

ANTIBACTERIAL AGENTS: SOLUTIONS FOR THE EVOLVING PROBLEMS OF RESISTANCE

Guest editor: Joyce A. Sutcliffe

Rib-X-Pharmaceuticals Inc., Suite 301, 300 George Street, New Haven, CT 06511, USA

Contents

v	Contributors to this Issue
4151	Graphical Abstracts
4157	Bioorganic & Medicinal Chemistry Letters Symposia-in-Print
4159	Preface
4163	Publisher's Note
J. K. Judice and J. L. Pace	4165 Semi-Synthetic Glycopeptide Antibacterials
N. Selvakumar, M. A. Raheem, M. K. Khera, T. V. Rajale, M. S. Kumar, S. Kandepu, J. Das, R. Rajagopalan, J. Iqbal and S. Trehan	4169 Influence of Ethylene-Oxy Spacer Group on the Activity of Linezolid: Synthesis of Potent Antibacterials Possessing a Thiocarbonyl Group
S. D. Paget, B. D. Foleno, C. M. Boggs, R. M. Goldschmidt, D. J. Hlasta, M. A. Weidner-Wells, H. M. Werblood, E. Wira, K. Bush and M. J. Macielag	4173 Synthesis and Antibacterial Activity of Pyrroloaryl-Substituted Oxazolidinones
M. B. Gravestock, D. G. Acton, M. J. Betts, M. Dennis, G. Hatter, A. McGregor, M. L. Swain, R. G. Wilson, L. Woods and A. Wookey	4179 New Classes of Antibacterial Oxazolidinones with C-5, Methylene O-Linked Heterocyclic Side Chains
J. Hill, J. Siedlecki, I. Parr, M. Morytko, X. Yu, Y. Zhang, J. Silverman, N. Controneo, V. Laganas, T. Li, J.-J. Lai, D. Keith, G. Shimer and J. Finn	4187 Synthesis and Biological Activity of <i>N</i> -Acylated Ornithine Analogues of Daptomycin
L. M. Thomasco, R. C. Gadwood, E. A. Weaver, J. M. Ochoada, C. W. Ford, G. E. Zurenko, J. C. Hamel, D. Stapert, J. K. Moerman, R. D. Schaadt and B. H. Yagi	4193 The Synthesis and Antibacterial Activity of 1,3,4-Thiadiazole Phenyl Oxazolidinone Analogues
P. D. Johnson, P. A. Aristoff, G. E. Zurenko, R. D. Schaadt, B. H. Yagi, C. W. Ford, J. C. Hamel, D. Stapert and J. K. Moerman	4197 Synthesis and Biological Evaluation of Benzazepine Oxazolidinone Antibacterials
K. Nakayama, Y. Ishida, M. Ohtsuka, H. Kawato, K. Yoshida, Y. Yokomizo, S. Hosono, T. Ohta, K. Hoshino, H. Ishida, K. Yoshida, T. E. Renau, R. Léger, J. Z. Zhang, V. J. Lee and W. J. Watkins	4201 MexAB-OprM-Specific Efflux Pump Inhibitors in <i>Pseudomonas aeruginosa</i> . Part 1: Discovery and Early Strategies for Lead Optimization
K. Nakayama, Y. Ishida, M. Ohtsuka, H. Kawato, K. Yoshida, Y. Yokomizo, T. Ohta, K. Hoshino, T. Otani, Y. Kurosaka, K. Yoshida, H. Ishida, V. J. Lee, T. E. Renau and W. J. Watkins	4205 MexAB-OprM Specific Efflux Pump Inhibitors in <i>Pseudomonas aeruginosa</i> . Part 2: Achieving Activity In Vivo Through the Use of Alternative Scaffolds

- U. Singh, B. Raju, S. Lam, J. Zhou,
R. C. Gadwood, C. W. Ford, G. E. Zurenko,
R. D. Schaadt, S. E. Morin, W. J. Adams,
J. M. Friis, M. Courtney, J. Palandra,
C. J. Hackbarth, S. Lopez, C. Wu, K. H. Mortell,
J. Trias, Z. Yuan, D. V. Patel and M. F. Gordeev 4209 New Antibacterial Tetrahydro-4(2*H*)-thiopyran and Thiomorpholine *S*-Oxide and *S,S*-Dioxide Phenylloxazolidinones
- M. F. Gordeev, C. Hackbarth, M. R. Barbachyn,
L. S. Banitt, J. R. Gage, G. W. Luehr,
M. Gomez, J. Trias, S. E. Morin,
G. E. Zurenko, C. N. Parker, J. M. Evans,
R. J. White and D. V. Patel 4213 Novel Oxazolidinone–Quinolone Hybrid Antimicrobials
- P. Schneider, S. Hawser and K. Islam 4217 Iclaprim, a Novel Diaminopyrimidine with Potent Activity on Trimethoprim Sensitive and Resistant Bacteria
- R. Jain, A. Sundram, S. Lopez,
G. Neckermann, C. Wu, C. Hackbarth,
D. Chen, W. Wang, N. S. Ryder,
B. Weidmann, D. Patel, J. Trias,
R. White and Z. Yuan 4223 α -Substituted Hydroxamic Acids as Novel Bacterial Deformylase Inhibitor-Based Antibacterial Agents
- C. Hubschwerlen, J.-L. Specklin,
D. K. Baeschlin, Y. Borer, S. Haefeli,
C. Sigwalt, S. Schroeder and H. H. Locher 4229 Structure–Activity Relationship in the Oxazolidinone–Quinolone Hybrid Series: Influence of the Central Spacer on the Antibacterial Activity and the Mode of Action
- F. L. Ciske, M. R. Barbachyn, M. J. Genin,
K. C. Grega, C. S. Lee, L. A. Dolak, E. P. Seest,
W. Watt, W. J. Adams, J. M. Friis, C. W. Ford
and G. E. Zurenko 4235 The Effect of Remote Chirality on the Antibacterial Activity of Indolinyl, Tetrahydroquinolyl and Dihydrobenzoxazinyl Oxazolidinones
- W. J. Watkins, Y. Landaverry, R. Léger,
R. Litman, T. E. Renau, N. Williams, R. Yen,
J. Z. Zhang, S. Chamberland, D. Madsen,
D. Griffith, V. Tembe, K. Huie and M. N. Dudley 4241 The Relationship between Physicochemical Properties, In Vitro Activity and Pharmacokinetic Profiles of Analogues of Diamine-Containing Efflux Pump Inhibitors
- J. Siedlecki, J. Hill, I. Parr, X. Yu,
M. Morytko, Y. Zhang, J. Silverman,
N. Controneo, V. Laganas, T. Li, J. Li,
D. Keith, G. Shimer and J. Finn 4245 Array Synthesis of Novel Lipodepsipeptide

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 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