

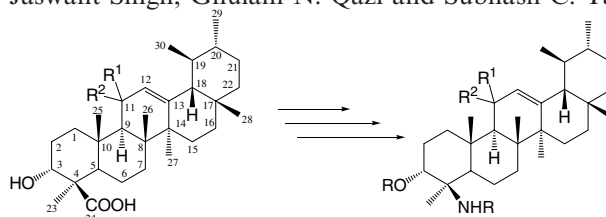
Contents

ARTICLES

Cytotoxic and apoptotic activities of novel amino analogues of boswellic acids

pp 6411–6416

Bhahwal A. Shah, Ajay Kumar, Pankaj Gupta, Madhunika Sharma,
Vijay K. Sethi, Ajit K. Saxena, Jaswant Singh, Ghulam N. Qazi and Subhash C. Taneja*



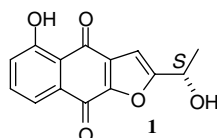
Semi-synthetic 3-hydroxy-4-amino analogues prepared from boswellic acids, replacing carboxylic acid by an amino function, exhibited improved cytotoxicity as well as apoptotic activity.



Stereoselective synthesis and cytotoxicity of a cancer chemopreventive naphthoquinone from *Tabebuia avellanedae*

pp 6417–6420

Mitsuaki Yamashita, Masafumi Kaneko, Akira Iida,* Harukuni Tokuda and Katsumi Nishimura



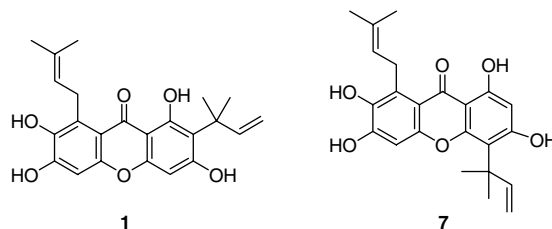
EC₅₀ = 0.14 μM
against human tumor cells (PC-3)

The stereoselective synthesis of naphthoquinone 1 and its biological evaluation are reported.

Xanthenes from *Cudrania Tricuspidata* displaying potent α-glucosidase inhibition

pp 6421–6424

Eun Jin Seo, Marcus J. Curtis-Long, Byong Won Lee, Hoi Young Kim,
Young Bae Ryu, Tae-Sook Jeong, Woo Song Lee* and Ki Hun Park*



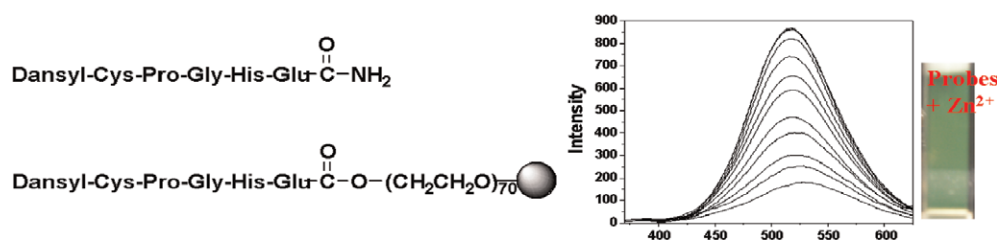
Eight α-glucosidase inhibitory xanthenes were isolated from *Cudrania Tricuspidata*. Compound 1 was identified as the new isoprenylated tetrahydroxy xanthone. The IC₅₀ value of the most effective xanthone 7 was 16.2 μM.



Design, synthesis, and evaluation of peptidyl fluorescent probe for Zn^{2+} in aqueous solution

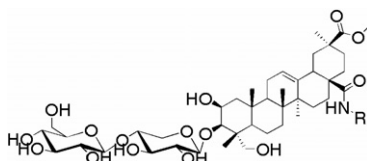
pp 6425–6429

Bishnu Prasad Joshi, Won-Mi Cho, Jongseung Kim, Juyoung Yoon and Keun-Hyeung Lee*

**Synthesis, in vitro inhibitory activity towards COX-2 and haemolytic activity of derivatives of Esculentoside A**

pp 6430–6433

Fei Wu, Yanghua Yi,* Peng Sun and Dazhi Zhang*

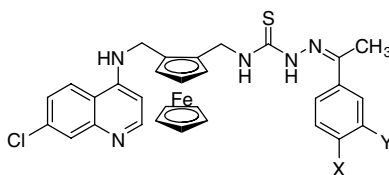


Twenty-one derivatives of Esculentoside A were synthesized. The conversion of the carboxylic acid into amides can highly enhance their inhibitory activity towards COX-2, and also increased the haemolytic activity.

**Design, synthesis, and antimalarial activity of structural chimeras of thiosemicarbazone and ferroquine analogues**

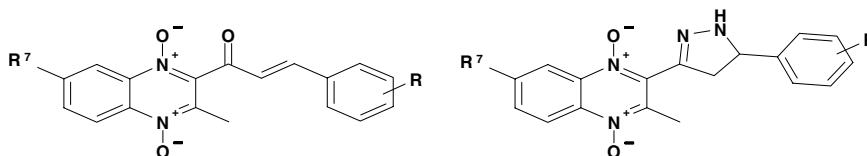
pp 6434–6438

Christophe Biot,* Bruno Pradines, Marie-Hélène Sergeant, Jiri Gut, Philip J. Rosenthal and Kelly Chibale

**Synthesis and anti-inflammatory/antioxidant activities of some new ring substituted 3-phenyl-1-(1,4-di-N-oxide quinoxalin-2-yl)-2-propen-1-one derivatives and of their 4,5-dihydro-(1H)-pyrazole analogues**

pp 6439–6443

Asunción Burguete, Eleni Pontiki, Dimitra Hadjipavlou-Litina,* Raquel Villar, Esther Vicente, Beatriz Solano, Saioa Ancizu, Silvia Pérez-Silanes, Ignacio Aldana and Antonio Monge

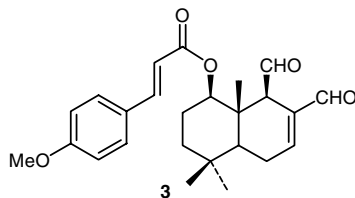


Anti-inflammatory and antioxidant activities of some novel ring substituted 3-phenyl-1-(1,4-di-N-oxide quinoxalin-2-yl)-2-propen-1-one derivatives and of their 4,5-dihydro-(1H)-pyrazole analogues are reported.

Enantioselective synthesis and vanilloid activity evaluation of 1-β-(*p*-methoxycinnamoyl)polygodial, an antinociceptive compound from *Drymis winteri* barks

pp 6444–6447

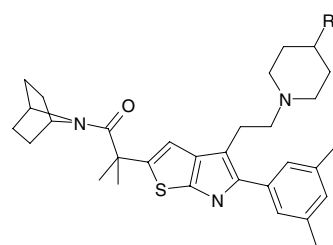
Carmela Della Monica, Luciano De Petrocellis, Vincenzo Di Marzo, Raffaella Landi, Irene Izzo and Aldo Spinella*

**Synthesis and structure–activity relationships of thieno[2,3-*b*]pyrroles as antagonists of the GnRH receptor**

pp 6448–6454

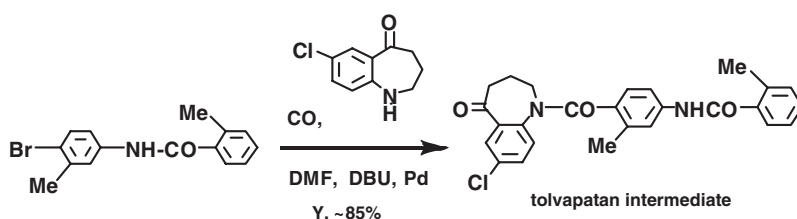
Jean Claude Arnould,* Bénédicte Delouvrié, Pascal Boutron, Al G. Dossetter, Kevin M. Foote, Annie Hamon, Urs Hancox, Craig S. Harris, Mike Hutton, Maryannick Lamorlette and Zbigniew Matusiak

The synthesis and structure–activity relationships (SAR) of GnRH receptor antagonists based on thieno[2,3-*b*]pyrrole scaffold are described. Introduction of a piperidine moiety at C4 position led to compounds combining good in vitro potency and improved pharmacokinetics.

**Aminocarbonylation route to tolvaptan**

pp 6455–6458

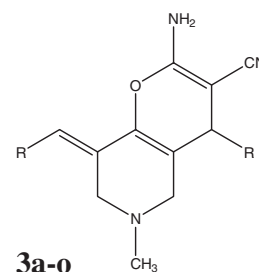
Yasuhiro Torisawa,* Takuya Furuta, Takao Nishi, Shinji Aki and Jun-ichi Minamikawa*

**An atom efficient, solvent-free, green synthesis and antimycobacterial evaluation of 2-amino-6-methyl-4-aryl-8-[(*E*)-arylmethylidene]-5,6,7,8-tetrahydro-4*H*-pyrano[3,2-*c*]pyridine-3-carbonitriles**

pp 6459–6462

Raju Ranjith Kumar, Subbu Perumal,* Palaniappan Senthilkumar, Perumal Yogeewari and Dharmarajan Sriram

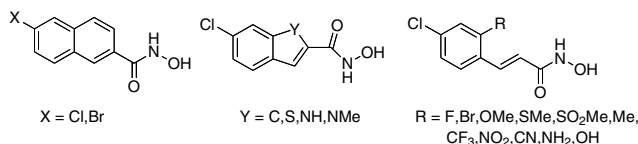
Fifteen tetrahydro-4*H*-pyrano[3,2-*c*]pyridines were prepared and tested for their in vitro activity against three mycobacterial species using the agar dilution method. 2-Amino-4-[4-(dimethylamino)phenyl]-8-(*E*)-[4-(dimethylamino)phenyl]-methylidene-6-methyl-5,6,7,8-tetrahydro-4*H*-pyrano[3,2-*c*]pyridine-3-carbonitrile, was found to be the most potent compound (MIC: 0.43 μM) against MTB and MDR-TB.



Synthesis and structure–activity relationships of second-generation hydroxamate botulinum neurotoxin A protease inhibitors

pp 6463–6466

Kateřina Čapková, Yoshiyuki Yoneda, Tobin J. Dickerson* and Kim D. Janda*



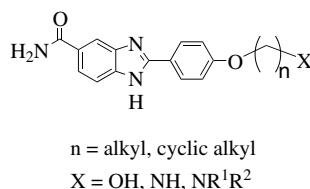
The synthesis and SAR of a series of novel hydroxamate BoNT/A inhibitors is reported.



2-Aryl benzimidazoles featuring alkyl-linked pendant alcohols and amines as inhibitors of checkpoint kinase Chk2

pp 6467–6471

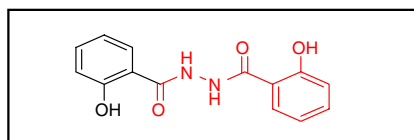
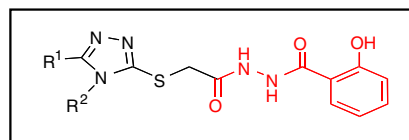
Danielle K. Neff,* Alice Lee-Dutra, Jonathan M. Blevitt, Frank U. Axe, Michael D. Hack, Johnathan C. Buma, Raymond Rynberg, Anders Brunmark, Lars Karlsson and J. Guy Breitenbucher



Discovery of novel non-cytotoxic salicylhydrazide containing HIV-1 integrase inhibitors

pp 6472–6475

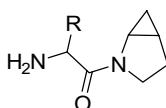
Laith Q. Al-Mawsawi, Raveendra Dayam, Laleh Taheri, Myriam Witvrouw, Zeger Debyser and Nouri Neamati*

CC₅₀ = 0.1 μMCC₅₀ > 20 μM

Potent non-nitrile dipeptidic dipeptidyl peptidase IV inhibitors

pp 6476–6480

Ligaya M. Simpkins, Scott Bolton, Zulan Pi, James C. Sutton, Chet Kwon, Guohua Zhao, David R. Magnin, David J. Augeri, Timur Gungor, David P. Rotella, Zhong Sun, Yajun Liu, William S. Slusarchyk, Jovita Marcinkeviciene, James G. Robertson, Aiying Wang, Jeffrey A. Robl, Karnail S. Atwal, Robert L. Zahler, Rex A. Parker, Mark S. Kirby and Lawrence G. Hamann*

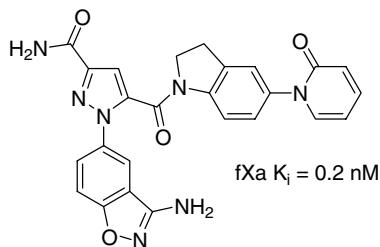


Enzyme inhibitory activity of a series of non-nitrile dipeptides help to discern the nature of individual SAR contributions from P1 and P2 of dipeptide derived DPP4 inhibitors.

Design, structure–activity relationship, and pharmacokinetic profile of pyrazole-based indoline factor Xa inhibitors

pp 6481–6488

Jeffrey G. Varnes, Dean A. Wacker,* Irina C. Jacobson, Mimi L. Quan, Christopher D. Ellis, Karen A. Rossi, Ming Y. He, Joseph M. Luetgen, Robert M. Knabb, Steven Bai, Kan He, Patrick Y. S. Lam and Ruth R. Wexler



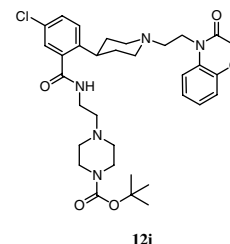
Efforts to optimize clinical candidate razaxaban have led to the discovery of pyrazole-based indoline fXa inhibitors.

Phenylpiperidine-benzoxazinones as urotensin-II receptor antagonists: Synthesis, SAR, and in vivo assessment

pp 6489–6492

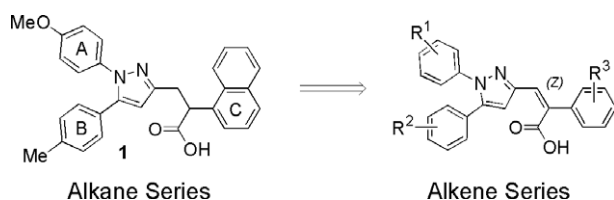
Diane K. Luci, Shyamali Ghosh, Charles E. Smith, Jenson Qi, Yuanping Wang, Barbara Haertlein, Tom J. Parry, Jian Li, Harold R. Almond, Jr., Lisa K. Minor, Bruce P. Damiano, William A. Kinney, Bruce E. Maryanoff and Edward C. Lawson*

Various 4-phenylpiperidine-benzoxazin-3-ones were synthesized and biologically evaluated as urotensin-II (U-II) receptor antagonists. Compound **12i** was identified from in vitro evaluation as a low nanomolar antagonist against both rat and human U-II receptors. This compound showed in vivo efficacy in reversing the ear-flush response induced by U-II in rats.

**SAR studies of 1,5-diarylpyrazole-based CCK₁ receptor antagonists**

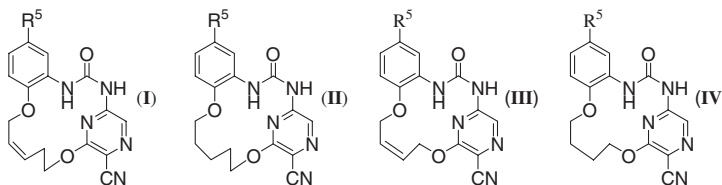
pp 6493–6498

Laurent Gomez,* Michael D. Hack, Kelly McClure, Clark Schon, Liming Huang, Magda Morton, Lina Li, Terrance D. Barrett, Nigel Shankley and J. Guy Breitenbucher

**Synthesis and in-vitro biological activity of macrocyclic urea Chk1 inhibitors**

pp 6499–6504

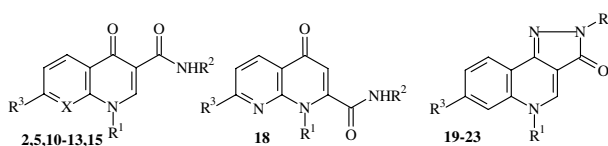
Gaoquan Li,* Zhi-Fu Tao, Yunsong Tong, Magdalena K. Przytulinska, Peter Kovar, Philip Merta, Zehan Chen, Haiying Zhang, Thomas Sowin, Saul H. Rosenberg and Nan-Horng Lin



New 1,8-naphthyridine and quinoline derivatives as CB₂ selective agonists

pp 6505–6510

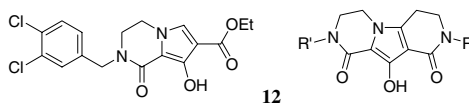
Clementina Manera,* Maria Grazia Cascio, Veronica Benetti, Marco Allarà, Tiziano Tuccinardi,* Adriano Martinelli, Giuseppe Saccomanni, Elisa Vivoli, Carla Ghelardini, Vincenzo Di Marzo and Pier Luigi Ferrarini

**8-Hydroxy-3,4-dihydropyrrolo[1,2-*a*]pyrazine-1(2*H*)-one HIV-1 integrase inhibitors**

pp 6511–6515

Thorsten E. Fisher,* Boyoung Kim, Donnette D. Staas, Terry A. Lyle, Steven D. Young, Joseph P. Vacca, Matthew M. Zrada, Daria J. Hazuda, Peter J. Felock, William A. Schleif, Lori J. Gabryelski, M. Reza Anari, Christopher J. Kochansky and John S. Wai

A series of potent novel 8-hydroxy-3,4-dihydropyrrolo[1,2-*a*]pyrazine-1(2*H*)-one HIV-1 integrase inhibitors was identified. These compounds inhibited the strand transfer process of HIV-1 integrase and viral replication in cells. Compound **12** is active against replication of HIV-1 in cell culture with a IC_{50} of 0.31 μ M. Further SAR exploration led to the preparation of pseudosymmetrical tricyclic pyrrolopyrazine inhibitors **22–24** with further improvement in antiviral activity.



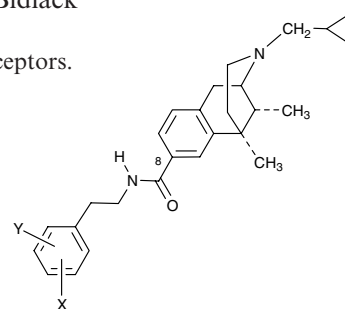
22 R' = 4-F-Bn, R'' = CH₃
23 R' = 4-F-Bn, R'' = CH₃
24 R' = R'' = 4-F-Bn

Redefining the structure–activity relationships of 2,6-methano-3-benzazocines. 5. Opioid receptor binding properties of *N*-((4'-phenyl)-phenethyl) analogues of 8-CAC

pp 6516–6520

Melissa A. VanAlstine, Mark P. Wentland,* Dana J. Cohen and Jean M. Bidlack

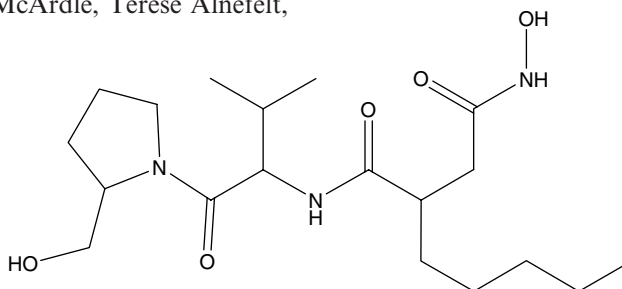
Novel analogues of 8-carboxamidocyclazocine have very high affinity for opioid receptors.

**Identifying common metalloprotease inhibitors by protein fold types using Fourier Transform Mass Spectrometry**

pp 6521–6524

Jennifer K. Mitchell, Desley Pitcher, Bernadette M. McArdle, Terese Alnefelt, Sandra Duffy, Vicky Avery and Ronald J. Quinn*

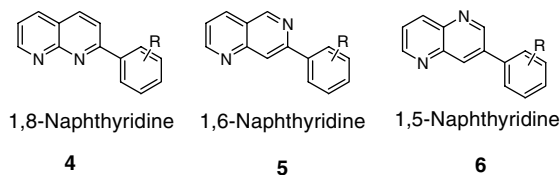
Fourier Transform Mass Spectrometry has identified actinonin as an inhibitor of thermolysin. Molecular modelling studies revealed differences in PFT within the Zincin-like fold which account for specificity within the fold.



Synthesis and SAR comparison of regioisomeric aryl naphthyridines as potent mGlu5 receptor antagonists

pp 6525–6528

Paul Galatsis, Koji Yamagata, John A. Wendt,* Cleo J. Connolly, John W. Mickelson, Jared B. J. Milbank, Susan E. Bove, Christopher S. Knauer, Rachel M. Brooker, Corinne E. Augelli-Szafran, Roy D. Schwarz, Jack J. Kinsora and Kenneth S. Kilgore

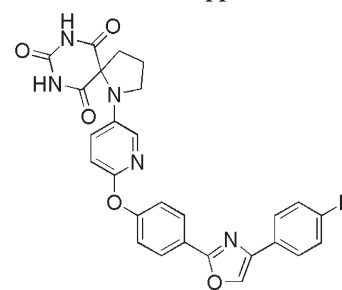


We describe three novel regioisomeric series of aryl naphthyridine analogs, which are potent antagonists of the Class III GPCR mGlu5 receptor. The synthesis and in vitro and in vivo pharmacological activities of these analogs are discussed.

Potent, selective spiropyrrolidine pyrimidinetrione inhibitors of MMP-13

pp 6529–6534

Kevin D. Freeman-Cook,* Lawrence A. Reiter, Mark C. Noe, Amy S. Antipas, Dennis E. Danley, Kaushik Datta, James T. Downs, Shane Eisenbeis, James D. Eskra, David J. Garmene, Elaine M. Greer, Richard J. Griffiths, Roberto Guzman, Joel R. Hardink, Fouad Janat, Christopher S. Jones, Gary J. Martinelli, Peter G. Mitchell, Ellen R. Laird, Jennifer L. Liras, Lori L. Lopresti-Morrow, Jayvardhan Pandit, Usa D. Reilly, Donald Robertson, Marcie L. Vaughn-Bowser, Lilli A. Wolf-Gouviea and Sue A. Yocum



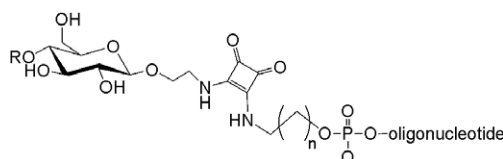
MMP-13 IC_{50} = 0.12 nM
MMP-12 IC_{50} = 143 nM

The discovery of novel, selective spirocyclic inhibitors of MMP-13 is reported.

Preparation of carbohydrate–oligonucleotide conjugates using the squarate spacer

pp 6535–6538

Hongbin Yan,* Aimé López Aguilar and Yuyan Zhao



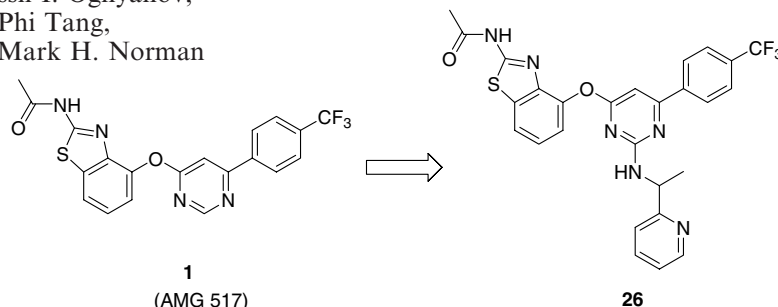
The use of squarate as spacer in the preparation of carbohydrate–oligonucleotide conjugates is reported.

Trisubstituted pyrimidines as transient receptor potential vanilloid 1 (TRPV1) antagonists with improved solubility

pp 6539–6545

Xianghong Wang,* Partha P. Chakrabarti, Vassil I. Ognyanov, Liping H. Pettus, Rami Tamir, Helming Tan, Phi Tang, James J. S. Treanor, Narendra R. Gavva and Mark H. Norman

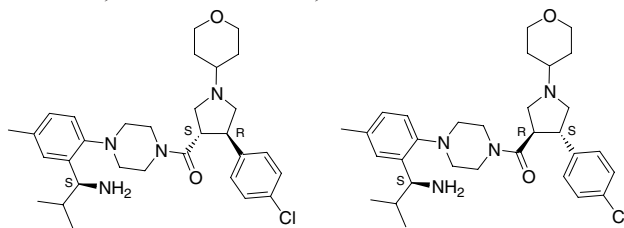
A series of trisubstituted pyrimidines were synthesized to improve aqueous solubility of our first TRPV1 clinical candidate **1**. The structure–activity and structure–solubility studies led to the discovery of **26**.



Synthesis and characterization of pyrrolidine derivatives as potent agonists of the human melanocortin-4 receptor

pp 6546–6552

Wanlong Jiang, Joe A. Tran, Fabio C. Tucci, Beth A. Fleck, Sam R. Hoare, Stacy Markison, Jenny Wen, Caroline W. Chen, Dragan Marinkovic, Melissa Arellano, Alan C. Foster and Chen Chen*



20f-1 (*S,S,R*-isomer):

$K_i = 11$ nM, $EC_{50} = 24$ nM, IA = 104%

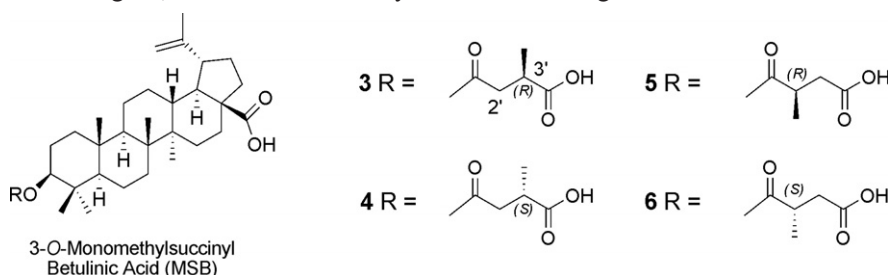
20f-2 (*S,R,S*-isomer):

$K_i = 8.6$ nM, IA = 18%, $IC_{50} = 65$ nM

Anti-AIDS agents 73: Structure–activity relationship study and asymmetric synthesis of 3-*O*-monomethylsuccinyl-betulinic acid derivatives

pp 6553–6557

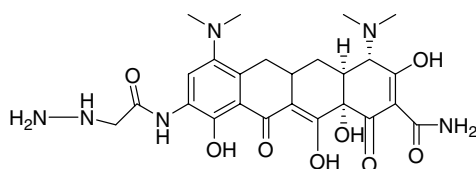
Keduo Qian, Kyoko Nakagawa-Goto, Donglei Yu, Susan L. Morris-Natschke, Theodore J. Nitz, Nicole Kilgore, Graham P. Allaway and Kuo-Hsiung Lee*



Bactericidal activity of extended 9-glycyl-amido-minocyclines

pp 6558–6562

Chang-po Chen, Allen R. Zeiger and Eric Wickstrom*

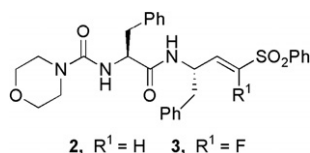


9-Hydrazino-acetyl-amido-MIN, and simpler glycylcycline derivatives, retained minimum inhibitory concentration (MIC) against *Staphylococcus aureus* comparable to minocycline. However, PEG-glycyl-amido-minocyclines showed very low activity.

Dipeptidyl α -fluorovinyl Michael acceptors: Synthesis and activity against cysteine proteases

pp 6563–6566

Koen Steert, Ibrahim El-Sayed, Pieter Van der Veken, Alisa Krishtal, Christian Van Alsenoy, Gareth D. Westrop, Jeremy C. Mottram, Graham H. Coombs, Koen Augustyns and Achiel Haemers*

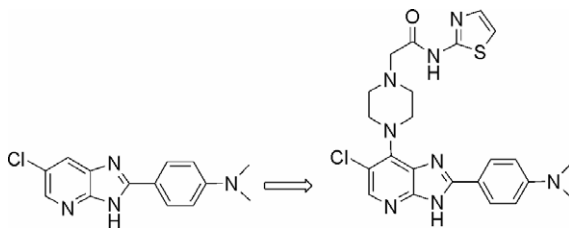


The synthesis of dipeptidyl α -fluorovinyl sulfones is described. Inhibitory assays against a *Leishmania mexicana* cysteine protease revealed low biological activity. Relative rates of Michael additions on vinyl sulfone and α -fluorovinyl sulfone were determined and ab initio calculations on Michael acceptor model structures were performed.

Hit generation and exploration: Imidazo[4,5-*b*]pyridine derivatives as inhibitors of Aurora kinases

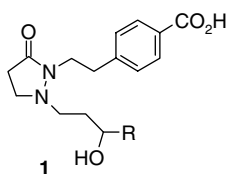
pp 6567–6571

Vassilios Bavetsias,* Chongbo Sun, Nathalie Boulloc, Jóhannes Reynisson, Paul Workman, Spiros Linardopoulos and Edward McDonald*

**Synthesis and evaluation of novel pyrazolidinone analogs of PGE₂ as EP₂ and EP₄ receptors agonists**

pp 6572–6575

Zhong Zhao,* Gian Luca Araldi, Yufang Xiao,* Adulla P. Reddy, Yihua Liao, Srinivasa Karra, Nadia Brugger, David Fischer and Elizabeth Palmer

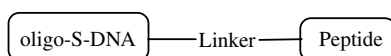


Replacement of the hydroxyl cyclopentanone ring in PGE₂ with chemically more stable heterocyclic rings and substitution of the unsaturated α -alkenyl chain with a metabolically more stable phenethyl chain led to the development of potent and selective analogs of PGE₂. Compound **10f** showed the highest potency and selectivity for the EP₄ receptor.

Synthesis of phosphorothioate oligonucleotide–peptide conjugates by solid phase fragment condensation

pp 6576–6578

Irmina Diala, Akira Osada, Shinji Maruoka, Takashi Imanisi, Satoshi Murao, Taishi Ato, Hideki Ohba and Masayuki Fujii*

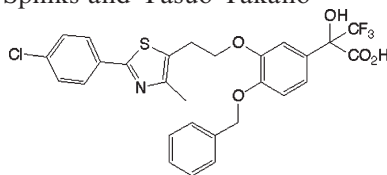


The synthesis of phosphorothioate oligonucleotide–peptide conjugate is reported.

**2-Aryl-3,3,3-trifluoro-2-hydroxypropionic acids: A new class of protein tyrosine phosphatase 1B inhibitors**

pp 6579–6583

David R. Adams,* Achamma Abraham, Jun Asano, Catherine Breslin, Colin A. J. Dick, Ulrich Ixkes, Blair F. Johnston, Derek Johnston, Justin Kewnay, Simon P. Mackay, Simon J. MacKenzie, Morag McFarlane, Lee Mitchell, Daniel Spinks and Yasuo Takano



A new series of protein tyrosine phosphatase 1B inhibitors with a 2-aryl-3,3,3-trifluoro-2-hydroxypropionic acid core unit targeted at the enzyme's primary site and a hydrophobic chlorophenylthiazole extension in the 2° site exhibit low- μ M inhibitory activity in cell-based assays.

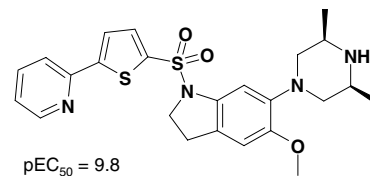
Potent achiral agonists of the ghrelin (growth hormone secretagogue) receptor.

pp 6584–6587

Part I: Lead identification

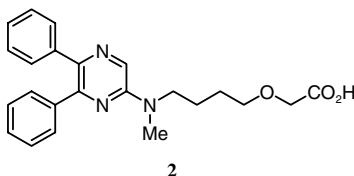
Tom D. Heightman,* Jackie S. Scott, Mark Longley, Vincent Bordas, David K. Dean, Richard Elliott, Gail Hutley, Jason Witherington, Lee Abberley, Barry Passingham, Manuela Berlanga, Maite de los Frailes, Alan Wise, Ben Powney, Alison Muir, Fiona McKay, Sharon Butler, Kim Winborn, Christopher Gardner, Jill Darton, Colin Campbell and Gareth Sanger

A series of indolines showing potent in vitro ghrelin receptor agonism and acceleration of gastric emptying in rats is described.

**Structure–activity relationship study on the 6-membered heteroaromatic ring system of diphenylpyrazine-type prostacyclin receptor agonists**

pp 6588–6592

Tetsuo Asaki,* Taisuke Hamamoto, Yukiteru Sugiyama, Keiichi Kuwano, Kenji Kuwabara and Tomoko Niwa

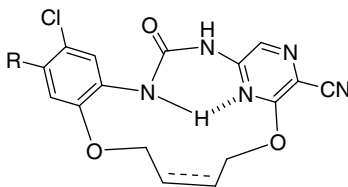


The structure–activity relationships associated with prostacyclin receptor agonists were investigated, with emphasis on the pyrazine ring of lead compound **2**. Molecular modeling revealed the structural elements of the 6-membered heteroaromatic ring system required for potent biological activity.

Macrocyclic ureas as potent and selective Chk1 inhibitors: An improved synthesis, kinome profiling, structure–activity relationships, and preliminary pharmacokinetics

pp 6593–6601

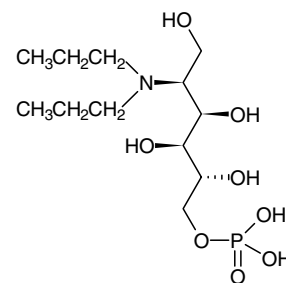
Zhi-Fu Tao,* Zehan Chen, Mai-Ha Bui, Peter Kovar, Eric Johnson, Jennifer Bouska, Haiying Zhang, Saul Rosenberg, Thomas Sowin and Nan-Horng Lin

**Rational design of N-alkyl derivatives of 2-amino-2-deoxy-D-glucitol-6P as antifungal agents**

pp 6602–6606

Anna Melcer, Izabela Łacka, Iwona Gabriel, Marek Wojciechowski, Beata Liberek, Andrzej Wiśniewski and Sławomir Milewski*

N-Alkylation of D-glucosaminitol-6P affords compounds that inhibit *Candida albicans* glucosamine-6P synthase and exhibit antifungal activity (MIC = 0.08 – 0.625 mg mL⁻¹).

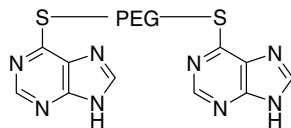


4 MIC = 0.16 mg mL⁻¹

A simple method for the preparation of PEG-6-mercaptopurine for oral administration

pp 6607–6609

Marina Zacchigna,* Francesca Cateni, Gabriella Di Luca and Sara Drioli

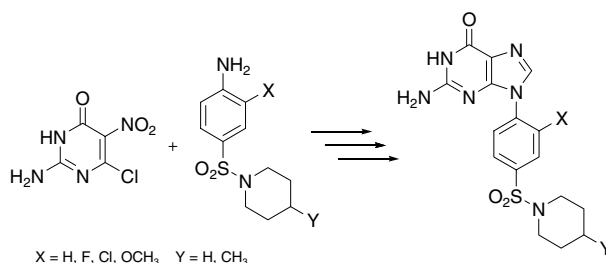


The simple synthesis of a new PEG 6 MP prodrug and its in vivo and in vitro evaluations are described.

Synthesis and antimicrobial evaluation of guanylsulfonamides

pp 6610–6614

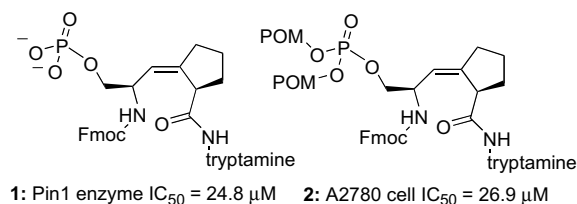
Pratik R. Patel, Chennan Ramalingan and Yong-Tae Park*

**A phosphorylated prodrug for the inhibition of Pin1**

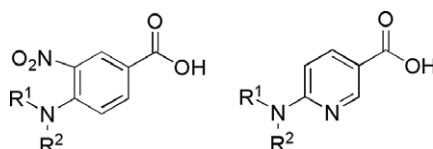
pp 6615–6618

Song Zhao and Felicia A. Etzkorn*

Fmoc-pSer-Ψ[(Z)CHC]-Pro-(2)-N-(3)-ethylaminoindole **1** showed moderate inhibition towards the mitotic regulator, Pin1 (IC_{50} = 28.3 μ M). To improve the cell permeability, the charged phosphate was masked as the bis-pivaloyloxymethyl (POM) phosphate in Fmoc-(bisPOM)-pSer-Ψ[(Z)CHC]-Pro-(2)-N-(3)-ethylaminoindole **2**. Antiproliferative activity towards A2780 ovarian cancer cells of **1** (IC_{50} = 46.2 μ M) was improved significantly in **2** (IC_{50} = 26.9 μ M), comparable to the IC_{50} of **1** towards Pin1 enzymatic activity.

**3-Nitro-4-amino benzoic acids and 6-amino nicotinic acids are highly selective agonists of GPR109b** pp 6619–6622

Philip J. Skinner,* Martin C. Cherrier, Peter J. Webb, Carleton R. Sage, Huong T. Dang, Cameron C. Pride, Ruoping Chen, Susan Y. Tamura, Jeremy G. Richman, Daniel T. Connolly and Graeme Semple



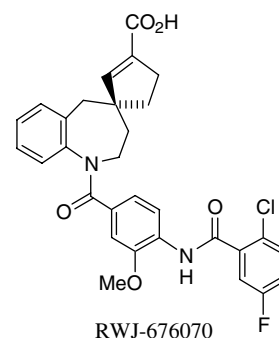
A series of novel functionalized 3-nitro-4-amino benzoic acids and 6-amino nicotinic acids and their agonist activity at GPR109b are reported.



Next-generation spirobenzazepines: Identification of RWJ-676070 as a balanced vasopressin V_{1a}/V_2 receptor antagonist for human clinical studies

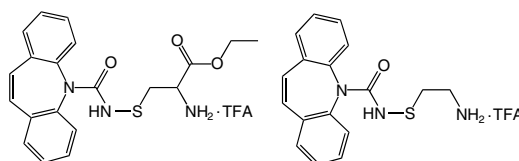
pp 6623–6628

Min Amy Xiang, Philip J. Rybczynski, Mona Patel, Robert H. Chen, David F. McComsey, Han-Cheng Zhang, Joseph W. Gunnet, Richard Look, Yuanping Wang, Lisa K. Minor, H. Marlon Zhong, Frank J. Villani, Keith T. Demarest, Bruce P. Damiano and Bruce E. Maryanoff*


Preparation, characterization and in vivo conversion of new water-soluble sulfenamide prodrugs of carbamazepine

pp 6629–6632

Jeffrey N. Hemenway, Kwame Nti-Addae, Victor R. Guarino and Valentino J. Stella*

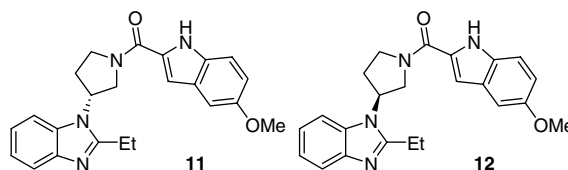


The objective of this report is to introduce the novel application of sulfenamides as water-soluble prodrugs of the urea compound, carbamazepine.

New 'chemical probes' to examine the role of the hFPRL1 (or ALXR) receptor in inflammation

pp 6633–6637

Mike Frohn,* Han Xu, Xiaoming Zou, Catherine Chang, Michele McElvaine, Matthew H. Plant, Min Wong, Philip Tagari, Randall Hungate and Roland W. Bürli

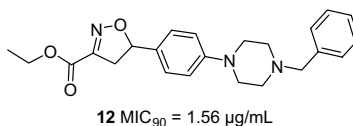


We report the development of the novel N-substituted benzimidazole **11** as a potent and selective human formyl peptide receptor-like 1 (hFPRL1) agonist. This compound and its less active enantiomer **12** were identified as useful tools for studying receptor function in vitro.

Discovery of novel isoxazolines as anti-tuberculosis agents

pp 6638–6642

Rajendra P. Tangallapally, Dianqing Sun, Rakesh, Nageshwar Budha, Robin E. B. Lee, Anne J. M. Lenaerts, Bernd Meibohm and Richard E. Lee*

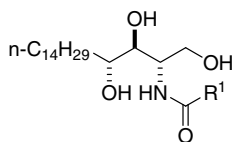


Discovery of isoxazoline compound **12** as novel anti-tuberculosis agent (MIC₉₀ = 1.56 µg/mL) is reported.

Synthesis of novel phytosphingosine derivatives and their preliminary biological evaluation for enhancing radiation therapy

pp 6643–6646

Byung Seok Moon, Moon-Taek Park, Jeong Hoon Park, Sang Wook Kim, Kyo Chul Lee, Gwang Il An, Seung Dae Yang, Dae Yoon Chi, Gi Jeong Cheon* and Su-Jae Lee*



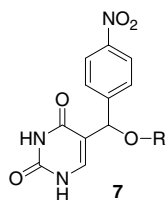
3d, R¹ = -(CH₂)₃COOCH₃

Compound **3d** showed the highest enhancement of radiosensitizing effect.

Synthesis and cytotoxic activity of various 5-[alkoxy-(4-nitro-phenyl)-methyl]-uracils in their racemic form

pp 6647–6650

Lucie Spáčilová, Petr Džubák, Marián Hajdúch, Soňa Křupková, Pavel Hradil and Jan Hlaváč*



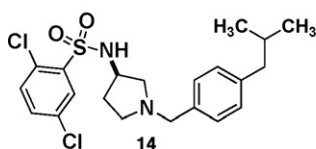
- | | |
|--|---|
| a) R = CH ₃ | h) R = (CH ₂) ₇ CH ₃ |
| b) R = CH ₂ CH ₃ | i) R = (CH ₂) ₈ CH ₃ |
| c) R = (CH ₂) ₂ CH ₃ | j) R = (CH ₂) ₉ CH ₃ |
| d) R = (CH ₂) ₃ CH ₃ | k) R = (CH ₂) ₁₀ CH ₃ |
| e) R = (CH ₂) ₄ CH ₃ | l) R = (CH ₂) ₁₁ CH ₃ |
| f) R = (CH ₂) ₅ CH ₃ | m) R = i-Pr |
| g) R = (CH ₂) ₆ CH ₃ | n) R = s-But |
| | o) R = t-But |



N-Benzyl-3-sulfonamidopyrrolidines as novel inhibitors of cell division in *E. coli*

pp 6651–6655

Shubhasish Mukherjee, Carolyn A. Robinson, Andrew G. Howe, Tali Mazor, Peter A. Wood, Sameer Urgaonkar, Alan M. Hebert, Debabrata RayChaudhuri* and Jared T. Shaw*



MIC = 10 μM; extensive filamentation observed at 50% MIC

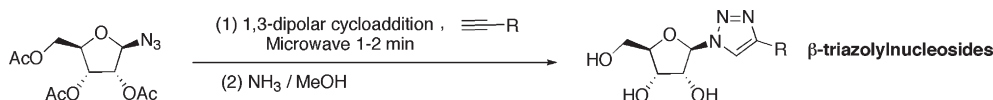


The discovery and preliminary development of a new class of compounds that target bacterial cell division is reported.

Efficient synthesis and in vitro cytostatic activity of 4-substituted triazolyl-nucleosides

pp 6656–6659

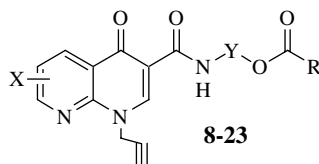
Khalid El Akri, Khalid Bougrin, Jan Balzarini, Abdesslem Faraj and Rachid Benhida*



Anticancer and anti-inflammatory activities of 1,8-naphthyridine-3-carboxamide derivatives

pp 6660–6664

Sanjay K. Srivastava, Manu Jaggi,* Anu T. Singh, Alka Madan, Nidhi Rani,
Manupriya Vishnoi, Shiv K. Agarwal,* Rama Mukherjee and Anand C. Burman



Compounds **12** exhibited high cytotoxicity on HBL-100 (breast) cell line while compounds **17** and **22** have shown high cytotoxicity on KB (oral) and SW-620 (colon) cell lines, respectively.

OTHER CONTENTS**Summary of instructions to authors**

p I

*Corresponding author

①⁺ 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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