

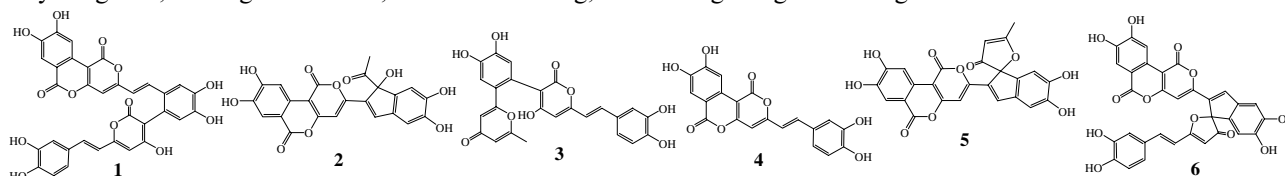
Contents

ARTICLES

New antioxidant polyphenols from the medicinal mushroom *Inonotus obliquus*

pp 6678–6681

In-Kyoung Lee, Young-Sook Kim, Yoon-Woo Jang, Jin-Young Jung and Bong-Sik Yun*

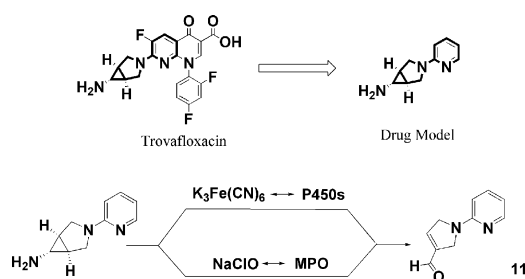


Three new free radical scavengers, inonoblins A (1), B (2), and C (3), were isolated from the methanolic extract of the fruiting body of the medicinal mushroom *Inonotus obliquus*, along with the known compounds phelligidins D (4), E (5), and G (6). Their structures were established by extensive spectroscopic analyses. These compounds exhibited significant scavenging activity against the ABTS radical cation and DPPH radicals, and showed moderate activity against the superoxide radical anion.

Mechanisms of trovafloxacin hepatotoxicity: Studies of a model cyclopropylamine-containing system

pp 6682–6686

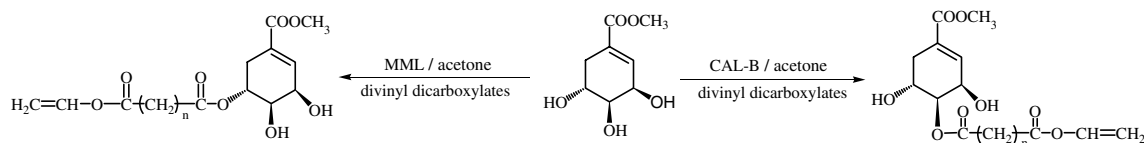
Qin Sun, Ran Zhu, Frank W. Foss, Jr., and Timothy L. Macdonald*



Highly regioselective enzymatic synthesis of polymerizable derivatives of methyl shikimate

pp 6687–6690

Chao Li, Hai-Yang Wang, Na Wang,* Yu-Guo Fang, Xi Chen and Xiao-Qi Yu*

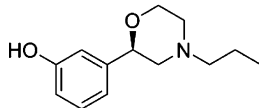


Regiocontrollable selectivity of enzymatic method for synthesis of polymerizable derivatives of methyl shikimate was described. The obtained derivatives would be useful as important monomers for potential analogues of shikimic acid.

Design and synthesis of a functionally selective D3 agonist and its in vivo delivery via the intranasal route

pp 6691–6696

Julian Blagg,* Charlotte M. N. Allerton, David V. J. Batchelor, Andrew D. Baxter, Denise J. Burring, Christopher L. Carr, Andrew S. Cook, Carly L. Nichols, Joanne Phipps, Vivienne G. Sanderson, Hugh Verrier and Stephen Wong

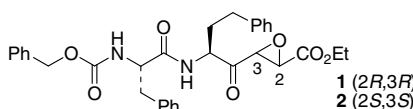
**26**

Synthesis and dopamine receptor agonist activity of a novel series of aryl-morpholines is disclosed. Compound **26** shows high functional in vitro selectivity for activation the dopamine D3 receptor. In vivo properties of this compound are also reported.

Dipeptidyl- α,β -epoxyesters as potent irreversible inhibitors of the cysteine proteases cruzain and rhodesain

pp 6697–6700

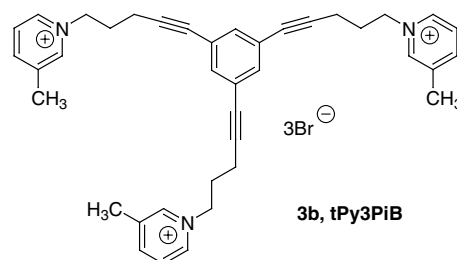
Florenci V. González,* Javier Izquierdo, Santiago Rodríguez, James H. McKerrow and Elizabeth Hansell

**1 (2R,3R)**
2 (2S,3S)
tris-Azaaromatic quaternary ammonium salts: Novel templates as antagonists at nicotinic receptors mediating nicotine-evoked dopamine release

pp 6701–6706

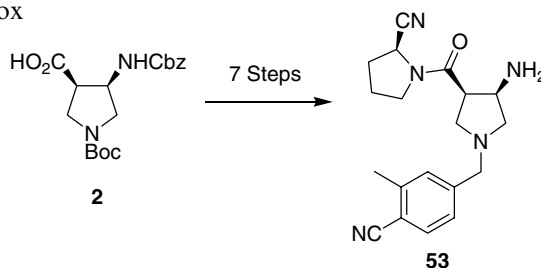
Guangrong Zheng, Sangeetha P. Sumithran, Agripina G. Deaciuc, Linda P. Dwoskin and Peter A. Crooks*

A series of tris-azaaromatic quaternary ammonium salts has been synthesized and evaluated for their ability to inhibit neuronal nicotinic acetylcholine receptors (nAChRs) mediating nicotine-evoked [^3H]dopamine release from superfused rat striatal slices and for inhibition of [^3H]nicotine and [^3H]methyllycaconitine binding to whole rat brain membranes. The 3-picolinium compound 1,3,5-tri-{5-[1-(3-picolinium)]-pent-1-ynyl}benzene tribromide (tPy3PiB), **3b**, exhibited high potency and selectivity for nAChR subtypes mediating nicotine-evoked [^3H]dopamine release with an IC_{50} of 0.2 nM and I_{max} of 67%.

**3b, tPy3PiB**
Design and synthesis of potent amido- and benzyl-substituted *cis*-3-amino-4-(2-cyanopyrrolidide)pyrrolidinyl DPP-IV inhibitors

pp 6707–6713

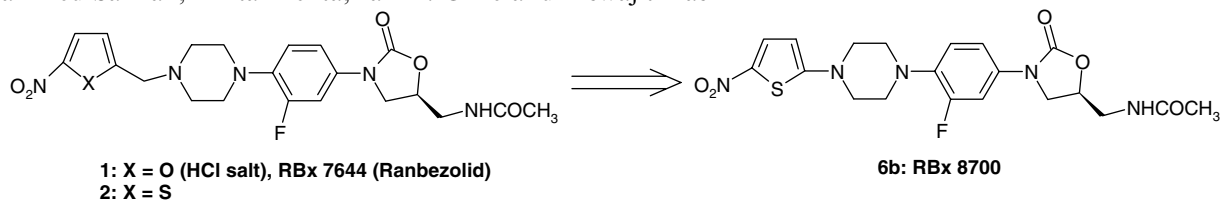
J. W. Corbett,* K. Dirico, W. Song, B. P. Boscoe, S. D. Doran, D. Boyer, X. Qiu, M. Ammirati, M. A. VanVolkenburg, R. K. McPherson, J. C. Parker and E. D. Cox

**53**DPP-IV IC_{50} = 1.3 nM

Synthesis and antibacterial activity of potent heterocyclic oxazolidinones and the identification of RBx 8700

pp 6714–6719

Sonali Rudra,* Ajay Yadav, A. V. S. Raja Rao, A. S. S. V. Srinivas, Manisha Pandya, Pragy Bhateja, Tarun Mathur, Sunita Malhotra, Ashok Rattan, Mohammed Salman, Anita Mehta, Ian A. Cliffe and Biswajit Das

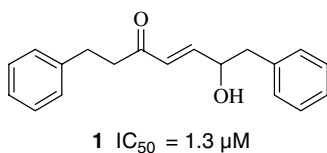


The potent broad spectrum oxazolidinone antibacterial agent RBx 8700 (**6b**) was obtained by systematic modification of the methylene linker of RBx 7644 (Ranbezolid, **1**) and its thienyl analogue **2**.

Platelet-activating factor (PAF) receptor binding antagonists from *Alpinia officinarum*

pp 6720–6722

Gao-jun Fan,* Young-Hwa Kang, Yong Nam Han and Byung Hoon Han

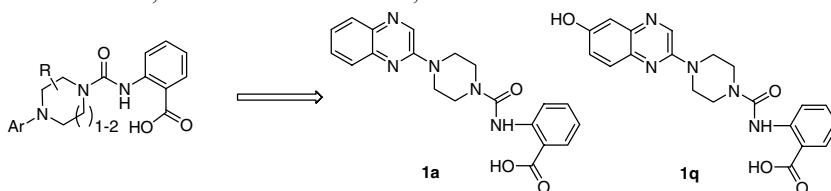


Two new compounds 6-hydroxy-1,7-diphenyl-4-en-3-heptanone (**1**) and 6-(2-hydroxy-phenyl)-4-methoxy-2-pyrone (**4**) along with three known compounds were isolated from the extracts of *Alpinia officinarum* under bioassay-guided purification. The isolated diarylheptanoids exhibited potent PAF receptor binding inhibitory activities.

Discovery of orally bioavailable and novel urea agonists of the high affinity niacin receptor GPR109A

pp 6723–6728

Hong C. Shen,* Michael J. Szymonifka, Divya Kharbanda, Qiaolin Deng, Ester Carballo-Jane, Kenneth K. Wu, Tsuei-Ju Wu, Kang Cheng, Ning Ren, Tian-Quan Cai, Andrew K. Taggart, Junying Wang, Xinchun Tong, M. Gerard Waters, Milton L. Hammond, James R. Tata and Steven L. Colletti

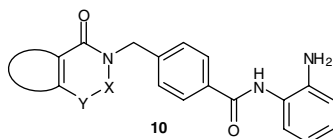


A urea class of high affinity niacin receptor agonists was discovered. Compound **1a** displayed good PK, better in vivo efficacy in reducing FFA in mouse than niacin, and no vasodilation in a mouse model. Compound **1q** also demonstrated equal affinity to GPR109A as niacin.

N-(2-Amino-phenyl)-4-(heteroaryl-methyl)-benzamides as new histone deacetylase inhibitors

pp 6729–6733

Arkadii Vaisburg,* Isabelle Paquin, Naomy Bernstein, Sylvie Frechette, Frederic Gaudette, Silvana Leit, Oscar Moradei, Stephane Raeppl, Nancy Zhou, Giliane Bouchain, Soon Hyung Woo, Zhiyun Jin, Jeff Gillespie, James Wang, Marielle Fournel, Pu Theresa Yan, Marie-Claude Trachy-Bourget, Marie-France Robert, Aihua Lu, Jimmy Yuk, Jubrail Rahil, A. Robert MacLeod, Jeffrey M. Besterman, Zuomei Li and Daniel Delorme



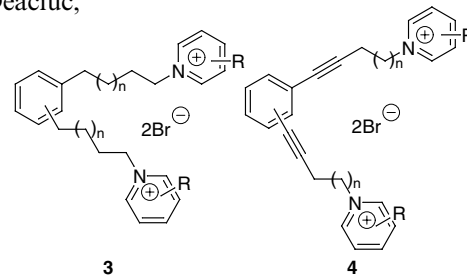
A variety of N-(2-amino-phenyl)-4-(heteroaryl-methyl)-benzamides of general structure **10** were designed, synthesized, and evaluated as histone deacetylase (HDAC) inhibitors.

Bis-azaaromatic quaternary ammonium salts as antagonists at nicotinic receptors mediating nicotine-evoked dopamine release: An investigation of binding conformation

pp 6734–6738

Guangrong Zheng, Zhenfa Zhang, Marharyta Pivavarchyk, Agripina G. Deaciuc, Linda P. Dwoskin and Peter A. Crooks*

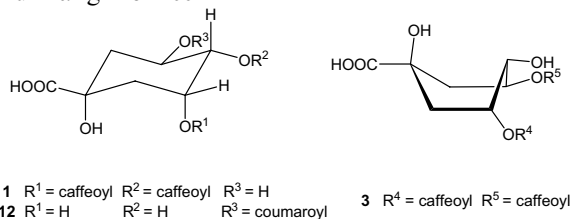
A series of conformationally restricted bis-azaaromatic quaternary ammonium salts (**3** and **4**) have been designed and synthesized in order to investigate the possible binding conformations of *N,N'*-dodecane-1,12-diyl-bis-3-picolinium dibromide (bPiDDB; **2**), a compound which potently inhibits neuronal nicotinic acetylcholine receptors (nAChRs) mediating nicotine-evoked dopamine release. The preliminary structure–activity relationships of these new analogues suggest that bPiDDB binds in an extended conformation at the nAChR binding site, and that flexibility of the linker is important for its high potency in inhibiting nAChRs mediating nicotine-evoked dopamine release.



Isolation of quinic acid derivatives and flavonoids from the aerial parts of *Lactuca indica* L. and their hepatoprotective activity in vitro

pp 6739–6743

Ki Hyun Kim, Young Ho Kim and Kang Ro Lee*

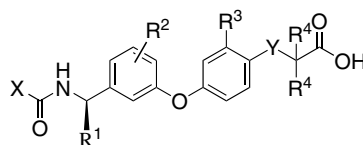


Bioactivity-guided column chromatographic separation of methanolic extract led to the isolation of three hepatoprotective quinic acids, 3,4-di-*O*-caffeoylquinic acid (**1**), 3,5-di-*O*-caffeoyl-*muco*-quinic acid (**3**), and 5-*O*-(*E*)-*p*-coumaroylquinic acid (**12**), along with four quinic acids and three flavonoids.

Design and synthesis of novel and potent amide linked PPAR γ/δ dual agonists

pp 6744–6749

Qing Shi,* Emily J. Canada, Yanping Xu, Alan M. Warshawsky, Garret J. Etgen, Carol L. Broderick, Cathleen K. Clutinger, Linnie A. Irwin, Michael E. Laurila, Chahrazad Montrose-Rafizadeh, Brian A. Oldham, Minmin Wang, Leonard L. Winneroski, Chaoyu Xie, Jeremy S. York, Nathan P. Yumibe, Richard W. Zink and Nathan Mantlo

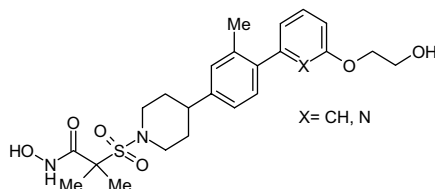


The synthesis and preclinical biological evaluation of a novel amide linked series of PPAR γ/δ dual agonists are reported.

A novel series of highly selective inhibitors of MMP-3

pp 6750–6753

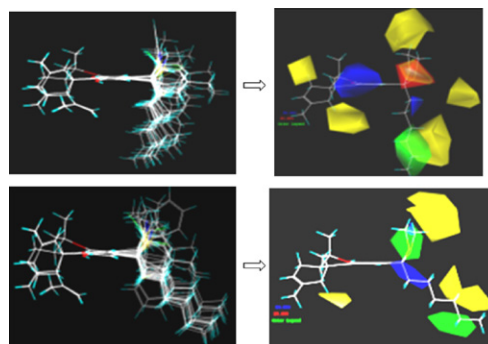
Gavin A. Whitlock,* Kevin N. Dack, Roger P. Dickinson and Mark L. Lewis



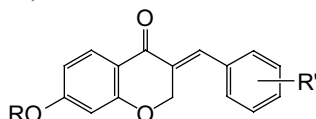
The design and synthesis of a series of highly selective hydroxamate inhibitors of MMP-3 is described. Substitution of a 4-biaryl piperidine sulfonamide core was optimised to give potent inhibitors of MMP-3, with greater than 300-fold selectivity over MMP-1, MMP-2, MMP-9 and MMP-14.

Combined 3D QSAR and molecular docking studies to reveal novel cannabinoid ligands with optimum binding activitySerdar Durdagi, Manthos G. Papadopoulos,
Demetris P. Papahatjis and Thomas Mavromoustakos*

pp 6754–6763

**Synthesis and antioxidant properties of substituted 3-benzylidene-7-alkoxychroman-4-ones**

pp 6764–6769

Alireza Foroumadi, Alireza Samzadeh-Kermani, Saeed Emami, Gholamreza Dehghan, Maedeh Sorkhi,
Fatemeh Arabsorkhi, Mahmoud Reza Heidari, Mohammad Abdollahi and Abbas Shafiee*

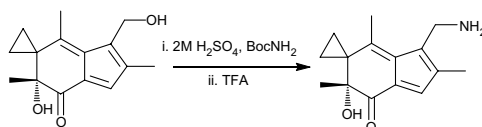
R= Me; Et; *n*-Pr; *n*-Bu
 R'= 4-OH; 3,4-(OH)₂; 2-MeO;
 3-MeO; 4-MeO; 4-OH-3,5-(OMe)₂

A series of substituted 3-benzylidene-7-alkoxychroman-4-ones were synthesized and evaluated for their antioxidant activities. 3-benzylidene-7-alkoxychroman-4-one derivatives bearing catecholic group on benzylidene moiety exhibited excellent antioxidant activity.

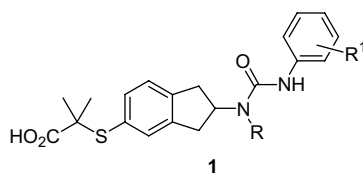
Synthesis and antitumor activity of amine analogs of irofulven

pp 6770–6772

Trevor C. McMorris,* Ramesh Chimmani, Mahender Gurram, Michael D. Staake and Michael J. Kelner

**Design and synthesis of indane-ureido-thioisobutyric acids: A novel class of PPAR α agonists**

pp 6773–6778

Jay M. Matthews,* Xiaoli Chen, Ellen Cryan, Dennis J. Hlasta, Philip J. Rybczynski,
Kim Strauss, Yuting Tang, June Z. Xu, Maria Yang, Lubing Zhou and Keith T. Demarest

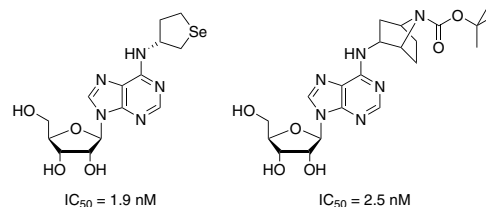
The synthesis and SAR of novel, highly potent PPAR α agonists based on an indane scaffold are reported.

Structure–activity relationships of adenosines with heterocyclic N^6 -substituents

pp 6779–6784

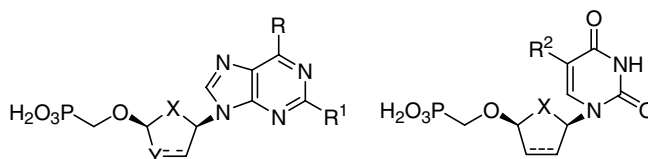
T. D. Ashton, Kylee M. Aumann, Stephen P. Baker, Carl H. Schiesser and Peter J. Scammells*

A number of N^6 -substituted adenosine analogues have been synthesised and assessed as A_1 adenosine receptor agonists. Target design was based on Tecadenoson and ENBA. A number of N^6 -monocyclic adenosines exhibited potency at low nanomolar concentrations. A series of 7-substituted 7-aza-ENBA derivatives displayed interesting properties at the A_1 adenosine receptor, also at low nanomolar potency.

**Synthesis, anti-HIV activity, and resistance profiles of ribose modified nucleoside phosphonates**

pp 6785–6789

Richard L. Mackman,* Constantine G. Boojamra, Vidya Prasad, Lijun Zhang, Kuei-Ying Lin, Oleg Petrakovsky, Darius Babusis, James Chen, Janet Douglas, Deborah Grant, Hon C. Hui, Choung U. Kim, David Y. Markevitch, Jennifer Vela, Adrian Ray and Tomas Cihlar

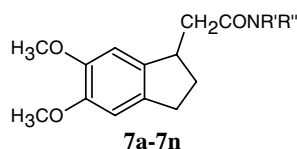


A series of ribose and nucleobase modified nucleoside phosphonates have been synthesized and their potency toward wild-type HIV reverse transcriptase (RT) and several mutant strains of RT evaluated.

Aromatic amide derivatives of 5,6-dimethoxy-2,3-dihydro-1*H*-inden(-1-yl)acetic acid as anti-inflammatory agents free of ulcerogenic liability

pp 6790–6796

Meenakshi Sharma and S. M. Ray*

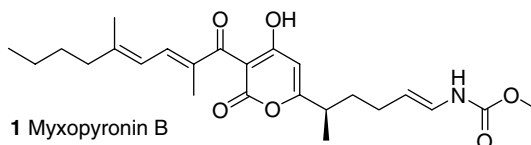


A series of 5,6-dimethoxy-2,3-dihydro-1*H*-inden(-1-yl)acetic acid amides were synthesized and screened for their anti-inflammatory and related biological activities. The synthesized compounds showed long duration of anti-inflammatory activity and were free from ulcerogenicity liability of common NSAIDs.

Syntheses of novel myxopyronin B analogs as potential inhibitors of bacterial RNA polymerase

pp 6797–6800

Ricardo Lira, Alan X. Xiang,* Thomas Doundoulakis, William T. Biller, Konstantinos A. Agrios, Klaus B. Simonsen, Stephen E. Webber, Wes Sisson, Robert M. Aust, Amit M. Shah, Richard E. Showalter, Virginia N. Banh, Kevin R. Steffy and James R. Appleman

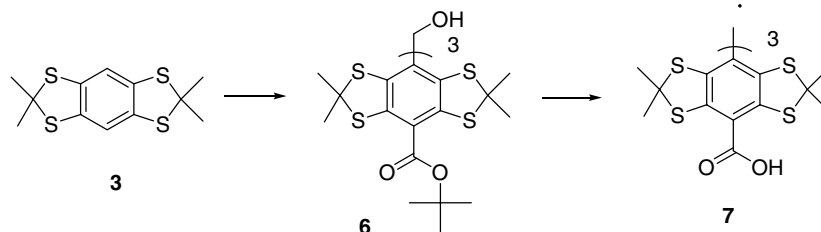


Novel myxopyronin B analogs have been prepared and tested for in vitro inhibitory activity against DNA-dependent RNA polymerase and antibacterial activity against *Escherichia coli* and *Staphylococcus aureus*.

Large-scale synthesis of a persistent trityl radical for use in biomedical EPR applications and imaging

pp 6801–6805

Ilirian Dhimitruka, Murugesan Velayutham, Andrey A. Bobko, Valery V. Khramtsov, Frederick A. Villamena, Christopher M. Hadad and Jay L. Zweier*

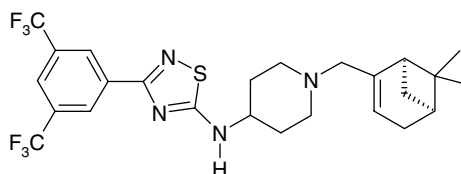


Tetrathiatriarylmethyl radicals are ideal spin probes for biological electron paramagnetic resonance spectroscopy and imaging. We report the large-scale synthesis of the radical **7** using an improved procedure and its characterization.

**Development of CXCR3 antagonists. Part 2: Identification of 2-amino(4-piperidinyl)azoles as potent CXCR3 antagonists**

pp 6806–6810

Robert J. Watson,* Daniel R. Allen, Helen L. Birch, Gayle A. Chapman, Duncan R. Hannah, Roland L. Knight, Johannes W. G. Meissner, David A. Owen and Elizabeth J. Thomas

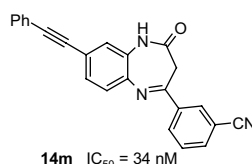


Development of a lead series of piperidinylurea CXCR3 antagonists has led to the identification of molecules with alternative linkages, which retain good potency. A piperidinyl thiadiazole derivative was found to have satisfactory in vitro metabolic stability and to be orally bioavailable in mice, giving high plasma concentrations and a half life of 5.4 h.

Synthesis and characterization of 8-ethynyl-1,3-dihydro-benzo[b][1,4]diazepin-2-one derivatives: New potent non-competitive metabotropic glutamate receptor 2/3 antagonists. Part 1

pp 6811–6815

Thomas J. Woltering,* Geo Adam, Alexander Alanine, Jürgen Wichmann, Frédéric Knoflach, Vincent Mutel and Silvia Gatti

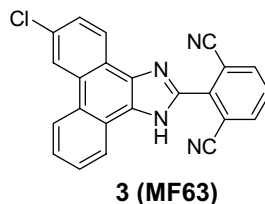


A series of 1,3-dihydro-benzo[b][1,4]diazepin-2-one derivatives was evaluated as non-competitive mGluR2/3 antagonists. Attachment of an 8-(2-aryl)-ethynyl-moiety produced compounds inhibiting the binding of [³H]-LY354740 to rat mGluR2 with low nanomolar affinity and consistent functional effect at both mGluR2 and mGluR3.

Substituted phenanthrene imidazoles as potent, selective, and orally active mPGES-1 inhibitors

pp 6816–6820

Bernard Côté,* Louise Boulet, Christine Brideau, David Claveau, Diane Ethier, Richard Frenette, Marc Gagnon, André Giroux, Jocelyne Guay, Sébastien Guiral, Joseph Mancini, Evelyn Martins, Frédéric Massé, Nathalie Méthot, Denis Riendeau, Joel Rubin, Daigen Xu, Hongping Yu, Yves Ducharme and Richard W. Friesen

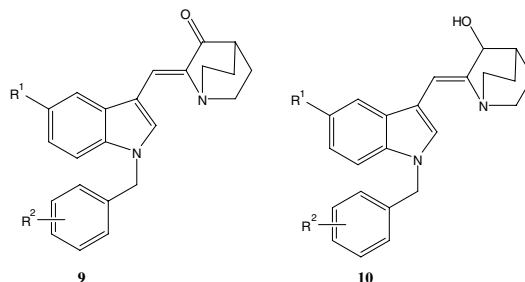
**3 (MF63)**

Phenanthrene imidazole **3** has been identified as a novel potent, selective, and orally active mPGES-1 inhibitor.

Novel substituted (*Z*)-2-(*N*-benzylindol-3-ylmethylene)quinuclidin-3-one and (*Z*)-(\pm)-2-(*N*-benzylindol-3-ylmethylene)quinuclidin-3-ol derivatives as potent thermal sensitizing agents pp 6821–6824

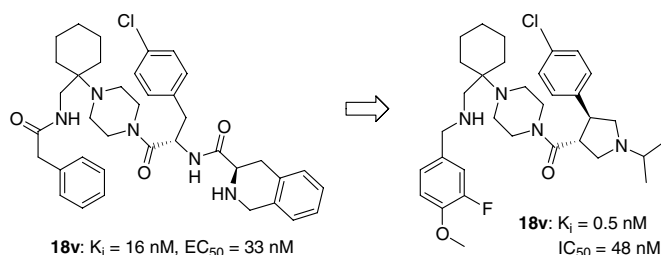
Vijayakumar N. Sonar, Y. Thirupathi Reddy, Konjeti R. Sekhar, Soumya Sasi, Michael L. Freeman and Peter A. Crooks*

A series of (*Z*)-2-(*N*-benzylindol-3-ylmethylene)quinuclidin-3-one (**9**) and (*Z*)-(\pm)-2-(*N*-benzylindol-3-ylmethylene)quinuclidin-3-ol (**10**) analogs that incorporate a variety of substituents in both the indole and *N*-benzyl moieties have been evaluated as thermal sensitization agents. The most potent analog was compound **10** ($R^1 = H$, $R^2 = 4\text{-Cl}$), which potently inhibited (93% inhibition at 50 μM) the growth of HT-29 cells after a 41 °C/2 h exposure.



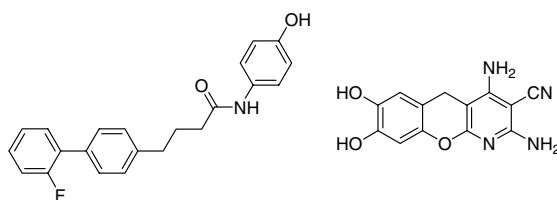
Synthesis and characterization of *trans*-4-(4-chlorophenyl)pyrrolidine-3-carboxamides of piperazinecyclohexanes as ligands for the melanocortin-4 receptor pp 6825–6831

Caroline W. Chen, Joe A. Tran, Beth A. Fleck, Fabio C. Tucci, Wanlong Jiang and Chen Chen*



Synthesis and in vivo activity of MK2 and MK2 substrate-selective $p38\alpha^{\text{MAPK}}$ inhibitors in Werner syndrome cells pp 6832–6835

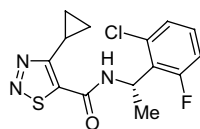
Terence Davis,* Mark C. Bagley,* Matthew C. Dix, Paola G. S. Murziani, Michal J. Rokicki, Caroline S. Widdowson, Jameel M. Zayed, Marcus A. Bachler and David Kipling*



Two downstream inhibitors of $p38\alpha^{\text{MAPK}}$ have been prepared, but both trigger senescence when used to treat hTERT-immortalised WS dermal fibroblasts rather than rescuing the accelerated replicative decline and cell morphology.

Structure–activity relationship study of [1,2,3]thiadiazole necroptosis inhibitors pp 6836–6840

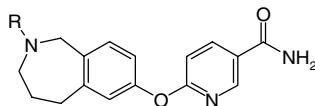
Xin Teng, Heather Keys, Arumugasamy Jeevanandam, John A. Porco, Jr., Alexei Degterev, Junying Yuan and Gregory D. Cuny*



Structure activity relationship studies of carboxamido-biaryl ethers as opioid receptor antagonists (OpRAs). Part 2

pp 6841–6846

Kumiko Takeuchi,* William G. Holloway, Charles H. Mitch, Steven J. Quimby, Jamie H. McKinzie, Todd M. Suter, Michael A. Statnick, Peggy L. Surface, Paul J. Emmerson, Elizabeth M. Thomas and Miles G. Siegel

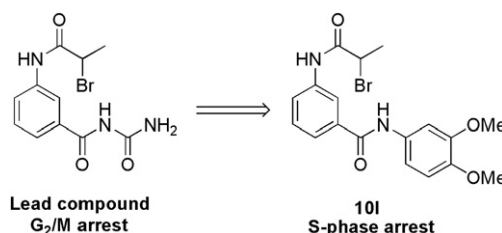


A series of 6-bicycloaryloxynicotinamides were identified as opioid receptor antagonists at mu, kappa and delta receptors. Compounds in the 6-(2,3,4,5-tetrahydro-1*H*-benzo[*c*]azepin-7-yloxy)nicotinamide scaffold exhibited potent in vitro functional antagonism at all three receptors.

3-(2'-Bromopropionylamino)-benzamides as novel S-phase arrest agents

pp 6847–6852

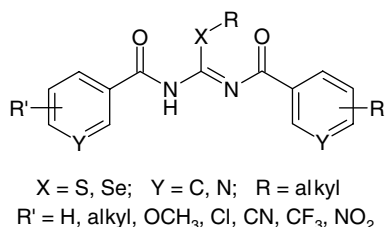
Laixing Hu, Zhuo-rong Li, Jian-Nong Li, Jinrong Qu, Jian-Dong Jiang* and David W. Boykin*



Novel potent organoselenium compounds as cytotoxic agents in prostate cancer cells

pp 6853–6859

Daniel Plano, Carmen Sanmartín, Esther Moreno, Celia Prior, Alfonso Calvo and Juan Antonio Palop*



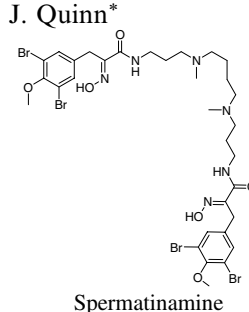
Significant in vitro antiproliferative activity against human prostate cancer cell (PC-3) of novel symmetrical imidoselenocarbamates is showed.

Spermatinamine, the first natural product inhibitor of isoprenylcysteine carboxyl methyltransferase, a new cancer target

pp 6860–6863

Malcolm S. Buchanan, Anthony R. Carroll, Gregory A. Fechner, Anthony Boyle, Moana M. Simpson, Rama Addepalli, Vicky M. Avery, John N. A. Hooper, Nancy Su, Huawei Chen and Ronald J. Quinn*

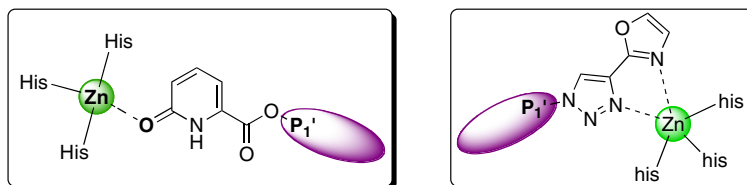
The Australian marine sponge, *Pseudoceratina* sp. yielded spermatinamine, a novel alkaloid with a bromotyrosyl-spermine-bromotyrosyl sequence, as the bioactive constituent. Spermatinamine is the first natural product inhibitor of Icmf.



Synthesis and evaluation of novel heterocyclic MMP inhibitors

pp 6864–6870

Ryuji Hayashi, Xiaomin Jin and Gregory R. Cook*

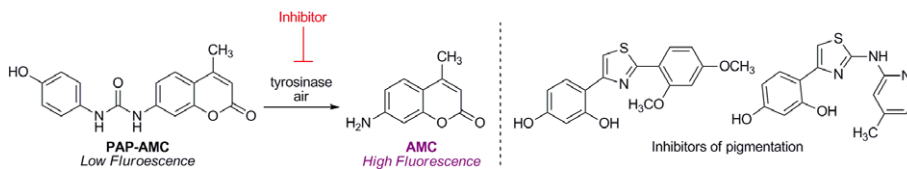


A variety of novel heterocyclic compounds were synthesized and evaluated for MMP inhibition. Broad spectrum inhibition of MMPs 1, 2, 9, and 12 was found with pyridinone-based compounds while *N*-heterocyclic triazoles and tetrazoles were largely ineffective. A highly selective tetrazole inhibitor for MMP-2 was discovered.

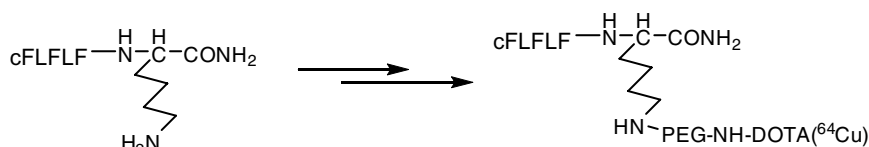
Discovery of small-molecule inhibitors of tyrosinase

pp 6871–6875

Juris P. Germanas,* Shuguang Wang, Andrew Miner, Wayne Hao and Joseph M. Ready*

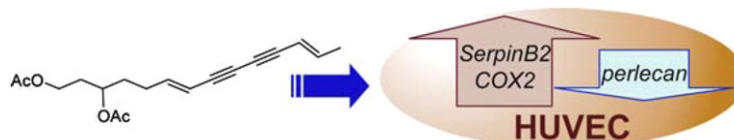
**Synthesis of novel neutrophil-specific imaging agents for Positron Emission Tomography (PET) imaging** pp 6876–6878

Yi Zhang, Bijoy Kundu, Karen D. Fairchild, Landon Locke, Stuart S. Berr, Joel Linden and Dongfeng Pan*

**A polyacetylene compound from herbal medicine regulates genes associated with thrombosis in endothelial cells**

pp 6879–6882

Akira Kawamura,* Maria Iacovidou, Anna Takaoka, Clifford E. Soll and Michael Blumenstein



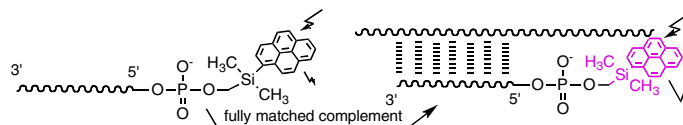
New activities were found from old medicine through a screening based on DNA microarray and real-time PCR.



Novel sequence-responding fluorescent oligoDNA probe bearing a silylated pyrene molecule

pp 6883–6886

Tohru Sekiguchi, Yumiko Ebara, Tomohisa Moriguchi and Kazuo Shinozuka*

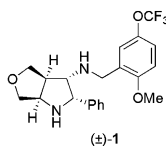


Novel fluorescent oligoDNA exhibited marked fluorescent signal upon binding to the fully matched complementary DNA strand.

**Stereoselective synthesis of a novel 2-aza-7-oxabicyclo[3.3.0]octane as neurokinin-1 receptor antagonist**

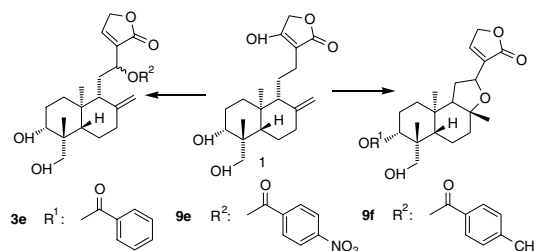
pp 6887–6890

Yuji Shishido,* Fumitaka Ito, Hiromasa Morita and Masaya Ikonaka

**Synthesis of andrographolide derivatives and their TNF- α and IL-6 expression inhibitory activities**

pp 6891–6894

Jing Li, Wenlong Huang, Huibin Zhang,* Xinyang Wang and Huiping Zhou



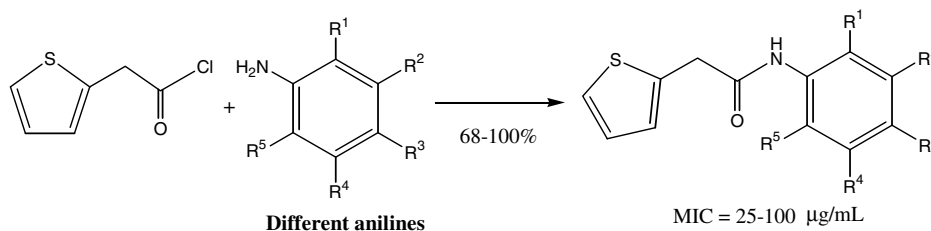
Andrographolide and its derivatives were evaluated in the ELISA for the inhibitory potency in the secretion of TNF- α and IL-6 of LPS-stimulated mouse macrophages. The derivatives **3e**, **9e**, **9f** have better inhibitory effect than andrographolide.

Synthesis and biological evaluation of *N*-(aryl)-2-thiophen-2-ylacetamides series as a new class of antitubercular agents

pp 6895–6898

Maria Cristina Silva Lourenço, Felipe Rodrigues Vicente, Maria das Graças Muller de Oliveira Henriques, André Luis Peixoto Candéa, Raoni Schroeder Borges Gonçalves, Thais Cristina M. Nogueira, Marcelle de Lima Ferreira and Marcus Vinícius Nora de Souza*

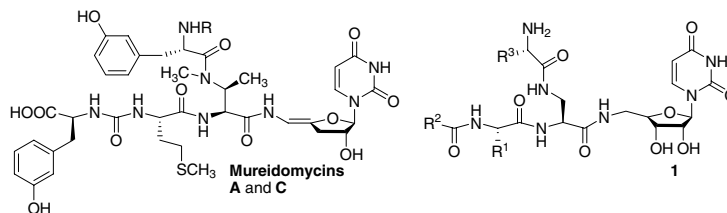
The present article describes a series of 21 *N*-(aryl)-2-thiophen-2-ylacetamides, which were synthesized and evaluated for their in vitro antibacterial activity against *Mycobacterium tuberculosis*.



Solid-phase synthesis and biological evaluation of a uridinyI branched peptide urea library

pp 6899–6904

Dianqing Sun, Victoria Jones, Elizabeth I. Carson, Robin E. B. Lee, Michael S. Scherman, Michael R. McNeil and Richard E. Lee*

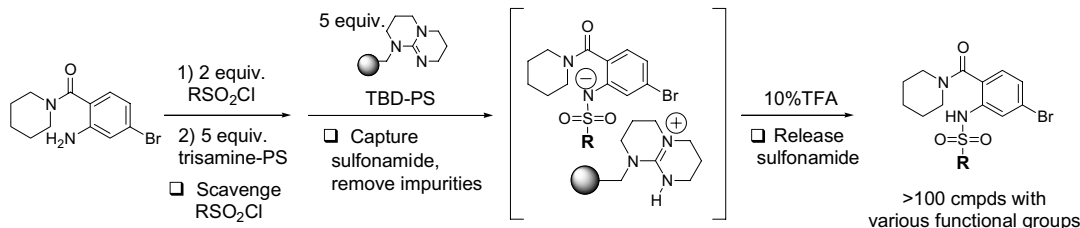


Solid-phase synthesis and biological evaluation of a uridinyI branched peptide urea library 1 as Mureidomycin mimetics are described.

Synthesis and solid-phase purification of anthranilic sulfonamides as CCK-2 ligands

pp 6905–6909

Craig R. Woods,* Michael D. Hack, Brett D. Allison, Victor K. Phuong, Mark D. Rosen, Magda F. Morton, Clodagh E. Prendergast, Terrance D. Barrett, Nigel P. Shankley and Michael H. Rabinowitz

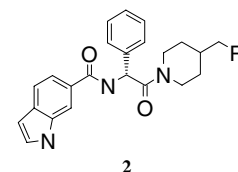


A novel strategy for the library synthesis of cholecystokinin-2 receptor ligands was developed to rapidly address ligand metabolism issues which employed a solution-phase sulfonamide synthesis, followed by a resin capture purification methodology.

**Investigation of the terminal P4 domain in a series of D-phenylglycinamide-based factor Xa inhibitors** pp 6910–6913

Jeffrey B. Franciskovich,* John J. Masters, Wayne W. Weber, Valentine J. Klimkowski, Michael Chouinard, Philip R. Sipes, Lea M. Johnson, David W. Snyder, Marcia K. Chastain, Trelia J. Craft, Richard D. Towner, Donetta S. Gifford-Moore, Larry L. Froelich, Jeffrey K. Smallwood, Ronald S. Foster, Gerald F. Smith, John W. Liebeschuetz, Christopher W. Murray and Stephen C. Young

Several P4 domain derivatives of the D-phenylglycinamide-based scaffold (2) were synthesized and evaluated for their ability to bind to the serine protease factor Xa. Some of the more potent compounds were evaluated for their anticoagulant effects in vitro. An additional subset containing various P1 indole constructs was evaluated for their oral exposure properties.

**OTHER CONTENTS****Corrigendum**

p 6914

Summary of instructions to authors

p I

*Corresponding author

i+ Supplementary data available via ScienceDirect

COVER

Typical snapshot of **7b** bound to HIV-RT from an MC simulation. Carbon atoms of **7b** are gold; from the left, Tyr181, Tyr188, Phe227, Leu100, Lys101; Trp229 at the top, Val106 at the bottom. H-bond with Lys101 O on right. Some residues in front including Glu138 have been removed for clarity. The water on N5 is also H-bonded to a carboxylate O of Glu138. [Thakur, V. T.; Kim, J. T.; Hamilton, A. D.; Bailey, C. M.; Domaoal, R. A.; Wang, L.; Anderson, K. S.; Jorgensen, W. L. *Bioorg. Med. Chem. Lett.* **2006**, *16*, 5664.]

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