

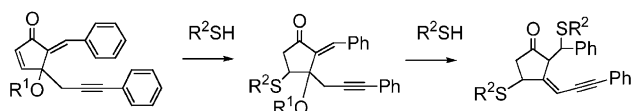
Contents

COMMUNICATIONS

Effective irreversible alkylating reagents based on the structure of clavulones

pp 837–840

Hiroshi Tanaka, Makoto Kitade, Makoto Iwashima, Kazuo Iguchi and Takashi Takahashi*

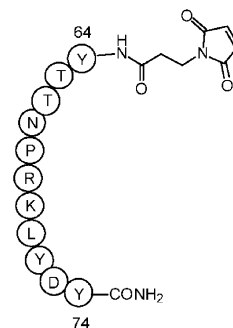


Kringle 5 peptide–albumin conjugates with anti-migratory activity

pp 841–845

Roger Léger,* Corinne Benquet, Xicai Huang, Omar Quraishi, Pieter van Wyk and Dominique Bridon

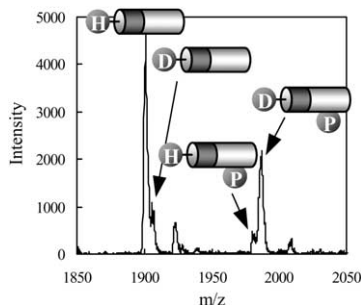
Three peptide fragments of the kringle 5 region of plasminogen and their respective N- and C-terminus maleimido derivatives conjugated to Cys34 of human serum albumin were evaluated in vitro using a human umbilical vein endothelial cell (HUVEC) migration assay and a human plasma stability assay. The N-terminus maleimido derivative of the 64 to 74 segment of kringle 5 conjugated to human serum albumin possessed remarkable in vitro anti-migratory activity.



Mass-tag technology for monitoring of protein kinase activity using mass spectrometry

pp 847–850

Tatsuhiko Sonoda, Syuhei Shigaki, Takeyuki Nagashima, Osamu Okitsu, Yasuhiro Kita, Masaharu Murata and Yoshiki Katayama*



A neural network based prediction of octanol–water partition coefficients using atomic5 fragmental descriptors

pp 851–853

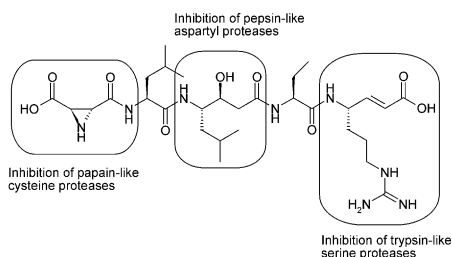
László Molnár, György M. Keserű,* Ákos Papp, Zsolt Gulyás and Ferenc Darvas

An artificial neural network based approach using Atomic5 fragmental descriptors has been developed to predict the octanol–water partition coefficient ($\log P$). Results demonstrate the superiority of our non-linear model over the traditional linear method.

Miraziridine A: nature's blueprint towards protease class-spanning inhibitors

pp 855–857

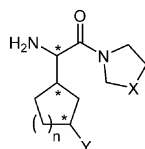
Norbert Schaschke*



Diastereoselective synthesis and configuration-dependent activity of (3-substituted-cycloalkyl)glycine pyrrolidides and thiazolidides as dipeptidyl peptidase IV inhibitors

pp 859–863

Wallace T. Ashton,* Hong Dong, Rosemary M. Sisco, George A. Doss, Barbara Leiting, Reshma A. Patel, Joseph K. Wu, Frank Marsilio, Nancy A. Thornberry and Ann E. Weber

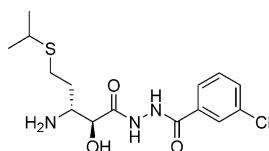


A series of (3-substituted-cycloalkyl)glycine amides was prepared by diastereoselective synthesis and evaluated as dipeptidyl peptidase IV inhibitors. Potency and selectivity depended on configuration at the chiral centers and nature of the substituent.

3-Amino-2-hydroxyamides and related compounds as inhibitors of methionine aminopeptidase-2

pp 865–868

George S. Sheppard,* Jieyi Wang, Megumi Kawai, Nwe Y. BaMaung, Richard A. Craig, Scott A. Erickson, Linda Lynch, Jyoti Patel, Fan Yang, Xenia B. Searle, Pingping Lou, Chang Park, Ki H. Kim, Jack Henkin and Richard Lesniewski

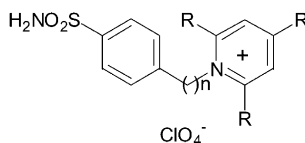


3-Amino-2-hydroxyamides and related hydroxyamides and acylhydrazines were identified as inhibitors of human MetAP2.

Carbonic anhydrase inhibitors: The first selective, membrane-impermeant inhibitors targeting the tumor-associated isozyme IX

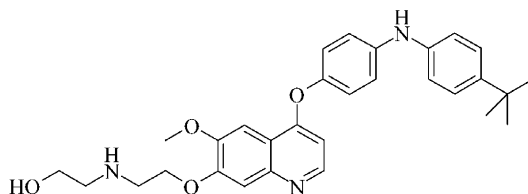
pp 869–873

Silvia Pastorekova, Angela Casini, Andrea Scozzafava, Daniela Vullo, Jaromir Pastorek and Claudiu T. Supuran*

**Orally active anti-proliferation agents: novel diphenylamine derivatives as FGF-R2 autophosphorylation inhibitors**

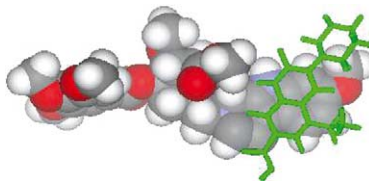
pp 875–879

Toshiyuki Shimizu,* Yasunari Fujiwara, Tatsushi Osawa, Teruyuki Sakai, Kinya Kubo, Kazuo Kubo, Tsuyoshi Nishitoba, Kaname Kimura, Terufumi Senga, Hideko Murooka, Akemi Iwai, Kayoko Fukushima, Tetsuya Yoshino and Atsushi Miwa

**Inhibitors of multidrug resistance (MDR) have affinity for MDR substrates**

pp 881–885

Mire Zloh,* Glenn W. Kaatz and Simon Gibbons*

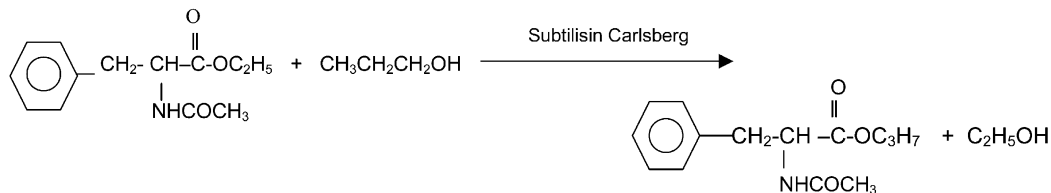


Here we show by molecular modelling that inhibitors of MDR have affinity for substrates of MDR transporters.

Obtaining higher transesterification rates with subtilisin Carlsberg in nonaqueous media

pp 887–889

Ipsita Roy, Aparna Sharma and Munishwar N. Gupta*

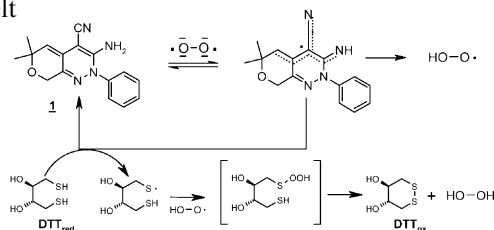


The increase in reaction rates of subtilisin-catalyzed transesterification was achieved by three phase partitioning of the enzyme, followed by either (a) lyophilization in the presence of polyethylene glycol and trehalose or (b) washing the precipitate with *t*-butanol.

Mechanism of action of pyridazine analogues on protein tyrosine phosphatase 1B (PTP1B)

pp 891–895

Agneta Tjernberg,* Dan Hallén, Johan Schultz, Stephen James, Kurt Benkestock, Styrbjörn Byström and Johan Weigelt

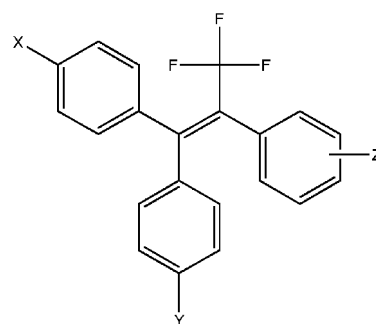


Pyridazine analogues cause catalytic oxidation of the reducing agent, generating hydrogen peroxide that oxidizes the active site cysteine on the enzyme, leading to enzyme inactivation.

Predicting pharmacophore signals for post-coital antifertility activity of 1-trifluoromethyl-1,2,2-triphenylethylene derivatives: a statistical approximation using E-state index

pp 897–900

Subhendu Mukherjee, Arup Mukherjee and Achintya Saha*

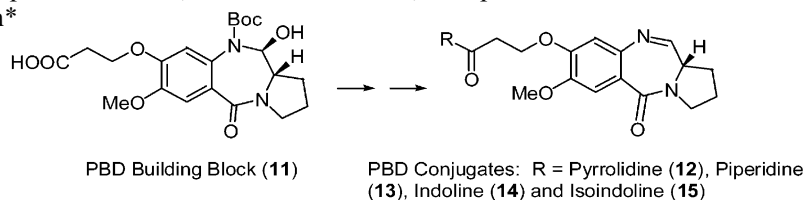


Pharmacophore search for post-coital antifertility activity of 1-trifluoromethyl-1,2,2-triphenylethylenes using E-state index.

Synthesis and biological evaluation of pyrrolo[2,1-c][1,4]benzodiazepine (PBD) C8 cyclic amine conjugates

pp 901–904

Luke A. Masterson, Stephen J. Croker, Terence C. Jenkins, Philip W. Howard* and David E. Thurston*

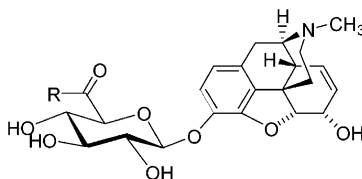


A series of novel pyrrolo[2,1-c][1,4]benzodiazepine (PBD) analogues **12–15** has been prepared from a common functionalized building block **11** that can be conveniently synthesized on a large scale and in optically pure form. Isoindoline analogue **15** is the most cytotoxic, has the highest DNA-binding affinity, and shows significant activity in the NCI in vivo hollow fibre assay.

A highly toxic morphine-3-glucuronide derivative

pp 905–908

Mariona Salvatella, Gemma Arsequell, Gregorio Valencia* and Raquel E. Rodríguez

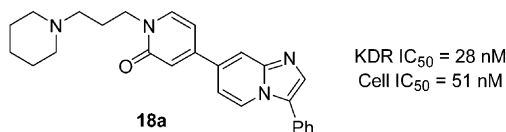


A morphine-3-glucuronide conjugate to octylamine is a lethal compound even at ng/kg doses. However, its closely related amide analogue lacking the octyl chain acts as opioid antagonist in a similar pattern than naloxone.

Design and synthesis of 3,7-diarylimidazopyridines as inhibitors of the VEGF-receptor KDR

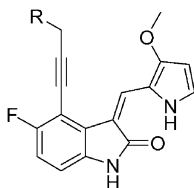
pp 909–912

Zhicai Wu,* Mark E. Fraley, Mark T. Bilodeau, Mildred L. Kaufman, Edward S. Tasber, Adrienne E. Balitza, George D. Hartman, Kathleen E. Coll, Keith Rickert, Jennifer Shipman, Bin Shi, Laura Sepp-Lorenzino and Kenneth A. Thomas

**A new series of potent oxindole inhibitors of CDK2**

pp 913–917

Kin-Chun Luk, Mary Ellen Simcox, Andy Schutt, Karen Rowan, Thelma Thompson, Yi Chen, Ursula Kammlott, Wanda DePinto, Pete Dunten and Apos Dermatakis*

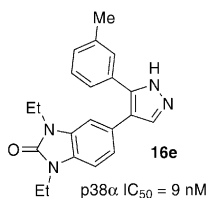


The synthesis and evaluation of a novel and potent series of inhibitors of CDK2 from the oxindole class is described. The members of this new class have heteroatom substituted propargyl and/or homopropargyl appendages at their C-4 position. The crystal structure of CDK2 complexed with an analogue from this series has been determined and gives insight to the increased potency.

Benzimidazolone p38 inhibitors

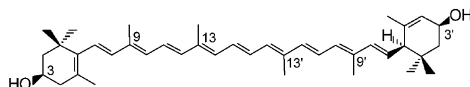
pp 919–923

Mark A. Dombroski, Michael A. Letavic, Kim F. McClure,* John T. Barberia, Thomas J. Carty, Santo R. Cortina, Csilla Csiki, Alan J. Dipesa, Nancy C. Elliott, Christopher A. Gabel, Crystal K. Jordan, Jeff M. Labasi, William H. Martin, Kevin M. Peese, Ingrid A. Stock, Linne Svensson, Francis J. Sweeney and Chul H. Yu

**Epimerisation of lutein to 3'-epilutein in processed foods**

pp 925–928

József Deli,* Péter Molnár, Erzsébet Ósz, Gyula Tóth and Ferenc Zsila

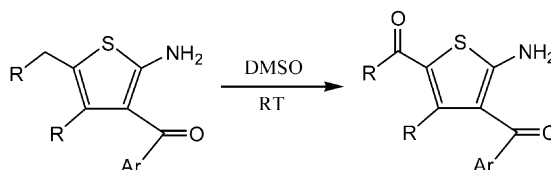


The formation and identification of 3'-epilutein in processed food is reported.

Regioselective oxidation of 2-amino-3-aryl-4,5-dialkylthiophenes by DMSO

pp 929–933

Elizabeth Joshi, Mahendra D. Chordia,* Timothy L. Macdonald, Joel Linden and Ray Olsson



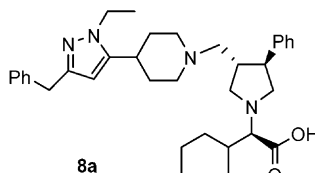
Solutions of 2-amino-3-aryl-4,5-dialkylthiophenes in DMSO undergo regioselective oxidation of benzylic carbon and are rapidly degraded by horse radish peroxidase and human liver microsomes.

Antagonists of human CCR5 receptor containing 4-(pyrazolyl)piperidine side chains.

pp 935–939

Part 1: Discovery and SAR study of 4-pyrazolylpiperidine side chains

Dong-Ming Shen,* Min Shu, Sander G. Mills, Kevin T. Chapman, Lorraine Malkowitz, Martin S. Springer, Sandra L. Gould, Julie A. DeMartino, Salvatore J. Siciliano, Gloria Y. Kwei, Anthony Carella, Gwen Carver, Karen Holmes, William A. Schleif, Renee Danzeisen, Daria Hazuda, Joseph Kessler, Janet Lineberger, Michael D. Miller and Emilio A. Emini



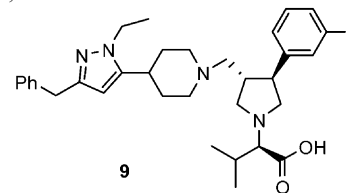
The discovery and SAR study of CCR5 antagonist **8a** is presented.

Antagonists of human CCR5 receptor containing 4-(pyrazolyl)piperidine side chains.

pp 941–945

Part 2: Discovery of potent, selective, and orally bioavailable compounds

Dong-Ming Shen,* Min Shu, Christopher A. Willoughby, Shrenik Shah, Christopher L. Lynch, Jeffrey J. Hale, Sander G. Mills, Kevin T. Chapman, Lorraine Malkowitz, Martin S. Springer, Sandra L. Gould, Julie A. DeMartino, Salvatore J. Siciliano, Kathy Lyons, James V. Pivnichny, Gloria Y. Kwei, Anthony Carella, Gwen Carver, Karen Holmes, William A. Schleif, Renee Danzeisen, Daria Hazuda, Joseph Kessler, Janet Lineberger, Michael D. Miller and Emilio A. Emini



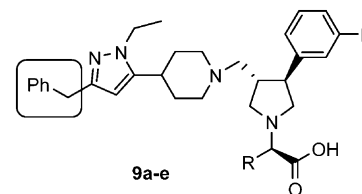
Research leading to CCR5 antagonist **9** and ensuing SAR studies are presented.

Antagonists of human CCR5 receptor containing 4-(pyrazolyl)piperidine side chains.

pp 947–952

Part 3: SAR studies on the benzylpyrazole segment

Min Shu,* Jennifer L. Loebach, Kerry A. Parker, Sander G. Mills, Kevin T. Chapman, Dong-Ming Shen,* Lorraine Malkowitz, Martin S. Springer, Sandra L. Gould, Julie A. DeMartino, Salvatore J. Siciliano, Jerry Di Salvo, Kathy Lyons, James V. Pivnichny, Gloria Y. Kwei, Anthony Carella, Gwen Carver, Karen Holmes, William A. Schleif, Renee Danzeisen, Daria Hazuda, Joseph Kessler, Janet Lineberger, Michael D. Miller and Emilio A. Emini

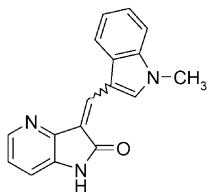


Extensive SAR studies on the benzyl segment of **9a–e** are presented.

Discovery and in vitro evaluation of potent TrkA kinase inhibitors: oxindole and aza-oxindoles

pp 953–957

Edgar R. Wood, Lee Kuyper, Kimberly G. Petrov, Robert N. Hunter, III, Philip A. Harris and Karen Lackey*

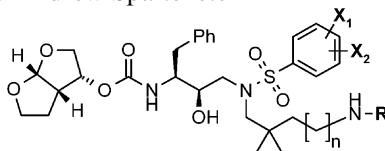
**3**

The discovery, synthesis, potential binding mode, and in vitro kinase profile of 3-(3-bromo-4-hydroxy-5-(2'-methoxyphenyl)-benzylidene)-5-bromo-1,3-dihydro-pyrrolo[2,3-*b*]pyridin-2-one, 3-[(1-methyl-1*H*-indol-3-yl)methylene]-1,3-dihydro-2*H*-pyrrolo[3,2-*b*]pyridin-2-one and related analogues as potent TrkA inhibitors are discussed.

Novel arylsulfonamides possessing sub-picomolar HIV protease activities and potent anti-HIV activity against wild-type and drug-resistant viral strains

pp 959–963

John F. Miller,* Eric S. Furfine, Mary H. Hanlon, Richard J. Hazen, John A. Ray, Laurence Robinson, Vicente Samano and Andrew Spaltenstein

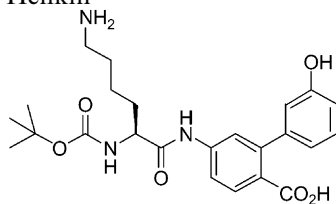


A novel series of PI' chain-extended arylsulfonamides was synthesized and evaluated for wild-type HIV protease inhibitory activity and in vitro antiviral activity against wild type virus and two protease inhibitor-resistant mutant viruses. All of the compounds showed dramatic increases in enzyme activity as compared to the currently marketed HIV protease inhibitors amprenavir, indinavir, and nelfinavir. In addition, significant improvements in antiviral potencies against wild type and the two mutant viruses were also realized.

Lysyl 4-aminobenzoic acid derivatives as potent small molecule mimetics of plasminogen kringle 5

pp 965–966

George S. Sheppard,* Megumi Kawai, Richard A. Craig, Donald J. Davidson, Sandra M. Majest, Randy L. Bell and Jack Henkin

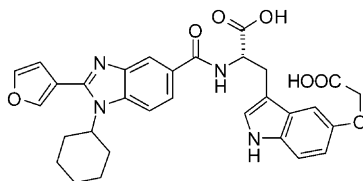
**5** IC₅₀ = 0.02 nM

Tetrapeptide KLYD derived from kringle 5 has been shown to capture antiangiogenic activities of kringle 5 in vitro. Further simplification by replacement of the two central amino acids with a 4-aminobenzoic acid spacer group provide compounds with similar in vitro properties to kringle 5 which are able to displace radiolabeled protein from a high affinity binding site on endothelial cells.

Non-nucleoside inhibitors of the hepatitis C virus NS5B polymerase: discovery of benzimidazole 5-carboxylic amide derivatives with low-nanomolar potency

pp 967–971

Pierre L. Beaulieu,* Michael Bös, Yves Bousquet, Patrick DeRoy, Gulrez Fazal, Jean Gauthier, James Gillard, Sylvie Goulet, Ginette McKercher, Marc-André Poupart, Serge Valois and George Kukolj

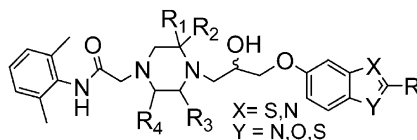


HCV NS5B polymerase:
IC₅₀ = 0.019 μM

Novel inhibitors of fatty acid oxidation as potential metabolic modulators

pp 973–977

Elfatih Elzein,* Kevin Shenk, Prabha Ibrahim, Tim Marquart, Suresh Kerwar, Stephanie Meyer, Hiba Ahmed, Dewan Zeng, Nancy Chu, Daniel Soohoo, Shirley Wong, Kwan Leung and Jeff Zablocki

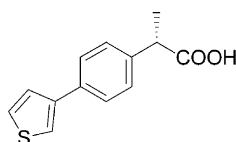


We describe the synthesis of novel fatty acid oxidation inhibitors as potential metabolic modulators for the treatment of stable angina.

**Synthesis and evaluation of *S*-4-(3-thienyl)phenyl- α -methylacetic acid**

pp 979–982

Shilpi Mittal, Alpeshkumar Malde, C. Selvam, K. H. S. Arun, P. S. Johar, Sanjay M. Jachak, P. Ramarao, P. V. Bharatam and H. P. S. Chawla*

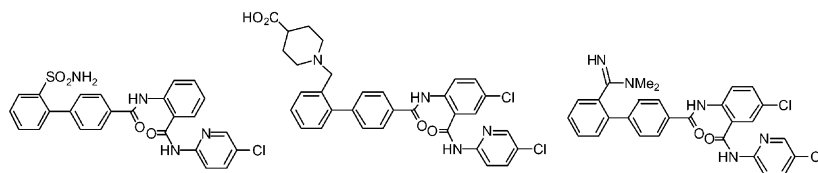


An efficient process for the preparation of *S*-4-(3-thienyl)phenyl- α -methylacetic acid, an enantiomerically pure intermediate of recently approved NSAID atliprofen is reported. The pharmacologically active isomer, *S*-4-(3-thienyl)phenyl- α -methylacetic acid was compared with *S*-ibuprofen by docking studies on COX enzyme structures followed by biological evaluations.

Design, synthesis, and SAR of anthranilamide-based factor Xa inhibitors incorporating substituted biphenyl P4 motifs

pp 983–987

Penglie Zhang,* Liang Bao, Jingmei F. Zuckett, Erick A. Goldman, Zhaozhong J. Jia, Ann Arfsten, Susan Edwards, Uma Sinha, Athiwa Hutchaleelaha, Gary Park, Joseph L. Lambing, Stanley J. Hollenbach, Robert M. Scarborough and Bing-Yan Zhu*

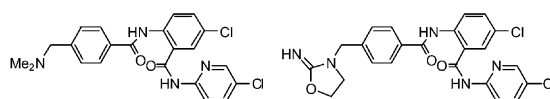


Anthranilamide-based factor Xa inhibitors incorporating substituted biphenyl P4 motifs were designed and synthesized.

Design, synthesis, and SAR of anthranilamide-based factor Xa inhibitors with improved functional activity

pp 989–993

Penglie Zhang,* Liang Bao, Jingmei F. Zuckett, Zhaozhong J. Jia, John Woolfrey, Ann Arfsten, Susan Edwards, Uma Sinha, Athiwa Hutchaleelaha, Joseph L. Lambing, Stanley J. Hollenbach, Robert M. Scarborough and Bing-Yan Zhu*

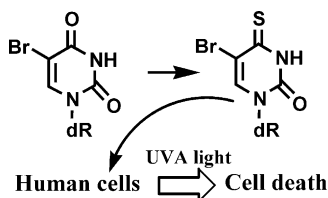


Anthranilamide-based factor Xa inhibitors with improved activity in human plasma thrombin generation assay were designed and synthesized.

4-Thio-5-bromo-2'-deoxyuridine: chemical synthesis and therapeutic potential of UVA-induced DNA damage

pp 995–997

Yao-Zhong Xu,* Xiaohui Zhang, Hai-Chen Wu, Andrew Massey and Peter Karran

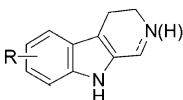


The chemical synthesis and cellular incorporation of 4-thio-5-bromo-2'-deoxyuridine (**3a**) are reported, offering therapeutic potential for UVA-induced cell killing.

Binding of β -carbolines at imidazoline I_2 receptors: a structure–affinity investigation

pp 999–1002

Richard A. Glennon,* Brian Grella, Robin J. Tyacke, Alice Lau, Julie Westaway and Alan L. Hudson

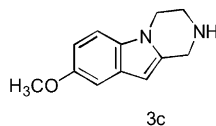


The role of aryl substitution, ring-opening, ring-expansion, and translocation of the piperidine N atom on the I_2 affinity of 3,4-dihydro- and/or 1,2,3,4-tetrahydro- β -carbolines was examined.

Pyrazino[1,2-*a*]indoles as novel high-affinity and selective imidazoline I_2 receptor ligands

pp 1003–1005

Jean Chang-Fong, Robin J. Tyacke, Alice Lau, Julie Westaway, Alan L. Hudson and Richard A. Glennon*

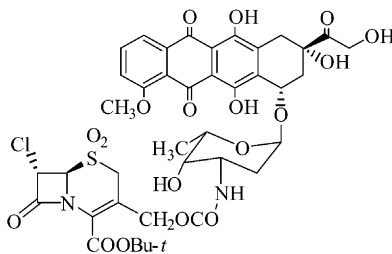


1,2,3,4-Tetrahydropyrazino[1,2-*a*]indole **3c** (8-OMe THPI) has been identified as a novel high affinity ($K_i = 6.2$ nM) I_2 imidazoline receptor ligand with > 1000 fold selectivity over I_1 imidazoline and α_2 -adrenergic receptors.

Doxorubicin prodrug on the basis of *tert*-butyl cephalosporanate sulfones

pp 1007–1010

Grigory Veinberg,* Irina Shestakova, Maxim Vorona, Iveta Kanepe, Ilona Domrachova and Edmunds Lukevics

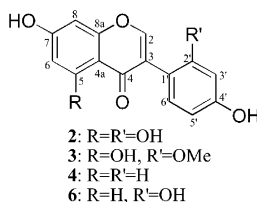


The synthesis and biological properties of doxorubicin prodrug on the basis of cephalosporanate sulfone esters are described.

Anti-inflammatory flavonoids and pterocarpanoid from *Crotalaria pallida* and *C. assamica*

pp 1011–1014

Horng-Huey Ko, Jing-Ru Weng, Lo-Ti Tsao, Ming-Hong Yen,
Jih-Pyang Wang and Chun-Nan Lin*

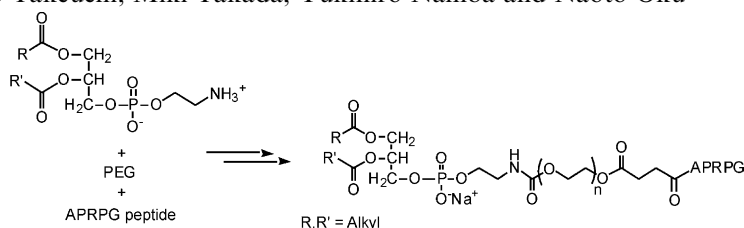


One new isoflavone and several known compounds were isolated from *Crotalaria pallida* and *C. assamica*, respectively. Their anti-inflammatory effects were discussed in this present paper.

Synthesis of angiogenesis-targeted peptide and hydrophobized polyethylene glycol conjugate

pp 1015–1017

Noriyuki Maeda, Yoshito Takeuchi, Miki Takada, Yukihiro Namba and Naoto Oku*

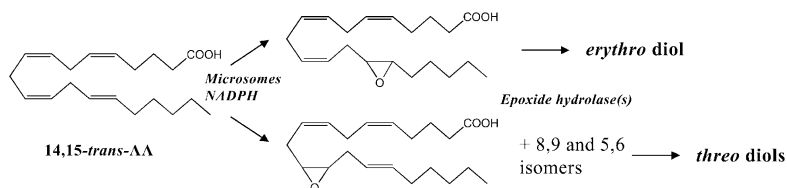


For the purpose of cancer antineovascular therapy, a novel angiogenesis-targeted peptide, Ala-Pro-Arg-Pro-Gly, (APRPG) was attached to hydrophobized polyethylene glycol (distearoylphosphatidylethanolamine [DSPE]-PEG). Liposome modified with this DSPE-PEG-APRPG conjugate highly accumulated in tumor of tumor-bearing mice.

Cytochrome P450/NADPH-dependent formation of *trans* epoxides from *trans*-arachidonic acids

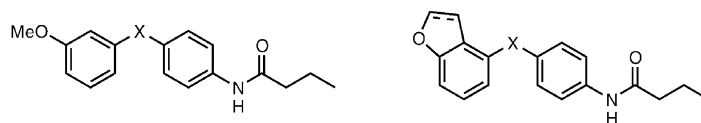
pp 1019–1022

Uzzal Roy, Olivier Loreau and Michael Balazy*

**4-Substituted anilides as selective melatonin MT₂ receptor agonists**

pp 1023–1026

James R. Epperson,* Jeffrey A. Deskus, Anthony J. Gentile, Lawrence G. Iben, Elaine Ryan
and Nathan S. Sarbin

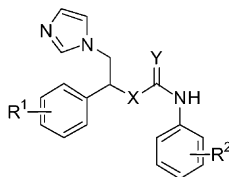


A series of 4-substituted anilides displaying agonism at human melatonin receptors is reported. Several butyramides in the series demonstrate both subnanomolar MT₂ binding affinity and MT₂ selectivity of greater than 70-fold over the MT₁ receptor.

N-[1-Aryl-2-(1-imidazolo)ethyl]-guanidine derivatives as potent inhibitors of the bovine mitochondrial F₁F₀ ATP hydrolase

pp 1027–1030

Karnail S. Atwal,* Saleem Ahmad, Charles Z. Ding, Philip D. Stein, John Lloyd, Lawrence G. Hamann, David W. Green, Francis N. Ferrara, Paulina Wang, W. Lynn Rogers, Lidia M. Dowejko, Arthur V. Miller, Sharon N. Bisaha, Joan B. Schmidt, Ling Li, Kenneth J. Yost, Hsi-Jung Lan and Cort S. Madsen*

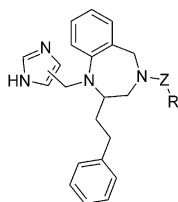


The synthesis and mATP hydrolase inhibitory activity of these novel agents is reported.

Benzodiazepine-based selective inhibitors of mitochondrial F₁F₀ ATP hydrolase

pp 1031–1034

Lawrence G. Hamann,* Charles Z. Ding, Arthur V. Miller, Cort S. Madsen, Paulina Wang, Philip D. Stein, Andrew T. Pudzianowski, David W. Green, Hossain Monshizadegan and Karnail S. Atwal

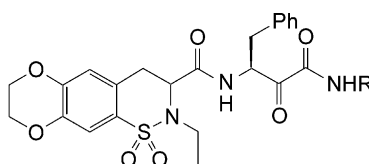


The synthesis and mATP hydrolase inhibitory activity of these novel agents is reported.

1,2-Benzothiazine 1,1-dioxide α -ketoamide analogues as potent calpain I inhibitors

pp 1035–1038

Ron Bihovsky, Ming Tao, John P. Mallamo and Gregory J. Wells*

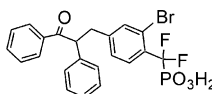


The synthesis and biological activity of potent 1,2-benzothiazine 1,1-dioxide α -ketoamide inhibitors of calpain I are described.

The development of potent non-peptidic PTP-1B inhibitors

pp 1039–1042

Claude Dufresne,* Patrick Roy, Zhaoyin Wang, Ernest Asante-Appiah, Wanda Cromlish, Yves Boie, Farnaz Forghani, Sylvie Desmarais, Qingping Wang, Kathryn Skorey, Deena Waddleton, Chidambaram Ramachandran, Brian P. Kennedy, Lijing Xu, Robert Gordon, Chi Chung Chan and Yves Leblanc*

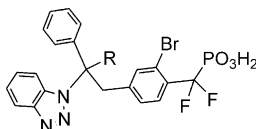


15 IC₅₀ = 120 nM

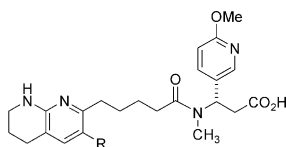
The synthesis of the potent non-peptidic PTP-1B inhibitor **15** (IC₅₀ = 120 nM) is reported

Structure based design of a series of potent and selective non peptidic PTP-1B inhibitors**pp 1043–1048**

Cheuk K. Lau,* Christopher I. Bayly,* Jacques Yves Gauthier, Chun Sing Li, Michel Therien, Ernest Asante-Appiah, Wanda Cromlish, Yves Boie, Farnaz Forghani, Sylvie Desmarais, Qingping Wang, Kathryn Skorey, Deena Waddleton, Paul Payette, Chidambaram Ramachandran, Brian P. Kennedy and Giovana Scapin

**Non-peptide $\alpha_v\beta_3$ antagonists. Part 7: 3-Substituted tetrahydro-[1,8]naphthyridine derivatives****pp 1049–1052**

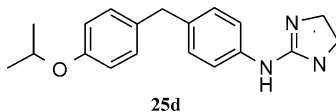
Jiabin Wang,* Michael J. Breslin, Paul J. Coleman, Mark E. Duggan, Cecilia A. Hunt, John H. Hutchinson, Chih-Tai Leu, Sevgi B. Rodan, Gideon A. Rodan, Le T. Duong and George D. Hartman



A series of $\alpha_v\beta_3$ antagonists containing 3-substituted tetrahydro-[1,8]naphthyridine were studied. A comparison of their in vitro IC_{50} values to the electron properties of the 3-substituents revealed a good linear Hammett correlation ($\rho = -1.96$, $R^2 = 0.959$).

Discovery and SAR development of 2-(phenylamino) imidazolines as prostacyclin receptor antagonists**pp 1053–1056**

Robin D. Clark,* Alam Jahangir,* Daniel Severance, Rick Salazar, Thomas Chang, David Chang, Mary Frances Jett, Steven Smith and Keith Bley



The 2-(phenylamino)imidazoline **25d** was found to be a high affinity, selective prostacyclin receptor antagonist which demonstrated analgesic activity in the rat.

OTHER CONTENTS**Erratum****pp 1057****Contributors to this issue****pp I–II****Instructions to contributors****pp III–VI**

*Corresponding author

Ⓜ⁺ 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 htB1 (center) allows structural selection with the Fc portion of Immunoglobulin (left), while the residues randomized on the red sheet of htB1 (center) allows for functional selection against thrombin (right). © 2003 Indraneel Ghosh. Published by Elsevier Ltd.



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