

Contents

	ix	Contributors to this Issue
	2791	Graphical Abstracts
T. Srinivasan, G. K. Srivastava, A. Pathak, S. Batra, K. Raj, K. Singh, S. K. Puri and B. Kundu	2803	Solid-Phase Synthesis and Bioevaluation of Lupeol-Based Libraries as Antimalarial Agents
N. Murakami, Y. Ye, M. Kawanishi, S. Aoki, N. Kudo, M. Yoshida, E. E. Nakayama, T. Shioda and M. Kobayashi	2807	New Rev-Transport Inhibitor with Anti-HIV Activity from Valerianae Radix
S. Ogawa, M. Mori, G. Takeuchi, F. Doi, M. Watanabe and Y. Sakata	2811	Convenient Synthesis and Evaluation of Enzyme Inhibitory Activity of Several <i>N</i> -Alkyl-, <i>N</i> -Phenylalkyl, and Cyclic Isoorea Derivatives of 5a-Carba- α -DL-fucopyranosylamine
T. Ishiyama, S. Iimura, T. Yoshino, J. Chiba, K. Uoto, H. Terasawa and T. Soga	2815	New Highly Active Taxoids from 9 β -Dihydrobaccatin-9,10-acetals. Part 2
H. Uchiro, K. Nagasawa, T. Kotake, D. Hasegawa, A. Tomita and S. Kobayashi	2821	The First Synthesis and Antifungal Activities of 9-Methoxystrobilurin-type β -Substituted β -Methoxyacrylate
K. Senten, P. Van der Veken, G. Bal, I. De Meester, A.-M. Lambeir, S. Scharpé, B. Bauvois, A. Haemers and K. Augustyns	2825	Development of Potent and Selective Dipeptidyl Peptidase II Inhibitors
D. E. Gingrich and R. L. Hudkins	2829	Synthesis and Kinase Inhibitory Activity of 3'-(<i>S</i>)- <i>epi</i> -K-252a
D. Poirier, L. C. Ciobanu and M. Bérubé	2833	A Multidetachable Sulfamate Linker Successfully Used in a Solid-Phase Strategy to Generate Libraries of Sulfamate and Phenol Derivatives
A. Y. Gayle and A. M. Baranger	2839	Inhibition of the U1A–RNA Complex by an Aminoacridine Derivative
Z. Zhu, L. Shi and J. Huang	2843	Synthesis of Poly(maleimide-co-2-ethylacrylic Acid) and Its Properties of Suppressing Metastasis and Growth of Carcinoma

H. Ali and J. E. van Lier	2847	Synthesis of Nitrile Derivatives of Estrogens
S. Han, E. Hamel, K. F. Bastow, A. T. McPhail, A. Brossi and K.-H. Lee	2851	Antitumor Agents. Part 215: Antitubulin Effects of Cytotoxic B-Ring Modified Alcolchicinoids
S. Raghavan, Z. Yang, R. T. Mosley, W. A. Schleif, L. Gabryelski, D. B. Olsen, M. Stahlhut, L. C. Kuo, E. A. Emini, K. T. Chapman and J. R. Tata	2855	Combinatorial Library of Indinavir Analogues: Replacement for the Aminoindanol at P ₂ '
C. Pouget, C. Fagnere, J.-P. Basly, G. Habrioux and A. J. Chulia	2859	Design, Synthesis and Evaluation of 4-Imidazolylflavans as New Leads for Aromatase Inhibition
J. N. Reynolds, B. M. Bennett, R. J. Boegman, K. Jhamandas, J. D. Ratz, S. I. Zavorin, D. Scutaru, A. Dumitrascu and G. R. J. Thatcher	2863	Neuroprotection Against Ischemic Brain Injury Conferred by a Novel Nitrate Ester
F. C. Nelson, E. Delos Santos, J. I. Levin, J. M. Chen, J. S. Skotnicki, J. F. DiJoseph, M. A. Sharr, A. Sung, L. M. Killar, R. Cowling, G. Jin, C. E. Roth and J. D. Albright	2867	Benzodiazepine Inhibitors of the MMPs and TACE
M. Takadoi and S. Terashima	2871	Synthesis and Muscarinic M ₂ Subtype Antagonistic Activity of Unnatural <i>ent</i> -Himbacine and an Enantiomeric Pair of (2' <i>S</i> ,6' <i>R</i>)-Diepilhimbacine
R. A. Smith, J. Chen, M. M. Mader, I. Muegge, U. Moehler, S. Katti, D. Marrero, W. G. Stirtan, D. R. Weaver, H. Xiao and W. Carley	2875	Solid-Phase Synthesis and Investigation of Benzofurans as Selective Estrogen Receptor Modulators
S. H. Watterson, C. Liu, T. G. M. Dhar, H. H. Gu, W. J. Pitts, J. C. Barrish, C. A. Fleener, K. Rouleau, N. Z. Sherbina, D. L. Hollenbaugh and E. J. Iwanowicz	2879	Novel Amide-Based Inhibitors of Inosine 5'-Monophosphate Dehydrogenase
M. F. T. Koehler, K. Zobel, M. H. Beresini, L. D. Caris, D. Combs, B. D. Paasch and R. A. Lazarus	2883	Albumin Affinity Tags Increase Peptide Half-Life In Vivo
R. V. Mendonca, S. Venkatraman and J. T. Palmer	2887	Novel Route to the Synthesis of Peptides Containing 2-Amino-1'-hydroxymethyl Ketones and Their Application as Cathepsin K Inhibitors
A. Wissner, M. B. Floyd, S. K. Rabindran, R. Nilakantan, L. M. Greenberger, R. Shen, Y.-F. Wang and H.-R. Tsou	2893	Syntheses and EGFR and HER-2 Kinase Inhibitory Activities of 4-Anilinoquinoline-3-carbonitriles: Analogues of Three Important 4-Anilinoquinazolines Currently Undergoing Clinical Evaluation as Therapeutic Antitumor Agents

- S. Alcaro, L. Scipione, F. Ortuso,
S. Posca, V. Rispoli and D. Rotiroti 2899 Molecular Modeling and Enzymatic Studies of the Interaction of a Choline Analogue and Acetylcholinesterase
- S. Hanessian, E. Therrien, K. Granberg
and I. Nilsson 2907 Targeting Thrombin and Factor VIIa: Design, Synthesis, and Inhibitory Activity of Functionally Relevant Indolizidinones
- G. M. Castanedo, F. C. Sailes,
N. J. P. Dubree, J. B. Nicholas, L. Caris,
K. Clark, S. M. Keating, M. H. Beresini,
H. Chiu, S. Fong, J. C. Marsters, Jr.,
D. Y. Jackson and D. P. Sutherlin 2913 Solid-Phase Synthesis of Dual $\alpha_4\beta_1/\alpha_4\beta_7$ Integrin Antagonists: Two Scaffolds with Overlapping Pharmacophores
- M. L. Curtin, R. B. Garland,
H. R. Heyman, R. R. Frey,
M. R. Michaelides, J. Li, L. J. Pease,
K. B. Glaser, P. A. Marcotte and
S. K. Davidsen 2919 Succinimide Hydroxamic Acids as Potent Inhibitors of Histone Deacetylase (HDAC)
- J. J. Cui, G.-L. Araldi, J. E. Reiner,
K. M. Reddy, S. J. Kemp, J. Z. Ho,
D. V. Siev, L. Mamedova, T. S. Gibson,
J. A. Gaudette, N. K. Minami,
S. M. Anderson, A. E. Bradbury,
T. G. Nolan and J. E. Semple 2925 Non-covalent Thrombin Inhibitors Featuring P₃-Heterocycles with P₁-Bicyclic Arginine Surrogates
- E. J. Iwanowicz, S. H. Watterson,
C. Liu, H. H. Gu, T. Mitt, K. Leftheris,
J. C. Barrish, C. A. Fleener, K. Rouleau,
N. Z. Sherbina and D. L. Hollenbaugh 2931 Novel Guanidine-Based Inhibitors of Inosine Monophosphate Dehydrogenase
- V. P. Palle, E. O. Elzein, S. A. Gothe,
Z. Li, Z. Gao, S. Meyer, B. Blackburn
and J. A. Zablocki 2935 Structure–Affinity Relationships of the Affinity of 2-Pyrazolyl Adenosine Analogues for the Adenosine A_{2A} Receptor
- J. G. Ondeyka, A. M. Dahl-Roshak,
J. S. Tkacz, D. L. Zink,
M. Zakson-Aiken, W. L. Shoop,
M. A. Goetz and S. B. Singh 2941 Nodulisporic Acid B, B₁, and B₂: A Series of 1'-Deoxy-nodulisporic Acids from *Nodulisporium* sp.
- M. Altamura, F. Canfarini,
R.-M. Catalioto, A. Guidi, F. Pasqui,
A. R. Renzetti, A. Triolo and
C. A. Maggi 2945 Successful Bridging from a Peptide to a Non Peptide Antagonist at the Human Tachykinin NK-2 Receptor
- J.-K. Lee, H.-S. Lim and J.-H. Kim 2949 Cytotoxic Activity of Aminoderivatized Cationic Chitosan Derivatives
- J. M. Brow, C. R. Pleatman and
U. Bierbach 2953 Cytotoxic Acridinylthiourea and Its Platinum Conjugate Produce Enzyme-Mediated DNA Strand Breaks
- R. J. Steffan, M. A. Ashwell,
W. R. Solvibile, E. Matelan, E. Largis,
S. Han, J. Tillet and R. Mulvey 2957 Novel Substituted 4-Aminomethylpiperidines as Potent and Selective Human β_3 -Agonists. Part 1: Aryloxypropanolaminomethylpiperidines

- R. J. Steffan, M. A. Ashwell,
W. R. Solvibile, E. Matelan, E. Largis,
S. Han, J. Tillet and R. Mulvey
- 2963 Novel Substituted 4-Aminomethylpiperidines as Potent and Selective Human β_3 -Agonists. Part 2: Arylethanolaminomethylpiperidines
- S. D. Linton, D. S. Karanewsky,
R. J. Ternansky, J. C. Wu, B. Pham,
L. Kodandapani, R. Smidt, J.-L. Diaz,
L. C. Fritz and K. J. Tomaselli
- 2969 Acyl Dipeptides as Reversible Caspase Inhibitors. Part 1: Initial Lead Optimization
- S. D. Linton, D. S. Karanewsky,
R. J. Ternansky, N. Chen, X. Guo,
K. G. Jahangiri, V. J. Kalish,
S. P. Meduna, E. D. Robinson,
B. R. Ullman, J. C. Wu, B. Pham,
L. Kodandapani, R. Smidt, J.-L. Diaz,
L. C. Fritz, U. von Krosigk, S. Roggo,
A. Schmitz and K. J. Tomaselli
- 2973 Acyl Dipeptides as Reversible Caspase Inhibitors. Part 2: Further Optimization
- A. J. Peat, C. Townsend,
J. F. Worley, III, S. H. Allen,
D. Garrido, R. J. Mertz, J. L. Pfohl,
C. M. Terry, J. F. Truax, R. L. Veasey
and S. A. Thomson
- 2977 Synthesis and Evaluation of 7-Substituted-3-cyclobutylamino-4*H*-1,2,4-benzothiadiazine-1,1-dioxide Derivatives as K_{ATP} Channel Agonists
- H. W. Hamilton, G. Nishiguchi,
S. E. Hagen, J. D. Domagala,
P. C. Weber, S. Gracheck,
S. L. Boulware, E. C. Nordby,
H. Cho, T. Nakamura, S. Ikeda and
W. Watanabe
- 2981 Novel Benzthiodiazepinones as Antiherpetic Agents: SAR Improvement of Therapeutic Index by Alterations of the Seven-Membered Ring
- G. Plourde, II, A. El-Shafey,
F. S. Fouad, A. S. Purohit and
G. B. Jones
- 2985 Protein Degradation with Photoactivated Eneidyne-Amino Acid Conjugates
- D. Berger, M. Dutia, D. Powell,
A. Wissner, F. DeMorin, Y. Raifeld,
J. Weber and F. Boschelli
- 2989 Substituted 4-Anilino-7-phenyl-3-quinolinecarbonitriles as Src Kinase Inhibitors
- L. Huang and J. A. Ellman
- 2993 General Solid-Phase Method to Prepare Novel Cyclic Ketone Inhibitors of the Cysteine Protease Cruzain
- J. J. Hale, R. J. Budhu, S. G. Mills,
M. MacCoss, S. L. Gould,
J. A. DeMartino, M. S. Springer,
S. J. Siciliano, L. Malkowitz,
W. A. Schleif, D. Hazuda, M. Miller,
J. Kessler, R. Danzeisen, K. Holmes,
J. Lineberger, A. Carella, G. Carver
and E. A. Emini
- 2997 1,3,4-Trisubstituted Pyrrolidine CCR5 Receptor Antagonists. Part 3: Polar Functionality and Its Effect on Anti-HIV-1 Activity

- C. L. Lynch, J. J. Hale, R. J. Budhu,
A. L. Gentry, S. G. Mills,
K. T. Chapman, M. MacCoss,
L. Malkowitz, M. S. Springer,
S. L. Gould, J. A. DeMartino,
S. J. Siciliano, M. A. Cascieri,
A. Carella, G. Carver, K. Holmes,
W. A. Schleif, R. Danzeisen,
D. Hazuda, J. Kessler, J. Lineberger,
M. Miller and E. A. Emini
- 3001 1,3,4-Trisubstituted Pyrrolidine CCR5 Receptor Antagonists. Part 4: Synthesis of N-1 Acidic Functionality Affording Analogues with Enhanced Antiviral Activity Against HIV
- M. Dukat, M. El-Zahabi, G. Ferretti,
M. I. Damaj, B. R. Martin, R. Young
and R. A. Glennon
- 3005 (–)-6-*n*-Propylnicotine Antagonizes the Antinociceptive Effects of (–)-Nicotine
- R. Frenette, M. Blouin, C. Brideau,
N. Chauret, Y. Ducharme,
R. W. Friesen, P. Hamel,
T. R. Jones, F. Laliberté, C. Li,
P. Masson, M. McAuliffe and
Y. Girard
- 3009 Substituted 4-(2,2-Diphenylethyl)pyridine-*N*-oxides as Phosphodiesterase-4 Inhibitors: SAR Study Directed Toward the Improvement of Pharmacokinetic Parameters

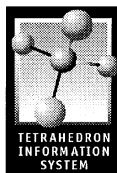
I Instructions to Contributors



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: www.elsevier.com/locate/contentsdirect



<http://www.tetonline.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