

Tim Cernak

Assistant Professor
Department of Medicinal Chemistry, College of Pharmacy
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Education & Experience

Scientific Advisory Board, NSF Center in Selective C-H Functionalization (CCHF), Atlanta, GA. **2012-2018**
Merck Research Labs, Discovery Chemistry, Rahway, NJ & Boston, MA. **2009-2018**
Post-Doctoral Fellow, with Tristan Lambert, Columbia University, New York, NY. **2007-2009**
Doctor of Philosophy, with Jim Gleason, McGill University, Montréal, QC. **2002-2007**
Bachelor of Science, Chemistry, University of British Columbia Okanagan, Kelowna, BC. **1998-2002**

Publications

- Kun Liu, Ravi Kurukulasuriya, Kevin Dykstra, Lisa DiMichelle, Jinchu Liu, Petr Vachal, Anthony Ogawa, Robert J. DeVita, Dong-Ming Shen, Qiang Tan, Yili Chen, Don Gauthier, Andreas Verras, Alejandro Crespo, Beata Zamlynnny, Jeffrey Madwed, Maarten Hoek, Thomas Bateman, Yun-Fang Yang, Kendall N. Houk, Shane Krska, **Tim Cernak***. "Development of Indazole Mineralocorticoid Receptor Antagonists and Investigation into Their Selective Late-Stage Functionalization", *Bioorganic & Medicinal Chemistry Letters*, **2019**, 29(14), 1854–1858.
- Yang Yu*, Zhicai Wu, Zhi-Cai Shi, Shuwen He, Zhong Lai, **Timothy A. Cernak**, Petr Vachal, Min Liu, Jian Liu, Qingmei Hong, Tianying Jian, Deodial Guiadeen, Arto Krikorian, Donald M. Sperbeck, Andreas Verras, Lisa M. Sonatore, Beth A. Murphy, Judyann Wiltsie, Christine C. Chung, Judith N. Gorski, Jinqi Liu, Jianying Xiao, Michael Wolff, Sharon X. Tong, Maria Madeira, Bindhu V. Karanam, Dong-Ming Shen, James M. Balkovec, Robert J. DeVita, Shirly Pinto, Ravi P. Nargund. "Accelerating the Discovery of DGAT1 Inhibitors Through the Application of Parallel Medicinal Chemistry (PMC)", *Bioorganic & Medicinal Chemistry Letters*, **2019**, 29(11), 1380–1385.
- Shuwen He*, Zhong Lai, Qingmei Hong, Jackie Shang, Mikhail Reibarkh, Jeffrey T. Kuethe, Jian Liu, Diodial Guiadeen, Arto D. Krikorian, **Timothy A. Cernak**, Kevin D. Dykstra, Donald M. Sperbeck, Zhicai Wu, Yang Yu, Ginger X. Yang, Tianying Jian, Andreas Verras, Lisa M. Sonatore, Judyann Wiltsie, Christine C. Chung, Beth A. Murphy, Judith N. Gorski, Jinqi Liu, Jianying Xiao, Michael Wolff, Sharon X. Tong, Maria Madeira, Bindhu V. Karanam, Dong-Ming Sheng, James M. Balkovec, Shirly Pinto, Ravi P. Nargund, Robert J. DeVita. "Benzimidazole-based DGAT1 Inhibitors with a [3.1.0] Bicyclohexane Carboxylic Acid Moiety", *Bioorganic & Medicinal Chemistry Letters*, **2019**, 29(10), 1182–1186.
- Mycah R. Uehling, Ryan P. King, Shane W. Krska, **Tim Cernak***, Stephen L. Buchwald*. "Pharmaceutical Diversification via Oxidative Addition Complexes", *Science*, **2019**, 363, 405–408.
- Hazel Wong and **Tim Cernak***. "Reaction Miniaturization in Eco-Friendly Solvents", *Current Opinion in Green & Sustainable Chemistry*, **2018**, 11, 91–98.
- Shishi Lin, Sergei Dikler, Ronald D. Ferguson, Robert P. Sheridan, Zhengwei Peng, Donald V. Conway, Kerstin Zawatzky, Heather Wang, **Tim Cernak**, Ian W. Davies, Daniel A. DiRocco, Huaming Sheng*, Christopher J. Welch*, Spencer D. Dreher*, "Mapping the Dark Space of Chemical Reactions with Extended Nanomole Synthesis and MALDI-TOF MS", *Science*, **2018**, 361(6402), eaar6236.

- Nathan J. Gesmundo, Bérengère Sauvagnat, Patrick Curran, Matthew P. Richards, Christine L. Andrews, Peter J. Dandliker, **Tim Cernak***. "Nanoscale Synthesis and Affinity Ranking", *Nature*, **2018**, 557, 228—232.
- **Tim Cernak***. "A Machine with Chemical Intuition", *Chem*, **2018**, 4(3), 401—403.
- **Tim Cernak***, Nathan Gesmundo, Kevin D. Dykstra, Yang, Yu, Zhicai Wu, Zhi-Cai Shi, Petr Vachal, Donald Sperbeck, Shuwen He, Beth Murphy, Lisa Sonatore, Steven Williams, Maria Madeira, Andreas Verras, Maud Reiter, Claire Lee, James Cuff, Edward Sherer, Jeffrey Kuethe, Stephen Goble, Nicholas Perrotto, Shirly Pinto, Dong-Ming Shen, Ravi Nargund, James Balkovec, Robert DeVita, Spencer Dreher. "Microscale High-Throughput Experimentation as an Enabling Technology in Drug Discovery: Application in the Discovery of (Piperidinyl)pyridinyl-1H-benzimidazole Diacylglycerol Acyltransferase 1 Inhibitors", *The Journal of Medicinal Chemistry*, **2017**, 60(9), 3594—3605. *Featured Article*.
- **Tim Cernak***. "Synthesis in the Chemical Space Age", *Chem*, **2016**, 1(1), 6—9.
- Peter S. Kutchukian, James F. Dropinski, Kevin D. Dykstra, Bing Li, Daniel A. DiRocco, Eric C. Streckfuss, Louis-Charles Campeau, **Tim Cernak**, Petr Vachal, Ian W. Davies, Shane W. Krska* and Spencer D. Dreher*. "Chemistry Informer Libraries: A Chemoinformatics Enabled Approach to Evaluate and Advance Synthetic Methods", *Chemical Science*, **2016**, 7, 2604—2613.
- **Tim Cernak***, Kevin D. Dykstra, Sriram Tyagarajan, Petr Vachal and Shane W. Krska. "The Medicinal Chemist's Toolbox for Late Stage Functionalization of Drug-Like Molecules", *Chemical Society Reviews*, **2016**, 45(3), 546—576.
- Alexander Buitrago Santanilla, Erik L. Regalado, Tony Pereira, Kevin Bateman, Louis-Charles Campeau, Simon Berritt, Yong Liu, Michael Shevlin, Zhi-Cai Shi, Jonathan Schneeweis, Christopher J. Welch, Roy Helmy, Petr Vachal, Ian Davies, **Tim Cernak*** and Spencer Dreher*. "Nanomolar-Scale High-Throughput Chemistry for the Synthesis of Complex Molecules", *Science*, **2015**, 347(6217), 49—53.
- Shuwen He,* Qingmei Hong, Zhong Lai, David X. Yang, Pauline C. Ting, Jeffrey T. Kuethe, **Timothy A. Cernak**, Kevin D. Dykstra, Donald M. Sperbeck, Zhicai Wu, Yang Yu, Ginger X. Yang, Tianying Jian, Jian Liu, Deodial Guadeen, Arto D. Krikorian, Lisa M. Sonatore, Judyann Wiltsie, Jinqi Liu, Judith N. Gorski, Christine C. Chung, Jack T. Gibson, JeanMarie Lisnock, Jianying Xiao, Michael Wolff, Sharon X. Tong, Maria Madeira, Bindhu V. Karanam, Dong-Ming Shen, James M. Balkovec, Shirly Pinto, Ravi P. Nargund, and Robert J. DeVita. "Discovery of a Potent and Selective DGAT1 Inhibitor with a Piperidinyl-oxy-cyclohexanecarboxylic Acid Moiety", *ACS Medicinal Chemistry Letters*, **2014**, 5(10), 1082—1087.
- Heike Schönherr and **Tim Cernak***. "Profound Methyl Effects in Drug Discovery and a Call for New C-H Methylation Reactions", *Angewandte Chemie International Edition in English*, **2013**, 52(47), 12256—12267.
- **Timothy Cernak***, Kevin Dykstra, Dorothy Levorse, Andreas Verras, James Balkovec, Ravi Nargund and Robert DeVita. "Synthesis of Oxaspiropiperidines as a Strategy for Lowering logD", *Tetrahedron Letters*, **2011**, 52(48), 6457—6459.
- Lisa A. Ambrosini, **Tim A. Cernak** and Tristan H. Lambert. "Total Synthesis of the Tylophora Alkaloids Rusplinone, 13a α -Secoantofine, and Antofine using a Multicatalytic Oxidative Aminochlorocarbonylation / Friedel-Crafts Reaction", *Tetrahedron*, **2010**, 66(26), 4882—4887.
- Lisa A. Ambrosini, **Tim A. Cernak** and Tristan H. Lambert. "Development of Oxidative Formylation and Ketonylation Reactions", *Synthesis*, **2010**, 41(28), 870—881.
- **Tim A. Cernak** and Tristan H. Lambert. "Multicatalytic Synthesis of α -Pyrrolidinyl Ketones via a Tandem Palladium(II)/Indium(III)-Catalyzed Aminochlorocarbonylation/Friedel-Crafts Acylation Reaction", *The Journal of the American Chemical Society*, **2009**, 131(9), 3124—3125.
- Jonathan Hudon, **Timothy A. Cernak**, James A. Ashenurst and James L. Gleason. "Stable 5-Substituted Cyclopentadienes for the Diels-Alder Cycloaddition and Their Application to Palau'amine Synthesis", *Angewandte Chemie International Edition in English*, **2008**, 47, 8885—8888.
- **Timothy A. Cernak** and James L. Gleason. "DFT Guided Design of Exo-Selective Dehydroalanine Dienophiles for Application Towards Palau'amine", *Journal of Organic Chemistry*, **2008**, 73(1), 102—110.
- **Timothy A. Cernak** and James L. Gleason. "Synthesis of 5-Chloromethylene Hydantoins and Thiohydantoins", *Heterocycles*, **2007**, 71(1), 117—134.

Patents

- Kun Liu, Abdelghani Achab, Purakkattle Biju, **Timothy A. Cernak**, Yongqi Deng, Xavier Fradera, Liangqin Guo, Yongxin Han, Shuwen He, Joseph Kozlowski, Derun Li, Guoqing Li, Qinglin Pu, Zhi-Cai Shi, Wensheng

- Yu, Hongjun Zhang. "Novel substituted N'-hydroxycarbamimidoyl-1,2,5-oxadiazole compounds as indoleamine 2,3-dioxygenase (IDO) inhibitors", *PCT International Application*, **2018**, WO2018044663A1.
- Dong-Ming Shen, Melissa Egbertson, Richard Berger, Xiaoxia Qian, Yimin Qian, Bart Harper, Meng Yang, Zack Zhi Qiang Guo, Vanessa L. Rada, Deping Wang, **Timothy A. Cernak**, Christopher J. Sinz, Ming Wang, Jonathan E. Wilson, Shimin Xu. "Pyrimidonecarboxamide compounds as PDE2 inhibitors and their preparation", *PCT International Application*, **2015**, WO2015096651.
 - Xiaoqing Han, Alan Whitehead, Subharekha Raghavan, **Timothy A. Cernak**, Spencer Dreher, Jonathan Groeper, Lian Guo, Yong Zhang. "Pyrrolopyrimidinone derivatives as soluble guanylate cyclase activators and their preparation", *PCT International Application*, **2015**, WO2015088886.
 - **Timothy A. Cernak**, Kevin D. Dykstra, Dong-Ming Shen, Kun Liu, Andrew Stamford, John Qiang Tan. "Preparation of indazole derivatives as mineralocorticoid receptor antagonists for the treatment of aldosterone-mediated diseases", *PCT International Application*, **2014**, WO2014014794.
 - Robert J. DeVita, Shuwen He, Jian Liu, **Timothy A. Cernak**, Arto D. Krikorian, Ginger Xuqiang Yang, Zhicai Wu; Yang Yu, Dong-Ming Shen, Zhong Lai, Qingmei Hong, Ravi P. Nargund. "Preparation of triazolopyridine derivatives and analogs for use as DGAT-1 inhibitors", *PCT International Application*, **2013**, WO201306093.
 - **Timothy A. Cernak**, Robert J. DeVita, Yang Yu, Zhicai Wu, Kevin D. Dykstra, Andreas Verras, James M. Balkovec, Alan Whitehead. "Preparation of bicyclo[2.2.2]octane-1-carboxylic acid derivatives as DGAT1 inhibitors for treatment of diabetes and obesity", *PCT International Application*, **2013**, WO2013068328.
 - Jian Liu, James M. Balkovec, Arto D. Krikorian, Deodial Guadeen, Ginger Yang, Tianying Jian, Zhicai Wu, Yang Yu, Ravi P. Nargund, Petr Vachal, Robert J. DeVita, Shuwen He, Zhong Lai, Radhika M. Blevis-Bal, **Timothy A. Cernak**, Donald M. Sperbeck, Qingmei Hong. "Preparation of imidazopyridinylphenylpyridinylpiperidinylacetic acid derivatives and analogs for use as DGAT1 inhibitors", *PCT International Application*, **2012**, WO2012096813.
 - **Timothy A. Cernak**, James M. Balkovec, Ravi P. Nargund, Maud Reiter, Donald M. Sperbeck, Kevin D. Dykstra, Yang Yu, Spencer Dreher, Kevin M. Maloney, Zhicai Wu, Robert J. DeVita, Andreas Verras. "Spirocyclic Compounds for Treatment of Obesity and Diabetes", *PCT International Application*, **2012**, WO2012009217.

Lectures

- Pfizer (Groton, CT, July 2019)
- Janssen (San Diego, CA, May 2019)
- Genentech (South San Francisco, CA, February 2019)
- Amgen (Thousand Oaks, CA, December 2018)
- University of Dundee (Dundee, UK, November 2018)
- Cayman Chemical Company (Ann Arbor, MI, July 2018)
- Relay Therapeutics (Cambridge, MA, May 2018)
- National Institutes of Health (Bethesda, MD, October 2017)
- Boston College (Boston, MA, May 2017)
- Boston University (Boston, MA, April 2017)
- University of British Columbia Okanagan (Kelowna, BC, January 2017)
- Emory University (Atlanta, GA, December 2016)
- The Broad Institute High Throughput ADME Conference (Cambridge, MA, July 2016)
- King's College London (London, England, June 2016)
- AstraZeneca (Cambridge, England, June 2016)
- Cambridge Healthcare Institute – Mastering Medicinal Chemistry (Cambridge, MA, June 2016)
- International Symposium on C-H Activation (Montreal, QC, May 2016)
- University of Massachusetts Boston (Boston, MA, February 2016)
- Pacificchem (Honolulu, HI, December 2015)
- Center for Selective C-H Functionalization Satellite Symposium (Atlanta, GA, October 2015)
- High Throughput Experimentation Meeting of the Minds (Cambridge, MA, August 2015)
- Gordon Conference: High Throughput Chemistry & Chemical Biology (New London, NH, June 2015)

- Chemical Biology in the Bay Area at UCSF (San Francisco, CA, June 2015)
- Sigma Aldrich (Global Webinar, Milwaukee, WI, March 2015)
- University of Cambridge (Cambridge, England, March 2015)
- University of Nevada, Reno (Reno, NV, February 2015)
- Massachusetts Institute of Technology (Cambridge, MA, August 2014)
- Institut Català d'Investigació Química (Tarragona, Spain, July 2014)
- American Chemical Society 247th National Meeting (Dallas, TX, March 2014)
- Lehman College (New York, NY, October 2013)
- Seton Hall University (South Orange, NJ, November 2012)
- Columbia University (New York, NY, July 2012)
- Vanderbilt University (Nashville, TN, April 2012)
- University of Idaho (Moscow, ID, March 2012) *Terry D. Wilson Lecture*

Awards

- 2016 Merck Green Chemistry Award
- 2014 Merck Rahway Innovation Award
- 2014 Merck Process Chemistry – Scientific Risk Taking Award
- 2013 Merck K15 Building Design Award
- 2013 Merck Medicinal Chemistry – Green Chemistry Award
- 2012 Terry D. Wilson Lectureship, University of Idaho
- 2010 Merck Excellence Award
- 2008-2009 FQRNT Postdoctoral Fellowship (Columbia University)
- 2007 McGill Interdisciplinary Graduate Student Research Symposium Presentation Award
- 2006-2007 McGill Graduate Studies Fellowship
- 2006-2007 FQRNT Predoctoral Fellowship (McGill University)
- 2006 Ocean Nutrition Canada Prize
- 2006 Robert Zamboni Award
- 2005 McGill Alma Mater Travel Grant
- 2004 McGill Alma Mater Travel Grant
- 2002 Okanagan University College Undergraduate Research Award
- 2001 Okanagan University College Dean's List
- 2001 NSERC Undergraduate Student Research Award

Media Coverage

- <https://www.medchemnet.com/posts/17252-chemical-high-throughput-experimentation-shifting-into-high-gear-in-drug-discovery>
- "Embarking on a Chemical Space Odyssey", S. Y. Chow, A. Nelson, *J. Med. Chem.*, **2017**, 60, 3591–3593
- <http://www.sigmaaldrich.com/catalog/papers/26507237>
- http://www.merck.com/about/featured-stories/Tim_Cernak.html
- <http://www.sigmaaldrich.com/life-science/learning-center/customer-education/chemistry-webinar.html>
- <http://www.nature.com/nature/journal/v515/n7528/full/515468d.html>
- <http://www.rsc.org/chemistryworld/2014/11/nanomolar-chemistry-enables-1500-experiments-single-day>
- <http://cen.acs.org/articles/92/i47/Arrays-Aid-ScaleDrug-Candidate-Syntheses.html>
- <http://www.bioanalysis-zone.com/2014/12/12/new-high-throughput-technique-for-rapid-experimentation/>
- http://blogs.sciencemag.org/pipeline/archives/2014/11/25/try_some_reactions_actually_try_them_all
- <http://openflask.blogspot.com/2014/03/magic-methyl-effect.html>
- http://blogs.sciencemag.org/pipeline/archives/2013/10/30/more_magic_methyls_please

Peer Review

- Reviewed manuscripts for *Science*, *Nature*, *The Journal of the American Chemical Society*, *Accounts of Chemical Research*, *Journal of Organic Chemistry*, *ACS Central Science*, *Organic Letters*, *Organic Process Research & Development*, *Angewandte Chemie International Edition*, *RSC Green Chemistry*, *Chemical Society Reviews*, *Tetrahedron*, *Tetrahedron Letters*, *The Journal of Medicinal Chemistry*, *Chem*, *RSC Advances*, *Methods*.
- Commented on new publications for *Chem* and *Chemical & Engineering News*.
- NSF CHE-CCI Review Panelist
- NSF External Reviewer
- NSERC External Reviewer