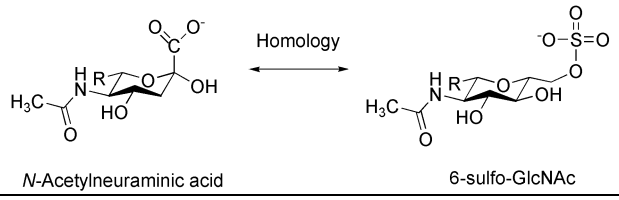
Bioorg. Med. Chem. Lett. 13 (2003) 2821

N-Acetyl-6-sulfo-D-glucosamine as a Promising Mimic of *N*-Acetyl Neuraminic Acid

Kenji Sasaki,[a,c] Yoshihiro Nishida,[a,c,*] Hirotaka Uzawa[b] and Kazukiyo Kobayashi[a,c,*]

[a]*Department of Molecular Design and Engineering, Graduate School of Engineering, Nagoya University, Chikusa-ku, Nagoya 464-8603, Japan*
[b]*National Institute of Advanced Industrial Science and Technology (AIST), 1-1-1 Higashi, Tsukuba, 305-8565, Japan*
[c]*CREST, Japan Science and Technology Corporation (JST). 4-1-8 Hon-cho, Kawaguchi, Saitama 332-0012, Japan*

6-Sulfo-D-GlcNAc with a molecular geometry close to that of *N*-acetylneuraminic acid (Neu5Ac) was hypothesized to serve as a simple mimic of Neu5Ac. The hypothesis was evidenced by substantial activity to inhibit neuraminidase.



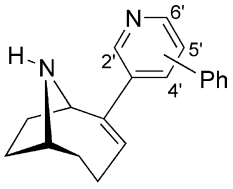
Bioorg. Med. Chem. Lett. 13 (2003) 2825

Synthesis and Nicotinic Binding of Novel Phenyl Derivatives of UB-165. Identifying Factors Associated with $\alpha 7$ Selectivity

Gunter Karig,[a] Jonathan M. Large,[a] Christopher G. V. Sharples,[b] Andrew Sutherland,[a] Timothy Gallagher[a,*] and Susan Wonnacott[b,*]

[a]*School of Chemistry, University of Bristol, Bristol BS8 1TS, UK*
[b]*Department of Biology and Biochemistry, University of Bath, Bath BA2 7AY, UK*

Four phenyl substituted analogues of UB-165 have been synthesised and evaluated as nicotinic ligands. The 2′-phenyl derivative shows no activity at these major receptor subtypes, while the 4′-phenyl analogue shows an enhanced level of α_7 selectivity.



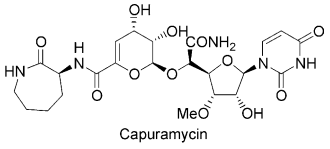
Bioorg. Med. Chem. Lett. 13 (2003) 2829

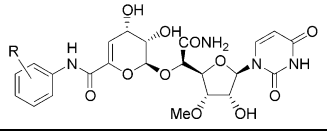
Synthesis and Antimycobacterial Activity of Capuramycin Analogues. Part 1: Substitution of the Azepan-2-one Moiety of Capuramycin

Hitoshi Hotoda,[a,*] Miyuki Furukawa,[a] Makiko Daigo,[a] Kazuhiro Murayama,[a] Masakatsu Kaneko,[a] Yasunori Muramatsu,[b] Michiko Miyazawa Ishii,[b] Shun-ichi Miyakoshi,[b] Toshio Takatsu,[b] Masatoshi Inukai,[b] Masayo Kakuta,[c] Tomomi Abe,[c] Tamako Harasaki,[c] Takashi Fukuoka,[c] Yukio Utsui[c] and Satoshi Ohya[c]

[a]*Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*
[b]*Biomedical Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*
[c]*Biological Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*

Capuramycin analogues with a variety of substituents in place of the azepan-2-one moiety were synthesized from A-500359E and were tested for their translocase I inhibitory activity and in vitro antimycobacterial activity. Phenyl-type moieties were found to be effective substituents for capuramycin analogues.


Capuramycin



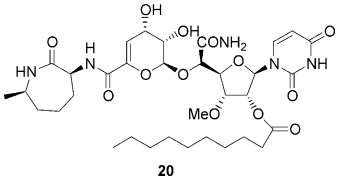
Bioorg. Med. Chem. Lett. 13 (2003) 2833

Synthesis and Antimycobacterial Activity of Capuramycin Analogues. Part 2: Acylated Derivatives of Capuramycin-Related Compounds

Hitoshi Hotoda,[a,*] Makiko Daigo,[a] Miyuki Furukawa,[a] Kazuhiro Murayama,[a] Chikako Akiyama Hasegawa,[a] Masakatsu Kaneko,[a] Yasunori Muramatsu,[b] Michiko Miyazawa Ishii,[b] Shun-ichi Miyakoshi,[b] Toshio Takatsu,[b] Masatoshi Inukai,[b] Masayo Kakuta,[c] Tomomi Abe,[c] Takashi Fukuoka,[c] Yukio Utsui[c] and Satoshi Ohya[c]

[a]*Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*
[b]*Biomedical Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*
[c]*Biological Research Laboratories, Sankyo Co., Ltd., 1-2-58 Hiromachi, Shinagawa-ku, Tokyo 140-8710, Japan*

Acylated derivatives of capuramycin and A-500359A were synthesized and tested for antimycobacterial activity. Compound **20** having a decanoyl group showed very potent antimycobacterial activity.


20

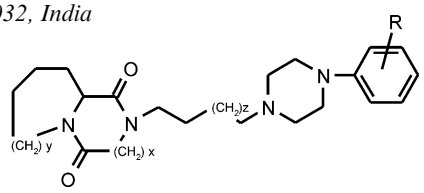
Bioorg. Med. Chem. Lett. 13 (2003) 2837

QSAR Study on the Affinity of Some Arylpiperazines towards the 5-HT_{1A}/α_1-Adrenergic Receptor Using the E-State Index

Bikash Debnath,[a] Soma Samanta,[a] Sudip Kumar Naskar,[b] Kunal Roy[a] and Tarun Jha[a,*]

[a]*Department of Pharmaceutical Technology, PO Box 17020, Jadavpur University, Kolkata 700 032, India*
[b]*Department of Computer Science & Engineering, Jadavpur University, Kolkata 700 032, India*

QSAR study was performed using the E-state index on some arylpiperazines as 5-HT_{1A}/α_1-adrenergic receptor antagonistic activities to find out pharmacophoric requirements.



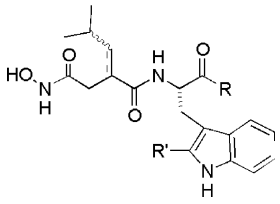
Bioorg. Med. Chem. Lett. 13 (2003) 2843

MMPs Inhibitors: New Succinylhydroxamates with Selective Inhibition of MMP-2 over MMP-3

Valérie Marcq,[a] Catherine Mirand,[a,*] Martine Decarme,[b] Hervé Emonard[b] and William Hornebeck[b]

[a]*IFR 53, UMR/CNRS 6013, Faculté de Pharmacie, 51 rue Cognacq-Jay, 51096 Reims Cedex, France*
[b]*IFR 53 FRE/CNRS 2534, Faculté de Médecine, 51 rue Cognacq-Jay, 51096 Reims Cedex, France*

Some ilomastat analogues featuring an isobutylidene group or a 2-substituted indole nucleus were synthesized to evaluate their inhibitory activities against gelatinase A and stromelysin-1.



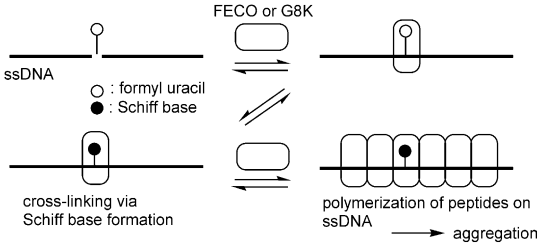
Bioorg. Med. Chem. Lett. 13 (2003) 2847

Aggregation of RecA-Derived Peptides on Single-Stranded Oligonucleotides Triggered by Schiff Base-Mediated Crosslinking

Toru Sugiyama,[a,*] Atsushi Kittaka,[b,*] Hiroaki Takayama,[b] Mitsugu Tomioka,[c] Yoshiteru Ida[c] and Reiko Kuroda[a,*]

[a]*Department of Life Sciences, Graduate School of Arts and Sciences, The University of Tokyo, 3-8-1 Komaba, Meguro-ku, Tokyo 153-8902, Japan*
[b]*Faculty of Pharmaceutical Sciences, Teikyo University, Sagamiko, Kanagawa 199-0195, Japan*
[c]*School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo 142-8555, Japan*

Schiff base-triggered aggregation of RecA-derived peptides onto fdU-containing ssDNA is reported.



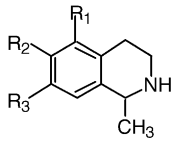
Bioorg. Med. Chem. Lett. 13 (2003) 2853

Neuroprotective or Neurotoxic Activity of 1-Methyl-1,2,3,4-tetrahydroisoquinoline and Related Compounds

Katsuhiro Okuda, Yaichiro Kotake and Shigeru Ohta*

Graduate School of Biomedical Sciences, Hiroshima University, Kasumi 1-2-3, Minami-ku, Hiroshima 734-8551, Japan

We synthesized various 1-methyl-1,2,3,4-tetrahydroisoquinoline (1MeTIQ) derivatives, evaluated their neurotoxicity using SH-SY5Y cells, and tested the neuroprotective activity of 1MeTIQ and hydroxyl-substituted 1MeTIQs against salsolinol toxicity.



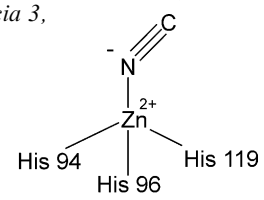
	R_1	R_2	R_3	LD_{50} (mM)
1	H	H	H	3.59
2a	OMe	H	H	2.45
2b	OH	H	H	3.38
3a	H	OMe	H	2.79
3b	H	OH	H	3.63
4a	H	H	OMe	1.39
4b	H	H	OH	4.15
5a	H	OMe	OMe	2.73
5b	H	OH	OH	0.034

Bioorg. Med. Chem. Lett. 13 (2003) 2857

Carbonic Anhydrase Inhibitors: Inhibition of Human and Murine Mitochondrial Isozymes V with Anions

Marco Franchi,[a] Daniela Vullo,[b] Enzo Gallori,[a] Jochen Antel,[c] Michael Wurl,[c] Andrea Scozzafava[b] and Claudiu T. Supuran[b,*]

[a]*Università degli Studi di Firenze, Dipartimento di Biologia Animale e Genetica, Via Romana 17-19, 50122 Firenze, Italy*
[b]*Università degli Studi di Firenze, Laboratorio di Chimica Bioinorganica, Rm. 188, Via della Lastruccia 3, I-50019 Sesto Fiorentino, Firenze, Italy*
[c]*Solvay Pharmaceuticals GmbH, Hans Böckler-Allee 20, D-30173 Hannover, Germany*



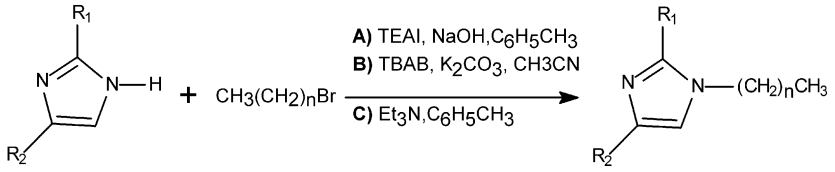
Bioorg. Med. Chem. Lett. 13 (2003) 2863

Synthesis of *N*-Alkylated Derivatives of Imidazole as Antibacterial Agents

S. Khabnadideh,[a,*] Z. Rezaei,[a] A. Khalafi-Nezhad,[b] R. Bahrinajafi,[a] R. Mohamadi[a] and A. A. Farrokhroz[a]

[a]*Department of Medicinal Chemistry, School of Pharmacy, Shiraz University of Medical Sciences, Shiraz, Iran*
[b]*Department of Chemistry, College of Science, Shiraz University, Shiraz 71454, Iran*

Alkylated derivatives of imidazole have been synthesized as antibacterial agents. Antibacterial effects of 1-alkylimidazole derivatives increase as the number of carbons in alkyl chain increase up to nine carbons. Also substitution of 2-methyl and 2-methyl-4-nitro groups on imidazole ring increase the antibacterial activity.

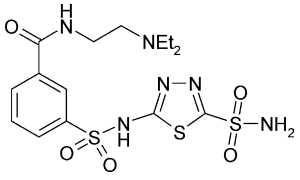


Bioorg. Med. Chem. Lett. 13 (2003) 2867

Carbonic Anhydrase Inhibitors: Topically Acting Antiglaucoma Sulfonamides Incorporating Esters and Amides of 3- and 4-Carboxybenzolamide

Angela Casini,[a] Andrea Scozzafava,[a] Francesco Mincione,[b] Luca Menabuoni,[c] Michele Starnotti[d] and Claudiu T. Supuran[a,*]

[a]*Università degli Studi, Laboratorio di Chimica Inorganica e Bioinorganica, Via della Lastruccia 3, Rm. 188; 50019 Sesto Fiorentino, Florence, Italy*
[b]*U.O. Oculistica Az. USL 3, Val di Nievole, Ospedale di Pescia, Pescia, Italy*
[c]*Casa di Cura Villa Donatello, Piazza Donatello, 14, 50100 Florence, Italy*
[d]*Clinica Oculistica, Viale Morgagni 85, I-50134 Florence, Italy*



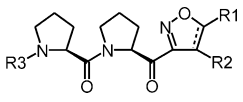
Bioorg. Med. Chem. Lett. 13 (2003) 2875

Prolylisoxazoles: Potent Inhibitors of Prolyloligopeptidase with Antitrypanosomal Activity

Gunther Bal,[a] Pieter Van der Veken,[a] Dimitri Antonov,[a] Anne-Marie Lambeir,[b] Philippe Grellier,[c] Simon L. Croft,[d] Koen Augustyns[a] and Achiel Haemers[a,*]

[a]*Department of Medicinal Chemistry, University of Antwerp, Belgium*
[b]*Department of Medical Biochemistry, University of Antwerp, Belgium*
[c]*Muséum National d'Histoire Naturelle, USM 0504 Biologie Fonctionnelle des Protozoaires, Paris, France*
[d]*Department of Infectious and Tropical Diseases, London School of Hygiene & Tropical Medicine, London, UK*

A series of prolylprolylisoxazoles and prolylprolylisoxazolines are synthesized through an 1,3 dipolar cycloaddition reaction. They are potent (K_i: low nM) inhibitors of prolyloligopeptidase and show antitrypanosomal activity in vitro (ED_{50}: low μM).



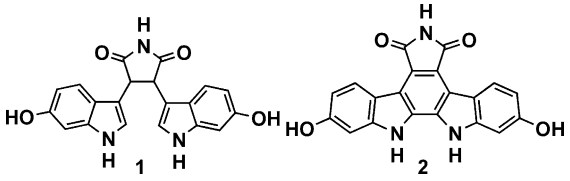
Bioorg. Med. Chem. Lett. 13 (2003) 2879

Isolation of Bisindole Alkaloids that Inhibit the Cell Cycle from Myxomycetes *Arcyria ferruginea* and *Tubifera casparyi*

Satomi Nakatani,[a] Ayano Naoe,[a] Yukinori Yamamoto,[b] Tomohiro Yamauchi,[a] Naoto Yamaguchi[a] and Masami Ishibashi[a,*]

[a]*Graduate School of Pharmaceutical Sciences, Chiba University, 1-33 Yayoi-cho, Inage-ku, Chiba 263-8522, Japan*
[b]*Kochi Kita Highschool, 160 Higashiishidate, Kochi 780-8039, Japan*

From a myxomycete *Arcyria ferruginea*, dihydroarcyriarubin C (**1**), a new bisindole alkaloid, has been isolated, and arcyriaflavin C (**2**), a known bisindole alkaloid isolated from *Tubifera casparyi*, exhibited cell-cycle inhibition effect at G1 and G2/M stage at 10 and 100 ng/mL, respectively.



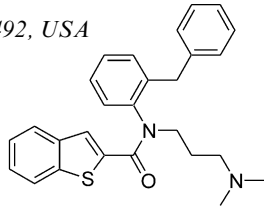
Bioorg. Med. Chem. Lett. 13 (2003) 2883

Differentially Functionalized Diamines as Novel Ligands for the NPY_2 Receptor

Charles J. Andres,[a] Ildiko Antal Zimanyi,[b,*] Milind S. Deshpande,[c] Lawrence G. Iben,[a] Katharine Grant-Young,[a,*] Gail K. Mattson[a] and Weixu Zhai[a]

[a]*Bristol-Myers Squibb Pharmaceutical Research Institute, 5 Research Parkway, Wallingford, CT 06492, USA*
[b]*Bristol-Myers Squibb Pharmaceutical Research Institute, Pennington-Rocky Hill Road, Hopewell, NJ 08525, USA*
[c]*Achillion Pharmaceuticals Inc., 300 George Street, New Haven, CT 06511, USA*

Novel ligands for the NPY_2 receptor have been synthesized using both solution phase parallel synthesis and solid phase split pool synthesis methodologies.



16 IC_{50} = 450 nM

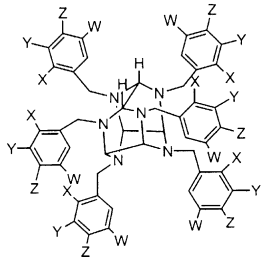
Bioorg. Med. Chem. Lett. 13 (2003) 2887

Cage Amines as the Stopper Inhibitors of Cholinesterases

Gialih Lin,[a,*] Hou-Jen Tsai[b] and Yi-Hon Tsai[a]

[a]*Department of Chemistry, National Chung-Hsing University, Taichung, Taiwan*
[b]*Department of Applied Chemistry, National Chung Cheng Institute of Technology, Ta-Shi, Tao-Yuan, Taiwan*

The relationship between cholinesterases and cage amines mimics that between bottles and stoppers.



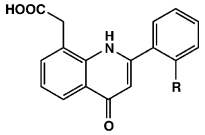
Bioorg. Med. Chem. Lett. 13 (2003) 2891

Antitumor Agents. Part 226: Synthesis and Cytotoxicity of 2-Phenyl-4-quinolone Acetic Acids and Their Esters

Yi Xia,[a] Zheng-Yu Yang,[a] Peng Xia,[a] Kenneth F. Bastow,[a] Yuka Nakanishi,[a] Priya Nampoothiri,[b] Ernest Hamel,[b] Arnold Brossi[a] and Kuo-Hsiung Lee[a,*]

[a]*Natural Products Laboratory, School of Pharmacy, University of North Carolina, Chapel Hill, NC 27599, USA*
[b]*Screening Technologies Branch, Developmental Therapeutics Program, Division of Cancer Treatment and Diagnosis, National Cancer Institute at Frederick, National Institutes of Health, Frederick, MD 21702, USA*

2-Phenyl-4-quinolone acetic acids and their esters were synthesized and evaluated for cytotoxicity against a panel of human tumor cell lines. 2-Phenyl- and 2-(2′-fluorophenyl)-4-quinolone-8-acetic acids (**11** and **12**) displayed potent cytotoxicity with ED_{50} values at nanomolar concentrations.



11: R = H
12: R = F

Bioorg. Med. Chem. Lett. 13 (2003) 2895

Identification of a Novel Class of Orally Active Pyrimido[5,4-3][1,2,4]triazine-5,7-diamine-Based Hypoglycemic Agents with Protein Tyrosine Phosphatase Inhibitory Activity

Kevin R. Guertin,* Lina Setti, Lida Qi, Rachel M. Dunsdon, Brian W. Dymock, Philip S. Jones, Hilary Overton, Mathew Taylor, Glyn Williams, Joseph A. Sergi, Karen Wang, Ying Peng, Marcia Renzetti, Rogely Boyce, Fiorenza Falcioni, Ralph Garippa and Andrée R. Olivier

Roche Research Center, Hoffmann-LaRoche Inc., 340 Kingsland St., Nutley, NJ 07110, USA

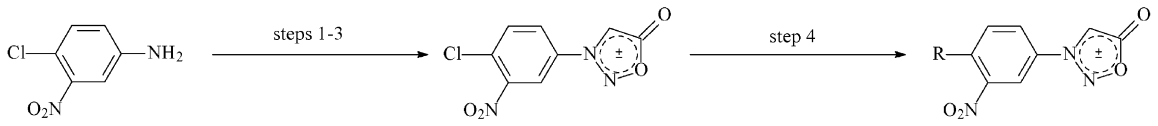
A novel class of orally active pyrimido[5,4-3][1,2,4]triazine-5,7-diamine hypoglycemic agents is described. The compounds show inhibitory properties across a panel of tyrosine phosphatases. Compounds **12** and **13** are orally active in *ob*/*ob* mice.

Bioorg. Med. Chem. Lett. 13 (2003) 2899

Synthesis and Biological Evaluation of a Novel Phenyl Substituted Sydnone Series as Potential Antitumor Agents

Christopher S. Dunkley and Charles J. Thoman*

Department of Chemistry and Biochemistry, University of the Sciences in Philadelphia, 600 S. 43rd St., Philadelphia, PA 19104, USA



1. Condensation with chloroacetic acid, **2**. Nitrosation, **3**. Ring closure using Ac_2O, **4**. Nucleophilic aromatic substitution with appropriate substrate

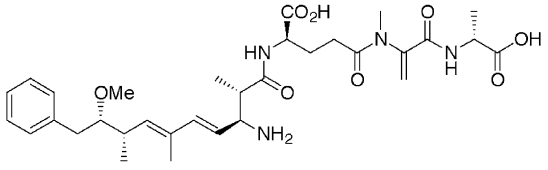
Bioorg. Med. Chem. Lett. 13 (2003) 2903

Linearized and Truncated Microcystin Analogues as Inhibitors of Protein Phosphatases 1 and 2A

Brian M. Gulledge, James B. Aggen and A. Richard Chamberlin*

Department of Chemistry, University of California at Irvine, Irvine, CA 92697, USA

A series of acyclic, truncated microcystin analogues containing Adda and between one to four additional amino acids characteristic of the parent toxin was synthesized and screened for activity as inhibitors of the protein phosphatases 1 and 2A.



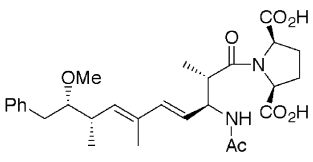
Bioorg. Med. Chem. Lett. 13 (2003) 2907

Microcystin Analogues Comprised Only of Adda and a Single Additional Amino Acid Retain Moderate Activity as PP1/PP2A Inhibitors

Brian M. Gulledge, James B. Aggen, Hugo Eng, Khuloud Sweimeh and A. Richard Chamberlin*

Department of Chemistry, University of California at Irvine, Irvine, CA 92697, USA

A series of greatly simplified microcystin analogues was synthesized and screened for inhibition of the protein phosphatases 1 and 2A, with several of the analogues shown to be mid-nanomolar inhibitors of the enzymes.



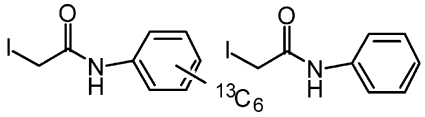
Bioorg. Med. Chem. Lett. 13 (2003) 2913

Synthesis of ^{13}C-Labeled Iodoacetanilide and Application to Quantitative Peptide Analysis by Isotope Differential Mass Spectrometry

Satomi Niwayama,[a,*] Sadamu Kurono[b] and Hiroyuki Matsumoto[b,*]

[a]*Department of Chemistry, Oklahoma State University, Stillwater, OK 74078-3071, USA*
[b]*Department of Biochemistry and Molecular Biology, NSF EPSCoR Oklahoma Biotechnology Network Laser Mass Soectrometry Facility, University of Oklahoma Health Sciences Center, Oklahoma City, OK 73190, USA*

^{13}C-Labeled and unlabeled iodoacetanilides have been synthesized for covalent modification of cysteine residues in proteins and applied to quantitative analysis of peptides.



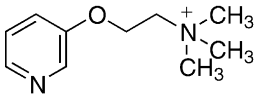
Bioorg. Med. Chem. Lett. 13 (2003) 2917

Quaternary Ammonium 3-(Aminoethoxy)pyridines as Antinociceptive Agents

Rahime Simsek,[a] Jean Chang-Fong,[a] Mase Lee,[a] Malgorzata Dukat,[a] M. Imad Damaj,[b] Billy R. Martin[b] and Richard A. Glennon[a,*]

[a]*Department of Medicinal Chemistry, School of Pharmacy, Virginia Commonwealth University, Richmond, VA 23298, USA*
[b]*Department of Pharmacology, School of Medicine, Virginia Commonwealth University, Richmond, VA 23298, USA*

Compound **2** ($K_i = 0.5$ nM) was one of several quaternary amines shown to bind at nicotinic acetylcholinergic receptors with high affinity. Antinociceptive action (mouse tail-flick assay) was evident following either subcutaneous or intrathecal administration of **2**.



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Bioorg. Med. Chem. Lett. 13 (2003) 2921

1*H*-Pyrazolo-[3,4-*c*]cyclophepta[1,2-*c*]thiophenes: A Unique Structural Class of Dopamine D_4 Selective Ligands

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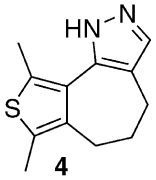
A series of novel 1*H*-pyrazolo-[3,4-*c*]cyclophepta[1,2-*c*]thiophenes was prepared and screened at selected dopamine receptor subtypes. Compound **4** (NGB 4420) displayed high affinity and selectivity (>100-fold) for the D_4 over D_2 and other CNS receptors. This compound was identified as a D_4 antagonist via its attenuation of dopamine agonist-induced GTPγ^{35}S binding at the D_4 receptor.



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NGB 4420

Bioorg. Med. Chem. Lett. 13 (2003) 2925

Two Antiviral Compounds from the Plant *Stylogne cauliflora* as Inhibitors of HCV NS3 Protease

Vinod R. Hegde,* Haiyan Pu, Mahesh Patel, Pradip R. Das, Nancy Butkiewicz, Gladys Arreaza, Vincent P. Gulloand and Tze-Ming Chan

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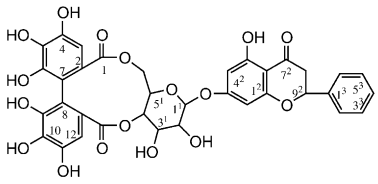
The 70% aq methanolic extract of the Peruvian plant *Stylogne cauliflora* was found to contain two novel oligophenolic compounds SCH 644343 (**1**) and SCH 644342 (**2**), which were identified as inhibitors of HCV NS3 protease. The structure of **1** and **2** was established based on high-resolution NMR studies. Compound **1** inhibited HCV NS3 protease with an IC_{50} of 0.3 μM, while compound **2** showed an IC_{50} of 0.8 μM.



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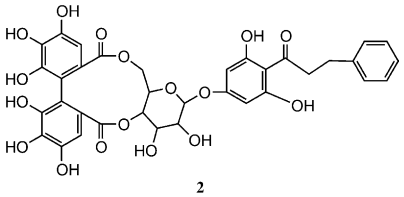

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Bioorg. Med. Chem. Lett. 13 (2003) 2929

Thiourea Inhibitors of Herpes Viruses. Part 1: Bis-(aryl)thiourea Inhibitors of CMV

Jonathan D. Bloom,* Martin J. DiGrandi, Russell G. Dushin, Kevin J. Curran, Adma A. Ross, Emily B. Norton, Eugene Terefenko, Thomas R. Jones, Boris Feld and Stanley A. Lang

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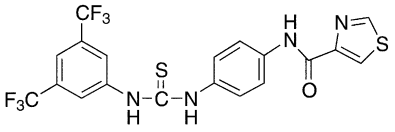
Bis-(aryl)thioureas were found to be potent and selective inhibitors of cytomegalovirus (CMV) in cultured HFF cells. Of these, the thiazole analogue **38** was investigated as a potential development candidate.



38

Bioorg. Med. Chem. Lett. 13 (2003) 2933

Synthesis and Evaluation of Methyl Ether Derivatives of the Vancomycin, Teicoplanin, and Ristocetin Aglycon Methyl Esters

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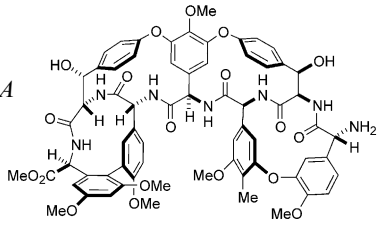
A series of methyl ether derivatives of the vancomycin, teicoplanin, and ristocetin aglycon methyl esters was synthesized and their antimicrobial activity was established. These derivatives exhibit increased activity against VanB resistant strains of bacteria equipotent with that observed with sensitive bacteria.



Ristocetin Aglycon Methyl Ether Derivative