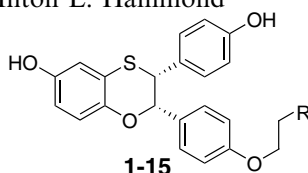


Contents

COMMUNICATIONS

Estrogen receptor ligands. Part 7: Dihydrobenzoxathiin SERAMs with bicyclic amine side chains pp 3861–3864

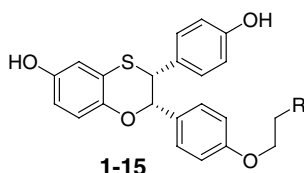
Timothy A. Blizzard,* Frank DiNinno, Jerry D. Morgan, II, Helen Y. Chen, Jane Y. Wu, Candido Gude, Seongkon Kim, Wanda Chan, Elizabeth T. Birzin, Yi Tien Yang, Lee-Yuh Pai, Zhoupeng Zhang, Edward C. Hayes, Carolyn A. DaSilva, Wei Tang, Susan P. Rohrer, James M. Schaeffer and Milton L. Hammond



A series of benzoxathiin SERAMs was prepared. Minor modifications in the side chain resulted in significant effects on biological activity, especially in uterine tissue.

Estrogen receptor ligands. Part 8: Dihydrobenzoxathiin SERAMs with heteroatom-substituted side chains pp 3865–3868

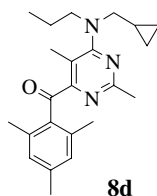
Timothy A. Blizzard,* Frank DiNinno, Jerry D. Morgan, II, Jane Y. Wu, Helen Y. Chen, Seongkon Kim, Wanda Chan, Elizabeth T. Birzin, Yi Tien Yang, Lee-Yuh Pai, Zhoupeng Zhang, Edward C. Hayes, Carolyn A. DaSilva, Wei Tang, Susan P. Rohrer, James M. Schaeffer and Milton L. Hammond



A series of benzoxathiin SERAMs was prepared. Minor modifications in the side chain resulted in significant effects on biological activity, especially in uterine tissue.

Synthesis of benzoylpyrimidines as antagonists of the corticotropin-releasing factor-1 receptor pp 3869–3873

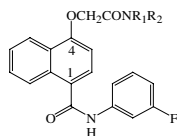
Thomas R. Webb,* Terry Moran, Charles Q. Huang, James R. McCarthy, Dimitri E. Grigoriadis and Chen Chen*



Privileged scaffolds for blocking protein–protein interactions: 1,4-disubstituted naphthalene antagonists of transcription factor complex HOX–PBX/DNA

pp 3875–3879

Tao Ji, Madison Lee, Steven C. Pruitt and David G. Hangauer*

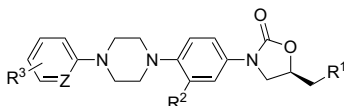


Structure-based-design studies, based upon the crystal structure of the HOXB1–PBX1/DNA transcription factor complex, were used to identify 1,4-disubstituted naphthalenes as selective antagonists that block the formation of this complex, as well as related complexes.

Synthesis and antibacterial activity of arylpiperazinyl oxazolidinones with diversification of the *N*-substituents

pp 3881–3883

Sun-Young Jang, Young Hwan Ha, Seung Whan Ko, Wonku Lee, Jongkook Lee, Sunghoon Kim, Yong Woo Kim, Won Koo Lee* and Hyun-Joon Ha*

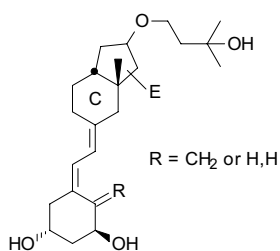


R^1 = O-2-Pyridine, R^2 = F, R^3 = 2-Cl, Z = H
 R^1 = O-2-Pyrazine, R^2 = F, R^3 = 2-Cl, Z = H
 R^1 = O-2-Isoxazole, R^2 = F, R^3 = 2-Cl, Z = H
 R^1 = N(C=S)CH₃, R^2 = F, R^3 = various substituents, Z = H or N
 R^1 = N(C=S)SCH₃, R^2 = H or F, R^3 = various substituents, Z = H or N
 R^1 = N(C=S)NH₂, R^2 = H or F, R^3 = various substituents, Z = H or N
 R^1 = N(C=S)OCH₃, R^2 = F, R^3 = various substituents, Z = H or N

Synthesis and biological activity of 22-oxa CD-ring modified analogues of 1 α ,25-dihydroxyvitamin D₃: *cis*-perhyrindane CE-ring analogues

pp 3885–3888

Samuël Demin, Dirk Van Haver, Maurits Vandewalle, Pierre J. De Clercq,* Roger Bouillon and Annemieke Verstuyf

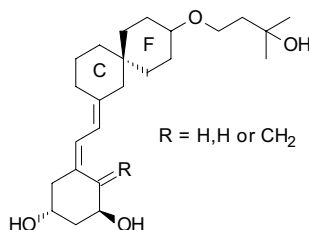


The synthesis and biological activity of novel CE-ring 22-oxa-1 α ,25(OH)₂D₃ analogues is described.

Synthesis and biological activity of 22-oxa CD-ring modified analogues of 1 α ,25-dihydroxyvitamin D₃: spiro[5.5]undecane CF-ring analogues

pp 3889–3892

Wim Schepens, Dirk Van Haver, Maurits Vandewalle, Pierre J. De Clercq,* Roger Bouillon and Annemieke Verstuyf

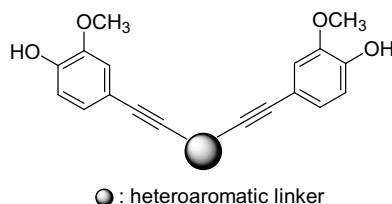


The synthesis and biological activity of novel CF-ring 22-oxa-1 α ,25(OH)₂D₃ analogues is described.

Synthesis of symmetrical bis-alkynyl or alkyl pyridine and thiophene derivatives and their antiangiogenic activities

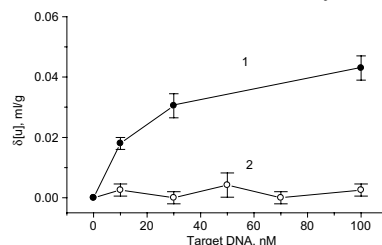
pp 3893–3896

Chan Mug Ahn,* Woon-Seob Shin, Ho Bum Woo, Seokjoon Lee and Hyeon-Woo Lee

**Detection of DNA hybridization on a liposome surface using ultrasound velocimetry and turbidimetry methods**

pp 3897–3900

Tibor Hianik,* Peter Rybar, Sergej Yu. Andreev, Tatiana S. Oretskaya and Pankaj Vadgama



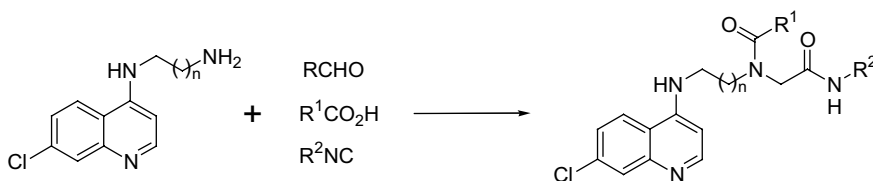
DNA hybridization on a liposome surface resulted in increase of ultrasound velocity increment [μ] (1). No changes were observed following addition of noncomplementary chain (2). These changes are due to liposome aggregation.

Application of multi-component reactions to antimalarial drug discovery.

pp 3901–3905

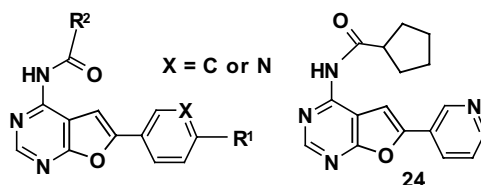
Part 1: Parallel synthesis and antiplasmodial activity of new 4-aminoquinoline Ugi adducts

Chitalu C. Musonda, Dale Taylor, Julie Lehman, Jiri Gut, Philip J. Rosenthal and Kelly Chibale*

**4-Acylamino-6-arylthio[2,3-d]pyrimidines: potent and selective glycogen synthase kinase-3 inhibitors**

pp 3907–3911

Yutaka Maeda, Masato Nakano,* Hideyuki Sato, Yasushi Miyazaki, Stephanie L. Schweiker, Jeffery L. Smith and Anne T. Truesdale

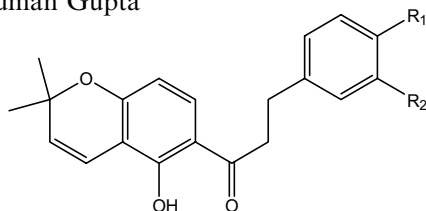


A novel and potent series of selective GSK-3 inhibitors are described. Some derivatives showed excellent potency in a cellular assay.

A convenient and biogenetic type synthesis of few naturally occurring chromeno dihydrochalcones and their in vitro antileishmanial activity

pp 3913–3916

Tadigoppula Narendar,* Shweta and Suman Gupta

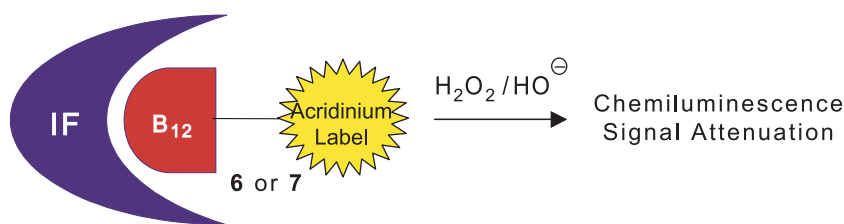


Chromeno dihydrochalcones contain a 2',2'-dimethyl benzopyran system, which are frequently encountered in many natural products and exhibit a variety of biological activities. We report the convenient route for the synthesis of chromeno dihydrochalcones and in vitro antileishmanial activity of chromeno dihydrochalcones and their intermediates.

Intrinsic factor-mediated modulation of cyanocobalamin–*N*-sulfonyl-acridinium-9-carboxamide chemiluminescence

pp 3917–3921

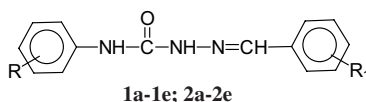
Maciej Adamczyk,* Donald D. Johnson, Phillip G. Mattingly, Jeffrey A. Moore and You Pan



Antituberculous activity of some aryl semicarbazone derivatives

pp 3923–3924

Dharmarajan Sriram,* Perumal Yogeeswari and Rathinasabapathy Thirumurugan

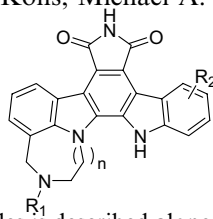


During the course of our work on the synthesis and screening of new drugs for tuberculosis, we have identified N1-(4-acetamido phenyl)-N4-(2-nitro benzylidene) semicarbazone (**1b**), which inhibited in vitro *Mycobacterium tuberculosis* H₃₇Rv; 100% inhibition at 1.25 µg/mL.

Preparation of novel aza-1,7-annulated indoles and their conversion to potent indolocarbazole kinase inhibitors

pp 3925–3928

Rima S. Al-awar,* James E. Ray, Kyle A. Hecker, Sajan Joseph, Jianping Huang, Chuan Shih, Harold B. Brooks, Charles D. Spencer, Scott A. Watkins, Richard M. Schultz, Eileen L. Considine, Margaret M. Faul, Kevin A. Sullivan, Stanley P. Kolis, Michael A. Carr and Faming Zhang

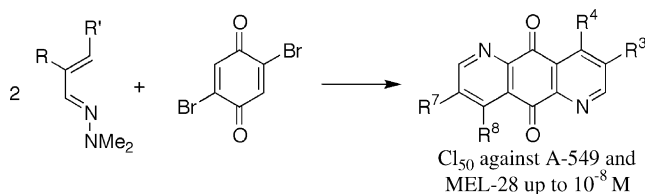


The synthesis of a novel series of aza-1,7-annulated indoles is described along with their conversion to indolocarbazoles that proved to be potent kinase inhibitors.

Synthesis and structure–activity relationships of 1,5-diazaanthraquinones as antitumour compounds

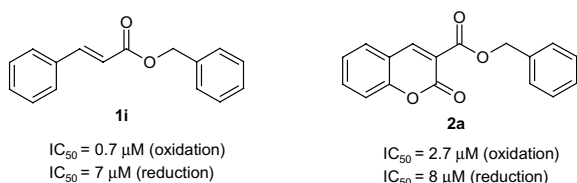
pp 3929–3932

Carmen Avendaño, José María Pérez, M^a del Mar Blanco, Jesús Ángel de la Fuente, Sonia Manzanaro, María Jesús Vicent, María Jesús Martín, Nélida Salvador-Tormo and J. Carlos Menéndez*

**Cinnamic acid esters as potent inhibitors of fungal 17β-hydroxysteroid dehydrogenase—a model enzyme of the short-chain dehydrogenase/reductase superfamily**

pp 3933–3936

Stanislav Gobec,* Matej Sova, Katja Kristan and Tea Lanišnik Rižner

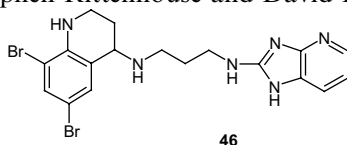


A series of cinnamates and coumarin-3-carboxylates was synthesized and evaluated for inhibition of 17β-hydroxysteroid dehydrogenase from the fungus *Cochliobolus lunatus*.

Definition of the heterocyclic pharmacophore of bacterial methionyl tRNA synthetase inhibitors: potent antibacterially active non-quinolone analogues

pp 3937–3941

Richard L. Jarvest,* Sula A. Armstrong, John M. Berge, Pamela Brown, John S. Elder, Murray J. Brown, Royston C. B. Copley, Andrew K. Forrest, Dieter W. Hamprecht, Peter J. O'Hanlon, Darren J. Mitchell, Stephen Rittenhouse and David R. Witty

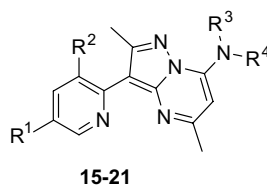


The right hand side pharmacophore for potent MRS inhibition has been defined as an NH–C–NH functionality in the context of a heteroaromatic bicycle. Potent antibacterial active fused-pyrimidone and fused-imidazole analogues have been obtained and enantioselective activity demonstrated. Compound **46** demonstrated very good antibacterial activity against panels of antibiotic-resistant staphylococci and enterococci.

Design and synthesis of 3-(2-pyridyl)pyrazolo[1,5-a]pyrimidines as potent CRF₁ receptor antagonists

pp 3943–3947

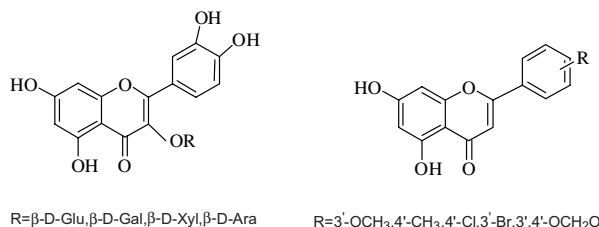
Charles Q. Huang,* Keith M. Wilcoxen, Dimitri E. Grigoriadis, James R. McCarthy and Chen Chen*



Synthesis and biological evaluation of flavonoids as vasorelaxant agents

pp 3949–3952

Zhiwei Chen, Yongzhou Hu,* Haohao Wu and Huidi Jiang

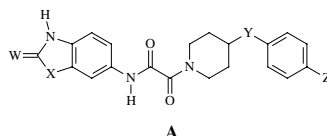


Several 5,7-dihydroxyflavone and quercetin 3-*O*-glycosides have been synthesized and evaluated for vasorelaxant activity. A log *P*-activity relationship amongst flavonoids was suggested.

Oxamides as novel NR2B selective NMDA receptor antagonists

pp 3953–3956

Gizella Barta-Szalai, István Borza, É. Bozó, Csilla Kiss, Béla Ágai, Ágnes Proszenyák, György M. Keserű, Anikó Gere, Sándor Kolok, Kornél Galgóczy, Csilla Horváth, Sándor Farkas and György Domány*

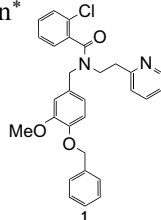


Compounds of general formula **A** with potent p.o. analgesic activity were prepared. W=O or S; X=O, S, NH, CH₂, CH₂O or OCH₂; Y=CH₂ or O; Z=H, F, Cl or CH₃.

Solution phase parallel synthesis and evaluation of MAPK inhibitory activities of close structural analogues of a Ras pathway modulator

pp 3957–3962

Yingchun Lu, Sukumar Sakamuri, Quin-Zene Chen, Yen-Fang Keng, Vladimir Khazak, Katrin Illgen, Silke Schabbert, Lutz Weber and Sanjay R. Menon*

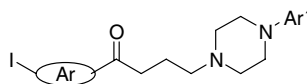


Structurally conservative modifications of a Ras/Raf protein interaction inhibitor were rapidly effected utilizing solution phase parallel synthesis in order to generate preliminary structure–activity relationship information vis-à-vis inhibition of the MAPK pathway.

Synthesis and radioiodination of selective ligands for the dopamine D3 receptor subtype

pp 3963–3966

Carsten Hocke,* Olaf Prante, Stefan Löber, Harald Hübner, Peter Gmeiner and Torsten Kuwert

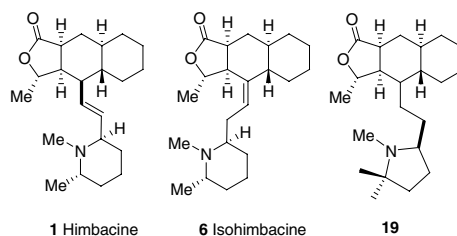


Highly selective dopamine D3 receptor radioligands were synthesized. The benzofuran derivative **11b** displayed D3 selectivity of 560-fold over D2 (*K*_i 5.7 nM) justifying an application as a SPET radioligand.

Himbacine analogs as muscarinic receptor antagonists—effects of tether and heterocyclic variations

pp 3967–3970

Samuel Chackalamannil,* Darío Doller, Robert McQuade and Vilma Ruperto

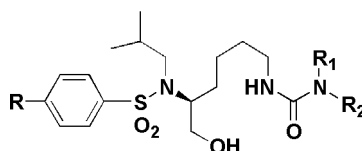


A number of analogs of the natural product himbacine were synthesized employing variations at the heterocyclic unit and the tether that links the heterocyclic unit to the tricyclic motif. Several of these analogs had M_2 affinity and M_1/M_2 selectivity comparable to those of himbacine.

Lysine sulfonamides as novel HIV-protease inhibitors: $N\epsilon$ -disubstituted ureas

pp 3971–3974

Brent R. Stranix,* Gilles Sauvé, Abderrahim Bouzide, Alexandre Coté, Guy Sévigny, Jocelyn Yelle and Valérie Perron

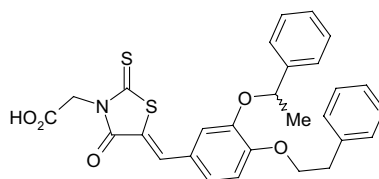


A series of $N\alpha$ -isobutyl- $N\alpha$ -arylsulfonamido-($N\epsilon$ -ureas) lysine and lysinol derivatives were prepared and evaluated as inhibitors of HIV protease and wild type virus.

Rhodanine-3-acetic acid derivatives as inhibitors of fungal protein mannosyl transferase 1 (PMT1)

pp 3975–3978

Michael G. Orchard,* Judi C. Neuss, Carl M. S. Galley, Andrew Carr, David W. Porter, Phillip Smith, David I. C. Scopes, David Haydon, Katherine Vousden, Colin R. Stubberfield, Kate Young and Martin Page

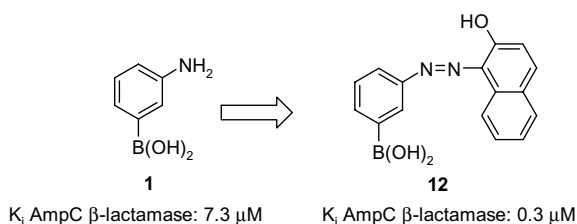


Inhibitors of fungal protein: mannosyl transferase 1 (PMT1) are described, which inhibit *Candida albicans* PMT1 with IC_{50} s in the range 0.2–0.5 μ M. Members of the series are effective in inducing changes in morphology of *C. albicans* in vitro that have previously been associated with loss of the transferase activity.

Aza-boronic acids as non- β -lactam inhibitors of AmpC- β -lactamase

pp 3979–3983

Valentina Buzzoni, Jesus Blazquez, Stefania Ferrari, Samuele Calò, Alberto Venturelli and M. Paola Costi*



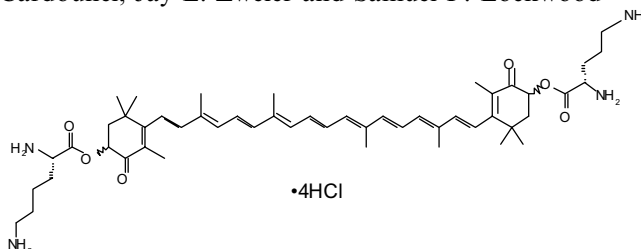
The synthesis and biological evaluation of aza-boronic derivatives are reported.



Synthesis, characterization, and direct aqueous superoxide anion scavenging of a highly water-dispersible astaxanthin-amino acid conjugate

pp 3985–3991

Henry L. Jackson, Arturo J. Cardounel, Jay L. Zweier and Samuel F. Lockwood*

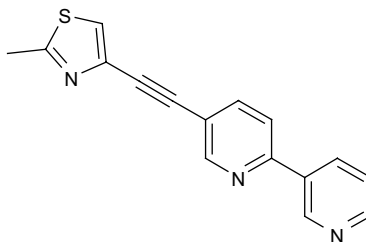


A novel astaxanthin-amino acid conjugate was prepared, which demonstrated potent, direct superoxide scavenging ability in an in vitro isolated human neutrophil assay.

5-[(2-Methyl-1,3-thiazol-4-yl)ethynyl]-2,3'-bipyridine: a highly potent, orally active metabotropic glutamate subtype 5 (mGlu5) receptor antagonist with anxiolytic activity

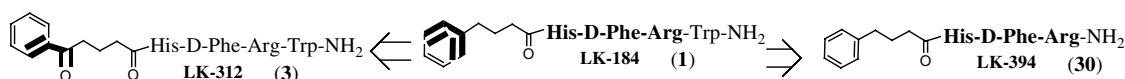
pp 3993–3996

Jeffrey R. Roppe,* Bowei Wang, Dehua Huang, Lida Tehrani, Theodore Kamenecka, Edwin J. Schweiger, Jeffery J. Anderson, Jesse Brodtkin, Xiaohui Jiang, Merryl Cramer, Janice Chung, Grace Reyes-Manalo, Benito Munoz and Nicholas D. P. Cosford


Analogs of sub-nanomolar hMC1R agonist LK-184 [Ph(CH₂)₃CO-His-D-Phe-Arg-Trp-NH₂]. An additional binding site within the human melanocortin receptor 1?

pp 3997–4000

L. N. Koikov,* F. H. Ebetino, M. G. Solinsky, D. Cross-Doersen and J. J. Knittel*



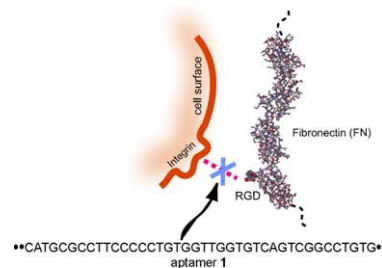
Of the 29 analogs of LK-184 (**1**) tested at the human MC1, MC3, and MC4 receptors (R), only LK-312 (**3**), partially mimicking the π -system of **1**, had an EC₅₀ of 0.05 nM at MC1R (0.01 nM for **1**). This confirms the localization of a π -binding zone in MC1R. Truncation of (**1**) to LK-394 (**30**) gave a full MC1 agonist (EC₅₀ 5 nM) with a partial agonism at MC3/4Rs. This suggests the existence of an additional binding site in hMC1R near that for the core sequence His-D-Phe-Arg-Trp-NH₂.

Aptamer selection for the inhibition of cell adhesion with fibronectin as target

pp 4001–4004

Atsushi Ogawa, Naotoshi Tomita, Naoko Kikuchi, Shinsuke Sando* and Yasuhiro Aoyama*

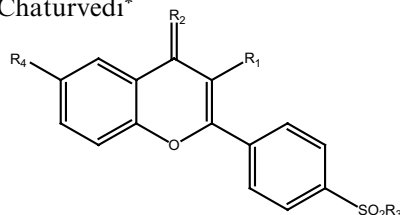
Aptamers inhibiting fibronectin-integrin mediated cell adhesion were obtained by SELEX of 86-mer single-strand oligodeoxynucleotides containing a random 40-mer sequence. The selection was based on binding to a decapeptide H₂N-RGDSPASSKP-CO₂H as a mimic of the RGD motif of fibronectin as well as to fibronectin as a whole protein.



Quantitative structure–activity relationship analysis of a series of 2,3-diaryl benzopyran analogues as novel selective cyclooxygenase-2 inhibitors

pp 4005–4011

S. Prasanna, E. Manivannan and S. C. Chaturvedi*

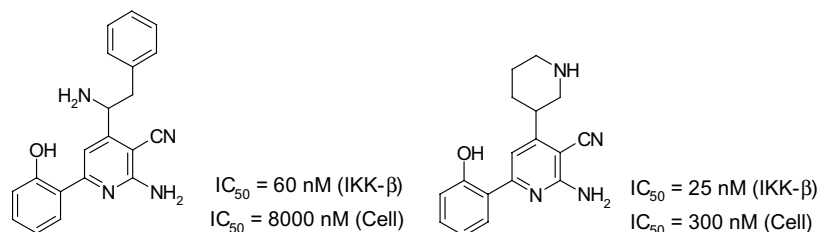


A series of recently reported novel selective cyclooxygenase-2 inhibitors was subjected to quantitative structure–activity relationship (QSAR) analysis. Our investigations discerned important structural insights apropos of R_1 , R_2 , R_3 , and R_4 modifications to abet the design of potent COX-2 inhibitors among these congeners.

Synthesis and structure–activity relationships of novel IKK- β inhibitors. Part 2: Improvement of in vitro activity

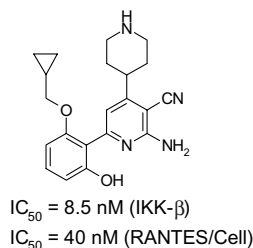
pp 4013–4017

Toshiki Murata,* Mitsuyuki Shimada, Hiroshi Kadono, Sachiko Sakakibara, Takashi Yoshino, Tsutomu Masuda, Makoto Shimazaki, Takuya Shintani, Kinji Fuchikami, Kevin B. Bacon, Karl B. Ziegelbauer and Timothy B. Lowinger

**Synthesis and structure–activity relationships of novel IKK- β inhibitors. Part 3: Orally active anti-inflammatory agents**

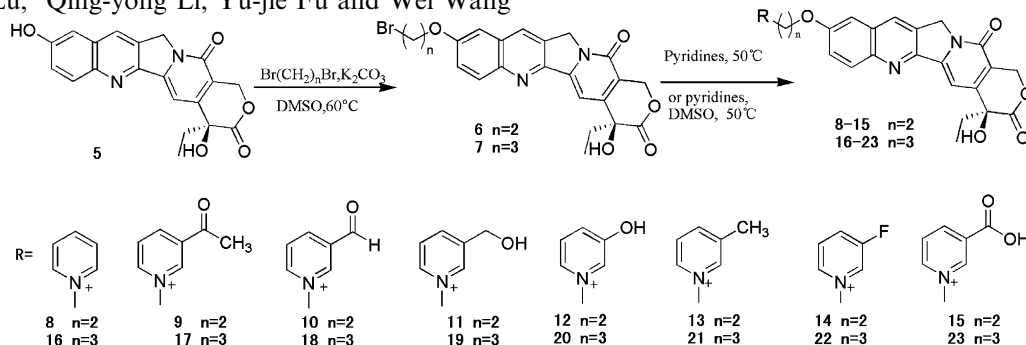
pp 4019–4022

Toshiki Murata,* Mitsuyuki Shimada, Sachiko Sakakibara, Takashi Yoshino, Tsutomu Masuda, Takuya Shintani, Hiroki Sato, Yuji Koriyama, Keiko Fukushima, Noriko Nunami, Megumi Yamauchi, Kinji Fuchikami, Hiroshi Komura, Akihiko Watanabe, Karl B. Ziegelbauer, Kevin B. Bacon and Timothy B. Lowinger

**Synthesis and cytotoxicity of water soluble quaternary salt derivatives of camptothecin**

pp 4023–4026

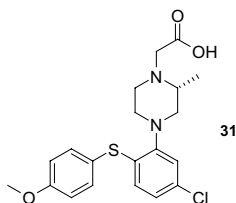
Yuan-gang Zu,* Qing-yong Li, Yu-jie Fu and Wei Wang



The synthesis and SAR of 2-arylsulfanyl-phenyl piperazinyl acetic acids as glyT-1 inhibitors

pp 4027–4030

Garrick Smith,* Thomas Ruhland, Gitte Mikkelsen, Kim Andersen,
Claus Tornby Christoffersen, Lene Hjorth Alifrangis, Arne Mørk, Stephen P. Wren,
Neil Harris, Barry M. Wyman and Guillaume Brandt

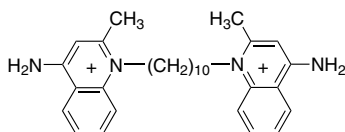


A novel series of GlyT-1 inhibitors are described. The most potent compound, 31 was demonstrated to elevate glycine levels in the rat ventral hippocampus as measured with microdialysis.

Defining determinant molecular properties for the blockade of the apamin-sensitive SK_{Ca} channel in guinea-pig hepatocytes: the influence of polarizability and molecular geometry

pp 4031–4035

Dimitrios Galanakis* and C. Robin Ganellin



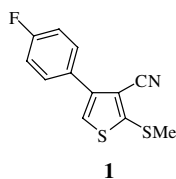
$$\text{pIC}_{50} = 0.086(\pm 0.011) \alpha + 2.06(\pm 0.28)$$

$$n=20, r=0.89, r^2=0.79, s=0.522, F=66.48$$

Novel thiophenes and analogues with anthelmintic activity against *Haemonchus contortus*

pp 4037–4043

Isabel C. González,* Leon N. Davis and Charles K. Smith, II

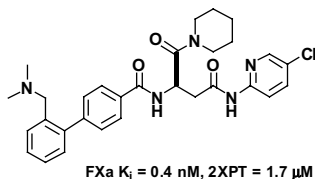


A new series of analogues of 4-(4-fluorophenyl)-2-methylthio-thiophene-3-carbonitrile (**1**) were synthesized and evaluated for their in vitro and in vivo anthelmintic activity against *Haemonchus contortus*.

Parallel synthesis and structure–activity relationships of a series of highly potent, selective, and neutral factor Xa inhibitors

pp 4045–4050

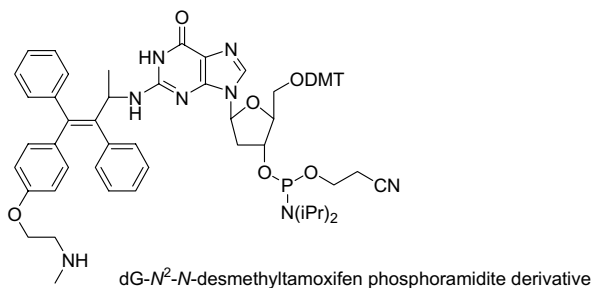
Shawn M. Bauer,* Erick A. Goldman, Wenrong Huang, Ting Su, Lingyan Wang, John Woolfrey,
Yanhong Wu, Jingmei F. Zuckett, Ann Arfsten, Brian Huang, Jaya Kothule, Joyce Lin,
Bridget May, Uma Sinha, Paul W. Wong, Athiwat Hutchaleelaha, Robert M. Scarborough
and Bing-Yan Zhu*



Parallel synthesis and iterative optimization led to the discovery of a series of inhibitors having excellent in vitro and promising in vivo properties.

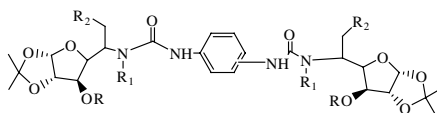
Synthesis of oligodeoxynucleotides containing a single diastereoisomer of α -(N^2 -2'-deoxyguanosinyl)- N -desmethyltamoxifen pp 4051–4054

Y. R. Santosh Laxmi, Naomi Suzuki, Sung Yeon Kim and Shinya Shibutani*



Leishmanicidal activity of phenylene bridged C_2 symmetric glycosyl ureides pp 4055–4059

Neetu Tewari, Ramesh, R. C. Mishra, R. P. Tripathi,* V. M. L. Srivastava and Suman Gupta*



A series of phenylene bridged C_2 symmetric glycosyl ureides were synthesised and evaluated against *Leishmania donovani* and two of them reduced the dose of standard drug SSG.

Change in liver and plasma ceramides during D-galactosamine-induced acute hepatic injury by LC-MS/MS pp 4061–4064

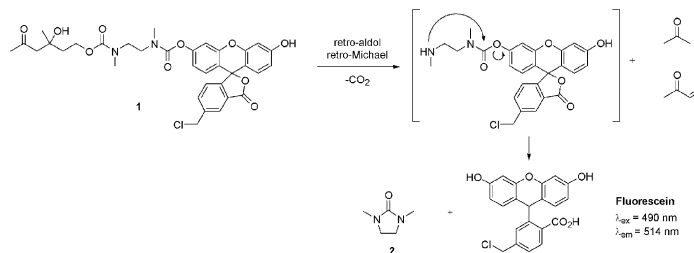
Miho Yamaguchi, Yayoi Miyashita, Yumi Kumagai and Shosuke Kojo*

Acute liver injury caused by D-galactosamine \rightarrow Increase in plasma ceramides with a long acyl chain.

The concentration of major ceramides (C24:0, C24:1, C16:0, C22:0, C22:1, and C18:0) in plasma increased 24 h after administration of D-galactosamine and the total ceramide concentration was also increased to 3.6 times that in the control. In the liver, minor ceramide components were increased by this toxin.

Flow cytometric screening of aldolase catalytic antibodies pp 4065–4068

Hyunbo Shim, Amelie Karlström, Sofia M. Touami, Roberta P. Fuller and Carlos F. Barbas, III*

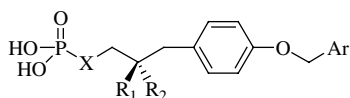


High-throughput screening of cells expressing active catalytic antibody clones by flow cytometry using a designed fluorogenic retro-aldol retro-Michael substrate is described.

A novel series of 2-pyridyl-containing compounds as lysophosphatidic acid receptor antagonists: development of a nonhydrolyzable LPA₃ receptor-selective antagonist

pp 4069–4074

Brian H. Heasley,* Renata Jarosz, Karen M. Carter, S. Jenny Van, Kevin R. Lynch and Timothy L. Macdonald


 1: R₁ = NHC(O)C₁₇H₃₃; R₂ = H; Ar = 2-pyr; X = O

 LPA₁: K_i = 18 nM; LPA₃: IC₅₀ = 175 nM

 13d: R₁ = NHC(O)C₁₇H₃₃; R₂ = H; Ar = 2-(4-OMe-3,5-diMe-pyr); X = CH₂

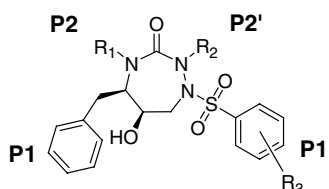
 LPA₁: IC₅₀ = >10000 nM; LPA₃: IC₅₀ = 150 nM

A recently reported dual LPA₁/LPA₃ receptor antagonist (**1**) has been modified so as to modulate the basicity, sterics, and dipole moment of the 2-pyridyl moiety. This study has resulted in the development of the first nonhydrolyzable and presumably phosphatase-resistant LPA₃-selective antagonist (**13d**) reported to date.

Synthesis and antiviral activity of P1' arylsulfonamide azacyclic urea HIV protease inhibitors

pp 4075–4078

Peggy P. Huang,* John T. Randolph, Larry L. Klein, Sudthida Vasavanonda, Tatyana Dekhtyar, Vincent S. Stoll and Dale J. Kempf

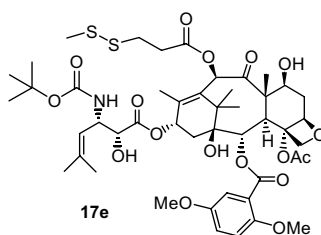


A novel series of P1' arylsulfonamide azacyclic ureas were prepared and evaluated as inhibitors of HIV protease. The X-ray crystal structure of an analog bound in the enzyme active site is presented.

Synthesis of potent taxoids for tumor-specific delivery using monoclonal antibodies

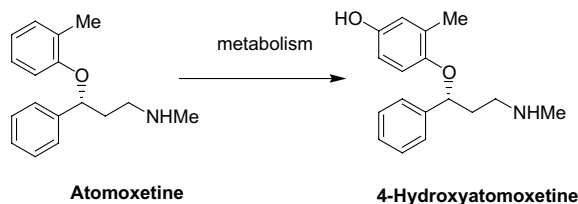
pp 4079–4082

Michael L. Miller,* Elizabeth E. Roller, Xinyaun Wu, Barbara A. Leece, Victor S. Goldmacher, Ravi V. J. Chari and Iwao Ojima*


Synthesis and biological evaluation of the major metabolite of atomoxetine: elucidation of a partial κ-opioid agonist effect

pp 4083–4085

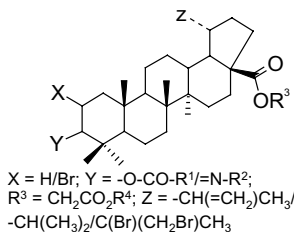
Christopher J. Creighton,* Kris Ramabadran, Patrick E. Ciccone, Jingchun Liu, Michael J. Orsini and Allen B. Reitz*



Synthesis and cytotoxic activity of 3-*O*-acyl/3-hydrazine /2-bromo/20,29-dibromo betulinic acid derivatives

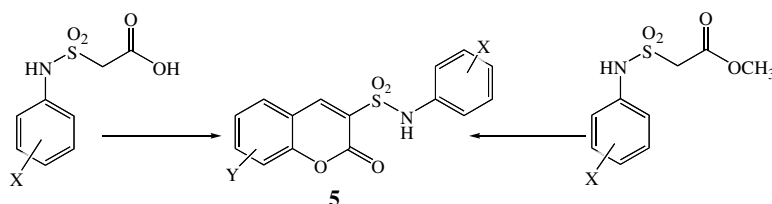
pp 4087–4091

Rama Mukherjee, Manu Jaggi,* Mohammad J. A. Siddiqui, Sanjay K. Srivastava,*
Praveen Rajendran, Anand Vardhan and Anand C. Burman

**Synthesis of new coumarin 3-(*N*-aryl) sulfonamides and their anticancer activity**

pp 4093–4097

Natala Srinivasa Reddy, Muralidhar Reddy Mallireddigari, Stephen Cosenza, Kiranmai Gumireddy,
Stanley C. Bell, E. Premkumar Reddy and M. V. Ramana Reddy*

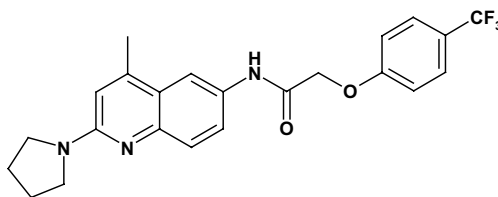


Synthesis of novel coumarin-3-sulfonamides and their ability to activate JNK1 and kill cancer cells in vitro is described.

Structure–activity relationships of a novel series of melanin-concentrating hormone (MCH) receptor antagonists

pp 4099–4102

Rosa Arienzo, David E. Clark, Sue Cramp, Stephen Daly, Hazel J. Dyke,* Peter Lockey,
Dennis Norman, Alan G. Roach, Keith Stuttle, Maxine Tomlinson, Melanie Wong and Stephen P. Wren

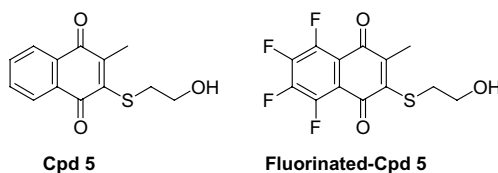


Structure–activity relationships of 2-aminoquinolines as MCH-1R antagonists are reported.

Fluorinated quinoid inhibitor: possible ‘pure’ arylator predicted by the simple theoretical calculation

pp 4103–4105

Seung Wook Ham, Jong-In Choe, Mei-Fang Wang, Vincent Peyregne and Brian I. Carr*

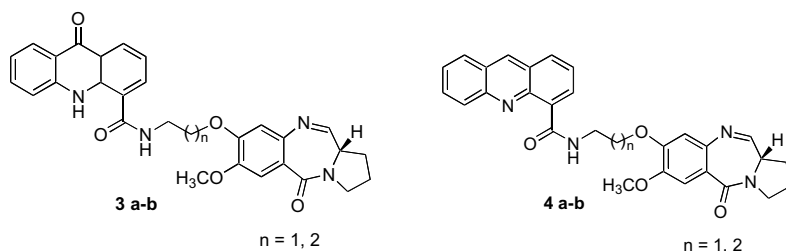


We report on the fluorinated form of Cpd 5 as a cell growth inhibitor.

Synthesis of C8-linked pyrrolo[2,1-c][1,4]benzodiazepine-acridone/acridine hybrids as potential DNA-binding agents

pp 4107–4111

Ahmed Kamal,* O. Srinivas, P. Ramulu, G. Ramesh and P. Praveen Kumar

**OTHER CONTENTS****Contributors to this issue**
Instructions to contributorspp I–II
pp III–VI

*Corresponding author

i+ Supplementary data available via ScienceDirect

COVER

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

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