

Special Section: Symposium-in-Print

Therapeutic Intervention Targeting Protein–Protein Interactions

Guest Editor: Jean Chmielewski

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West Lafayette, IN 47907, USA*

Contents

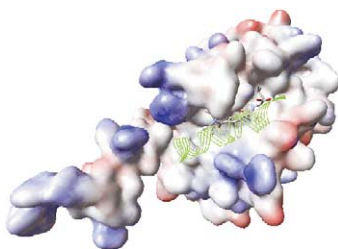
Bioorganic & Medicinal Chemistry Letters Symposia-in-Print
Preface

p 1371
p 1373

SYMPOSIUM-IN-PRINT COMMUNICATIONS

Terephthalamide derivatives as mimetics of the helical region of Bak peptide target Bcl-xL protein
Hang Yin and Andrew D. Hamilton*

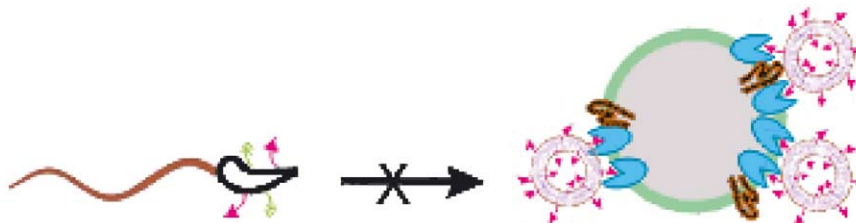
pp 1375–1379



A group of novel Bcl-xL/Bak antagonists, based on a terephthalamide scaffold, were designed to mimic the α -helical region of the Bak peptide. Good in vitro inhibition potencies in disrupting the Bak/Bcl-xL complex have been observed (terephthalamide **4**, $K_i = 0.78 \pm 0.07 \mu\text{M}$).

Fertilin β peptidic liposomes inhibit fertilization by steric blockage
Samidha Konkar, Suparna Gupta and Nicole S. Sampson*

pp 1381–1384

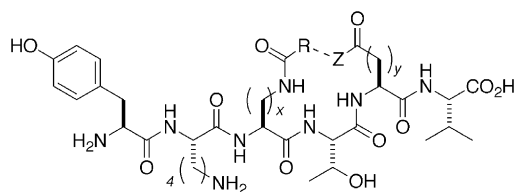


Liposomes physically block access of the sperm to the egg membrane thereby preventing sperm binding to all egg receptors not just the fertilin β receptor.

Thermodynamic profiling of conformationally constrained cyclic ligands for the PDZ domain

pp 1385–1388

Tao Li, Dorina Saro and Mark R. Spaller*

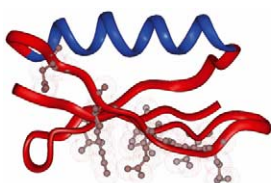


Macrocyclic peptides with varied ring sizes have been prepared as ligands for PDZ3 of the PSD-95 protein and investigated by calorimetry.

Dual surface selection methodology for the identification of thrombin binding epitopes from hotspot biased phage-display libraries

pp 1389–1393

Srivats Rajagopal, Roberto Meza-Romero and Indraneel Ghosh*



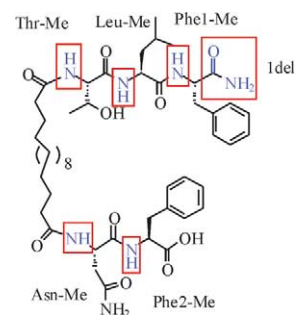
- Blue Helix allows Structural Selection by IgG Binding
- Randomized Red Sheet allows for Functional Selection against Thrombin

Blue helix allows structural selection by IgG binding. Randomized red sheet allows for functional selection against thrombin.

Crucial amides for dimerization inhibitors of HIV-1 protease

pp 1395–1398

Michael J. Bowman and Jean Chmielewski*

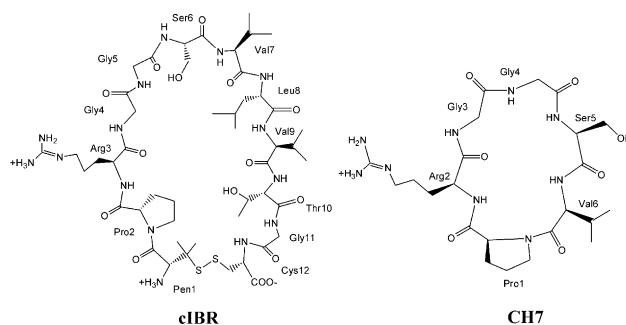


The effects of *N*-methylation on agents that inhibit the dimerization of HIV-1 protease and effects on degradation by proteases are reported.

Inhibition of ICAM-1/LFA-1-mediated heterotypic T-cell adhesion to epithelial cells: design of ICAM-1 cyclic peptides

pp 1399–1402

Meagan E. Anderson, Tatyana Yakovleva, Yongbo Hu and Teruna J. Siahaan*



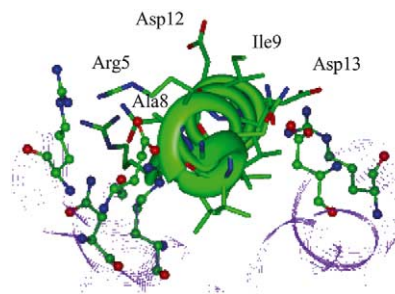
Cyclic peptides cIBR and CH7 were found to inhibit adhesion of T-cells to Caco-2 cell monolayers.

Synthesis and helical structure of lactam bridged BH3 peptides derived from pro-apoptotic Bcl-2 family proteins

pp 1403–1406

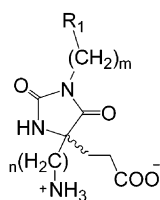
Bin Yang, Dongxiang Liu and Ziwei Huang*

Cyclic lactam bridged BH3 peptide analogues were synthesized by a novel combined Fmoc/*t*Bu/Bzl protection strategy and found to adopt highly helical structure. These helical peptides serve as useful models to analyze the mechanism of pro-apoptotic BH3 domains. This synthetic method for lactam bridge incorporation may also find application in studies of other helical structures involved in protein–protein interactions.

**Structure-based de novo design of ligands using a three-dimensional model of the insulin receptor**

pp 1407–1410

Christopher Tan, Lianhu Wei, F. Peter Ottensmeyer, Ira Goldfine, Betty A. Maddux, Cecil C. Yip, Robert A. Batey* and Lakshmi P. Kotra*

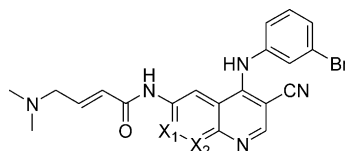


For the first time, a three-dimensional model of the insulin receptor was used in the de novo design of novel ligands that potentially mimic interactions of insulin at its receptor. Compound **4** showed modest competitive inhibition of insulin-induced autophosphorylation activity of insulin receptor.

**REGULAR COMMUNICATIONS****Syntheses and EGFR kinase inhibitory activity of 6-substituted-4-anilino [1,7] and [1,8] naphthyridine-3-carbonitriles**

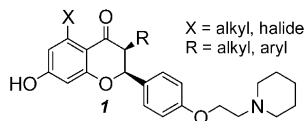
pp 1411–1416

Allan Wissner,* Philip R. Hamann, Ramaswamy Nilakantan, Lee M. Greenberger, Fei Ye, Timothy A. Rapuano and Frank Loganzo

**14:** X₁ = CH, X₂ = N, IC₅₀ = 2043.6 nM**28:** X₁ = N, X₂ = CH, IC₅₀ = 1.9 nM**32:** X₁ = X₂ = CH, IC₅₀ = 37.2 nM**Estrogen receptor ligands. Part 1: The discovery of flavanoids with subtype selectivity**

pp 1417–1421

Helen Y. Chen,* Kevin D. Dykstra, Elizabeth T. Birzin, Katalin Frisch, Wanda Chan, Yi T. Yang, Ralph T. Mosley, Frank DiNinno, Susan P. Rohrer, James M. Schaeffer and Milton L. Hammond



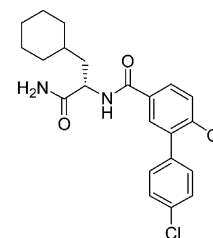
A class of flavanoids exhibiting a high degree of selectivity for ER α over ER β has been discovered. In addition, the stereoselective synthesis of these compounds is described. The result of this work has provided a lead to more potent ER α -selective antagonists exemplified by **1**.

The discovery of biaryl acids and amides exhibiting antibacterial activity against Gram-positive bacteria

pp 1423–1426

Gary C. Look, Charles Vacin, Tracy M. Dias, Sun Ho, Thuy H. Tran, Laurance L. Lee, Cedric Wiesner, Flora Fang, Andrea Marra, Don Westmacott, Alexander E. Hromockyj, Martin M. Murphy and John R. Schullek*

Biaryl-based compounds synthesized by solid-phase methods were shown to exhibit anti-microbial activity against Gram-positive bacteria in whole cell screens.



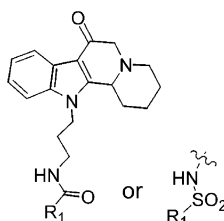
PDL115228

8–12 µg/mL

Design and synthesis of indolo[2,3-*a*]quinolizin-7-one inhibitors of the ZipA–FtsZ interaction

pp 1427–1431

Lee D. Jennings,* Ken W. Foreman, Thomas S. Rush, III, Desiree H. H. Tsao, Lidia Mosyak, Yuanhong Li, Mohani N. Sukhdeo, Weidong Ding, Elizabeth G. Dushin, Cynthia Hess Kenny, Soraya L. Moghazeh, Peter J. Petersen, Alexey V. Ruzin, Margareta Tuckman and Alan G. Sutherland

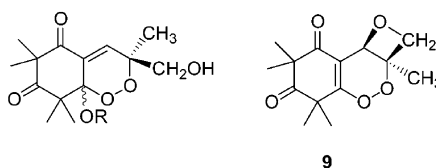


The binding of FtsZ to ZipA is a potential target for antibacterial therapy. Based on a small molecule inhibitor of the FtsZ–ZipA interaction, a parallel synthesis of small molecules was initiated which targeted a key region of ZipA involved in FtsZ binding.

Crucial role of the peroxyketal function for antimalarial activity in the G-factor series

pp 1433–1436

Fadia Najjar, Liliane Gorrichon, Michel Baltas, Henri Vial, Théodore Tzedakis and Christiane André-Barrès*



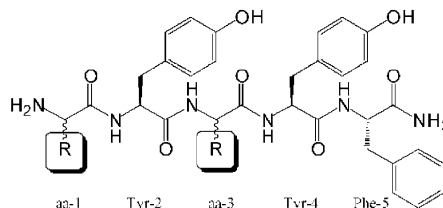
9

During synthesis of endoperoxides exhibiting antimalarial activity due to the peroxyketal function, a novel rearrangement occurred providing heterocycle 9.

Interference of the galactose-dependent binding of lectins by novel pentapeptide ligands

pp 1437–1440

Christopher J. Arnusch, Sabine André, Paola Valentini, Martin Lensch, Roland Russwurm, Hans-Christian Siebert, Marcel J. E. Fischer, Hans-Joachim Gabius and Roland J. Pieters*



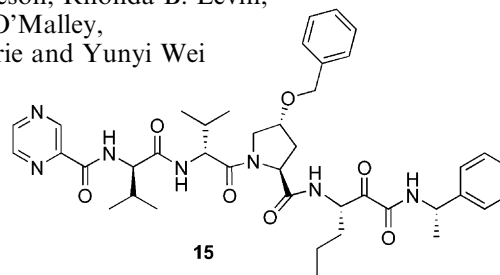
A small library of pentapeptides containing two regions of variability was synthesized and evaluated for lectin binding. Specificity was observed and galectin inhibition measured with selected sequences was 2–3 times stronger than galactose.

Inhibitors of hepatitis C virus NS3-4A protease 2. Warhead SAR and optimization

pp 1441–1446

Robert B. Perni,* Janos Pitlik, Shawn D. Britt, John J. Court, Lawrence F. Courtney, David D. Deininger, Luc J. Farmer, Cynthia A. Gates, Scott L. Harbeson, Rhonda B. Levin, Chao Lin, Kai Lin, Young-Choon Moon, Yu-Ping Luong, Ethan T. O'Malley, B. Govinda Rao, John A. Thomson, Roger D. Tung, John H. Van Drie and Yunyi Wei

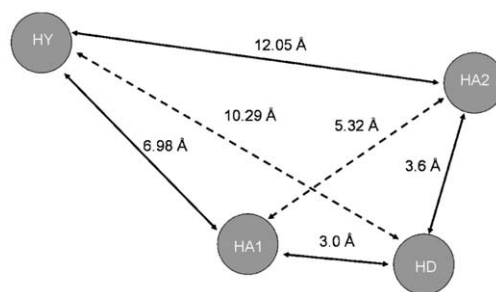
The α -ketoamide warhead (e.g., **15**) was found to be a practical replacement for aliphatic aldehydes in a series of HCV NS3-4A protease inhibitors. Structure–activity relationships and prime side optimization are discussed.

**HIV-1 integrase pharmacophore model derived from diverse classes of inhibitors**

pp 1447–1454

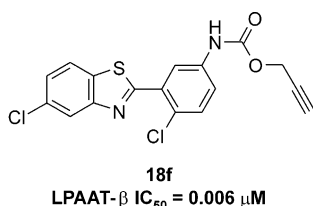
Gabriela Iurcu, Alessandro Brigo and James M. Briggs*

A three-dimensional pharmacophore model has been generated for HIV-1 integrase (HIV-1 IN) from known inhibitors. A dataset consisting of 26 inhibitors was selected on the basis of the information content of the structures and activity data as required by the CATALYST/HYPGEN program. Our model was able to predict the activity of other known HIV-1 IN inhibitors not included in the model generation, and can be further used to identify structurally diverse compounds with desired biological activity by virtual screening.

**Synthesis and SAR of 2-arylbenzoxazoles, benzothiazoles and benzimidazoles as inhibitors of lysophosphatidic acid acyltransferase- β**

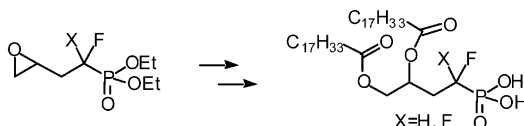
pp 1455–1459

Baoqing Gong, Feng Hong, Cory Kohm, Lynn Bonham and Peter Klein*

**Activation of mTOR signaling by novel fluoromethylene phosphonate analogues of phosphatidic acid**

pp 1461–1464

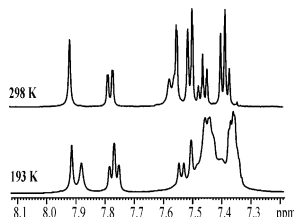
Yong Xu, Yimin Fang, Jie Chen and Glenn D. Prestwich*



Determination of the stable conformation of GABA_A-benzodiazepine receptor bivalent ligands by low temperature NMR and X-ray analysis

pp 1465–1469

Dongmei Han, F. Holger Försterling, Xiaoyan Li, Jeffrey R. Deschamps, Hui Cao and James M. Cook*

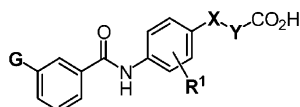


The stable conformations of GABA_A-benzodiazepine receptor bivalent ligands were determined by low temperature NMR spectroscopy and confirmed by single crystal X-ray analysis, moreover the effect on in vitro affinities was discussed.

Synthesis of cinnamic acids and related isosteres as potent and selective $\alpha_v\beta_3$ receptor antagonists

pp 1471–1476

Thomas D. Penning,* Mark A. Russell, Barbara B. Chen, Helen Y. Chen, Bipin N. Desai, Stephen H. Docter, David J. Edwards, Glen J. Gesicki, Chi-Dean Liang, James W. Malecha, Stella S. Yu, V. Wayne Engleman, Sandra K. Freeman, Melanie L. Hanneke, Kristen E. Shannon, Marisa M. Westlin and G. Allen Nickols

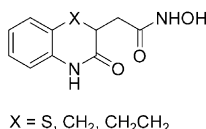


The synthesis and biological evaluation of a series of cinnamic acids and related bioisosteric $\alpha_v\beta_3$ antagonists is described.

Identification of novel potent bicyclic peptide deformylase inhibitors

pp 1477–1481

Valentina Molteni,* Xiaohui He, Juliet Nabakka, Kunyong Yang, Andreas Kreusch, Perry Gordon, Badry Bursulaya, Ian Warner, Tanya Shin, Tanya Biorac, Neil S. Ryder, Ron Goldberg, John Doughty and Yun He

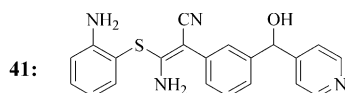


Novel potent bicyclic *Staphylococcus aureus* PDF–Ni inhibitors are described.

Beyond U0126. Dianion chemistry leading to the rapid synthesis of a series of potent MEK inhibitors

pp 1483–1486

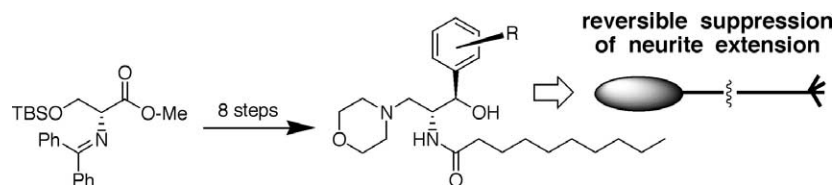
John Wityak, Frank W. Hobbs, Daniel S. Gardner, Joseph B. Santella, III, Joseph J. Petraitis, Jung-Hui Sun, Margaret F. Favata, Andrea J. Daulerio, Kurumi Y. Horiuchi, Robert A. Copeland, Peggy A. Scherle, Bruce D. Jaffe, James M. Trzaskos, Ronald L. Magolda, George L. Trainor and John V. Duncia*

MEK IC₅₀ = 12 nMTPA ear ED₅₀ = 5 mg/kg i.p.

New PDMP analogues inhibit process outgrowth in an insect cell line

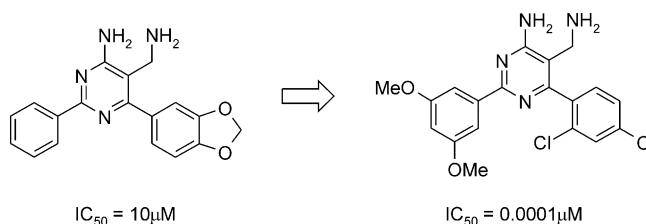
pp 1487–1490

Jacob P. Slavish, Donna K. Friel, Lynne A. Oland and Robin Polt*

**Aminomethylpyrimidines as novel DPP-IV inhibitors: A 10⁵-fold activity increase by optimization of aromatic substituents**

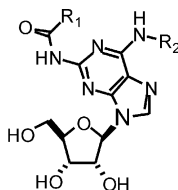
pp 1491–1493

Jens-Uwe Peters,* Silja Weber, Stéphane Kritter, Peter Weiss, Angelina Wallier, Markus Boehringer, Michael Hennig, Bernd Kuhn and Bernd-Michael Loeffler

**2-(*N*-Acyl) and 2-*N*-acyl-*N*⁶-substituted analogues of adenosine and their affinity at the human adenosine receptors**

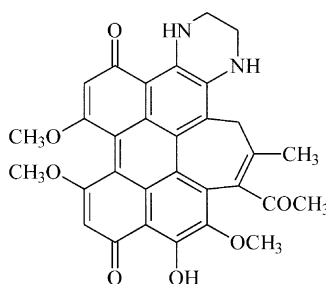
pp 1495–1498

Prakash G. Jagtap,* Zhiyu Chen, Csaba Szabó and Karl-Norbert Klotz

Synthesis and evaluation of 2-(*N*-acyl) and 2-*N*-acyl-*N*⁶-substituted analogues of adenosine are discussed.**Hypocrellin derivative with improvements of red absorption and active oxygen species generation**

pp 1499–1501

Shangjie Xu,* Shen Chen, Manhua Zhang and Tao Shen



A novel diamino substituted hypocrellin derivative was synthesized with significantly enhanced red absorption and active oxygen generation.

Structure–activity relationships of a novel class of endothelin receptor selective antagonists; 6-carboxy-2-isopropylamino-5,7-diarylcyclopenteno[1,2-*b*]pyridines

pp 1503–1507

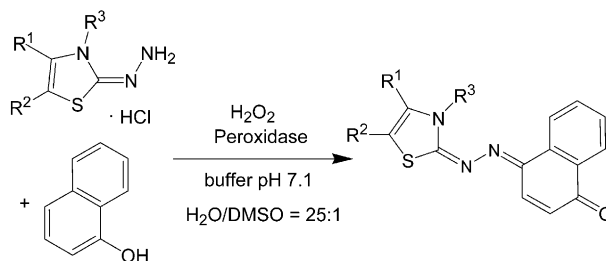
Hirobumi Takahashi, Norikazu Ohtake,* Toshihiro Sakamoto, Tomoharu Iino, Nobuhiko Kawanishi, Masayuki Nakamura, Takashi Yoshizumi, Kenji Niiyama, Satoshi Ozaki, Hiromasa Okada, Akiko Kano, Hiroyuki Takahashi, Yasuyuki Ishii, Megumu Okada, Michiyasu Saito, Yoshio Sawazaki, Takashi Hayama and Masaru Nishikibe

A novel class of optically active 6-carboxy-2-isopropylamino-5,7-diarylcyclopenteno[1,2-*b*]pyridines were synthesized and evaluated as ET_A receptor selective antagonists.

Peroxidase catalyzed formation of azine pigments—a convenient and sensitive method for the identification of human cells with positive myeloperoxidase reactivity

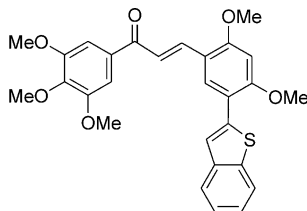
pp 1509–1511

Anja Bodtke, Wolf-Diethard Pfeiffer,* Norbert Ahrens and Peter Langer*

**Discovery of novel heteroaryl-substituted chalcones as inhibitors of TNF- α -induced VCAM-1 expression**

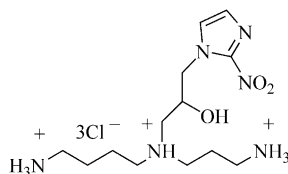
pp 1513–1517

Charles Q. Meng,* X. Sharon Zheng, Liming Ni, Zhihong Ye, Jacob E. Simpson, Kimberly J. Worsencroft, Martha R. Hotema, M. David Weingarten, Jason W. Skudlarek, Joshua M. Gilmore, Lee K. Hoong, Russell R. Hill, Elaine M. Marino, Ki-Ling Suen, Charles Kunsch, Martin A. Wasserman and James A. Sikorski

**Synthesis of a novel nitroimidazole-spermidine derivative as a tumor-targeted hypoxia-selective cytotoxin**

pp 1519–1522

Maria V. Papadopoulou,* Howard S. Rosenzweig and William D. Bloomer

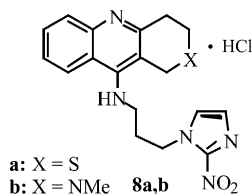


The synthesis, active transportation via the polyamine transporter, and evaluation of a novel spermidine linked nitroimidazole is reported.

Synthesis of novel 2-nitroimidazole-tethered tricyclic quinolines, bearing a second heteroatom, and their in vitro evaluation as hypoxia-selective cytotoxins and radiosensitizers

pp 1523–1525

Maria V. Papadopoulou,* Howard S. Rosenzweig and William D. Bloomer

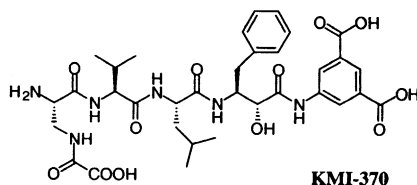


Two novel weak DNA-intercalating bioreductive compounds have been synthesized and evaluated in vitro as hypoxia-selective cytotoxins and radiosensitizers.

KMI-358 and KMI-370, highly potent and small-sized BACE1 inhibitors containing phenylnorstatine

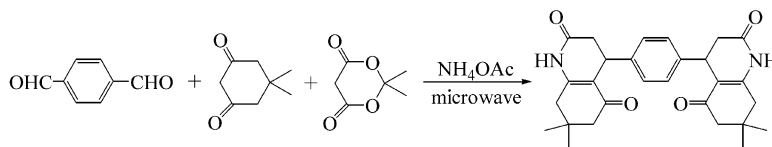
pp 1527–1531

Tooru Kimura, Daisuke Shuto, Soko Kasai, Ping Liu, Koushi Hidaka, Takashi Hamada, Yoshio Hayashi, Chinatsu Hattori, Masashi Asai, Shinobu Kitazume, Takaomi C. Saido, Shoichi Ishiura and Yoshiaki Kiso*

**New potential calcium channel modulators: design and synthesis of compounds containing two pyridine, pyrimidine, pyridone, quinoline and acridine units under microwave irradiation**

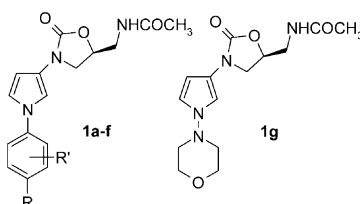
pp 1533–1536

Shujiang Tu,* Chunbao Miao, Fang Fang, Feng Youjian, Tuanjie Li, Qiya Zhuang, Xiaojing Zhang, Songlei Zhu and Daqing Shi

**Synthesis and in vitro antimycobacterial activity of novel 3-(1H-pyrrol-1-yl)-2-oxazolidinone analogues of PNU-100480**

pp 1537–1541

Gianluca Sbardella, Antonello Mai, Marino Artico,* Roberta Loddo, Maria Grazia Setzu and Paolo La Colla

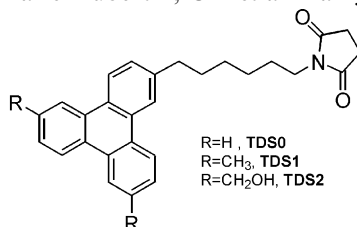


A series of 3-(1H-pyrrol-3-yl)-2-oxazolidinones **1** were prepared and evaluated against atypical mycobacteria as well as against drug resistant *M. tuberculosis* strains.

A possible improvement for structure-based drug design illustrated by the discovery of a Tat HIV-1 inhibitor

pp 1543–1546

Mickaël Montebault, Giang Vo-Thanh, Abdallah Deyine, Valérie Fargeas, Monique Villières, Ané Adjou, Didier Dubreuil, Didier Esquieu, Catherine Grégoire, Sandrine Opi, Jean-Marie Péloponèse, Grant Campbell, Jennifer Watkins, Jean de Mareuil, Anne-Marie Aubertin, Christian Bailly, Erwann Loret* and Jacques Lebreton*

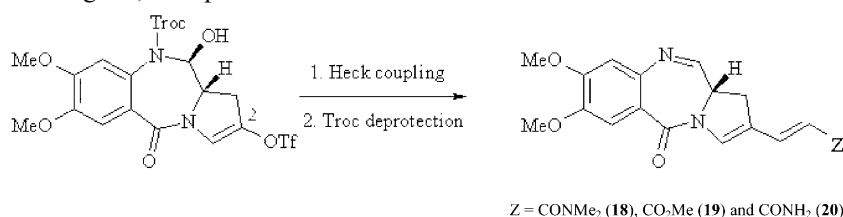


A new family of antivirals able to bind on protein Tat and inhibit in vitro HIV-1 replication has been synthesized.

A novel approach to the synthesis of cytotoxic C2–C3 unsaturated pyrrolo[2,1-c][1,4]benzodiazepines (PBDs) with conjugated acrylyl C2-substituents

pp 1547–1549

Zhizhi Chen, Stephen J. Gregson, Philip W. Howard* and David E. Thurston*

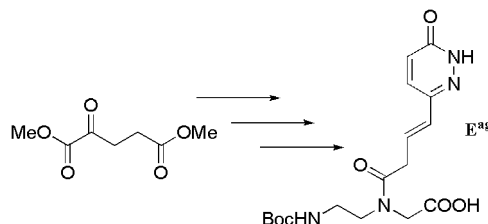


A concise synthesis of three novel C2–C3 unsaturated pyrrolo[2,1-c][1,4]benzodiazepine analogues (**18–20**) containing conjugated acrylyl C2 substituents is reported. These compounds possess significant cytotoxicity according to the NCI 60-cell line screen with **18** surpassing anthramycin in potency.

Synthesis and evaluation of a conformationally constrained pyridazinone PNA-monomer for recognition of thymine in triple-helix structures

pp 1551–1554

Anne Goldbech Olsen, Otto Dahl and Peter E. Nielsen*

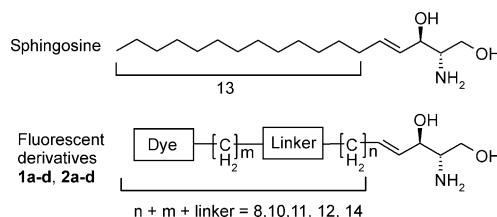


A novel conformationally constrained pyridazinone E^{ag}-base PNA-monomer capable of binding thymine in a triplex motif was designed, synthesised and evaluated in terms of thermal stability and thermodynamic parameters (ΔH° , ΔS° and ΔG°).

Fluorescence-labeled sphingosines as substrates of sphingosine kinases 1 and 2

pp 1555–1558

Peter Ettmayer,* Andreas Billich, Thomas Baumruker, Diana Mechtcheriakova, Heide Schmid and Peter Nussbaumer



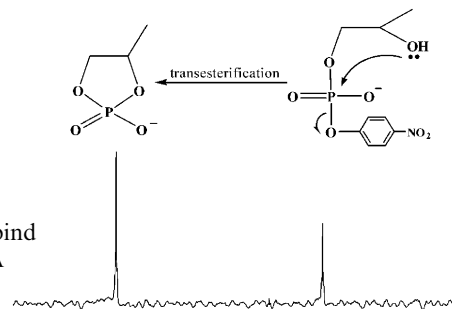
A series of fluorescently labeled sphingosines have been synthesized and the influence of the nature, position and linkage of the label on the in vitro phosphorylation rate by sphingosine kinases 1 and 2 was determined.

Metalated hybrid polymers as catalytic reagents for phosphate ester hydrolysis and plasmid modification

pp 1559–1562

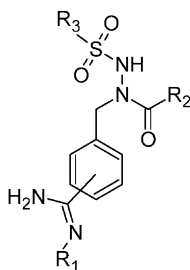
Vadapalli Chandrasekhar,* Pravas Deria, Venkatasubbaiah Krishnan, Arunachalampillai Athimoolam, Sanjay Singh, C. Madhavaiah, S. G. Srivatsan and Sandeep Verma*

A cyclophosphazene pendant containing cross-linked polymer has been shown to bind to Zn(II); the latter is an effective catalyst for phosphate ester hydrolysis and DNA cleavage.

**Thrombin inhibitors built on an azaphenylalanine scaffold**

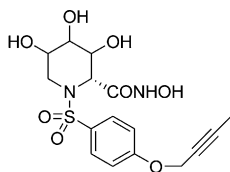
pp 1563–1567

Anamarija Zega, Gregor Mlinšek, Tomaž Šolmajer, Alenka Trampuš-Bakija, Mojca Stegnar and Uroš Urleb*

**Synthesis and biological activity of selective azasugar-based TACE inhibitors**

pp 1569–1572

Takahiro Tsukida,* Hideki Moriyama, Yoshimasa Inoue, Hirosato Kondo, Kohichiro Yoshino and Shin-Ichiro Nishimura*

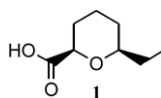


In this report, we demonstrate novel TACE selective inhibitor based on azasugar scaffold.

(±)-*cis*-(6-Ethyl-tetrahydropyran-2-yl)-formic acid: a novel substance with antinociceptive properties

pp 1573–1575

L. S. M. Miranda, Bruno G. Marinho, Suzana G. Leitão, Maria Eline Matheus, Patrícia D. Fernandes and M. L. A. A. Vasconcellos*



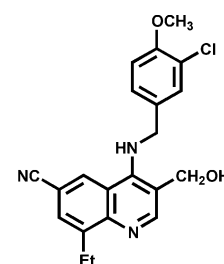
In this paper we describe the first synthesis of the (±) *cis* (6-ethyl-tetrahydropyran-2-yl) formic acid (**1**) and its significant antinociceptive property by the tail-flick model.

Quinolines as extremely potent and selective PDE5 inhibitors as potential agents for treatment of erectile dysfunction

pp 1577–1580

Yingzhi Bi,* Patrick Stoy, Leonard Adam, Bin He, John Krupinski, Diane Normandin, Ron Pongrac, Laurie Seliger, Andrew Watson and John E. Macor

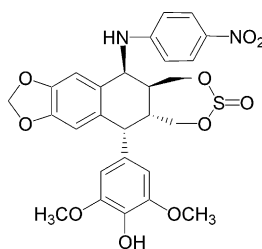
The synthesis and primary structure–activity relationship of a new series of potent PDE5 inhibitors is described.


 PDE5 IC₅₀ = 0.05 nM
 (> 7800-fold selective versus PDE 1-6)

Antitumor agents. Part 232: Synthesis of cyclosulfite podophyllotoxin analogues as novel prototype antitumor agents

pp 1581–1584

Zhiyan Xiao, Shiqing Han, Kenneth F. Bastow and Kuo-Hsiung Lee*


¹H NMR relaxation investigation of acetylcholinesterase inhibitors from huperzine A and derivative

pp 1585–1588

Yiming Li, Qian Li, Manchi Sun, Guoqiang Song, Shanhao Jiang and Dayuan Zhu*

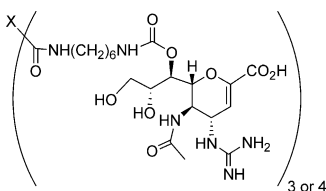
 The binding properties of huperzine A (1) with *Torpediniforms Naeline* acetylcholinesterase (TnAChE) were investigated by ¹H NMR methods. The experiments give a possible method to use TnAChE to locate the new huperzine A derivatives as AChE inhibitors.

Highly potent and long-acting trimeric and tetrameric inhibitors of influenza virus neuraminidase

pp 1589–1592

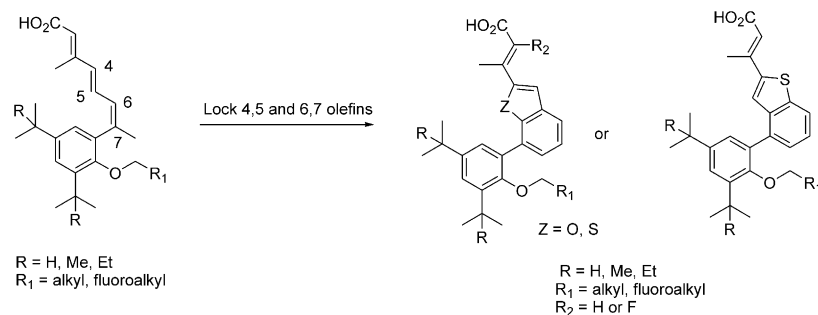
Keith G. Watson,* Rachel Cameron, Rob J. Fenton, David Gower, Stephanie Hamilton, Betty Jin, Guy Y. Krippner, Angela Luttick, Darryl McConnell, Simon J. F. MacDonald, Andy M. Mason, Van Nguyen, Simon P. Tucker and Wen-Yang Wu

Multimeric derivatives of the influenza drug zanamivir show superior in vivo activity.

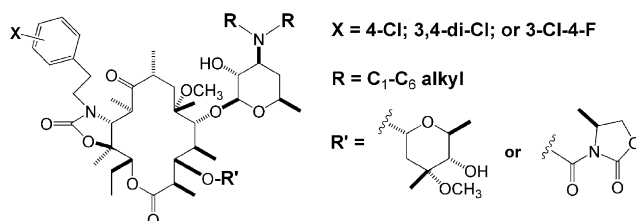


Design, synthesis and structure–activity relationship of novel RXR-selective modulators**pp 1593–1598**

Pierre-Yves Michellys,* Jennifer D'Arrigo, Timothy A. Grese, Donald S. Karanewsky, Mark D. Leibowitz, Dale A. Mais, Christopher M. Mapes, Anne Reifel-Miller, Deepa Rungta and Marcus F. Boehm

**Elimination of antibacterial activities of non-peptide luteinizing hormone-releasing hormone (LHRH) antagonists derived from erythromycin A****pp 1599–1602**

John T. Randolph,* Daryl R. Sauer, Fortuna Haviv, Angela M. Nilius and Jonathan Greer




Antibacterial results for a series of potent, non-peptide LHRH antagonists derived from erythromycin A are described. Increasing the size of the alkyl amino substituents on desosamine resulted in improved affinity for the LHRH receptor, as well as reduced antibacterial activities against bacterial strains highly susceptible to erythromycin antibiotics.

OTHER CONTENTS

Contributors to this issue
Instructions to contributors

pp I–II
pp III–VI

*Corresponding author

* Supplementary data available via ScienceDirect

COVER

Cover figure provided by **Indraneel Ghosh**, Department of Chemistry, University of Arizona. The cover depicts the **Dual Surface Selection** methodology developed by the author: the blue helix of htB1 (center) allows structural selection with the Fc portion of Immunoglobulin (left), while the residues randomized on the red sheet of htB1 (center) allows for functional selection against thrombin (right) [Rajagopal, S.; Meza-Romero, R.; Ghosh, I. *Bioorg. Med. Chem. Lett.* **2004**, *14*, 1389].



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