



Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry Letters

journal homepage: www.elsevier.com/locate/bmcl

Bioorganic & Medicinal Chemistry Letters Volume 25, Issue 21, 2015

25th Anniversary Special Issue

Recent Advances in Medicinal Chemistry and Chemical Biology

Guest Editors:

Robert M. Garbaccio, Douglas S. Johnson, Jiyong Hong and Matthew D. Disney

Contents

Editorial

Dale L. Boger

p 4713

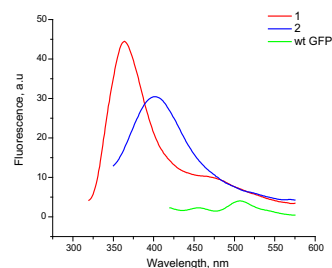
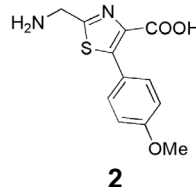
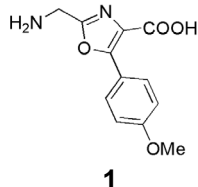
Preface

Robert M. Garbaccio, Douglas S. Johnson, Jiyong Hong, Matthew D. Disney

p 4714

Synthesis of fluorescent dipeptidomimetics and their ribosomal incorporation into green fluorescent protein

Sandipan Roy Chowdhury, Rumit Maini, Larisa M. Dedkova, Sidney M. Hecht*

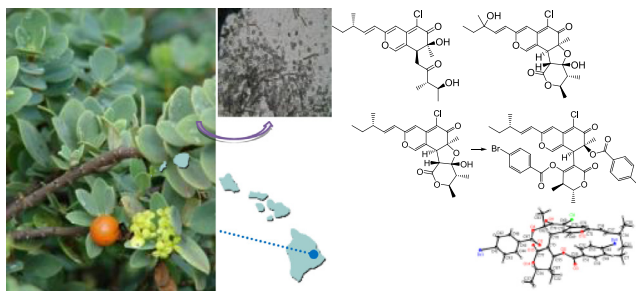


pp 4715–4718

Determination of the absolute configuration of chaetoviridins and other bioactive azaphilones from the endophytic fungus *Chaetomium globosum*

Ui Joung Youn, Tawanun Sripisut, Eun-Jung Park, Tamara P. Kondratyuk, Nighat Fatima, Charles J. Simmons, Marisa M. Wall, Dianqing Sun, John M. Pezzuto, Leng Chee Chang*

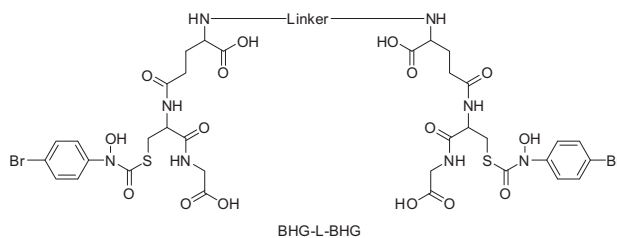
pp 4719–4723



Novel bivalent inhibitors with sub-nanomolar affinities towards human glyoxalase I

pp 4724–4727

Yankui Sang, Qing Shi, Mingguang Mo, Caixia Ni, Zonghe Li, Bichong Liu, Qishan Deng, Donald J. Creighton*, Zhe-Bin Zheng*

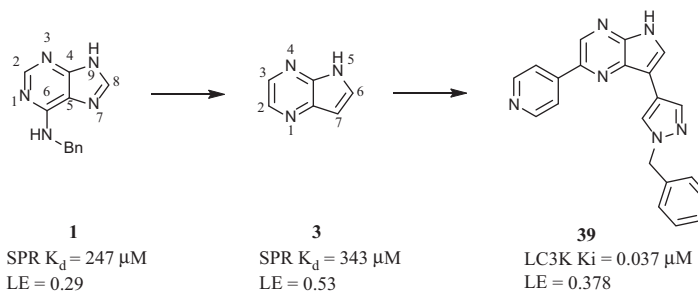


The zinc metalloenzyme glyoxalase I (GlxI) catalyzes the glutathione-dependent inactivation of cytotoxic methylglyoxal. Two competitive bivalent GlxI inhibitors, polyBHG2-62 ($K_i = 1.0$ nM) and polyBHG2-54 ($K_i = 0.3$ nM), were synthesized based on the transition-state analog *S*-(*N*-bromophenyl-*N*-hydroxycarbonyl) glutathione (BHG). The most effective inhibitor, polyBHG2-54, is the first subnanomolar inhibitor of GlxI, and is over 50-fold more potent than BHG itself.

**Fragment-based discovery of potent ERK2 pyrrolopyrazine inhibitors**

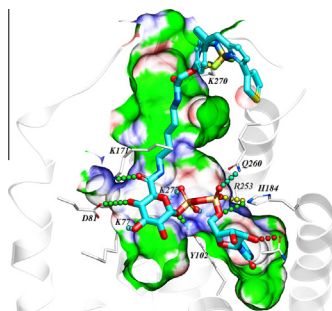
pp 4728–4732

Daniel J. Burdick*, Shumei Wang, Christopher Heise, Borlan Pan, Jake Drummond, JianPing Yin, Lauren Goeser, Steven Magnuson, Jeff Blaney, John Moffat, Weiru Wang*, Huifen Chen*

**Design, synthesis, pharmacological characterization of a fluorescent agonist of the P2Y₁₄ receptor**

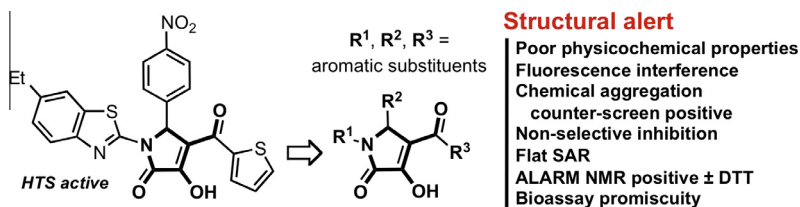
pp 4733–4739

Evgeny Kiselev, Ramachandran Balasubramanian, Elisa Uliassi, Kyle A. Brown, Kevin Trujillo, Vsevolod Katritch, Eva Hammes, Raymond C. Stevens, T. Kendall Harden, Kenneth A. Jacobson*

**Post-HTS case report and structural alert: Promiscuous 4-aryl-1,5-disubstituted-3-hydroxy-2H-pyrrol-2-one actives verified by ALARM NMR**

pp 4740–4752

Jayme L. Dahlin, J. Willem M. Nissink, Subhashree Francis, Jessica M. Strasser, Kristen John, Zhiguo Zhang, Michael A. Walters*



Download English Version:

<https://daneshyari.com/en/article/10593599>

Download Persian Version:

<https://daneshyari.com/article/10593599>

[Daneshyari.com](https://daneshyari.com)