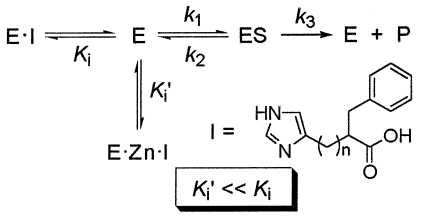


Bioorg. Med. Chem. Lett. 11 (2001) 1425

Effect of Zinc Ion on the Inhibition of Carboxypeptidase A by Imidazole-Bearing Substrate Analogues

Min Su Han and Dong H. Kim*

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



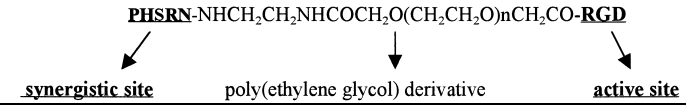
Bioorg. Med. Chem. Lett. 11 (2001) 1429

Amino Acids and Peptides. Part 39: A Bivalent Poly(ethylene glycol) Hybrid Containing an Active Site (RGD) and Its Synergistic Site (PHSRN) of Fibronectin

Keiko Hojo,[a] Yuichi Susuki,[a] Mitsuko Maeda,[a] Ikuko Okazaki,[b] Motoyoshi Nomizu,[b] Haruhiko Kamada,[c] Yoko Yamamoto,[c] Shinsaku Nakagawa,[c] Tadanori Mayumi[c] and Koichi Kawasaki[a,*]

[a]*Faculty of Pharmaceutical Sciences, Kobe Gakuin University, Ikawadani-cho, Nishi-ku, Kobe 651-2180, Japan*
[b]*Graduate School of Environmental Earth Science, Hokkaido University, Sapporo 060-0810, Japan*
[c]*Graduate School of Pharmaceutical Sciences, Osaka University, Yamadaoka 1-6, Suita 565-0871, Japan*

Preparation and cell spreading activity of a fibronectin-related poly(ethlene glycol) hybrid are reported.



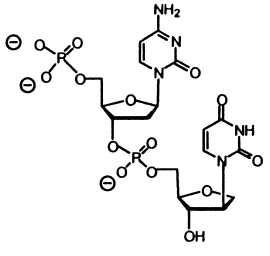
Bioorg. Med. Chem. Lett. 11 (2001) 1433

Discovery of a Nuclease-Resistant, Non-natural Dinucleotide that Inhibits HIV-1 Integrase

Michael Taktakishvili,[a] Nouri Neamati,[b] Yves Pommier[b] and Vasu Nair[a,*]

[a]*Department of Chemistry, The University of Iowa, Iowa City, IA 52242, USA*
[b]*Laboratory of Molecular Pharmacology, National Cancer Institute, NIH, Bethesda, MD 20892, USA*

Design and synthesis of a dinucleotide 5′-phosphate with total exonuclease resistance and potent anti-HIV integrase activity.



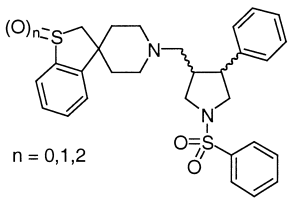
Bioorg. Med. Chem. Lett. 11 (2001) 1437

1,3,4-Trisubstituted Pyrrolidine CCR5 Receptor Antagonists. Part 1: Discovery of the Pyrrolidine Scaffold and Determination of Its Stereochemical Requirements

Jeffrey J. Hale,[a,*] Richard J. Budhu,[a] Sander G. Mills,[a] Malcolm MacCoss,[a] Lorraine Malkowitz,[b] Salvatore Siciliano,[b] Sandra L. Gould,[b] Julie A. DeMartino[b] and Martin S. Springer[b]

[a]*Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ 07065, USA*
[b]*Department of Immunology Research, Merck Research Laboratories, Rahway, NJ 07065, USA*

A series of 1,3,4-trisubstituted pyrrolidines was discovered to have the ability to displace [^{125}I]-MIP-1α from the CCR5 receptor expressed on Chinese hamster ovary (CHO) cell membranes. CCR5 activity was found to be dependent on the regiochemistry and the absolute stereochemistry of the pyrrolidine.



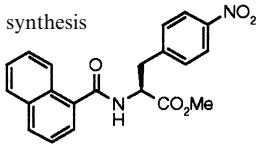
Bioorg. Med. Chem. Lett. 11 (2001) 1441

Discovery of Potent and Selective Phenylalanine Derived CCR3 Antagonists. Part 1

Dashyant Dhanak,* Lisa T. Christmann, Michael G. Darcy, Anthony J. Jurewicz, Richard M. Keenan, Judithann Lee, Henry M. Sarau, Katherine L. Widdowson and John R. White

SmithKline Beecham Pharmaceuticals, 1250 South Collegeville Road, PO Box 5089, Collegeville, PA 19426-0989, USA

The discovery of a series of phenylalanine derived CCR3 antagonists is reported. Parallel, solution-phase library synthesis has been utilized to delineate the structure–activity relationship leading to the synthesis of highly potent, CCR3-selective antagonists.



20 IC_{50} = 5 nM

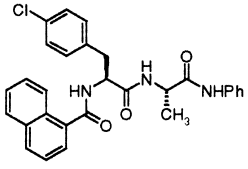
Bioorg. Med. Chem. Lett. 11 (2001) 1445

Discovery of Potent and Selective Phenylalanine Derived CCR3 Receptor Antagonists. Part 2

Dashyant Dhanak,* Lisa T. Christmann, Michael G. Darcy, Richard M. Keenan, Steven D. Knight, Judithann Lee, Lance H. Ridgers, Henry M. Sarau, Dinubhai H. Shah, John R. White and Lily Zhang

SmithKline Beecham Pharmaceuticals, 1250 South Collegeville Road, PO Box 5089, Collegeville, PA 19426-0989, USA

Highly potent, functional CCR3 antagonists have been developed from a previously reported series of phenylalanine ester-based leads.



36, IC_{50} = 5 nM

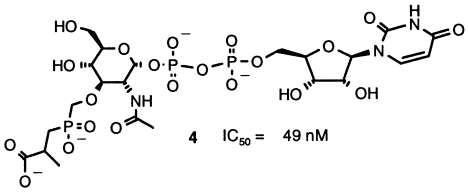
Bioorg. Med. Chem. Lett. 11 (2001) 1451

Inhibitors of the Bacterial Cell Wall Biosynthesis Enzyme MurC

Folkert Reck,[a,*] Stephen Marmor,[b] Stewart Fisher[b] and Mark A. Wuonola[a]

[a]*Chemistry, Infection Discovery, AstraZeneca R&D Boston, 35 Gatehouse Drive, Waltham, MA 02451, USA*
[b]*Biochemistry, Infection Discovery, AstraZeneca R&D Boston, 35 Gatehouse Drive, Waltham, MA 02451, USA*

The synthesis of the potent inhibitor of MurC **4** (IC_{50} = 49 nm) and analogues is reported.



4 IC_{50} = 49 nM

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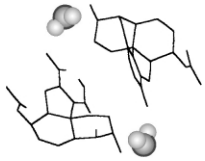
Hydrophobic Forms of Morphine-6-glucosides

Stephan Schwarzinger,[a] Michael Hartmann,[b] Peter Kremminger[b] and Norbert Müller[a,*]

[a]*Institut f. Chemie, Johannes Kepler Universität Linz, A-4040 Linz, Austria*
[b]*Hafslund Nycomed Pharma, A-4020 Linz, Austria*

Hydrophobic dimers of morphine-6-glycosides linked by two water molecules were found by NMR and molecular modeling.



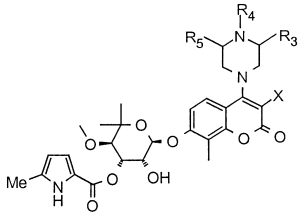
Bioorg. Med. Chem. Lett. 11 (2001) 1461

Fine Tuning of Physico-Chemical Parameters to Optimise a New Series of Novobiocin Analogues

Laurent Schio,[a,*] Fabienne Chatreaux,[a] Véronique Loyau,[a] Michel Murer,[a] Anne Ferreira,[b] Pascale Mauvais,[c] Alain Bonnefoy[c] and Michel Klich[a]

[a]*Medicinal Chemistry, Aventis Pharma, 102 route de Noisy, F-93235 Romainville Cedex, France*
[b]*Structural Analysis, Aventis Pharma, 102 route de Noisy, F-93235 Romainville Cedex, France*
[c]*Infectious Diseases, Aventis Pharma, 102 route de Noisy, F-93235 Romainville Cedex, France*

The synthesis, the physico-chemical properties and the activity of a series of new novobiocin analogues are reported. Antibacterial activity of the novel derivatives has been shown to be related to basicity.



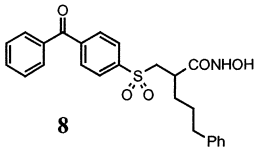
Bioorg. Med. Chem. Lett. 11 (2001) 1465

Arylsulphonyl Hydroxamic Acids: Potent and Selective Matrix Metalloproteinase Inhibitors

Andrew D. Baxter, Ranjev Bhogal, John Bird, John F. Keily, David T. Manallack, John G. Montana, David A. Owen, William R. Pitt, Robert J. Watson* and Ruth E. Wills

Celltech Chiroscience Ltd, Granta Park, Abington, Cambridge CB1 6GS, UK

A series of novel matrix metalloproteinase inhibitors is described in which selectivity between MMP and 'sheddase' activity has been achieved and which demonstrate potent in vivo activity in models of arthritis and cancer.



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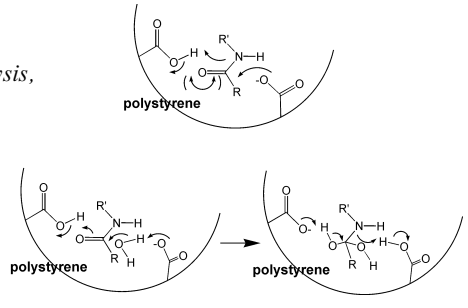
Bioorg. Med. Chem. Lett. 11 (2001) 1469

An Aspartic Protease Analogue: Intermolecular Catalysis of Peptide Hydrolysis by Carboxyl Groups

Sezu Oh, Wonsuk Chang and Junghun Suh*

School of Chemistry and Molecular Engineering and Center for Molecular Catalysis, Seoul National University, Seoul 151-747, Republic of Korea

An aspartic protease analogue was synthesized by positioning three carboxyl groups in proximity on the backbone of cross-linked polystyrene.



Bioorg. Med. Chem. Lett. 11 (2001) 1473

Esters of 2-(1-Hydroxyalkyl)-1,4-dihydroxy-9,10-anthraquinones with Melphalan as Multifunctional Anticancer Agents

Guang-Zhu Jin,[a] Young-Jae You[b] and Byung-Zun Ahn[b,*]

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[a]*College of Pharmacy, Chungnam National University, Taejon 305-764, Republic of Korea*

Newly synthesized melphalan esters, 2-{1-[4-(*p*-bis(2-chloroethyl)-aminophenyl)-butanoyloxy]-methyl}-1,4-dihydroxy-9,10-anthraquinone and 2-{1-[4-(*p*-bis(2-chloroethyl)-aminophenyl)-butanoyloxy]ethyl}-1,4-dihydroxy-9,10-anthraquinone, showed a remarkable antitumor activity.