

RESUME

PERSONAL

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SUMMARY

Experienced and accomplished Medicinal Chemist, Research Director and Project Manager with a record of success in over three decades of research in industry (Merck Research Laboratories, Schering-Plough Research Institute), with a focus on cardiovascular/metabolic and CNS therapy areas. Provided leadership to research groups that advanced 20 drug candidates into preclinical development and 11 into clinical studies. Contributed to medicinal chemistry programs that have led to marketed drugs (Vasotec™, Prinivil™), and directed programs that contributed drug candidates now in clinical trials, including vorapaxar (thrombin receptor antagonist – Phase 3) and preladenant (adenosine A2A antagonist – Phase 3). Strong communication and team-building skills. Broad contributions to medicinal chemistry and the medicinal chemistry community that have been recognized with local and national awards.

PROFESSIONAL EXPERIENCE

MedChem Discovery Consulting, LLC, Teaneck, NJ

Principal, November, 2011 - present

Providing consulting services in Preclinical Drug Discovery, including Lead Identification, Lead Optimization, Candidate Nomination and Preclinical Development. Also providing support for evaluation of new technology for medicinal chemistry, intellectual properties issues and chemistry due diligence.

Merck Research Laboratories, Rahway, NJ

Senior Director, Medicinal Chemistry, Rahway, N.J., August, 2010 to September 2011

Provided direction to medicinal chemistry programs in the Cardiovascular and Metabolic Disease areas (50 chemists). Areas of focus were hypertension, thrombosis and obesity/diabetes.

Merck Research Laboratories, Kenilworth, NJ

Vice President, Medicinal Chemistry, and Kenilworth Chemistry Site Head, Kenilworth, N.J., November, 2009 to August, 2010.

Provided leadership for Chemical Research in Kenilworth in support of six Merck Franchise Areas - Cardiovascular, Diabetes/Obesity, Neurosciences, Infectious Diseases, Oncology and BRID (Bone, Respiratory, Immunology, Dermatology), following acquisition of Schering-Plough by Merck. Staff (220 chemists) comprised Medicinal Chemistry, Structural Chemistry, Radiochemistry and Spectroscopy. Supported Franchise prioritization of research programs from both legacy companies, and integration of the two chemistry organizations.

Schering-Plough Research Institute, Kenilworth, NJ

Vice President, CNS and Cardiovascular Chemistry and High-Throughput Synthesis, May 2002 – November, 2009

Managed a group of 80 chemists in the design and synthesis of drug candidates in the CNS and Cardiovascular/Metabolic Disease areas, and in Hit-to-Lead support for all SPRI therapy areas. Advanced multiple drug candidates into development, including vorapaxar and preladenant (both in Phase 3 studies). Provided overall direction to chemistry outsourcing activities that employed 120 chemists at WuXi AppTec (Shanghai, China) in the synthesis of over 200,000 drug-like compounds for the Schering-Plough screening collection. Collaborated productively with 30 chemists at AMRI (Albany, NY) in support of several internal medicinal chemistry programs. Contributed as a key member of the Schering-Plough Global Chemistry Council and Research Leadership Committee.

Senior Director, CNS and Cardiovascular Chemistry, September 1995 to May, 2002.

Directed a group of 45-75 medicinal chemists responsible for the design and synthesis of drug candidates in support of the cardiovascular and CNS therapy areas, and for the efficient operation of Schering-Plough's High-Throughput Synthesis (HiTS) group in support of all therapy areas.

Merck Research Laboratories, Rahway, NJ

Senior Director, Basic Medicinal Chemistry, August 1993 - August 1995.

Directed research in the design and synthesis of receptor antagonists and enzyme inhibitors in the cardiovascular, inflammation and diabetes areas (15-25 chemists).

Director, Exploratory Chemistry Department, July 1989 - July 1993.

Directed research in the design and synthesis of receptor antagonists and enzyme inhibitors in the cardiovascular, central nervous system, and inflammation areas (15-25 chemists).

Assistant Director, Exploratory Chemistry Department, July, 1984 - July 1989.

Directed research projects in hypertension and diabetes (12-15 chemists).

Research Fellow, New Lead Discovery Department, September, 1981 - July, 1984.

Directed research in the design and synthesis of inhibitors of angiotensin-converting enzyme, alanine racemase and D-Ala-D-Ala ligase.

Senior Chemist, New Lead Discovery Department, August, 1977 - August, 1981.

Design and synthesis of inhibitors of angiotensin-converting enzyme.

EDUCATION

Columbia University, February, 1976 - July, 1977

National Institutes of Health Postdoctoral Fellow in Chemistry - Postdoctoral work with Professor Gilbert Stork on the total synthesis of cytochalasin B.

Harvard University, September, 1972 - February, 1976

National Science Foundation Predoctoral Fellow in Chemistry (September 1972 - August, 1975); Ph.D. in Chemistry (June, 1976) - Graduate research with Professor R. B. Woodward on the total synthesis of (+)-marasmic acid.

The Ohio State University, September, 1968 - June, 1972

B.S. in Chemistry (June, 1972), Summa Cum Laude and with Distinction. Undergraduate research with Professor Paul G. Gassman on strained ring hydrocarbons (Junior and Senior academic years, and summers of 1971, 1972).

SOCIETY MEMBERSHIPS

American Chemical Society (since 1972)

American Association for the Advancement of Science (since 1986)

Sigma Xi (elected to membership in 1973)

ACADEMIC AND PROFESSIONAL HONORS

Pennsylvania Drug Discovery Institute 2011 Drug Discovery Award (1st awardee).

Research and Development Council of New Jersey 2009 Thomas Alva Edison Patent Award (Emerging Technology category) – Adenosine A2A Receptor Antagonist (preladenant).

Elected a Fellow of the American Chemical Society, 2009 (inaugural class).

Research and Development Council of New Jersey 2008 Thomas Alva Edison Patent Award (Emerging Technology category) – Thrombin Receptor Antagonist (vorapaxar).

Elected a Fellow of the American Association for the Advancement of Science (AAAS) Pharmaceutical Chemistry Division, 2007.

Inducted into the Medicinal Chemistry Hall of Fame of the American Chemical Society Division of Medicinal Chemistry, 2006 (one of first three chemists given this honor).

Alfred Burger Award in Medicinal Chemistry, American Chemical Society, 2004.

PROFESSIONAL ACTIVITIES

American Chemical Society

Journal of Medicinal Chemistry Perspectives Editor (April, 2006-present); Editorial Advisory Board (2001 – 2006). ACS Medicinal Chemistry Letters Editorial Advisory Board (2010 – present). American Chemical Society Committee on Public Relations and Communications (2008-2009); Committee on Divisional Activities (2010 -). Co-Organizer, ACS PharmaLeaders Conference at Schering-Plough Research Institute, Kenilworth, NJ, November 9-10, 2008. Organized / Chaired 15 symposia for ACS National Meetings (ORGN, MEDI) during 2000-2010, including the Arthur C. Cope Award Symposium and other ACS National Award symposia. Division of Medicinal Chemistry: Vice Chair, 2000; Long-Range Planning Committee Chair, 2000; Program Chair; 2001-2002; Division Chair, 2003. Industrial Councilor (2009-2011; 2012-2014) [elected positions]. Section Editor (Cardiovascular and Pulmonary), Annual Reports in Medicinal Chemistry, (1999 – 2004). Division of Organic Chemistry: Executive Committee Member-at-Large (2000-2003); Chair-Elect (2003); Chair (2004); Past Chair (2005); Program Chair (2007-2008) [elected positions]. Technical Achievements in Organic Chemistry Award Program: Selection Committee (2001), Organizer (2002 - 2003). Symposium Executive Officer and Co-Organizer, 42nd National Organic Chemistry Symposium, Princeton University, June 5 – 9, 2011.

Other Professional Activities

Chair, Gordon Research Conference on Medicinal Chemistry, Colby-Sawyer College, August 3-9, 1997. Co-Organizer, annual Drew Residential School in Medicinal Chemistry and Drew Residential School Special Topics courses (2001 - present). Scientific Advisory Board, Rider University (2002 – 2011). University of Kansas School of Pharmacy Executive Advisory Council, 2005; 2010. Editorial Advisory Board, Medicinal Research Reviews (2002 – 2006). Section Co-Editor for Current Opinion in Drug Discovery and Development (Current Drugs) (1999 - 2006). Co-Organizer, Keystone Conference on Small Molecule Drug Discovery, Whistler, British Columbia, Apr 20 - 25, 2010. Section Head, Drug Discovery & Design Section, Faculty of 1000 Biology (2009 - present). Science Advisory Board, Institute of Chemical Biology and Drug Discovery (ICB&DD) at Stony Brook University (2010 - present).

ADDENDUM (complete lists available at www.medchemdiscovery.com)

SELECTED PUBLICATIONS (of 192 total)

Samuel Chackalamannil, Yuguang Wang, **William J. Greenlee**, Zhiyong Hu, Yan Xia, Ho-Sam Ahn, George Boykow, Yunsheng Hsieh, Jairam Palamanda, Jacqueline Agans-Fantuzzi, Stan Kurowski, Michael Graziano, and Madhu Chintala, Discovery of a Novel, Orally Active Himbacine-Based Thrombin Receptor Antagonist (SCH 530348) with Potent Antiplatelet Activity, *J. Med. Chem.*, **51**, 3061 (2008).

Unmesh Shah, Craig D. Boyle, Samuel Chackalamannil, Bernard R. Neustadt, Neil Lindo, **William J. Greenlee**, Carolyn Foster, Leyla Arik, Ying Zhai, Kwokei Ng, Shiyong Wang, Angela Monopoli, Jean E. Lachowicz, Biaryl and heteroaryl derivatives of SCH 58261 as potent and selective adenosine A2A receptor antagonists, *Bioorg. Med. Chem. Letts.*, **18**, 4199 (2008).

Ruo Xu, David Cole, Ted Asberom, Tom Bara, Chad Bennett, Duane A. Burnett, John Clader, Martin Domalski, **William Greenlee**, Lynn Hyde, Hubert Josien, Hongmei Li, Mark McBriar, Brian McKittrick, Andrew T. McPhail, Dmitri Pissarnitski, Li Qiang, Murali Rajagopalan, Thavalakulamgar Sasikumar, Jing Su, Haiqun Tang, Wen-Lian Wu, Lili Zhang, Zhiqiang Zhao, Design and Synthesis of Tricyclic Sulfones as γ -Secretase Inhibitors with Greatly Reduced Notch Toxicity, *Bioorg. Med. Chem. Letts.*, **20**, 2591 (2010).

Zhaoning Zhu, Zhong-Yue Sun, Yuanzan Ye, Johannes Voigt, Corey Strickland, Elizabeth M. Smith, Jared Cumming, Lingyan Wang, Jesse Wong, Yu-Sen Wang, Daniel F. Wyss, Xia Chen, Reshma Kuvelkar, Matthew E. Kennedy, Leonard Favreau, Eric Parker, Brian A. McKittrick, Andrew Stamford, Michael Czarniecki, **William Greenlee** and John C. Hunter, Discovery of Cyclic Acylguanidines as Highly Potent and Selective β -Site Amyloid Cleaving Enzyme (BACE) Inhibitors: Part I—Inhibitor Design and Validation, *J. Med. Chem.*, **53**, 951 (2010).

Yan Xia, Samuel Chackalamannil, **William J. Greenlee**, Charles Jayne, Bernard Neustadt, Andrew Stamford, Henry Vaccaro, Xiaoying (Lucy) Xu, Hana Baker, Kim O'Neill, Morgan Woods, Brian Hawes, Tim Kowalski, Discovery of a nortropanol derivative as a potent and orally active GPR119 agonist for type 2 diabetes, *Bioorg. Med. Chem. Letts.*, **21**, 3290 (2011).

Julius J. Matasi, Stephanie Brumfield, Deen Tulshian, Michael Czarniecki, **William Greenlee**, Charles G. Garlisi, Hongchen Qiu, Kristine Devito, Shu-Cheng Chen, Youngliang Sun, Rosalia Bertorelli, William Geiss, Van-Duc Le, Gregory S. Martin, Samuel A. Vellekoop, James Haber and Melissa L. Allard, Synthesis and SAR development of novel P2X7 receptor antagonists for the treatment of pain: Part 1, *Bioorg. Med. Chem. Letts.*, **21**, 3805 (2011).

Zhong-Yue Sun, Theodros Asberom, Thomas Bara, Chad Bennett, Duane A. Burnett, Inhou Chou, John Clader, Mary Cohen-Williams, David Cole, Michael Czarniecki, James Durkin, Gioconda Gallo, **William J Greenlee**, Hubert Josien, Xianhai Huang, Lynn Hyde, Nicholas Jones, Irina Kazakevich, Hongmei Li, Xiaoxiang Liu, Julie Lee, Malcolm MacCoss, Troy McCracken, Amin

Nomeir, Robert Mazzola, Anandan Palani, Eric M. Parker, Dmitri Pissarnitski, Jun Qin, Lixin Song, Giuseppe Terracina, Monica Vicarel, Johannes H. Voigt, Ruo Xu, Lili Zhang, Qi Zhang, Zhiqiang Zhao, Xiaohong Zhu, and Zhaoning Zhu, Cyclic hydroxyamidines as amide isosteres: Discovery of oxadiazolines and oxadiazines as potent and highly efficacious γ -secretase modulators in vivo, *J. Med. Chem.*, **55**, 489–502 (2012).

Shu-Wei Yang, Jennifer Smotryski, William T. McElroy, Zheng Tan, Ginny Ho, Deen Tulshian, **William J. Greenlee**, Mario Guzzi, Xiaoping Zhang, Deborra Mullins, Li Xiao, Alan Hruza, Tze-Ming Chan, Diane Rindgen, Carina Bleickardt, Robert Hodgson, Discovery of orally active pyrazoloquinolines as potent PDE10 inhibitors for the management of schizophrenia, *Bioorg. Med. Chem. Letts*, **22**, 235 (2012).

U. S. PATENTS (of 74 total)

Heterocyclic Aspartyl Protease Inhibitors, Zhaoning Zhu, Brian A. McKittrick, Zhong-Yue Sun, Yuanzan C. Ye, Johannes H. Voigt, Corey Strickland, Elizabeth M. Smith, Andrew Stamford, **William J. Greenlee**, Robert Mazzola, John Caldwell, Jared N. Cumming, Lingyan Wang, Yusheng Wu, Ulrich Iserloh, Tao Guo, Thuy X. H. Le, Kurt W. Saionz, Suresh D. Babu, Rachael C. Hunter, Michelle L. Morris, Gang Qian, and Dawit Tadesse, US Patent No. 7,700,603 B2 (April 20, 2010).

Substituted Piperazines as CB1 Antagonists, Eric J. Gilbert, Michael W. Miller, Jack D. Scott, Andrew W. Stamford, **William J. Greenlee** and Jay Weinstein, US Patent No. 7,700,597 B2 (April 20, 2010).

Thrombin Receptor Antagonists, Samuel Chackalamannil, Martin C. Clasby, **William J. Greenlee**, Yuguang Wang, Yan Xia, Enrico P. Veltri and Mariappan V. Chelliah, US Patent No. 7,713,999 B2 (May 11, 2010).

Heterocyclic Aspartyl Protease Inhibitors, Zhaoning Zhu, Brian McKittrick, Zhong-Yue Sun, Yuanzan C. Ye, Johannes H. Voigt, Corey O. Strickland, Elizabeth M. Smith, Andrew Stamford, **William J. Greenlee**, Robert D. Mazzola, John P. Caldwell, Jared N. Cumming, Lingyan Wang, Yusheng Wu, Ulrich Iserloh, Xiaoxiang Liu, Tao Guo, Thu X. E. Le, Kurt W. Saionz, Suresh D. Babu, Rachael C. Hunter, Michelle L. Morris, Huizhong Gu, Gang Qian, Dawit Tadesse, Ying Huang, Guoqing Li, Jianping Pan, Jeffrey A. Misiasek, Gaifa Lai, Jingqi Duo, Chuangxing Qu and Yuefei Shaom US Patent No. 7,773,609 (July 27, 2010).

Aspartyl Protease Inhibitors, Zhaoning Zhu, Brian McKittrick, Zhong-Yue Sun, Yuanzan C. Ye, Johannes H. Voigt, Corey O. Strickland, Elizabeth M. Smith, Andrew Stamford, **William J. Greenlee**, Robert D. Mazzola, John P. Caldwell, Jared N. Cumming, Lingyan Wang, Yusheng Wu, Ulrich Iserloh, Tao Guo, Thuy Le, Kurt W. Saionz, Suresh D. Babu, Rachel C. Hunter, Michelle L. Morris, Huizhong Gu, Gang Qian, and Dawit Tadesse, US Patent No. 7,973,067 (July 5, 2011).