

Synthesis and Cytotoxic Activity of a New Potent Daunomycinone Derivative

Bioorg. Med. Chem. Lett. 12 (2002) 3505

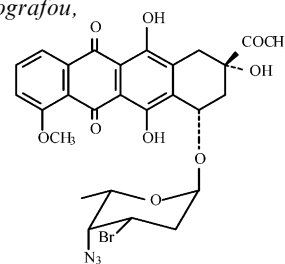
Nectarios Aligiannis,^a Nicole Pouli,^b Panagiotis Marakos,^b Alexios-Leandros Skaltsounis^{a,*} and Harris Pratsinis^c

^a*Division of Pharmacognosy, Department of Pharmacy, University of Athens, Panepistimiopolis-Zografou, Athens 15771, Greece*

^b*Division of Pharmaceutical Chemistry, Department of Pharmacy, University of Athens, Panepistimiopolis-Zografou, Athens 15771, Greece*

^c*Laboratory of Cell Proliferation and Ageing, Institute of Biology, NCSR 'Demokritos', Athens 15310, Greece*

The synthesis and cytotoxic activity of a new daunomycinone analogue is reported.



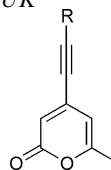
Bioactive 4-Substituted-6-methyl-2-pyrones with Promising Cytotoxicity against A2780 and K562 Cell Lines

Bioorg. Med. Chem. Lett. 12 (2002) 3509

Lester R. Marrison,^a Julia M. Dickinson^a and Ian J. S. Fairlamb^{a,b,*}

^a*Department of Chemistry and Materials, John Dalton Building, The Manchester Metropolitan University, Chester Street, Manchester M1 5GD, UK*

^b*Department of Chemistry, University of York, Heslington, York YO10 5DD, UK*



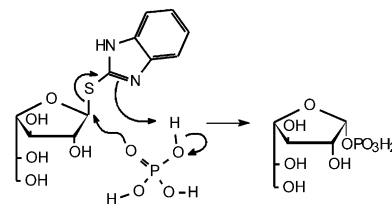
	A2780	K562 (IC ₅₀)
R = H,	3.1 μM	2.0 μM
R = Ph,	1.8 μM	4.0 μM
R = CH ₂ OTHP,	2.1 μM	4.0 μM

A Novel Synthesis of D-galactofuranosyl, D-glucofuranosyl and D-mannofuranosyl 1-Phosphates Based on Remote Activation of New and Free Hexofuranosyl Donors

Bioorg. Med. Chem. Lett. 12 (2002) 3515

Vincent Ferrières,^{*} Sophie Blanchard, Delphine Fischer and Daniel Plusquellec

Ecole Nationale Supérieure de Chimie de Rennes, UMR CNRS 6052 Synthèses et Activations de Biomolécules, Institut de Chimie de Rennes, Avenue du Général Leclerc, F-35700 Rennes, France



Synthesis of (+)-Lasonolide A: (–)-Lasonolide A is the Biologically Active Enantiomer

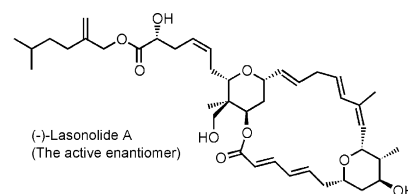
Bioorg. Med. Chem. Lett. 12 (2002) 3519

Eun Lee,^{a,*} Ho Young Song,^a Jung Min Joo,^a Jung Won Kang,^a Dae Shik Kim,^a Cheol Kyu Jung,^a Chang Yong Hong,^b ShinWu Jeong^b and Kiwan Jeon^b

^a*School of Chemistry and Molecular Engineering, Seoul National University, Seoul 151-747, Republic of Korea*

^b*Drug Discovery Research Institute, LGCI Life Science R&D, PO Box 61, Yuseong, Daejeon 305-380, Republic of Korea*

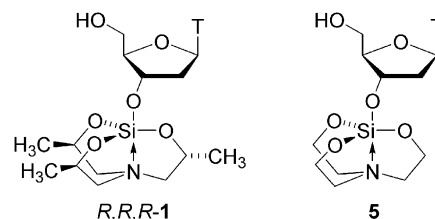
(+)-Lasonolide A was synthesized following the established procedure. (–)-Lasonolide A was found to be the biologically active enantiomer.



Stereoselective and Improved Syntheses and Anticancer Testing of 3'-O-silatranylthymidines

Bioorg. Med. Chem. Lett. 12 (2002) 3521

Christine A. Black, Jason W. Ucci, Jeremy S. Vorpagel, Matthew C. Mauck and Edward E. Fenlon*
Xavier University, Chemistry Department, 3800 Victory Parkway, Cincinnati, OH 45207-4221, USA



Vacuolar-type H⁺-ATPase Inhibitory Activity of Synthetic Analogues of the Concanamycins: Is the Hydrogen Bond Network Involving the Lactone Carbonyl, the Hemiacetal Hydroxy Group, and the C-19 Hydroxy Group Essential for the Biological Activity of the Concanamycins?

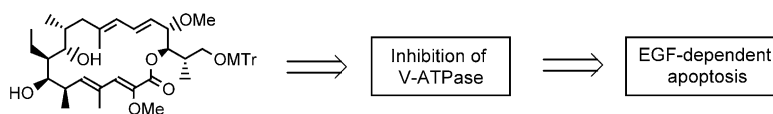
Bioorg. Med. Chem. Lett. 12 (2002) 3525

Yuya Yoshimoto,^b Takaaki Jyojima,^a Tsuyoshi Arita,^a Minoru Ueda,^c Masaya Imoto,^b Shuichi Matsumura^a and Kazunobu Toshima^{a,*}

^aDepartment of Applied Chemistry, Faculty of Science and Technology, Keio University, 3-14-1 Hiyoshi, Kohoku-ku, Yokohama 223-8522, Japan

^bDepartment of Bioscience and Informatics, Faculty of Science and Technology, Keio University, 3-14-1 Hiyoshi, Kohoku-ku, Yokohama 223-8522, Japan

^cDepartment of Chemistry, Faculty of Science and Technology, Keio University, 3-14-1 Hiyoshi, Kohoku-ku, Yokohama 223-8522, Japan



Synthesis of New Targretin[®] Analogues that Induce Apoptosis in Leukemia HL-60 Cells

Bioorg. Med. Chem. Lett. 12 (2002) 3529

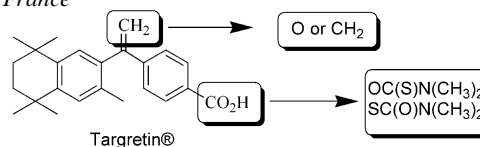
Jean-Yves Winum,^{a,*} Stephen Baghdiguian,^b Thérèse Commes,^c Alain Leydet^a and Jean-Louis Montero^{a,*}

^aLaboratoire de Chimie Biomoléculaire, UMR 5032, Université Montpellier II - CNRS - Laboratoires Mayoly Spindler, ENSCM, 8 Rue de l'Ecole Normale, 34296 Montpellier Cedex, France

^bLaboratoire de Dynamique Moléculaire des Interactions Membranaires, UMR 5539 Université Montpellier II - CNRS, Place E. Bataillon, 34095 Montpellier Cedex, France

^cInstitut de Génétique Humaine, UPR CNRS 1142, 34396 Montpellier Cedex, France

Four analogues of Targretin have been synthesized and examined for their ability to induce apoptosis of HL-60 cells.



Design and Efficient Synthesis of New Stable 1 α ,25-Dihydroxy-19-norvitamin D₃ Analogues Containing Amide Bond

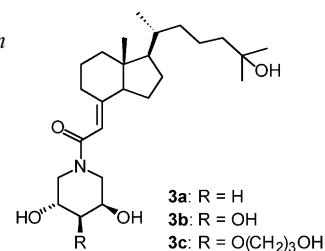
Bioorg. Med. Chem. Lett. 12 (2002) 3533

Yoshitomo Suhara,^a Atsushi Kittaka,^a Keiichiro Ono,^a Masaaki Kurihara,^b Toshie Fujishima,^a Akihiro Yoshida^a and Hiroaki Takayama^{a,*}

^aFaculty of Pharmaceutical Sciences, Teikyo University, Sagamiko, Kanagawa 199-0195, Japan

^bNational Institute of Health Sciences, Kamiyoga, Setagaya-ku, Tokyo 158-8501, Japan

The design and synthesis of the novel 1 α ,25-dihydroxy-19-norvitamin D₃ analogues **3a–c** with an amide bond are described.

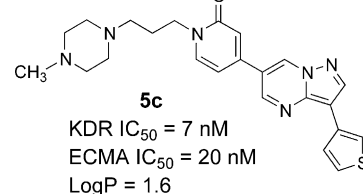


Optimization of a Pyrazolo[1,5-a]pyrimidine Class of KDR

Kinase Inhibitors: Improvements in Physical Properties Enhance Cellular Activity and Pharmacokinetics

Mark E. Fraley,* Robert S. Rubino, William F. Hoffman, Scott R. Hambaugh, Kenneth L. Arrington, Randall W. Hungate, Mark T. Bilodeau, Andrew J. Tebben, Ruth Z. Rutledge, Richard L. Kendall, Rosemary C. McFall, William R. Huckle, Kathleen E. Coll and Kenneth A. Thomas

Departments of Medicinal Chemistry and Cancer Research, Merck Research Laboratories, West Point, PA 19486, USA

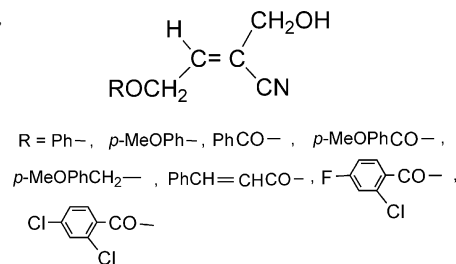


Synthesis of Aglycon Analogues of Sarmentosin and Their Bioactivity of Lymphocyte Proliferation

Hong Zhang, Rui Xu, Zhongliang Hu, Xuchang He, Donglu Bai,* Xiaoyu Li and Xiaofeng Wang

Shanghai Institute of Materia Medica, Shanghai Institutes for Biological Sciences, Chinese Academy of Sciences, 294 Tai-yuan Road, Shanghai 200031, China

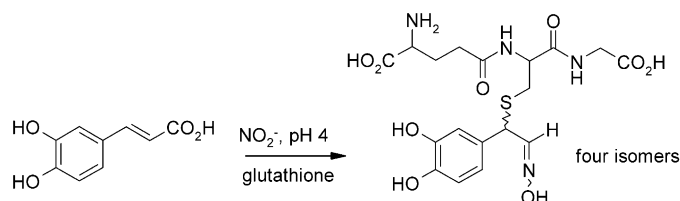
Eight analogues of sarmentosin aglycon were prepared and their bioactivities on the proliferation of T and B lymphocytes were assayed.



Nitrite-Mediated Decarboxylative Conjugation of Caffeic Acid with Glutathione Under Mildly Acidic Conditions

Lucia Panzella, Alessandra Napolitano and Marco d'Ischia*

Department of Organic Chemistry and Biochemistry, University of Naples 'Federico II', Via Cinthia 4, I-80126 Naples, Italy



Natural and Synthetic Geiparvarins are Strong and Selective MAO-B Inhibitors. Synthesis and SAR Studies

Angelo Carotti,^{a,*} Antonio Carrieri,^a Stefano Chimichi,^b Marco Boccalini,^b Barbara Cosimelli,^c Carmela Gnerre,^d Andrea Carotti,^a Pierre-Alain Carrupt^d and Bernard Testa^d

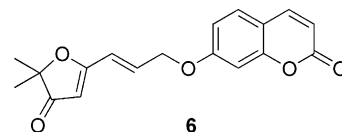
^aDipartimento Farmaco Chimico, via Orabona 4, I-70125 Bari, Italy

^bDipartimento di Chimica Organica 'U. Schiff', via della Lastruccia 13, I-50019 Sesto F.no (Firenze), Italy

^cDipartimento di Chimica Organica 'A. Mangini', via S. Donato 15, I-40127 Bologna, Italy

^dInstitut de Chimie thérapeutique, Université de Lausanne, CH-1015 Lausanne, Switzerland

Natural geiparvarin **1** and a number of its analogues were prepared and tested as MAO inhibitors. The desmethyl congener **6**, proved the most potent and selective MAO-B inhibitor: pIC₅₀ (MAO-B) = 7.55 versus pIC₅₀ (MAO-A) = 4.62.



Synthesis and Biological Evaluation of Aristolactams

Bioorg. Med. Chem. Lett. 12 (2002) 3557

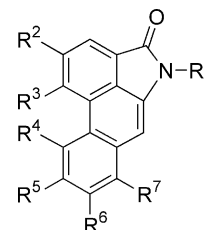
Axel Couture,^{a,*} Eric Deniau,^a Pierre Grandclaudon,^a Hélène Rybalko-Rosen,^a Stéphane Léonce,^b Bruno Pfeiffer^c and Pierre Renard^c

^aLaboratoire de Chimie Organique Physique, ESA CNRS 8009, Bâtiment C3(2), UST Lille, F-59655 Villeneuve d'Ascq Cédex, France

^bInstitut de Recherches Servier, Division de Cancérologie Expérimentale, 11, rue des Moulineaux, F-92150 Suresnes, France

^cSERVIER, 1, rue Carle Hébert, F-92415 Courbevoie Cédex, France

Twenty aristolactam derivatives diversely functionalized on the phenanthrene nucleus and the lactam moiety were synthesized and evaluated for cytotoxicity.



Synthesis and Biological Evaluation of Novel 2-(1*H*-imidazol-4-yl)cyclopropane Carboxylic Acids: Key Intermediates for H₃ Histamine Receptor Ligands

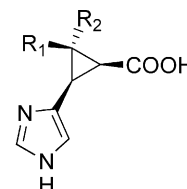
Bioorg. Med. Chem. Lett. 12 (2002) 3561

Miguel F. Braña,^{a,*} Cristina Guisado,^a Luis Fernando Alguacil,^b Elisa Garrido,^b Carmen Pérez-García^b and Mariano Ruiz-Gayo^b

^aDepartamento de Ciencias Químicas, Sección de Química Orgánica y Farmacéutica, Universidad San Pablo CEU, Urb Montepíncipe, Boadilla del Monte 28668, Madrid, Spain

^bDepartamento de Farmacología, Tecnología y Desarrollo Farmacéutico, Sección de Farmacología y Toxicología, Universidad San Pablo CEU, Urb Montepíncipe, Boadilla del Monte 28668, Madrid, Spain

The synthesis of novel key intermediates for H₃ histamine ligands is reported.



Synthesis and Pharmacological Evaluation of a New Class of Peroxisome Proliferator-Activated Receptor Modulators

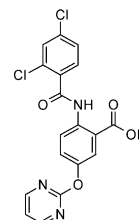
Bioorg. Med. Chem. Lett. 12 (2002) 3565

Markus Thor,^{a,*} Katarina Beierlein,^a Graeme Dykes,^a Anna-Lena Gustavsson,^a Jessica Heidrich,^a Lena Jendeborg,^a Bengt Lindqvist,^a Cecile Pegurier,^b Patrick Roussel,^c Martin Slater,^b Stefan Svensson,^a Mona Sydow-Bäckman,^a Ulla Thornström^a and Jonas Uppenberg^a

^aBiovitrum AB, Department of Medicinal Chemistry, Rapsgatan 7, Uppsala 751-37, Stockholm, Sweden

^bBiofocus Plc., Sittingbourne, UK

^cPharmacia Corporation, Nerviano, Italy



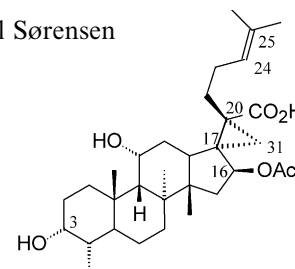
17*S*,20*S*-Methanofusidic Acid, a New Potent Semi-synthetic Fusidane Antibiotic

Bioorg. Med. Chem. Lett. 12 (2002) 3569

Tore Duvold,^{*} Anne Jørgensen, Niels R. Andersen, Anne S. Henriksen, Morten Dahl Sørensen and Fredrik Björkling

LEO Pharma, Industriparken 55, DK-2750 Ballerup, Denmark

The design and synthesis of a new semi-synthetic fusidic acid derivative with potent antibacterial activity is reported. Orientation of the side chain in a bioactive space is essential for biological activity.



2-(Dimethylaminomethyl)-tetrahydroisoxazolopyridobenzazepine Derivatives. Synthesis of a New 5-HT_{2C} Antagonist with Potential Anxiolytic Properties

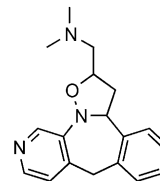
Bioorg. Med. Chem. Lett. 12 (2002) 3573

J. Ignacio Andrés,^{a,*} José M. Alonso,^a Javier Fernández,^a Laura Iturrino,^a Pedro Martínez,^a Theo F. Meert^b and Victor K. Sipido^b

^aJohnson & Johnson Pharmaceutical Research & Development, Division of Janssen-Cilag, Medicinal Chemistry Department, Jarama s/n, 45007 Toledo, Spain

^bJohnson & Johnson Pharmaceutical Research & Development, Division of Janssen Pharmaceutica N.V., Turnhoutseweg 30, B2340 Beerse, Belgium

The attempts to synthesise different novel 2-(dimethylaminomethyl)-tetrahydroisoxazolopyridobenzazepines are reported. The affinities for several receptors as well as the mCPP antagonistic activity of the compounds synthesised are described.



Synthetic Studies of *cis*-4-Amino-L-proline Derivatives as Novel Lipid Lowering Agents

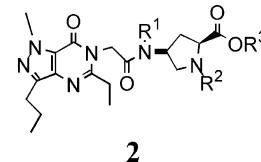
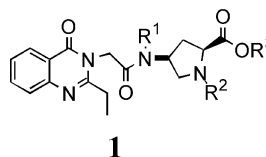
Bioorg. Med. Chem. Lett. 12 (2002) 3579

Saibal Kumar Das,^{a,*} V. L. Narasimha Rao Krovvidi,^a H. Jagadheshan^b and Javed Iqbal^a

^aDiscovery Chemistry, Dr. Reddy's Discovery Research, Bollaram Road, Miyapur, Hyderabad 500050, India

^bDiscovery Biology, Dr. Reddy's Discovery Research, Bollaram Road, Miyapur, Hyderabad 500050, India

cis-4-Amino-L-proline derivatives (**1** and **2**) were synthesized and screened as novel lipid lowering agents.



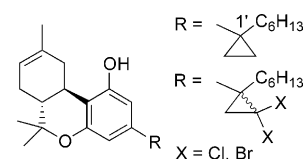
Novel 1',1'-Chain Substituted Δ⁸-Tetrahydrocannabinols

Bioorg. Med. Chem. Lett. 12 (2002) 3583

Demetris P. Papahatjis,^{a,*} Spyros P. Nikas,^a Thanos Andreou^a and Alexandros Makriyannis^{b,*}

^aInstitute of Organic and Pharmaceutical Chemistry, National Hellenic Research Foundation, 48 Vass. Constantinou, Athens 116-35, Greece

^bDepartments of Pharmaceutical Sciences and Molecular and Cell Biology and the Center for Drug Discovery, University of Connecticut, 372 Fairfield Road, Storrs, CT 06269, USA



Isolation and Antimalarial Activity of Peroxydisulfate Oxidation Products of Primaquine

Bioorg. Med. Chem. Lett. 12 (2002) 3587

Virendra K. Dua,^{a,*} Sukesh N. Sinha,^a Sukla Biswas,^b N. Valecha,^b S. K. Puri^c and V. P. Sharma^b

^aMalaria Research Centre, Field Station, Sector III, BHEL, Ranipur, Hardwar-249 403, India

^bMalaria Research Centre, 22 Sham Nath Marg, Delhi-110 054, India

^cCentral Drug Research Institute, Lucknow, India

Five compounds formed by peroxydisulfate oxidation of primaquine were isolated using chromatographic methods and evaluated for antimalarial activity in vitro. One compound 6-methoxy-5,8 di-(4'-amino-1'-methyl-butyl-amino)-quinoline [**P**₁] was found to have good gametocytocidal activity against *Plasmodium yoelli* infected mice at 10 mg kg⁻¹ dose in vivo.

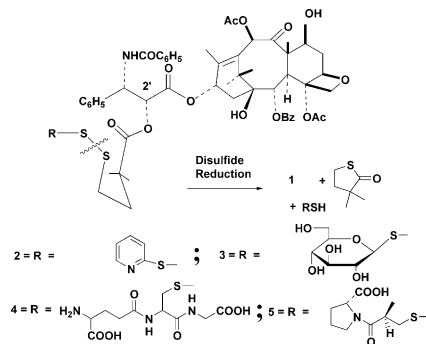
Reductively Activated Disulfide Prodrugs of Paclitaxel

Vivekananda M. Vrudhula,* John F. MacMaster, Zhengong Li, David E. Kerr and Peter D. Senter

Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492, USA

A series of unsymmetrical polar disulfide prodrugs (**2–5**) of paclitaxel was designed and synthesized as reductively activated prodrugs. These compounds behaved as prodrugs in vitro on L2987 lung carcinoma cells. In vivo evaluation in mice demonstrated that the mutual prodrug **5** with captopril exhibited significant regressions and cures.

Bioorg. Med. Chem. Lett. 12 (2002) 3591



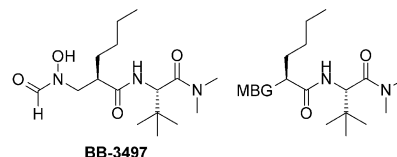
Structure–Activity Relationships of the Peptide Deformylase Inhibitor BB-3497: Modification of the Metal Binding Group

Helen K. Smith, R. Paul Beckett, John M. Clements, Sheila Doel, Stephen P. East,* Steven B. Launchbury, Lisa M. Pratt, Zoë M. Spavold, Wayne Thomas, Richard S. Todd and Mark Whittaker

British Biotech Pharmaceuticals Limited, Watlington Road, Oxford OX4 6LY, UK

A series of analogues of the potent peptide deformylase (PDF) inhibitor BB-3497 containing alternative metal binding groups (MBG) were synthesised and tested in enzyme inhibition and microbiological assays.

Bioorg. Med. Chem. Lett. 12 (2002) 3595



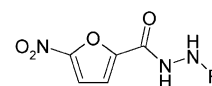
Antitrypanosomal Activities and Cytotoxicity of 5-Nitro-2-furancarbohydrazides

Régis Millet,^a Louis Maes,^b Valérie Landry,^a Christian Sergheraert^a and Elisabeth Davioud-Charvet^{a,*}

^aUMR 8525 CNRS, Université de Lille 2, Institut de Biologie de Lille et Institut Pasteur de Lille, 1 rue du Professeur Calmette, BP 447, F-59021 Lille, France

^bTibotec, L11 Gen. de Wittelaan, B-32800 Mechelen, Belgium

A series of 5-nitro-2-furancarbohydrazides have been synthesized. In vitro antitrypanosomal activities of these compounds were explored against the closely related protozoa *Trypanosoma cruzi* *Trypanosoma brucei* and discussed in the view of potential targets.



Bioorg. Med. Chem. Lett. 12 (2002) 3601

A Simple and Rapid Method for the Differentiation of C-13 Manoyl Oxide Epimers in Biologically Important Samples Using GC–MS Analysis Supported with NMR Spectroscopy and Computational Chemistry Results

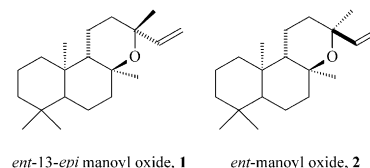
Costas Demetzos,^{a,*} Antonios Kolocouris^b and Thalia Anastasaki^a

^aDepartment of Pharmacy, Division of Pharmacognosy and Chemistry of Natural Products, University of Athens, Panepistimiopolis-Zografou, 15771 Athens, Greece

^bDepartment of Pharmacy, Division of Pharmaceutical Chemistry, University of Athens, Panepistimiopolis-Zografou, 15771 Athens, Greece

A GC–MS method was developed for the characterization and percentage calculation of manoyl oxide epimers in samples of natural origin: the ratio of intensities of peaks m/z 275 : 257 is lower in *ent*-13-*epi*-manoyl oxide than in *manoyl* oxide. NMR Spectroscopy is used to give experimental evidence and simple calculations were performed to support the MS data. Using this methodology the epimeric purity of antimicrobial manoyl oxide mixtures was calculated showing the *epi*-isomer to be more potent than its diastereomeric congener against Gram-positive bacteria.

Bioorg. Med. Chem. Lett. 12 (2002) 3605



Synthesis, Radiolabeling and Preliminary Biological Evaluation of Radiolabeled 5-Methyl-6-nitroquipazine, a Potential Radioligand for the Serotonin Transporter

Bioorg. Med. Chem. Lett. 12 (2002) 3611

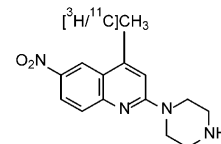
Johan Sandell,^{a,*} Meixiang Yu,^b Patrick Emond,^c Lucette Garreau,^c Sylvie Chalon,^c Kjell Någren,^b Denis Guilloteau^c and Christer Halldin^a

^aKarolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital, S-171 76 Stockholm, Sweden

^bTurku PET Centre, Radiopharmaceutical Chemistry Laboratory, Porthaninkatu 3, FIN-20500 Turku, Finland

^cINSERM U316, Laboratoire Biophysique Médicale et Pharmaceutique, Faculté des Sciences Pharmaceutiques, Université François Rabelais, 31 avenue Monge, F-37200 Tours, France

5-Methyl-6-nitroquipazine, a new potent and selective serotonin transporter inhibitor was synthesized and radiolabeled with tritium and the positron emitter carbon-11.



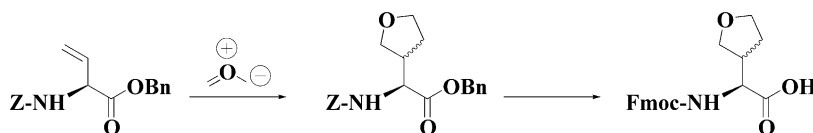
$K_d = 51 \pm 7$ pM

An Expedient Synthesis of N^α -Protected-L-tetrahydrofuranylglycine and Its Application in the Synthesis of Novel Substrate Based Inhibitors of HIV-1 Protease

Bioorg. Med. Chem. Lett. 12 (2002) 3615

S. Rajesh, Ei'ichi Ami, Tomoya Kotake, Tooru Kimura, Yoshio Hayashi and Yoshiaki Kiso*

Department of Medicinal Chemistry, Center for Frontier Research in Medicinal Science, Kyoto Pharmaceutical University, Yamashina-ku, Kyoto 607-8412, Japan

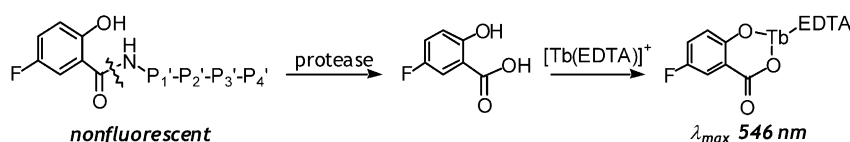


Scanning the Prime-Site Substrate Specificity of Proteolytic Enzymes: A Novel Assay Based on Ligand-Enhanced Lanthanide Ion Fluorescence

Bioorg. Med. Chem. Lett. 12 (2002) 3619

Amy M. Barrios and Charles S. Craik*

Department of Pharmaceutical Chemistry, University of California, San Francisco, CA 94143, USA



Silanediol-Based Inhibitor of Thermolysin

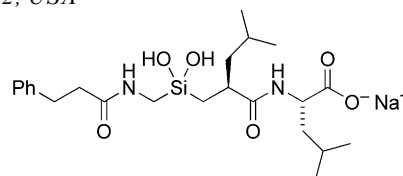
Bioorg. Med. Chem. Lett. 12 (2002) 3625

Jaeseung Kim,^a Athanasios Glekas^a and Scott McN. Sieburth^{a,b,*}

^aDepartment of Chemistry, State University of New York at Stony Brook, Stony Brook, NY 11794-3400, USA

^bDepartment of Chemistry, Temple University, 1901 N. 13th St, Philadelphia, PA 19122, USA

The first silanediol inhibitor of the metalloprotease thermolysin was designed by analogy to a phosphinic acid inhibitor. The inhibition of thermolysin by the silanediol ($K_i = 41$ nM) is similar to the phosphinic acid.



Inhibition of Amine Oxidases Activity by 1-Acetyl-3,5-diphenyl-4,5-dihydro-(1H)-pyrazole Derivatives

Bioorg. Med. Chem. Lett. 12 (2002) 3629

Fedele Manna,^{a,*} Franco Chimenti,^a Adriana Bolasco,^a Daniela Secci,^a Bruna Bizzarri,^a Olivia Befani,^b Paola Turini,^b Bruno Mondovì,^b Stefano Alcaro^c and Andrea Tafi^d

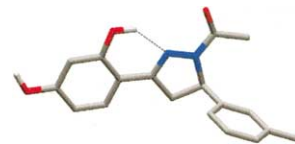
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A novel series of 1-acetyl-3,5-diphenyl-4,5-dihydro-(1H)-pyrazole derivatives have been synthesised and investigated for the ability to inhibit selectively amine oxidases. Flexible docking studies have been performed in MAO-B crystal structure.



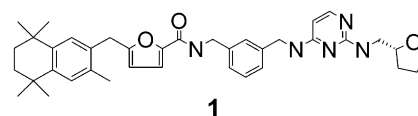
Characterization of Mono- and Diaminopyrimidine Derivatives as Novel, Nonpeptide Gonadotropin Releasing Hormone (GnRH) Receptor Antagonists

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David R. Luthin,* Yufeng Hong,* Eileen Tompkins, Kenna L. Anderes, Genevieve Paderes, Eugenia A. Kravynov, Mary A. Castro, Karen D. Nared-Hood, Rosemary Castillo, Margaret Gregory, Haresh Vazir, John M. May and Mark B. Anderson

Pfizer Global Research and Development-La Jolla/Agouron Pharmaceuticals, Inc., 10724 Science Center Drive, San Diego, CA 92121, USA

The synthesis of a series of potent GnRH receptor antagonists represented by **1** (Human GnRH-R K_i = 9 nM) is reported.



Unique Hole-Trapping Property of the Degenerate Base, 2-Amino-7-deazaadenine

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