

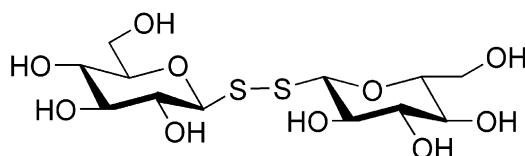
Contents

COMMUNICATIONS

Redox-responsive and calcium-dependent switching of glycosyldisulfide interactions with Concanavalin A

pp 2707–2710

Zhichao Pei, Teodor Aastrup, Henrik Anderson and Olof Ramström*

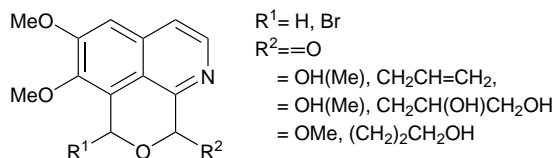


Glycosyldisulfide inhibition of Concanavalin A-carbohydrate binding can be switched on/off by calcium or redox control.

Synthesis of tricyclic analogs of stephalexanthine and their evaluation as acetylcholinesterase inhibitors

pp 2711–2715

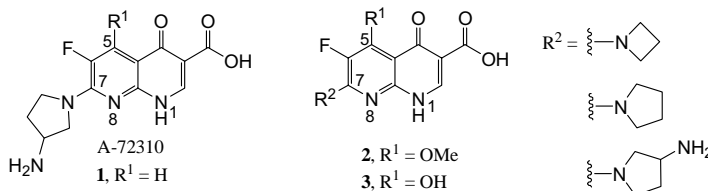
Darío A. Bianchi, Guillermo Schmeda Hirschmann, Cristina Theoduloz, Andrea B. J. Bracca and Teodoro S. Kaufman*



Synthesis and antibacterial activity of 5-methoxy- and 5-hydroxy-6-fluoro-1,8-naphthyridone-3-carboxylic acid derivatives

pp 2716–2719

T. Matthew Hansen,* Yu-Gui Gu, Tamara M. Rehm, Peter J. Dandliker, Linda E. Chovan, Mai H. Bui, Angela M. Nilus and Bruce A. Beutel

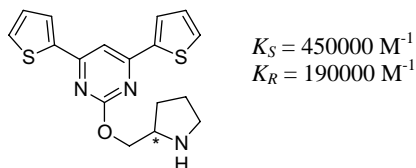


A series of 5-methoxy- and 5-hydroxy-6-fluoro-1,8-naphthyridone-3-carboxylic acid derivatives were prepared and evaluated for cell-free bacterial protein synthesis inhibition and whole cell antibacterial activity.

Chiral discrimination in binding of enantiomers of 2-(aminoalkoxy)-substituted 4-(2-thienyl)pyrimidines and 4,6-bis(2-thienyl)pyrimidines with duplex DNA

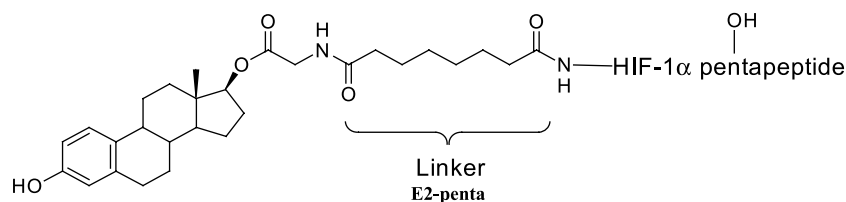
pp 2720–2723

Lucjan Strekowski,* Marek T. Cegla, Vidya Honkan, Henryk Buczak, W. Rucks Winkeljohn, Alfons L. Baumstark and W. David Wilson*


Use of PROTACS as molecular probes of angiogenesis

pp 2724–2727

Paola Bargagna-Mohan, Sun-Hee Baek, Hyosung Lee, Kyungbo Kim and Royce Mohan*

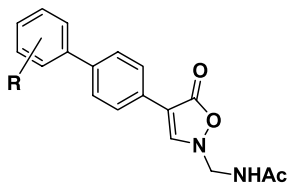


E2-penta is an estradiol-peptide chemical chimera (ER-PROTAC) that binds to the estrogen receptor and targets it for proteolysis via the ubiquitin-mediated proteasome pathway. We show that E2-penta is a novel chemical genetic probe of angiogenesis as it blocks differentiation of vascular endothelial cells and potently inhibits angiogenic sprouting in vivo.

Biaryl isoxazolinone antibacterial agents

pp 2728–2733

Claude A. Quesnelle,* Patrice Gill, Stephan Roy, Marco Dodier, Anne Marinier, Alain Martel, Lawrence B. Snyder, Stanley V. D'Andrea, Joanne J. Bronson, MaryBeth Frosco, Danielle Beaulieu, Glen A. Warr, Ken L. DenBleyker, Terry M. Stickle, Hyekyung Yang, Susan E. Chaniewski, Cheryl A. Ferraro, Dennis Taylor, John W. Russell, Kenneth S. Santone, Junius Clarke, Rebecca L. Drain, Jay O. Knipe, Kathleen Mosure and John F. Barrett

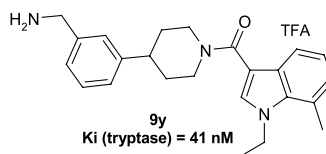


The synthesis and SAR of potent isoxazolinone analogs as antibacterial agents is reported.

Design, synthesis, and biological activity of potent and selective inhibitors of mast cell tryptase

pp 2734–2737

Corey R. Hopkins,* Mark Czekaj, Steven S. Kaye, Zhongli Gao, James Pribish, Henry Pauls, Guyan Liang, Keith Sides, Dona Cramer, Jennifer Cairns, Yongyi Luo, Heng-Keang Lim, Roy Vaz, Sam Rebello, Sebastian Maignan, Alain Dupuy, Magali Mathieu and Julian Levell*

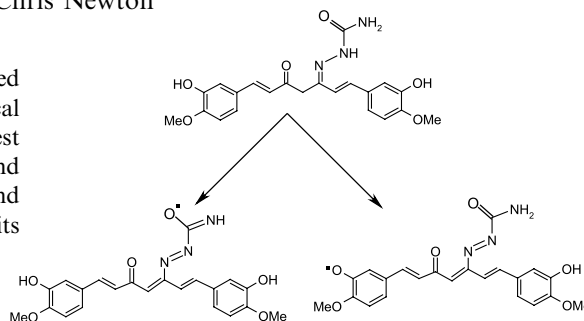


Antioxidant and antiproliferative activity of curcumin semicarbazone

pp 2738–2744

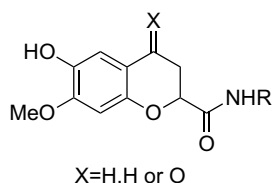
Sabari Dutta,* Subhash Padhye,* K. Indira Priyadarsini and Chris Newton

A new semicarbazone derivative of curcumin (CRSC) was synthesized and examined for its antioxidant, antiproliferative, and antiradical activity and compared with those of curcumin (CR). The results suggest that the probable site of attack for CRSC is both the phenolic OH and the imine carbonyl position. CRSC shows efficient antioxidant and antiproliferative activity in MCF-7 breast cancer cell lines although its antiradical activity is less than that of CR.

**Synthesis and evaluation of 6-hydroxy-7-methoxy-4-chromanone- and chroman-2-carboxamides as antioxidants**

pp 2745–2748

Heesoon Lee,* Keumho Lee, Jae-Kyung Jung, Jungsook Cho and Emmanuel A. Theodorakis

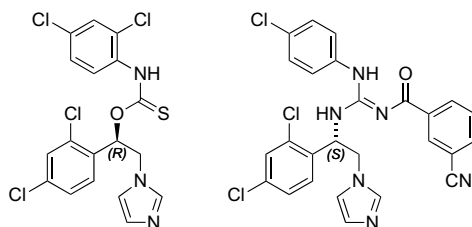


A series of 6-hydroxy-7-methoxy-4-chromanone- and chroman-2-carboxamides were synthesized and their antioxidant activities were evaluated. The most active compounds **3d** and **3e** exhibited 25–40 times more potent inhibition of lipid peroxidation than trolox in rat brain homogenates.

A switch in enantiomer preference between mitochondrial F₁F₀-ATPase chemotypes

pp 2749–2751

Sharon N. Bisaha, Mary F. Malley, Andrew Pudzianowski, Hossain Monshizadegan, Paulina Wang, Cort S. Madsen, Jack Z. Gougoutas and Philip D. Stein*



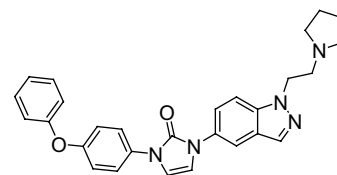
The preferred absolute configuration of two series of F₁F₀-ATP synthase inhibitors was determined. Although the configuration of the active enantiomer in each series is different, each series presents the same 'triaryl' pharmacophore to the enzyme binding site.

Synthesis and evaluation of urea-based indazoles as melanin-concentrating hormone receptor 1 antagonists for the treatment of obesity

pp 2752–2757

Andrew J. Souers,* Ju Gao, Dariusz Wodka, Andrew S. Judd, Mathew M. Mulhern, James J. Napier, Michael E. Brune, Eugene N. Bush, Sevan J. Brodjian, Brian D. Dayton, Robin Shapiro, Lisa E. Hernandez, Kennan C. Marsh, Hing L. Sham, Christine A. Collins and Philip R. Kym

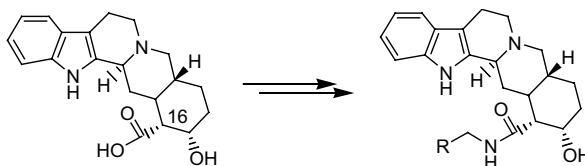
A series of urea-based *N*-1-(2-aminoethyl)-indazoles was synthesized and evaluated for melanin-concentrating hormone receptor 1 (MCHr1) antagonism. Several compounds that acted as MCHr1 antagonists were identified, and optimization afforded a compound with excellent binding affinity, good functional potency, and oral efficacy in a chronic model for weight loss in diet-induced obese mice.



Synthesis and biological studies of yohimbine derivatives on human α_2C -adrenergic receptors

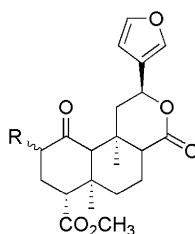
pp 2758–2760

Suni M. Mustafa, Supriya A. Bavadekar, Guoyi Ma, Bob M. Moore,
Dennis R. Feller and Duane D. Miller*

**Synthesis and in vitro pharmacological evaluation of salvinorin A analogues modified at C(2)**

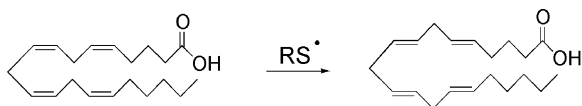
pp 2761–2765

Cécile Béguin, Michele R. Richards, Yulin Wang, Yong Chen, Lee-Yuan Liu-Chen, Zhongze Ma,
David Y. W. Lee, William A. Carlezon, Jr. and Bruce M. Cohen*

**Synthesis of all-*trans* arachidonic acid and its effect on rabbit platelet aggregation**

pp 2766–2770

Dimitris Anagnostopoulos, Chrysostomos Chatgililoglu, Carla Ferreri,*
Abdelouahid Samadi and Athanassia Siafaka-Kapadai

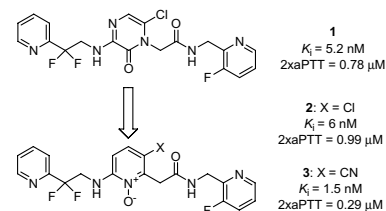


The first synthesis of all-*trans* isomer of arachidonic acid is reported together with its effects on rabbit platelet aggregation. The all-*trans* PUFA strategy allows a chemical biology approach for the investigation of the effect of the lipid *trans* geometry in the biological environment.

P₂ pyridine N-oxide thrombin inhibitors: a novel peptidomimetic scaffold

pp 2771–2775

Philippe G. Nantermet,* Christopher S. Burgey,* Kyle A. Robinson,
Janetta M. Pellicore, Christina L. Newton, James Z. Deng, Harold G. Selnick,
S. Dale Lewis, Bobby J. Lucas, Julie A. Krueger, Cynthia Miller-Stein,
Rebecca B. White, Bradley Wong, Daniel R. McMasters, Audrey A. Wallace,
Joseph J. Lynch, Jr., Youwei Yan, Zhongguo Chen, Lawrence Kuo,
Stephen J. Gardell, Jules A. Shafer, Joseph P. Vacca and Terry A. Lyle

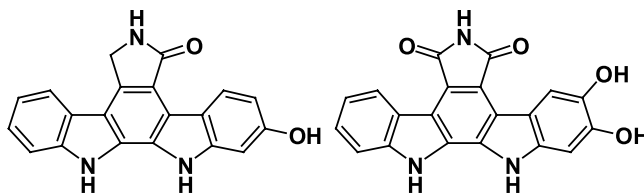


In this study, we demonstrate that the critical hydrogen bonding motif of the established 3-aminopyrazinone thrombin inhibitors can be effectively mimicked by a 2-aminopyridine N-oxide.

New cytotoxic bisindole alkaloids with protein tyrosine kinase inhibitory activity from a myxomycete *Lycogala epidendrum*

pp 2776–2780

Takahiro Hosoya, Yukinori Yamamoto, Yoshimasa Uehara, Masahiko Hayashi, Kanki Komiyama and Masami Ishibashi*

**Decrease in the particle size of low-density lipoprotein (LDL) by oxidation**

pp 2781–2785

Atsuko Hidaka, Kana Inoue, Sahoko Kutsukake, Motoko Adachi, Yuri Kakuta and Shosuke Kojo*

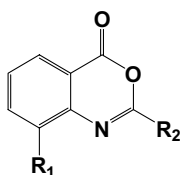
Oxidation of isolated low-density lipoprotein (LDL) or plasma caused fragmentation of apolipoprotein B-100 and decrease in the particle size of LDL. Based on these experiments, we propose that an oxidation reaction is involved in the formation of small dense LDL, an atherogenic LDL subclass.

Oxidation of LDL or plasma → Fragmentation of apolipoprotein B-100 and decrease in the LDL particle size.

The evaluation of 2,8-disubstituted benzoxazinone derivatives as anti-inflammatory and anti-platelet aggregation agents

pp 2786–2789

Pei-Wen Hsieh, Tsong-Long Hwang, Chin-Chung Wu, Fang-Rong Chang, Tsai-Wei Wang and Yang-Chang Wu*

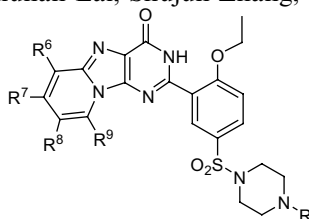


A series of 2,8-disubstituted benzoxazinones were synthesized and subjected to anti-platelet aggregation, inhibition of superoxide anion generation, and inhibition of neutrophil elastase release assays. The results suggested that these compounds can provide the lead compounds for anti-inflammatory agents.

Synthesis and phosphodiesterase 5 inhibitory activity of novel pyrido[1,2-*e*]purin-4(3*H*)-one derivatives

pp 2790–2794

Guangxin Xia, Jianfeng Li, Aiming Peng, Shunan Lai, Shujun Zhang, Jingshan Shen,* Zhonghua Liu, Xinjian Chen and Ruyun Ji

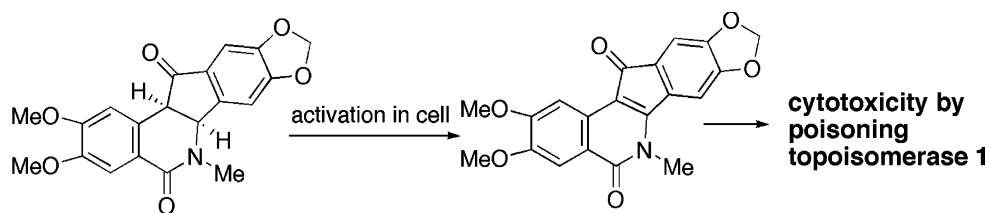


Synthesis and primary SAR of a novel series of 2-phenylpyrido[1,2-*e*]purin-4(3*H*)-one derivatives with piperazinyl sulfonamide substituents were described herein. As potential PDE5 inhibitors for erectile dysfunction (ED) treatment, representative compounds exhibit improved selectivity versus PDE1 and PDE6. Meanwhile, compound **3e** demonstrated functional efficacy on rabbit corpus cavernosum strip in vitro.

Dihydroindenoisoquinolines function as prodrugs of indenoisoquinolines

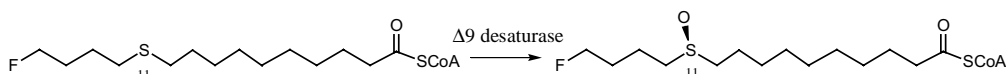
pp 2795–2798

Xiangshu Xiao, Ze-Hong Miao, Smitha Antony, Yves Pommier and Mark Cushman*

**A micromethod for the stereochemical analysis of fatty acid desaturase-mediated sulfoxidation reactions**

pp 2799–2802

Kim Y. Y. Lao, Derek J. Hodgson, Brian Dawson and Peter H. Buist*

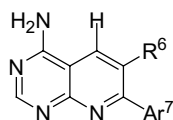


The stereochemistry of fatty acid desaturase-mediated sulfoxidation can be evaluated at micromolar levels of analyte using ^{19}F NMR in combination with a chiral shift reagent: (S)-(+)-MPAA.

Synthesis and biological evaluation of 6,7-disubstituted 4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors

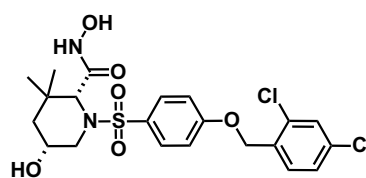
pp 2803–2807

Richard J. Perner,* Chih-Hung Lee, Meiqun Jiang, Yu-Gui Gu, Stanley DiDomenico, Erol K. Bayburt, Karen M. Alexander, Kathy L. Kohlhaas, Michael F. Jarvis, Elizabeth L. Kowaluk and Shripad S. Bhagwat

**Discovery of 3,3-dimethyl-5-hydroxypipericolic hydroxamate-based inhibitors of aggrecanase and MMP-13** pp 2808–2811

Mark C. Noe,* Vijayalakshmi Natarajan, Sheri L. Snow, Peter G. Mitchell, Lori Lopresti-Morrow, Lisa M. Reeves, Sue A. Yocum, Thomas J. Carty, John A. Barberia, Francis J. Sweeney, Jennifer L. Liras, Marcie Vaughn, Joel R. Hardink, Joel M. Hawkins and Christopher Tokar

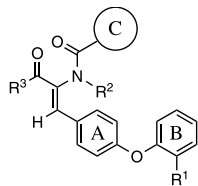
A series of pipercolic hydroxamate inhibitors of MMP-13 and aggrecanase was discovered based on screening known inhibitors of TNF- α converting enzyme (TACE). Potency versus aggrecanase was optimized by modification of the benzyloxyarylsulfonamide group. Incorporation of geminal alkyl substitution at the 3-position of the piperidine ring improved metabolic stability, presumably by increasing steric hindrance around the metabolically labile hydroxamic acid. This modification also resulted in dramatic improvement of aggrecanase activity with a slight reduction in selectivity versus MMP-1. Synthesis, structure activity relationships, and strategies to reduce metabolic clearance are described.



Inhibitors of HCV NS5B polymerase. Part 2: Evaluation of the northern region of (2Z)-2-benzoylamino-3-(4-phenoxy-phenyl)-acrylic acid

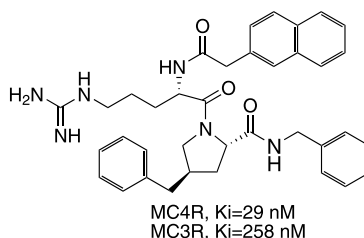
pp 2812–2818

Jeffrey A. Pfefferkorn,* Richard Nugent, Rebecca J. Gross, Meredith Greene, Mark A. Mitchell, Matthew T. Reding, Lee A. Funk, Rebecca Anderson, Peter A. Wells, John A. Shelly, Robert Anstadt, Barry C. Finzel, Melissa S. Harris, Robert E. Kilkuskie, Laurice A. Kopta and Francis J. Schwende

**Design, synthesis, and evaluation of proline based melanocortin receptor ligands**

pp 2819–2823

Xinrong Tian,* Timothy Field, Adam W. Mazur, Frank H. Ebetino, John A. Wos, Doreen Crossdoersen, Beth B. Pinney and Russell J. Sheldon

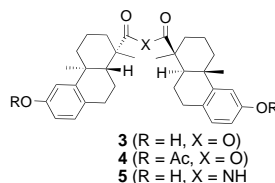


A series of proline based melanocortin ligands has been developed on the basis of initial piperazine leads by using a more conformationally rigid scaffold. A number of these novel ligands showed significant binding affinity for MC3 and MC4 receptors.

Discovery and development of dimeric podocarpic acid leads as potent agonists of liver X receptor with HDL cholesterol raising activity in mice and hamsters

pp 2824–2828

Sheo B. Singh,* John G. Ondeyka, Weiguo Liu, Steve Chen, Tom S. Chen, Xiaohua Li, Aileen Bouffard, James Dropinski, A. Brian Jones, Sherrie McCormick, Nancy Hayes, Jianhua Wang, Neelam Sharma, Karen MacNaul, Melba Hernandez, Yu-Sheng Chao, Joanne Baffic, My-Hanh Lam, Charlotte Burton, Carl P. Sparrow and John G. Menke

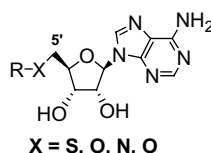


Discovery and development of podocarpic acid dimers (e.g., 3–5) are described.

Design, synthesis, and biological evaluation of novel human 5'-deoxy-5'-methylthioadenosine phosphorylase (MTAP) substrates

pp 2829–2833

Pei-Pei Kung,* Luke R. Zehnder, Jerry J. Meng, Stanley W. Kupchinsky, Donald J. Skalitzy, M. Catherine Johnson, Karen A. Maegley, Anne Ekker, Leslie A. Kuhn, Peter W. Rose and Laura A. Bloom

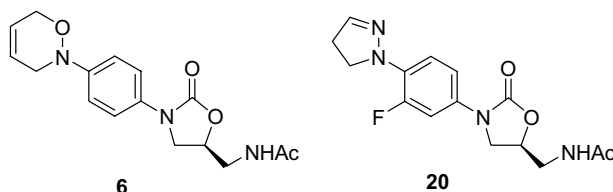


The structure-based design, chemical synthesis, and biological evaluation of novel MTAP substrates are described. These compounds incorporate various C5'-moieties and are shown to have different k_{cat}/K_m values compared with the natural MTAP substrate (MTA).

Synthesis and antibacterial activity of dihydro-1,2-oxazine and 2-pyrazoline oxazolidinones: novel analogs of linezolid

pp 2834–2839

Stan D'Andrea,* Zhizhen Barbara Zheng, Kenneth DenBleyker, Joan C. Fung-Tomc, Hyekyung Yang, Junius Clark, Dennis Taylor and Joanne Bronson

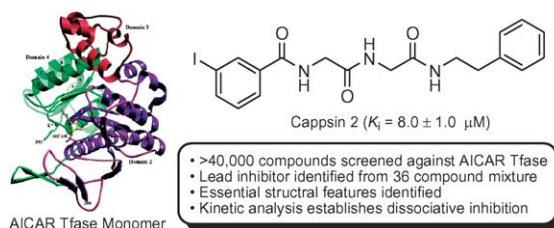


The synthesis and antibacterial activity of dihydro-1,2-oxazine and 2-pyrazoline oxazolidinones are reported. Dihydro-1,2-oxazine **6** and 2-pyrazoline **20** were found to have in vitro potencies rivaling linezolid.

Discovery of AICAR Tfase inhibitors that disrupt requisite enzyme dimerization

pp 2840–2844

Kevin J. Capps, Jon Humiston, Romyr Dominique, Inkyu Hwang and Dale L. Boger*

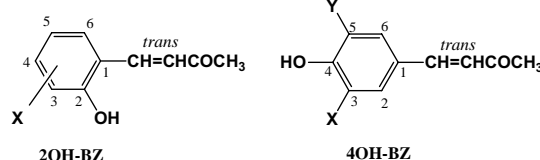


Quantitative structure–activity relationship studies for antioxidant hydroxybenzalacetones by quantum chemical- and 3-D-QSAR(CoMFA) analyses

pp 2845–2850

Chisako Yamagami,* Miki Akamatsu, Noriko Motohashi, Shogo Hamada and Takao Tanahashi

Antioxidant activities for a series of hydroxybenzalacetones, **OH-BZ**, evaluated by their inhibitory potencies against lipid peroxidation induced by γ -irradiation or BuOOH (*tert*-butyl hydroperoxide), were analyzed quantitatively using quantum-chemical parameters calculated by semi-empirical molecular orbital (MO) calculations.



$$\log(1/IC_{50}) = f(E_{HOMO}, F_{H,O}, E_s)$$

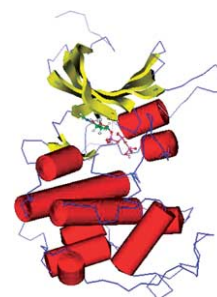
E_{HOMO} : HOMO energy, $F_{H,O}$: frontier electron densities (HOMO) on the phenolic oxygen atom(s), E_s : steric parameter for the *ortho* substituent

Homology modeling and docking study of cyclin-dependent kinase (CDK) 10

pp 2851–2856

Miao Sun, Zesheng Li,* Yuan Zhang, Qingchuan Zheng and Chia-chung Sun

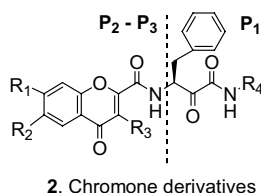
A three-dimensional (3D) model of CDK10 is generated based on the crystal structure of cyclin-dependent kinase 2 (CDK2) (PDB code 1AQ1) by using INSIGHTII/Homology module. The residues Asp94, Lys39, Leu141, Tyr21, and Val24 in CDK10 are important residues in binding with ATP.



Synthesis and biological evaluation of chromone carboxamides as calpain inhibitors

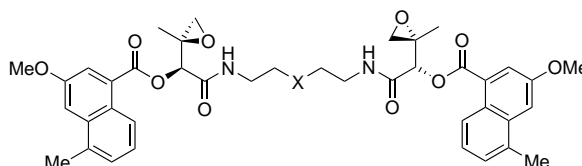
pp 2857–2860

Kwang Seob Lee, Seon Hee Seo, Yong Ha Lee, Ha Dong Kim, Moon Ho Son, Bong Young Chung, Jae Yeol Lee, Changbae Jin and Yong Sup Lee*

**Azinomycin inspired bisepoxides: influence of linker structure on in vitro cytotoxicity and DNA interstrand cross-linking**

pp 2861–2864

Rachel C. LePla, Cyrille A. S. Landreau, Michael Shipman,* John A. Hartley and George D.D. Jones

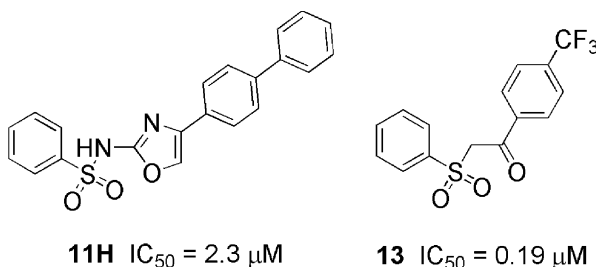


In in vitro assays, it is shown that changing $X=CH_2$ into $X=NMe$ results in 7–9-fold increase in DNA interstrand cross-linking activity and a 3–10-fold increase in cytotoxicity against human tumour cell lines.

Synthesis and biological evaluation of sulfonamidooxazoles and β -keto sulfones: selective inhibitors of 11 β -hydroxysteroid dehydrogenase type I

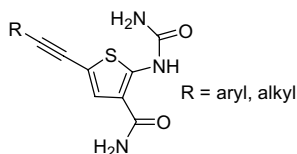
pp 2865–2869

Jason Xiang,* Manus Ipek, Vipin Suri, Walt Massefski, Ning Pan, Ying Ge, May Tam, Yuzhe Xing, James F. Tobin, Xin Xu and Steve Tam

**Inhibition of IKK-2 by 2-[(aminocarbonyl)amino]-5-acetylenyl-3-thiophenecarboxamides**

pp 2870–2875

Dominique Bonafoux,* Sheri Bonar, Lori Christine, Michael Clare, Ann Donnelly, Julia Guzova, Nandini Kishore, Patrick Lennon, Adam Libby, Sumathy Mathialagan, William McGhee, Sharon Rouw, Cindy Sommers, Michael Tollefson, Catherine Tripp, Richard Weier, Serge Wolfson and Yao Min

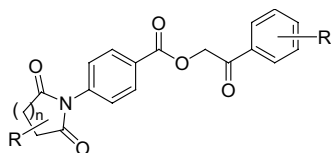


A series of 21 novel 2-[(aminocarbonyl)amino]-5-acetylenyl-3-thiophenecarboxamides were synthesized and evaluated for the inhibition of IKK-2.

Non-competitive inhibitors of metabotropic glutamate receptor 5 (mGluR5)

pp 2876–2880

Stefan Tasler,* Jürgen Kraus, Stefano Pegoraro, Andrea Aschenbrenner, Elena Poggesi, Rodolfo Testa, Gianni Motta and Amedeo Leonardi*

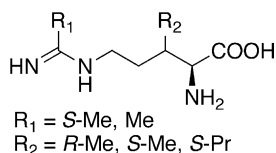


Synthesis and SAR studies of non-competitive mGluR5 antagonists are described.

Evaluation of 3-substituted arginine analogs as selective inhibitors of human nitric oxide synthase isozymes

pp 2881–2885

Ryosuke Ijuin, Naoki Umezawa, Shin-ichi Nagai and Tsunehiko Higuchi*

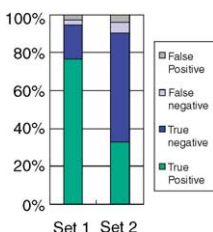


We designed and synthesized nitric oxide synthase (NOS) inhibitors incorporating a hydrophobic substituent at the 3-position. Some of them showed selective inhibitory effects toward the three NOS isozymes.

A discriminant model constructed by the support vector machine method for HERG potassium channel inhibitors

pp 2886–2890

Motoi Tobita,* Tetsuo Nishikawa and Renpei Nagashima

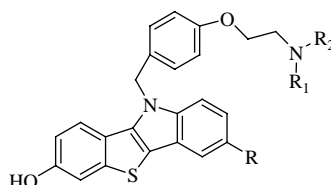


Constructed models achieved 95% and 90% accuracy in classifying HERG actives and inactives as a result of 10-fold cross validation. The two test sets consist of 73 diverse drugs.

Benzothieno[3,2-*b*]indole derivatives as potent selective estrogen receptor modulators

pp 2891–2893

Qinggang Ji, Jie Gao, Junbo Wang, Chunhao Yang,* Xin Hui, Xueming Yan, Xihan Wu, Yuyuan Xie and Ming-Wei Wang*

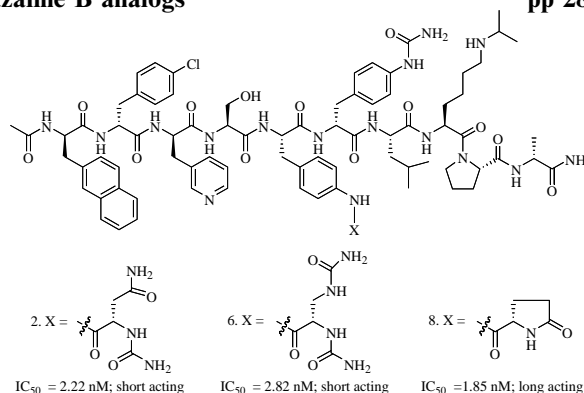


A series of benzothieno[3,2-*b*]indole SERMs were prepared, and their binding affinities for estrogen receptor subtypes (ER α and ER β) and effects on mouse uterus and bone were evaluated.

Synthesis, in vivo and in vitro biological activity of novel azaline B analogs

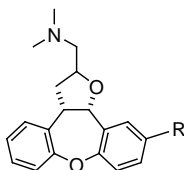
pp 2894–2897

Manoj P. Samant, Jozsef Gulyas, Doley J. Hong,
Glenn Croston, Catherine Rivier and Jean Rivier*

**Novel 2-*N,N*-dimethylaminomethyl-2,3,3a,12b-tetrahydridibenzo[*b,f*]furo[2,3-*d*]oxepin derivatives displaying combined norepinephrine reuptake inhibition and 5-HT_{2A/2C} receptor antagonism**

pp 2898–2901

José M. Bartolomé,* Ana Alcudia, José I. Andrés, José M. Cid, Mercedes García,
Anton Megens, Miguel A. Toledo and Andrés A. Trabanco



A novel series of *cis*-fused tetrahydrofuran derivatives modified at position C-11 was prepared and evaluated for its potential antidepressant/anxiolytic properties. In vitro affinities for the norepinephrine transporter and for 5-HT_{2A} and 5-HT_{2C} receptors, as well as the ED₅₀ values obtained in some in vivo assays predictive for antidepressant and anxiolytic potential are reported.

Biological evaluation of Tyr6 and Ser7 modified drosocin analogues

pp 2902–2905

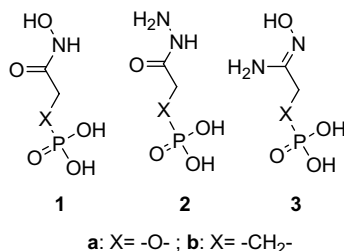
Peter C. de Visser, Peter A. V. van Hooft, Anne-Marij de Vries, Ad de Jong,
Gijsbert A. van der Marel, Herman S. Overkleeft and Daan Noort*

An array of analogues of the cationic antimicrobial peptide drosocin was synthesized containing substitutions of Tyr6 and Ser7 in order to increase the proteolytic stability. Stabilizing the N-terminus with unnatural amino acids increased the serum stability of analogues by almost a factor 30 over an 8 h period.

New inhibitors of rabbit muscle triose-phosphate isomerase

pp 2906–2909

M. Fonvielle, S. Mariano and M. Therisod*

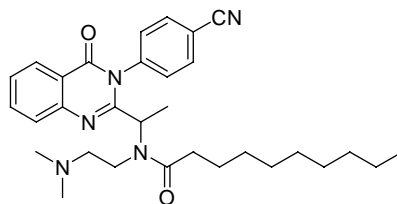


We describe the synthesis and evaluation of three new competitive inhibitors of triose-phosphate isomerase. One of them (phosphoglycoloamidoxime **3a**: $K_i = 4.5 \mu\text{M}$) is among the best reversible inhibitors so far reported for this enzyme.

Synthesis and structure–activity relationship of 3-phenyl-3*H*-quinazolin-4-one derivatives as CXCR3 chemokine receptor antagonists

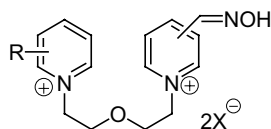
pp 2910–2913

Stefania Storelli, Pauline Verdijk, Dennis Verzijl, Henk Timmerman, Andrea C. van de Stolpe, Cornelis P. Tensen, Martine J. Smit, Iwan J. P. De Esch and Rob Leurs*


Design and synthesis of new bis-pyridinium oxime reactivators for acetylcholinesterase inhibited by organophosphorous nerve agents

pp 2914–2917

Tae-Hyuk Kim, Kamil Kuca, Daniel Jun and Young-Sik Jung*

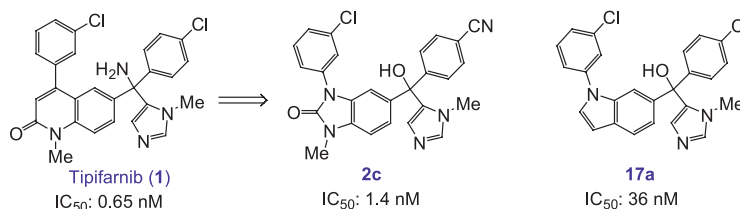


New bis-pyridinium oxime reactivators connected with a CH₂CH₂OCH₂CH₂ linker between two pyridinium rings were synthesized and their potency to reactivate AChE inhibited by cyclosarin was evaluated.

Benzimidazolones and indoles as non-thiol farnesyltransferase inhibitors based on tipifarnib scaffold: synthesis and activity

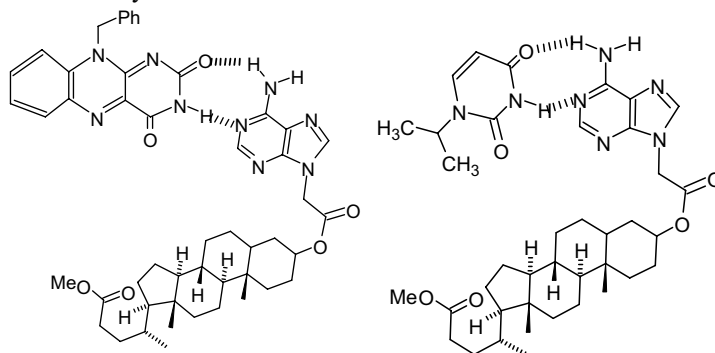
pp 2918–2922

Qun Li,* Tongmei Li, Keith W. Woods, Wen-Zhen Gu, Jerry Cohen, Vincent S. Stoll, Tomas Galicia, Charles Hutchins, David Frost, Saul H. Rosenberg and Hing L. Sham


Comparative binding study of steroidal adenine with flavin and uracil derivatives

pp 2923–2925

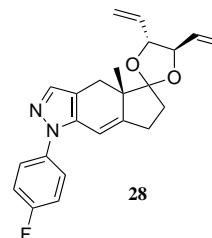
Roopali Rai and Pramod S. Pandey*



Novel ketal ligands for the glucocorticoid receptor: in vitro and in vivo activity

pp 2926–2931

Cameron J. Smith,* Amjad Ali, James M. Balkovec, Donald W. Graham, Milton L. Hammond, Gool F. Patel, Gregory P. Rouen, Scott K. Smith, James R. Tata, Monica Einstein, Lan Ge, Georgianna S. Harris, Theresa M. Kelly, Paul Mazur, Chris M. Thompson, Chuanlin F. Wang, Joanne M. Williamson, Douglas K. Miller, Shilpa Pandit, Joseph C. Santoro, Ayesha Sitlani, Ting-ting D. Yamin, Edward A. O'Neill, Dennis M. Zaller, Ester Carballo-Jane, Michael J. Forrest and Silvi Luell

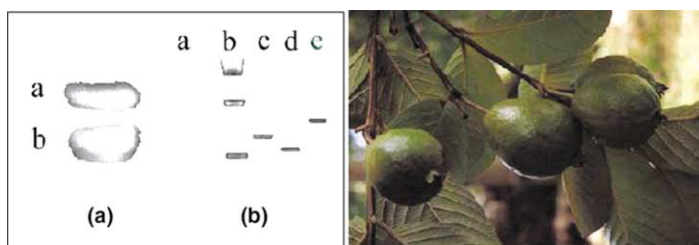


Compound **28** was found to have a dissociated glucocorticoid profile in vitro and produced a dose-dependent anti-inflammatory response in an in vivo mouse model.

2D RNA-QSAR: assigning ACC oxidase family membership with stochastic molecular descriptors; isolation and prediction of a sequence from *Psidium guajava* L

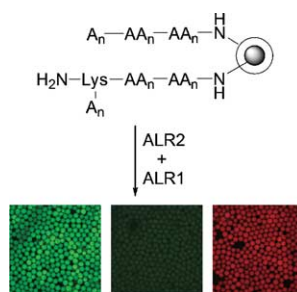
pp 2932–2937

Humberto González-Díaz,* Guillermin Agüero-Chapin, Javier Varona-Santos, Reinaldo Molina, Gustavo de la Riva and Eugenio Uriarte*

**Discovery of selective aldo-keto reductase ligands—an on-bead assay strategy**

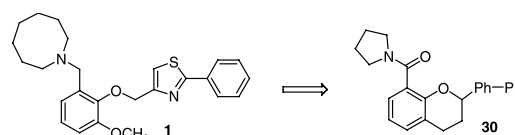
pp 2938–2942

Seth Dixon, Lori Robins, Robert A. Elling, Ruiwu Liu, Kit S. Lam, David K. Wilson and Mark J. Kurth*

**Discovery of potent and use-dependent sodium channel blockers for treatment of chronic pain**

pp 2943–2947

Jun Liang,* Richard M. Brochu, Charles J. Cohen, Ivy E. Dick, John P. Felix, Michael H. Fisher, Maria L. Garcia, Gregory J. Kaczorowski, Kathryn A. Lyons, Peter T. Meinke, Birgit T. Priest, William A. Schmalhofer, McHardy M. Smith, Jason W. Tarpley, Brande S. Williams, William J. Martin and William H. Parsons




The discovery and structure–activity relationship (SAR) studies of a novel class of sodium channel blockers are disclosed.

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*Corresponding author

+ Supplementary data available via ScienceDirect

COVER

Glycosyldisulfide switching of lectin-carbohydrate interactions. Lectin binding to a modified quartz crystal microbalance surface could be turned on or off by calcium ions or by controlling the redox state of the solution. [Pei, Z.; Aastrup, T.; Anderson, H.; Ramström, O. *Bioorg. Med. Chem. Lett.* **2005**, 15, 2707.]



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