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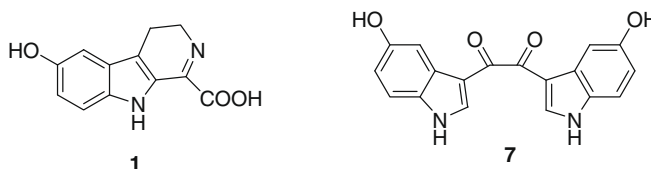
Bioorganic & Medicinal Chemistry Letters Vol. 19, No. 4, 2009

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ARTICLES

- 5-Hydroxyindole-type alkaloids, as *Candida albicans* isocitrate lyase inhibitors, from the tropical sponge *Hyrtios* sp.** pp 1051–1053

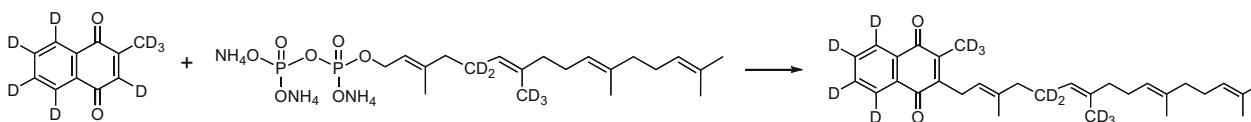
Hyi-Seung Lee, Kyung-Mi Yoon, Yu-Ri Han, Kyung Jin Lee, Soon-Chun Chung, Tae-Im Kim, So-Hyoung Lee, Jongheon Shin^{*}, Ki-Bong Oh^{*}



Isolation and structure elucidation of new compound **1** and isocitrate lyase inhibitory activity of compounds **1–7** are described.

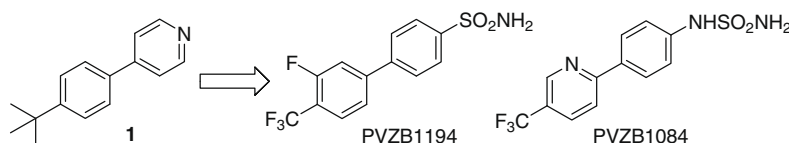
- Elucidation of the mechanism producing menaquinone-4 in osteoblastic cells** pp 1054–1057

Yoshitomo Suhara, Akimori Wada, Toshio Okano^{*}



- Bis(hetero)aryl derivatives as unique kinesin spindle protein inhibitors** pp 1058–1061

Kenji Matsuno, Jun-ichi Sawada, Mina Sugimoto, Naohisa Ogo, Akira Asai^{*}

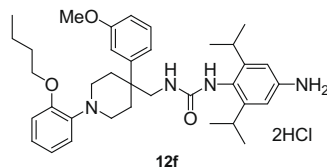


Synthesis of 4-(4-*tert*-butylphenyl)pyridine (**1**) analogues as KSP inhibitor, SAR, cytotoxicity and mitotic arrest in HeLa cells are described. Distinct KSP distribution by different chemotypes of KSP inhibitor is also reported.

Novel 1,4-diarylpiperidine-4-methylureas as anti-hyperlipidemic agents: Dual effectors on acyl-CoA:cholesterol O-acyltransferase and low-density lipoprotein receptor expression

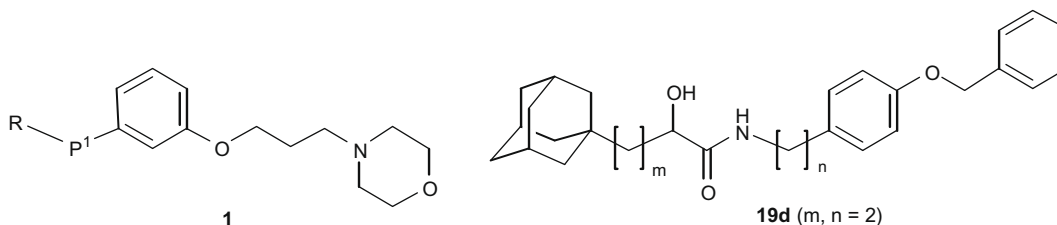
pp 1062–1065

Shigehiro Asano*, Hitoshi Ban, Kouichi Kino, Katsuhisa Ioriya, Masami Muraoka


Non-urea functionality as the primary pharmacophore in soluble epoxide hydrolase inhibitors

pp 1066–1070

Sampath-Kumar Anandan*, Zung N. Do, Heather K. Webb, Dinesh V. Patel, Richard D. Gless

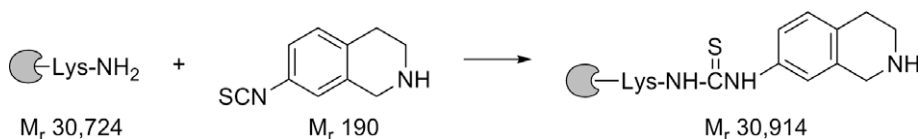


Inhibition of soluble epoxide hydrolase (sEH) has been proposed as a promising new pharmaceutical target for diseases involving hypertension and vascular inflammation. We evaluated a number of non-urea primary pharmacophores based on the test scaffold **1** ($P^1 = \text{NHCONH}$) which led to the discovery of hydroxyamide analog **19d** as a novel and potent sEH inhibitor.

Time-dependent inactivation of human phenylethanolamine N-methyltransferase by 7-isothiocyanatotetrahydroisoquinoline

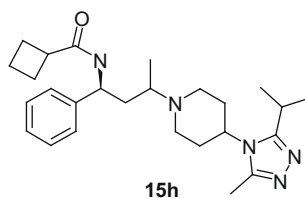
pp 1071–1074

Qian Wu, Joanne M. Caine, Stuart A. Thomson, Meri Slavica, Gary L. Grunewald, Michael J. McLeish*


1-Amido-1-phenyl-3-piperidinylobutanes — CCR5 antagonists for the treatment of HIV. Part 1

pp 1075–1079

Christopher G. Barber*, David C. Blakemore, Jean-Yves Chiva, Rachel L. Eastwood, Donald S. Middleton, Kerry A. Paradowski



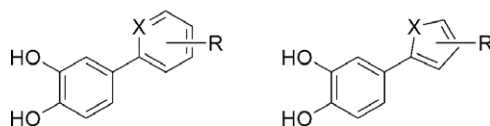
The development of a new class of CCR5 antagonist replacing the tropane core of maraviroc by piperidine with a branched *N*-substituent is described. Compound **15h** shows good whole cell antiviral activity together with microsomal stability and only weak activity at the hERG ion channel.

Synthesis and structure-function analysis of Fe(II)-form-selective antibacterial inhibitors of *Escherichia coli* methionine aminopeptidase

pp 1080–1083

Wen-Long Wang, Sergio C. Chai, Qi-Zhuang Ye*

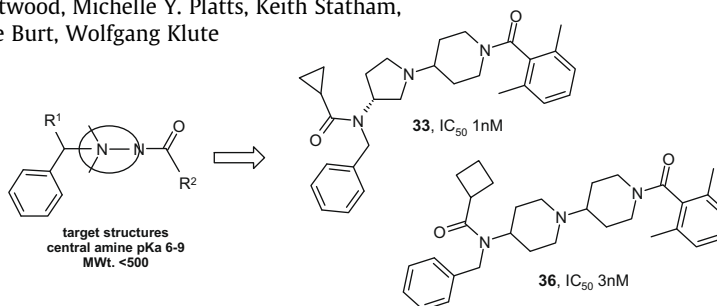
Metalloform-selective MetAP inhibitors



The design and discovery of novel amide CCR5 antagonists

pp 1084–1088

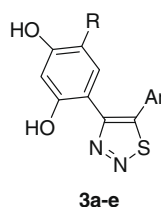
David C. Pryde*, Martin Corless, David R. Fenwick, Helen J. Mason, Blanda C. Stammen, Peter T. Stephenson, David Ellis, David Bachelor, David Gordon, Christopher G. Barber, Anthony Wood, Donald S. Middleton, David C. Blakemore, Gemma C. Parsons, Rachel Eastwood, Michelle Y. Platts, Keith Statham, Kerry A. Paradowski, Catherine Burt, Wolfgang Klute



5-Aryl-4-(5-substituted-2,4-dihydroxyphenyl)-1,2,3-thiadiazoles as inhibitors of Hsp90 chaperone

pp 1089–1092

Inga Cikotiene, Egidijus Kazlauskas, Jurgita Matuliene, Vilma Michailoviene, Jolanta Torresan, Jelena Jachno, Daumantas Matulis*

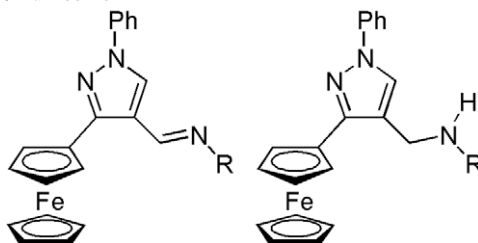


The synthesis and in vitro binding of the thiadiazole inhibitors of Hsp90 with K_d s up to 5 nM.

Synthesis and antimicrobial activity of some new pyrazole derivatives containing a ferrocene unit

pp 1093–1096

Ivan Damljanović, Mirjana Vukićević, Niko Radulović, Radosav Palić, Ernst Ellmerer, Zoran Ratković, Milan D. Joksović, Rastko D. Vukićević*



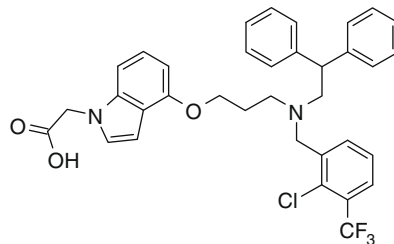
Nine imines and the corresponding amines were synthesized and screened for their in vitro antimicrobial activity against 11 bacteria and three fungal/yeast strains. One of amines (R = *tert*-butyl) showed the higher activity in comparison with widely used tetracycline.



Synthesis and SAR of potent LXR agonists containing an indole pharmacophore

pp 1097–1100

David G. Washburn^{*}, Tram H. Hoang, Nino Campobasso, Angela Smallwood, Derek J. Parks, Christine L. Webb, Kelly A. Frank, Melanie Nord, Chaya Duraiswami, Christopher Evans, Michael Jaye, Scott K. Thompson

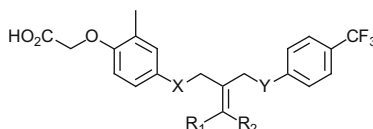


A novel series of 1H-indol-1-yl tertiary amine LXR agonists has been designed. This manuscript will describe optimization of this series of LXR agonists using a structure-based design strategy. In addition, the SAR of this novel series and a crystal structure of a selected ligand bound to the LXR α LBD will be disclosed.

Discovery and SAR of *para*-alkylthiophenoxyacetic acids as potent and selective PPAR δ agonists

pp 1101–1104

Rui Zhang^{*}, Alan DeAngelis, Aihua Wang, Ellen Sieber-McMaster, Xun Li, Ronald Russell, Patricia Pelton, Jun Xu, Peifang Zhu, Lubing Zhou, Keith Demarest, William V. Murray, Gee-Hong Kuo

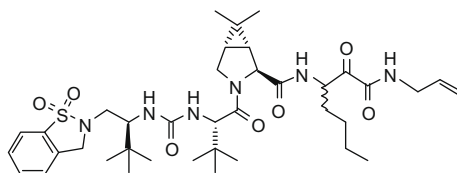


Synthesis and SAR of potent and selective PPAR δ agonists is described.

Novel potent inhibitors of hepatitis C virus (HCV) NS3 protease with cyclic sulfonyl P3 cappings

pp 1105–1109

Kevin X. Chen^{*}, Banchar Vibulbhan, Weiying Yang, Latha G. Nair, Xiao Tong, Kuo-Chi Cheng, F. George Njoroge

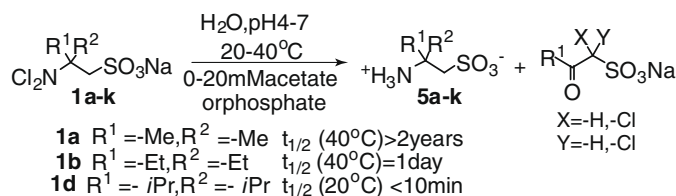


$K_i^* = 5 \text{ nM}$
 $EC_{90} = 80 \text{ nM}$
 $\text{HNE/HCV} = 6100$

Stieglitz rearrangement of *N,N*-dichloro- β,β -disubstituted taurines under mild aqueous conditions

pp 1110–1114

Timothy P. Shiau^{*}, Ashley Houchin, Satheesh Nair, Ping Xu, Eddy Low, Ramin (Ron) Najafi, Rakesh Jain^{*}

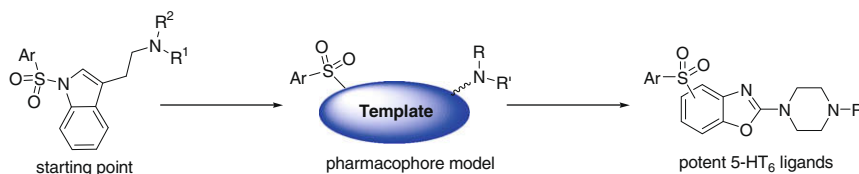


The structure–stability relationship (SSR) of alkyl and cycloalkyl β -substitutions on *N,N*-dichlorotaurines reveals order-of-magnitude changes in their aqueous (pH 4–7, 20–40 °C) stabilities. Stieglitz rearrangement is one of the mechanisms of decomposition and produces β -ketosulfonic acids and chlorinated derivatives thereof.

Identification of a series of benzoxazoles as potent 5-HT₆ ligands

pp 1115–1117

Kevin G. Liu^{*}, Jennifer R. Lo, Thomas A. Comery, Guo Ming Zhang, Jean Y. Zhang, Dianne M. Kowal, Deborah L. Smith, Li Di, Edward H. Kerns, Lee E. Schechter, Albert J. Robichaud

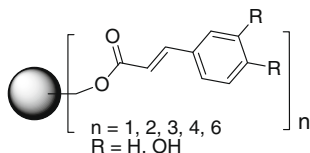


Identification and detailed SAR of a novel series of benzoxazole derivatives as potent 5-HT₆ ligands are reported.

Synthesis and 5-lipoxygenase inhibitory activity of new cinnamoyl and caffeoyl clusters

pp 1118–1121

J  r  mie Doiron, Luc H. Boudreau, Nadia Picot, Beno  t Villebonet, Marc E. Surette, Mohamed Touaibia^{*}

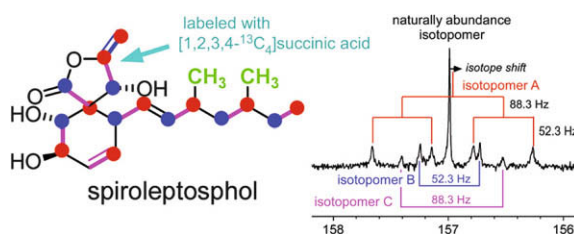


Novel cinnamoyl and caffeoyl clusters were synthesized by multiple Cu(I)-catalyzed [1,3]-dipolar cycloadditions and their anti-5-lipoxygenase inhibitory activity was tested. Caffeoyl cluster showed an improved 5-lipoxygenase inhibitory activity compared to caffeic acid, with caffeoyl trimer **16** and tetramer **19** showing the best 5-lipoxygenase inhibitory activity.

Biosynthetic studies of spiroleptoshol

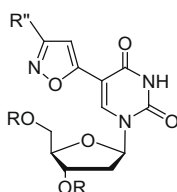
pp 1122–1125

Takanori Murakami, Noboru Takada, Masaru Hashimoto^{*}

**Synthesis of 5-isoxazol-5-yl-2'-deoxyuridines exhibiting antiviral activity against HSV and several RNA viruses**

pp 1126–1128

Yoon-Suk Lee, Sun Min Park, Byeang Hyeon Kim^{*}

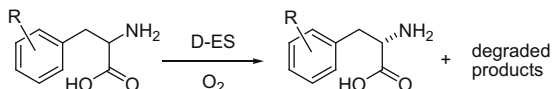


Novel nucleosides were designed and synthesized by [3+2] cycloaddition, and showed moderate antiviral activities. The nucleosides were tested against 12 different viruses.

Use of whole cell culture of *Aeromonas* sp. as enantioselective scavenger: A facile preparation of L-amino acid derivatives in high enantiomeric excess

pp 1129–1131

Zizhang Zhang*

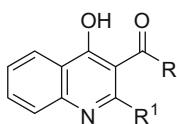


The bacterium *Aeromonas* sp. (CGMCC 2226) can enantioselectively scavenge D-isomer, making L-AADs in high ee. Eleven L-AADs were produced in high ee from corresponding racemates.

Identification of 4-hydroxyquinolines inhibitors of p300/CBP histone acetyltransferases

pp 1132–1135

Antonello Mai*, Dante Rotili, Domenico Tarantino, Angela Nebbioso, Sabrina Castellano, Gianluca Sbardella, Marc Tini, Lucia Altucci*

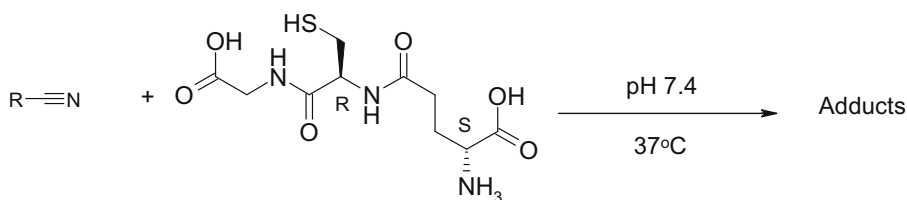


- 1 (R = OC₂H₅; R¹ = CH₃)
- 2 (R = OH; R¹ = CH₃)
- 3 (R = OC₂H₅; R¹ = C₅H₁₁)
- 4 (R = OH; R¹ = C₅H₁₁)
- 5 (R = OC₂H₅; R¹ = C₁₀H₂₁)
- 6 (R = OH; R¹ = C₁₀H₂₁)
- 7 (R = OC₂H₅; R¹ = C₁₅H₃₁)
- 8 (R = OH; R¹ = C₁₅H₃₁)

A simple in vitro assay for assessing the reactivity of nitrile containing compounds

pp 1136–1138

Philip A. MacFaul*, Andrew D. Morley, James J. Crawford

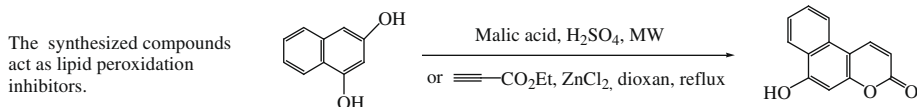


The reactivity of various nitriles towards glutathione has been used as an *in-vitro* risk assessment tool. This method provides a level of ranking for analogues and benchmarking against a marketed drug.

Synthesis of hydroxycoumarins and hydroxybenzo[*f*]- or [*h*]coumarins as lipid peroxidation inhibitors

pp 1139–1142

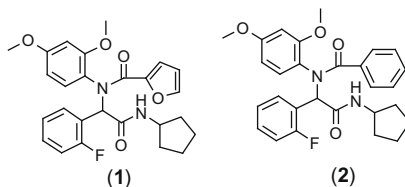
Theodoros Symeonidis, Michael Chamilos, Dimitra J. Hadjipavlou-Litina*, Michael Kallitsakis, Konstantinos E. Litinas*



Discovery of small molecule human C5a receptor antagonists

pp 1143–1147

Hitesh J. Sanganeer*, Andrew Baxter, Simon Barber, Alastair J. H. Brown, Denise Grice, Fraser Hunt, Sarah King, David Laughton, Garry Pairaudeau, Bob Thong, Richard Weaver, John Unitt

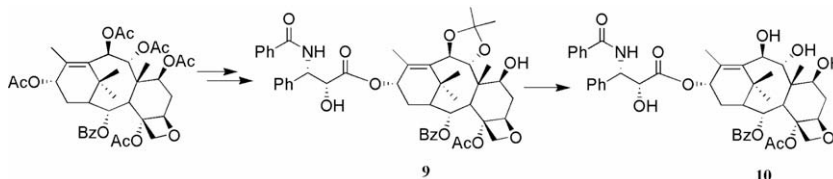


A novel series of small molecule C5a antagonists is reported.

**Synthesis, biological activity and tubulin binding poses of 1-deoxy-9-(R)-dihydrotaxane analogs**

pp 1148–1151

Tian-Hai Yuan, Yi Jiang, Xiao-Hong Wang, Dian-Long Wang, Abhijit Bannerjee, Susan Bane, James P. Snyder, Hai-Xia Lin*

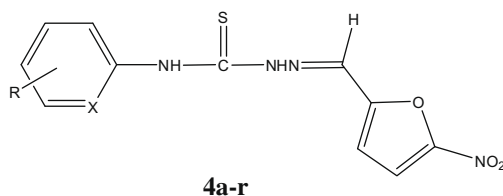


1-Deoxy-9 α -dihydrotaxane analogs **9** and **10** were semi-synthesized from 1-deoxybaccatin VI, isolated from *Taxus mairei*, and tested for cytotoxic activity. Taxane **9** is 10-fold less cytotoxic than paclitaxel, while **10** is equally active. In the tubulin polymerization assay (ED_{50} values), **10** is 4-fold less effective than paclitaxel, but 3-fold superior to **9**. These observations can be explained by analysis of the corresponding taxane/ β -tubulin complexes.

**5-Nitrofuran-2-yl derivatives: Synthesis and inhibitory activities against growing and dormant mycobacterium species**

pp 1152–1154

Dharmarajan Sriram*, Perumal Yogeeswari, Prathiba Dhakla, Palaniappan Senthilkumar, Debjani Banerjee, Thimmappa H. Manjashetty

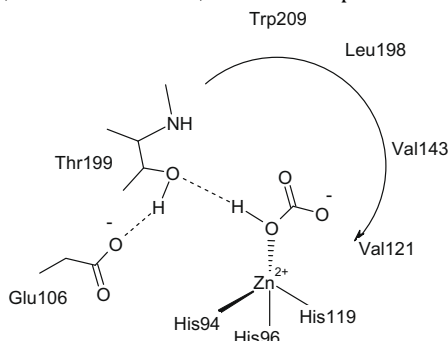


Eighteen 5-nitrofuran-2-yl derivatives were prepared and tested for their in vitro activity against tubercular and various non-tubercular mycobacterium species in log-phase and 6-week-starved cultures.

Carbonic anhydrase inhibitors: The membrane-associated isoform XV is highly inhibited by inorganic anions

pp 1155–1158

Alessio Innocenti, Mika Hilvo, Seppo Parkkila, Andrea Scozzafava, Claudiu T. Supuran*

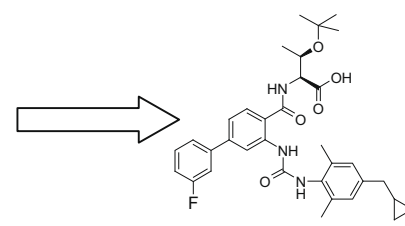
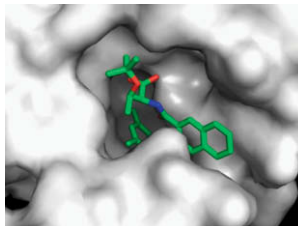


Anthranilimide based glycogen phosphorylase inhibitors for the treatment of type 2 diabetes. Part 3: X-ray crystallographic characterization, core and urea optimization and in vivo efficacy

pp 1177–1182

Stephen A. Thomson*, Pierette Banker, D. Mark Bickett, Joyce A. Boucheron, H. Luke Carter, Daphne C. Clancy, Joel P. Cooper, Scott H. Dickerson, Dulce M. Garrido, Robert T. Nolte, Andrew J. Peat, Lauren R. Sheckler, Steven M. Sparks, Francis X. Tavares, Liping Wang, Tony Y. Wang, James E. Weiel

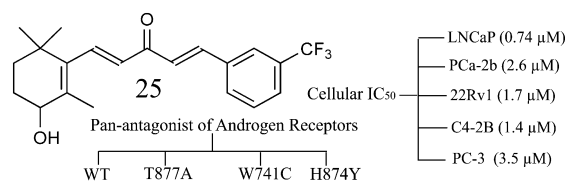
Use of an X-ray crystal structure allowed for the optimization of the anthranilimide-based glycogen phosphorylase inhibitors to give compound **23** which displayed both in vitro potency versus GPa and in vivo efficacy in a mouse model of type 2 diabetes.

**23**

Syntheses and potential anti-prostate cancer activities of ionone-based chalcones

pp 1183–1186

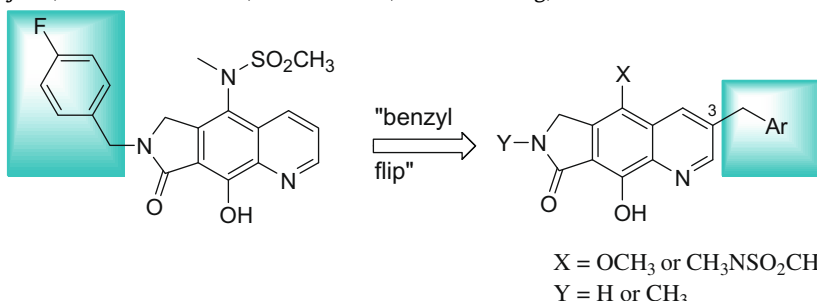
Jinming Zhou, Guoyan Geng, Gerald Batist, Jian Hui Wu*



Tricyclic HIV integrase inhibitors: VI. SAR studies of 'benzyl flipped' C3-substituted pyrroloquinolines

pp 1187–1190

Sammy Metobo, Michael Mish*, Haolun Jin, Salman Jabri, Rachael Lansdown, Xiaowu Chen, Manuel Tsiang, Matthew Wright, Choung U. Kim

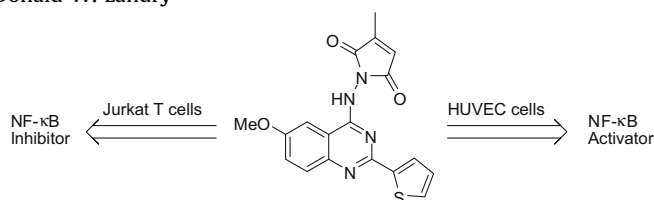


A series of C3-halobenzyl-substituted tricyclic integrase inhibitors was prepared. Excellent cell-based inhibitor potency was observed, and selected leads in this new series showed good bioavailability and long *t*_{1/2} in animal PK studies.

Discovery of novel small molecule cell type-specific enhancers of NF-κB nuclear translocation

pp 1191–1194

Gangli Gong, Yuli Xie, Yidong Liu, Alison Rinderspacher, Shi-Xian Deng, Yan Feng, Zhengxiang Zhu, Yufei Tang, Michael Wyler, Nathalie Aulner, Udo Toeppen, Deborah H. Smith, Lars Branden, Caty Chung, Stephan Schürer, Dušica Vidović, Donald W. Landry*

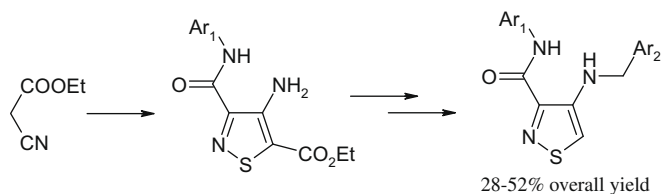


An IKKβ inhibitor, reported to inhibit NF-κB transcriptional activities in Jurkat T cells, was found to enhance NF-κB translocation in HUVEC cells. These studies suggested a noncanonical NF-κB signaling pathway independent of IKKβ in HUVEC cells.

3,4-Disubstituted isothiazoles: Novel potent inhibitors of VEGF receptors 1 and 2

pp 1195–1198

Alexander S. Kiselyov*, Marina Semenova, Victor V. Semenov

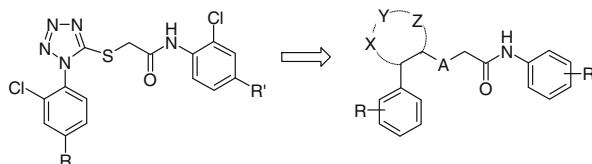


Novel derivatives of isothiazoles are described as potent ATP-competitive inhibitors of vascular endothelial growth factor receptors I and II (VEGFR-1/2). Several derivatives featuring bulky meta-substituents in the amide portion of the molecule displayed both good potency (27–41 nM) and 4- to 8-fold specificity for VEGFR-2 versus VEGFR-1.

Investigation on the role of the tetrazole in the binding of thiotetrazolylacetanilides with HIV-1 wild type and K103N/Y181C double mutant reverse transcriptases

pp 1199–1205

Alexandre Gagnon*, Serge Landry, René Coulombe, Araz Jakalian, Ingrid Guse, Bounkham Thavonekham, Pierre R. Bonneau, Christiane Yoakim, Bruno Simoneau

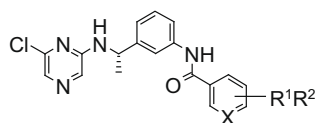


Different acyclic, cyclic and heterocyclic tetrazole replacements were investigated in order to evaluate the conformational and electronic contribution of the linker in the binding of the tetrazolylacetanilides inhibitors in the NNRTI pocket.

Discovery of 2-(α -methylbenzylamino) pyrazines as potent Type II inhibitors of FMS

pp 1206–1209

Christopher J. Burns, Michael F. Harte*, Xianyong Bu, Emmanuelle Fantino, Marilena Giarrusso, Max Joffe, Margarita Kurek, Fiona S. Legge, Pasquale Razzino, Stephen Su, Herbert Treutlein, Soo San Wan, Jun Zeng, Andrew F. Wilks

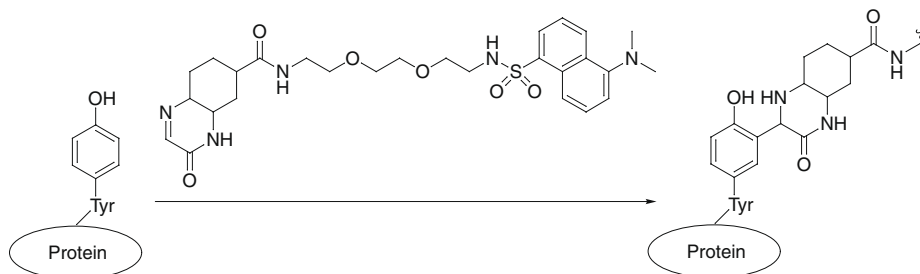


A novel series of 2-(α -methylbenzylamino) pyrazines have been synthesized and shown to be potent inhibitors of the FMS tyrosine receptor kinase.

Synthesis and evaluation of a cyclic imine derivative conjugated to a fluorescent molecule for labeling of proteins

pp 1210–1213

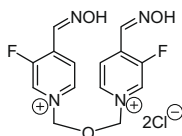
Hai-Ming Guo, Maki Minakawa, Lynn Ueno, Fujie Tanaka*



Reactivation potency of fluorinated pyridinium oximes for acetylcholinesterases inhibited by paraoxon organophosphorus agent

pp 1214–1217

Hee Chun Jeong, Nam Sook Kang, No-Joong Park, Eul Kyun Yum, Young-Sik Jung*



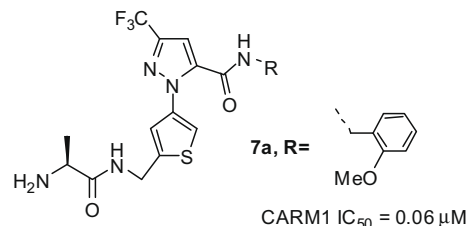
We have designed and synthesized the fluorinated oxime derivatives, which quantum mechanical calculations suggest should have a greater lipophilicity and BBB permeability than their non-fluorinated analogs.

N-Benzyl-1-heteroaryl-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamides as inhibitors of co-activator associated arginine methyltransferase 1 (CARM1)

pp 1218–1223

Martin Allan, Sukhdev Manku, Eric Therrien, Natalie Nguyen, Sylvia Styhler, Marie-France Robert, Anne-Christine Goulet, Andrea J. Petschner, Gabi Rahil, A. Robert MacLeod, Robert Déziel, Jeffrey M. Besterman, Hannah Nguyen, Amal Wahhab*

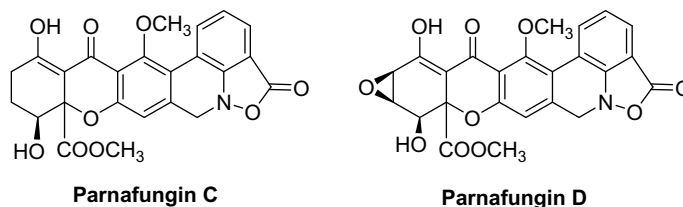
A series of *N*-benzyl-1-heteroaryl-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamides targeting co-activator associated arginine methyltransferase 1 (CARM1) have been designed and synthesized. The potency of these inhibitors was influenced by the nature of the heteroaryl fragment with the thiophene analogues being superior to thiazole, pyridine, isoindoline and benzofuran based.



Isolation and structure elucidation of parnafungins C and D, isoxazolidinone-containing antifungal natural products

pp 1224–1227

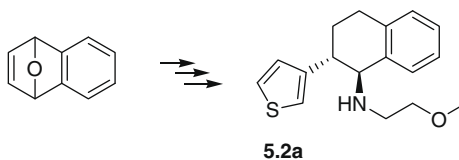
David Overy, Kathleen Calati, Jennifer Nielsen Kahn, Ming-Jo Hsu, Jesús Martín, Javier Collado, Terry Roemer, Guy Harris, Craig A. Parish*



Discovery of μ -opioid selective ligands derived from 1-aminotetralin scaffolds made via metal-catalyzed ring-opening reactions

pp 1228–1232

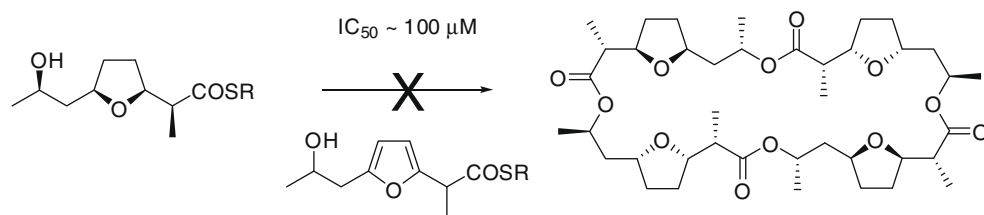
Chris Dockendorff, Shujuan Jin, Madeline Olsen, Mark Lautens*, Martin Coupal, Lejla Hodzic, Nathan Spear, Kemal Payza, Christopher Walpole, Mirosław J. Tomaszewski*



Nonactin biosynthesis: Setting limits on what can be achieved with precursor-directed biosynthesis

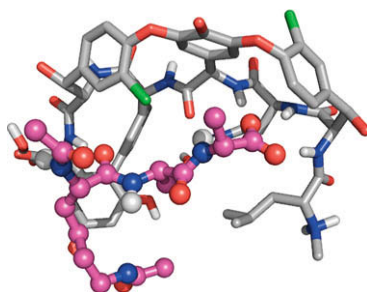
pp 1233–1235

Brian R. Kusche, Joshua B. Phillips, Nigel D. Priestley*

**Vancomycin resistance: Modeling backbone variants with D-Ala-D-Ala and D-Ala-D-Lac peptides**

pp 1236–1239

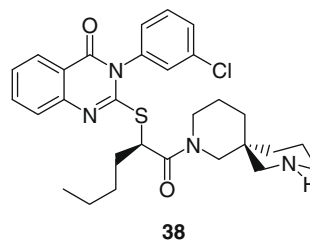
Siegfried S. F. Leung, Julian Tirado-Rives, William L. Jorgensen*

**Development of thioquinazolinones, allosteric Chk1 kinase inhibitors**

pp 1240–1244

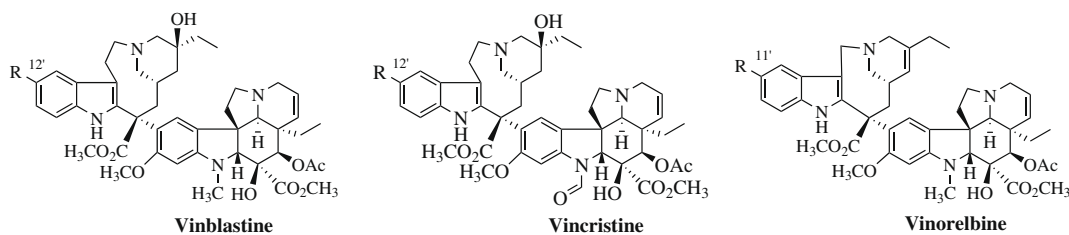
Antonella Converso*, Timothy Hartingh, Robert M. Garbaccio, Edward Tasber, Keith Rickert, Mark E. Fraley, Youwei Yan, Constantine Kreatsoulas, Steve Stirdivant, Bob Drakas, Eileen S. Walsh, Kelly Hamilton, Carolyn A. Buser, Xianzhi Mao, Marc T. Abrams, Stephen C. Beck, Weikang Tao, Rob Lobell, Laura Sepp-Lorenzino, Joan Zugay-Murphy, Vinod Sardana, Sanjeev K. Munshi, Sylvie Marie Jezequel-Sur, Paul D. Zuck, George D. Hartman

Novel thioquinazolinone **38** was identified as allosteric Chk1 kinase inhibitor. An X-ray crystal structure of the first allosteric inhibitor–enzyme complex was solved for this target.

**Synthesis and SAR of vinca alkaloid analogues**

pp 1245–1249

Matthew E. Voss*, Jeffery M. Ralph, Dejian Xie, David D. Manning, Xinchao Chen, Anthony J. Frank, Andrew J. Leyhane, Lei Liu, Jason M. Stevens, Cheryl Budde, Matthew D. Surman, Thomas Friedrich, Denise Peace, Ian L. Scott, Mark Wolf, Randall Johnson

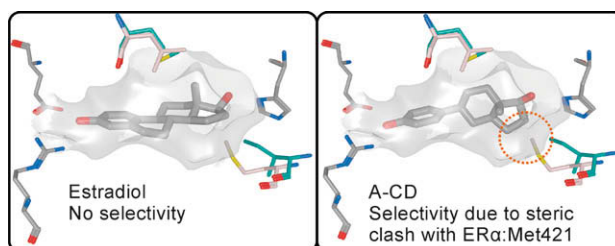


The development of selective iodination conditions for the dimeric vinca alkaloids vinblastine, vincristine, and semisynthetic derivative vinorelbine led to the preparation of new analogues of these important antitumor agents.

Deconstructing estradiol: Removal of B-ring generates compounds which are potent and subtype-selective estrogen receptor agonists

pp 1250–1253

Mohammud Asim, Mohamed El-Salfiti, Yiming Qian, Christine Choueiri, Samira Salari, James Cheng, Hooman Shadnia, Manpartap Bal, M. A. Christine Pratt, Kathryn E. Carlson, John A. Katzenellenbogen, James S. Wright*, Tony Durst*



A novel class of allosteric modulators of AMPA/Kainate receptors

pp 1254–1257

Giuseppe Cannazza*, Krzysztof Jozwiak, Carlo Parenti, Daniela Braghiroli, Marina M. Carrozzo, Giulia Puia, Gabriele Losi, Mario Baraldi, Wolfgang Lindner, Irving W. Wainer

IDRA21 is one of the most potent cognitive enhancers. We have demonstrated that in vivo IDRA21 (1) was quickly hydrolyzed to 2-amino-5-chlobenzensulfonamide that posses in vitro a biological activity similar to that of IDRA21 itself. Taking 2-amino-5-chlobenzensulfonamide as the lead compound, a novel class of AMPAR positive allosteric modulators has been prepared.

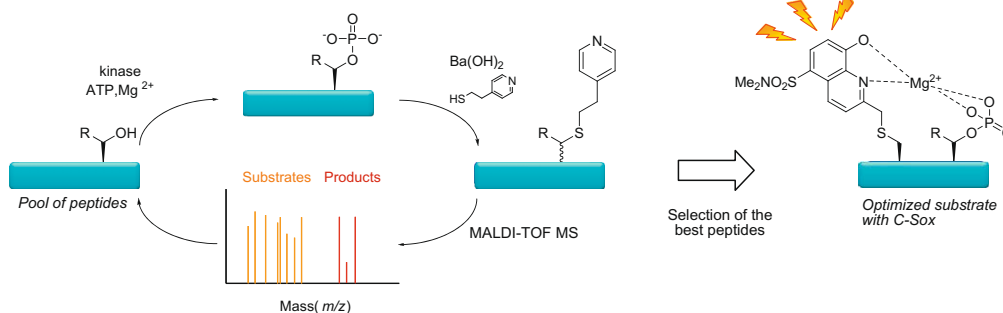
compd	R ¹	R ²	R ³	R ⁴	R ⁵
2	-H	-H	-H	-H	-Cl
3	-CH ₃	-H	-H	-H	-Cl
4	-CH ₂ CH ₃	-H	-H	-H	-Cl
5	-CH ₂ CH ₂ CH ₃	-H	-H	-H	-Cl
6	-H	-CH ₃	-H	-H	-Cl
7	-H	-CH ₂ CH ₃	-H	-H	-Cl
8	-H	-CH ₂ CH ₂ CH ₃	-H	-H	-Cl
9	-H	-CH(CH ₃)CH ₃	-H	-H	-Cl
10	-H	-H	-H	-H	-H
11	-H	-H	-H	-Cl	-H
12	-H	-H	-H	-Cl	-Cl
13	-H	-H	-H	-OCH ₃	-H
14	-H	-H	-H	-H	-NO ₂
15	-H	-H	-H	-Cl	-SO ₂ NH ₂
16	-H	-H	-CH ₃	-H	-H



A rapid method for generation of selective Sox-based chemosensors of Ser/Thr kinases using combinatorial peptide libraries

pp 1258–1260

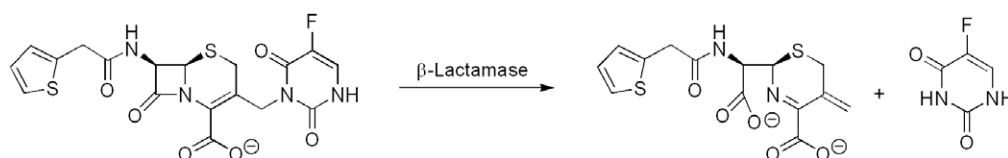
Juan A. González-Vera, Elvedin Luković, Barbara Imperiali*



Design and synthesis of a β -lactamase activated 5-fluorouracil prodrug

pp 1261–1263

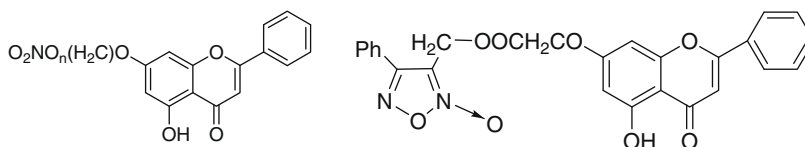
Ryan M. Phelan, Marc Ostermeier, Craig A. Townsend*



Synthesis and promotion angiogenesis effect of chrysin derivatives coupled to NO donors

pp 1264–1266

Sheng-Ming Peng, Xiao-Qing Zou, Hua-Lan Ding, Yong-Lan Ding, Yuan-Bin Lin *




Two types of new chrysin derivatives were prepared by coupling NO donors of alkyl nitrate and furazan derivatives. These compounds were tested in human umbilical vein endothelial cells and all the compounds exhibited cell proliferation. Notable effects of promoting angiogenesis were observed for all the modified compounds using chick chorioallantoic membrane (CAM) assay.

OTHER CONTENTS**Instructions to contributors**

p I

*Corresponding author

 Supplementary data available via ScienceDirect**COVER**

Overlay of high resolution co-crystal structures of *R*-**22**-ADP (cyan) and **1**-ADP (green) bound in an allosteric binding site of the mitotic kinesin KSP. [Roecker, A. J.; Coleman, P. J.; Mercer, S. P.; Schreier, J. D.; Buser, C. A.; Walsh, E. S.; Hamilton, K.; Lobell, R. B.; Tao, W.; Diehl, R. E.; South, V. J.; Davide, J. P.; Kohl, N. E.; Yan, Y.; Kuo, L. C.; Li, C.; Fernandez-Metzler, C.; Mahan, E. A.; Prueksaritanont, T.; Hartman, G. D. *Bioorg. Med. Chem. Lett.* **2007**, 17, 5677.]

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