

Contents

	vii	Contributors to this Issue
	771	Graphical Abstracts
I. O. Donkor, R. Korukonda, T. L. Huang and L. LeCour, Jr.	783	Peptidyl Aldehyde Inhibitors of Calpain Incorporating P ₂ -Proline Mimetics
P. W. Glunz, B. D. Douty and C. P. Decicco	785	Design and Synthesis of Bicyclic Pyrimidinone-Based HCV NS3 Protease Inhibitors
L. Peterlin-Mašič, G. Mlinšek, T. Šolmajer, A. Trampuš-Bakija, M. Stegnar and D. Kikelj	789	Novel Thrombin Inhibitors Incorporating Non-basic Partially Saturated Heterobicyclic P ₁ -Arginine Mimetics
P. E. J. Sanderson, M. G. Stanton, B. D. Dorsey, T. A. Lyle, C. McDonough, W. M. Sanders, K. L. Savage, A. M. Naylor-Olsen, J. A. Krueger, S. D. Lewis, B. J. Lucas, J. J. Lynch and Y. Yan	795	Azaindoles: Moderately Basic P1 Groups for Enhancing the Selectivity of Thrombin Inhibitors
J. A. Fuselier, L. Sun, S. N. Woltering, W. A. Murphy, N. Vasilevich and D. H. Coy	799	An Adjustable Release Rate Linking Strategy for Cytotoxin–Peptide Conjugates
J. R. Porter, S. C. Archibald, J. A. Brown, K. Childs, D. Critchley, J. C. Head, T. A. H. Parton, M. K. Robinson, A. Shock, R. J. Taylor and G. J. Warrellow	805	Dehydrophenylalanine Derivatives as VLA-4 Integrin Antagonists
M. Shimizu, Y. Iwasaki, Y. Shibamoto, M. Sato, H. F. DeLuca and S. Yamada	809	Novel Synthesis of 2-Substituted 19-Norvitamin D A-Ring Phosphine Oxide from D-Glucose as a Building Block
K. Fukunaga, M. Yoshida, F. Nakajima, R. Uematsu, M. Hara, S. Inoue, H. Kondo and S.-I. Nishimura	813	Design, Synthesis, and Evaluation of β -Galactosylceramide Mimics Promoting β -Glucocerebrosidase Activity in Keratinocytes
M. H. Lim, H. O. Kim, H. R. Moon, S. J. Lee, M. W. Chun, Z.-G. Gao, N. Melman, K. A. Jacobson, J. H. Kim and L. S. Jeong	817	Design, Synthesis and Binding Affinity of 3'-Fluoro Analogues of Cl-IB-MECA as Adenosine A ₃ Receptor Ligands
R.-Y. Kuo, C.-C. Wu, F.-R. Chang, J.-L. Yeh, I.-J. Chen and Y.-C. Wu	821	Antiplatelet Activity of Synthetic Pyrrolo-Benzylisoquinolines
H. Kurosaki, N. Yamakawa, M. Sumimoto, K. Kimura and M. Goto	825	Interaction of Binuclear Xylylthiolato(2,2',2''-terpyridine)platinum(II) Complexes with DNA
B. Büttelmann, A. Alanine, A. Bourson, R. Gill, M.-P. Heitz, V. Mutel, E. Pinard, G. Trube and R. Wyler	829	2-(3,4-Dihydro-1 <i>H</i> -isoquinolin-2yl)-pyridines as a Novel Class of NR1/2B Subtype Selective NMDA Receptor Antagonists
Y. Ferrand, L. Bourré, G. Simonneaux, S. Thibaut, F. Odobel, Y. Lajat and T. Patrice	833	Hydroporphyrins as Tumour Photosensitizers: Synthesis and Photo-physical Studies of 2,3-Dihydro-5,15-di(3,5-dihydroxyphenyl) Porphyrin
A. Casini, J.-Y. Winum, J.-L. Montero, A. Scozzafava and C. T. Supuran	837	Carbonic Anhydrase Inhibitors: Inhibition of Cytosolic Isozymes I and II with Sulfamide Derivatives
A. Casini, J. Antel, F. Abbate, A. Scozzafava, S. David, H. Waldeck, S. Schäfer and C. T. Supuran	841	Carbonic Anhydrase Inhibitors: SAR and X-ray Crystallographic Study for the Interaction of Sugar Sulfamates/Sulfamides with Isozymes I, II and IV
T. Boesen, D. S. Pedersen, B. M. Nielsen, A. B. Petersen, U. Henriksen, B. M. Dahl and O. Dahl	847	Oligonucleotides Containing a New Type of Acyclic, Achiral Nucleoside Analogue: 1-[3-Hydroxy-2-(hydroxymethyl)prop-1-enyl]thymine

J. Einsiedel, H. Hübner and P. Gmeiner	851	Cyclic Amidines as Benzamide Bioisosteres: EPC Synthesis and SAR Studies Leading to the Selective Dopamine D4 Receptor Agonist FAUC 312
T. M. Augustus, J. Anderson, S. M. Hess and U. Bierbach	855	Bis(acridinylthiourea)platinum(II) Complexes: Synthesis, DNA Affinity, and Biological Activity in Glioblastoma Cells
G. Durand, A. Polidori, J.-P. Salles and B. Pucci	859	Synthesis of a New Family of Glycolipidic Nitrones as Potential Antioxidant Drugs for Neurodegenerative Disorders
N. Vicker, Y. Ho, J. Robinson, L. L. W. Woo, A. Purohit, M. J. Reed and B. V. L. Potter	863	Docking Studies of Sulphamate Inhibitors of Estrone Sulphatase in Human Carbonic Anhydrase II
K. Hattori, Y. Kohchi, N. Oikawa, H. Suda, M. Ura, T. Ishikawa, M. Miwa, M. Endoh, H. Eda, H. Tanimura, A. Kawashima, I. Horii, H. Ishitsuka and N. Shimma	867	Design and Synthesis of the Tumor-Activated Prodrug of Dihydropyrimidine Dehydrogenase (DPD) Inhibitor, RO0094889 for Combination Therapy with Capecitabine
J. J. Bronson, K. L. DenBleyker, P. J. Falk, R. A. Mate, H.-T. Ho, M. J. Pucci and L. B. Snyder	873	Discovery of the First Antibacterial Small Molecule Inhibitors of MurB
A. Bråthe, L.-L. Gundersen, J. Nissen-Meyer, F. Rise and B. Spilberg	877	Cytotoxic Activity of 6-Alkynyl- and 6-Alkenylpurines
X. Zheng, W.-D. Meng, Y.-Y. Xu, J.-G. Cao and F.-L. Qing	881	Synthesis and Anticancer Effect of Chrysin Derivatives
C. E. Gutteridge, S. E. de Laszlo, T. M. Kamenecka, E. McCauley, G. van Riper, R. A. Mumford, U. Kidambi, L. A. Egger, S. Tong and W. K. Hagmann	885	<i>N</i> -(3-Phenylsulfonyl-3-piperidinoyl)-phenylalanine Derivatives as Potent, Selective VLA-4 Antagonists
A. Fournet, R. Mahieux, M. A. Fakhfakh, X. Franck, R. Hocquemiller and B. Figadère	891	Substituted Quinolines Induce Inhibition of Proliferation of HTLV-1 Infected Cells
P. Li, M. L. Peach, M. Zhang, H. Liu, D. Yang, M. Nicklaus and P. P. Roller	895	Structure-Based Design of Thioether-Bridged Cyclic Phosphopeptides Binding to Grb2-SH2 Domain
D. H. Ryu, C.-H. Tan and R. R. Rando	901	Synthesis of (+),(-)-Neamine and Their Positional Isomers as Potential Antibiotics
Y. Hirayama, M. Iwamura and T. Furuta	905	Design, Synthesis and Photochemical Properties of Caged Bile Acids
H.-Y. Zhang, L.-F. Wang and Y.-M. Sun	909	Why B-Ring is the Active Center for Genistein to Scavenge Peroxyl Radical: A DFT Study
T. Murata, M. Shimada, S. Sakakibara, T. Yoshino, H. Kadono, T. Masuda, M. Shimazaki, T. Shintani, K. Fuchikami, K. Sakai, H. Inbe, K. Takeshita, T. Niki, M. Umeda, K. B. Bacon, K. B. Ziegelbauer and T. B. Lowinger	913	Discovery of Novel and Selective IKK- β Serine-Threonine Protein Kinase Inhibitors. Part 1
Y. Suzuki, N. Yamamoto, Y. Iimura, K. Kawano, T. Kimura, S. Nagato, K. Ito, M. Komatsu, Y. Norimine, M. Kimura, T. Teramoto, Y. Kaneda, T. Hamano, T. Niidome and M. Yonaga	919	Discovery of Novel Neuronal Voltage-Dependent Calcium Channel Blockers Based on Emopamil Left Hand as a Bioactive Template
C. Madhavaiah and S. Verma	923	Plasmid Relaxation Induced by Copper Metalated Diglycine Conjugates under Heterogeneous Reaction Conditions
J.-L. Huang, H.-G. Liu and D.-Y. Yang	927	Acylcyclohexanedione Derivatives as Potential In Vivo Sequential Inhibitors of 4-Hydroxyphenylpyruvate Dioxygenase and GA ₂₀ 3 β -Hydroxylase
A. D. Adams, W. Yuen, Z. Hu, C. Santini, A. B. Jones, K. L. MacNaul, J. P. Berger, T. W. Doebber and D. E. Moller	931	Amphipathic 3-Phenyl-7-propylbenzoxazoles; Human PPAR γ , δ and α Agonists
T. Shirahata, T. Sunazuka, K. Yoshida, D. Yamamoto, Y. Harigaya, T. Nagai, H. Kiyohara, H. Yamada, I. Kuwajima and S. Ômura	937	Total Synthesis and Adjuvant Activity of All Stereoisomers of Pinellac Acid

S. Chackal, M. Facompré, R. Houssin, J.-F. Goossens, N. Pommery, J.-P. Hénichart and C. Bailly	943	Highly Cytotoxic Benzo[c]pyrido[2,3,4- <i>k</i>]acridines
S. Angenault, S. Thiot, F. Schmidt, C. Monneret, B. Pfeiffer and P. Renard	947	Cancer Chemotherapy: A SN-38 (7-Ethyl-10-hydroxycamptothecin) Glucuronide Prodrug for Treatment by a PMT (Prodrug MonoTherapy) Strategy
C. Boss, M. H. Bolli, T. Weller, W. Fischli and M. Clozel	951	Bis-sulfonamides as Endothelin Receptor Antagonists
M. H. Bolli, C. Boss, M. Clozel, W. Fischli, P. Hess and T. Weller	955	The Use of Sulfonylamido Pyrimidines Incorporating an Unsaturated Side Chain as Endothelin Receptor Antagonists
M. Zhao, N. Lin, C. Wang and S. Peng	961	Synthesis and Thrombolytic Activity of Fibrinogen Fragment Related Cyclopeptides
K. Takaoka, Y. Tatsu, N. Yumoto, T. Nakajima and K. Shimamoto	965	Synthesis and Photoreactivity of Caged Blockers for Glutamate Transporters
S. D. Larsen, F. C. Stevens, T. J. Lindberg, P. M. Bodnar, T. J. O'Sullivan, H. J. Schostarez, B. J. Palazuk and J. E. Bleasdale	971	Modification of the N-Terminus of Peptidomimetic Protein Tyrosine Phosphatase 1B (PTP1B) Inhibitors: Identification of Analogues with Cellular Activity
	977	Erratum
	I	Instructions to Contributors



Full text of this journal is available, on-line from **ScienceDirect**. Visit www.sciencedirect.com for more information.



This journal is part of **ContentsDirect**, the **free** alerting service which sends tables of contents by e-mail for Elsevier Science books and journals. You can register for **ContentsDirect** online at: <http://contentsdirect.elsevier.com>

Indexed/Abstracted in: Adis LMS Drug Alerts, Beilstein, Biochemistry & Biophysics Citation Index, BIOSIS previews, CAB Abstracts, CAB Health, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/Elsevier BIOBASE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE



Pergamon

ISSN 0960-894X