

# CASEY J. KRUSEMARK

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## EDUCATION

- 2007 **University of Wisconsin-Madison**  
Ph. D. Biochemistry
- 2001 **University of Illinois at Urbana-Champaign**  
B.S. Chemistry, Summa Cum Laude  
B.S. Crop Science, with Highest Honors

## POSITIONS HELD

- 2013-present *Assistant Professor, **Purdue University***  
Department of Medicinal Chemistry and Molecular Pharmacology
- 2007-2013 *Post-doctoral Researcher/Fellow, **Stanford University***  
Department of Biochemistry  
Laboratory of Dr. Pehr Harbury, Co-advisor, Dr. Patrick O. Brown
- 2001- 2007 *Graduate Student Researcher, **University of Wisconsin-Madison***  
Department of Biochemistry  
Laboratory of Dr. Peter Belshaw
- 2004 *Intern, **Sunesis Pharmaceuticals***  
Mass Spectrometry Division under Dr. Mark Cancilla
- 1997-2001 *Undergraduate Researcher/Lab Technician, **University of Illinois at Urbana-Champaign***  
Department of Crop Sciences/Agronomy  
Laboratory of Dr. Jack Widholm

## PROFESSIONAL SERVICES

- 2018-present Scientific Advisory Board, DELopen
- 2018-present Scientific Advisory Board, Dencoda, LLC., West Lafayette, IN
- 2019-present Scientific Consultant, Haystack Sciences, South San Francisco, CA
- 2019 co-Editor, *DNA-encoded Library Technology for Drug Discovery*, Royal Society of Chemistry

## RESEARCH EXPERIENCE AND INTERESTS

- 2001-2007 Photocrosslinking approaches for identification of small molecule protein targets by mass spectrometry (MS)
- 2003-2007 Chemical derivatization of protein and peptide functional groups for improved MS characterization
- 2006-2007 Approaches for covalent labeling of fusion proteins with synthetic probes
- 2007-present Approaches for construction and selection of DNA-encoded chemical libraries
- 2013-present DNA-linked probes for enzyme activity detection by DNA sequence analysis

2013-present            Development of small molecule inhibitors to the chromodomains of CBX family proteins  
2013-present            Development of protein-substrate competitive kinase inhibitors  
2015-present            Development of allosteric inhibitors of calcium and potassium ion channels  
2015-present            Mechanisms of protein kinase inhibitor resistance in cancer therapy

#### **HONORS**

Maximizing Investigator's Research Award for Young Investigators (MIRA), NIH-NIGMS, 2018  
American Cancer Society Postdoctoral Fellowship, 2008-2011  
Stanford Dean's Postdoctoral Fellowship, 2008  
University of Wisconsin Vilas Travel Award, 2006  
University of Wisconsin Excellence in Teaching Award, 2002  
NIH Biotechnology Training Grant Fellow, 2001-2004  
Worth Huff Rodebush Award in Chemical Sciences (UIUC), 2001  
University of Illinois Bronze Tablet, 2001  
Crop Science Undergraduate Research Award (UIUC), 2001

#### **MEMBERSHIPS OF PROFESSIONAL SOCIETIES**

American Chemical Society  
American Peptide Society  
International Chemical Biology Society

#### **PUBLICATIONS**

Kim, D., Sun, Y., Xie, D., Denton, K. E., Chen, H., Lin, H., Wendt, M. K., Post, C. B., **Krusemark, C. J.**, "Application of a Substrate-mediated selection with c-Src tyrosine kinase to a DNA-encoded library." *Molecules*. **2019**, *24*, 2764.

Shinde, A., Hardy, S. D., Kim, D., Akhand, S. S., Jolly, M. K., Wang, W., Anderson, J. C., Khodadadi, R. B., Brown, W. S., George, J. T., Liu, S., Wan, J., Levine, H., Willey, C. D., **Krusemark, C. J.**, Geahlen, R. L., Wendt, M. K., "Spleen Tyrosine Kinase-mediated Autophagy is Required for Epithelial-mesenchymal Plasticity and Metastasis in Breast Cancer." *Cancer Research*. **2019**, *In press*. DOI: 10.1158/0008-5472.CAN-18-2636

Denton, K. E., Wang, S., Gignac, M. C., Milosevich, N., Hof, F., Dykhuizen, E. C., **Krusemark, C. J.**, "Robustness of In Vitro Selection Assays of DNA-encoded Peptidomimetic Ligands to CBX7 and CBX8." *SLAS Discovery*, **2018**, *23*, 417-428. PMID: 29309209

Kim, D., Jetson, R. R., **Krusemark, C. J.**, "A DNA-assisted Immunoassay for Enzyme Activity via a DNA-linked, Activity-based Probe." *Chem. Comm.* **2017**, *53*, 9474-9477. PMID: 28795701

Denton, K. E., **Krusemark, C. J.**, "Crosslinking of DNA-linked ligands to target proteins for enrichment from DNA-encoded libraries." *MedChemComm*. **2016**, *7*, 2020-2027. PMID: 28948007

Jetson, R. R., **Krusemark, C. J.**, "Sensing enzymatic activity by exposure and selection of DNA-encoded probes." *Angewandte Chemie Int. Ed.* **2016**, *55*, 9562-9566. PMID: 27355201

**Krusemark, C. J.**, Tilmans, N. P., Brown, P. O., Harbury, P. B., "Directed chemical evolution with an outsized genetic code." *PLoS One*. **2016**, *11*(8) e0154765. PMID: 27508294

*Prior to Independent career:*

**Krusemark, C. J.**, Frey, B. L., Belshaw, P. J., Smith, L. M., "Complete chemical modification of amine and acid functional groups of peptides and small proteins." In *Methods in Molecular Biology: Gel-Free Proteomics Methods and Protocols*. Vol. 753, Gevaert, K. and Vandekerckhove, J., Eds; Springer: New York, **2011**, 77-91.

Tilmans, N. P., **Krusemark, C. J.**, Harbury, P. B., "Expedient Synthesis of a Modular Phosphate Affinity Reagent." *Bioconj. Chem.* **2010**, *21*, 1010-1013.

**Krusemark, C. J.**, Frey, B. L., Belshaw, P. B., Smith, L. M., "Modifying the Charge State Distribution of Proteins in Electrospray Ionization Mass Spectrometry by Chemical Derivatization." *J. Am. Soc. Mass Spectrom.* **2009**, *20*, 1617-1625.

**Krusemark, C. J.**, Ferguson, J. T., Wenger, C. D., Kelleher, N. L., Belshaw, P. J., "Global Amine and Acid Functional Group Modification of Proteins." *Analytical Chemistry*. **2008**, *80*, 713-720.

**Krusemark, C. J.** and Belshaw, P. J., "Covalent Labelling of Fusion Proteins in Live Cells via an Engineered Receptor-Ligand Pair." *Organic and Biomolecular Chemistry*. **2007**, *5*, 2201-2204.

**Krusemark, C. J.\***, Lamos, S. M.\*, McGee, C. J., Scalf, M., Smith, L. M., Belshaw, P. J., "Mixed Isotope Photoaffinity Reagents for Identification of Small Molecule Targets by Mass Spectrometry." *Angewandte Chemie Int. Ed.* **2006**, *45*, 4329-4333. \*Authors contributed equally.

#### **PATENTS**

**Krusemark, C. J.**, Denton, K. E., and Kim, D, and Jetson, R. R. "Systems and Methods for Proteomic Activity Analysis Using DNA-encoded Probes" US 15,753,317.

**Krusemark, C. J.**; Frey, B. L.; Jue, A.; Smith, L. M.; Coon, J. J. "Labeling peptides with tertiary amines and other basic functional groups for improved mass spectrometric analysis" US 8,592,216.

Shortreed, M. R.; **Krusemark, C. J.**; Lamos, S. M.; Frey, B. L.; Phillips, M. F.; Patel, M.; Kelleher, N. L.; Coon, J. J.; Belshaw, P. J.; Smith, L. M. "Ionizable Isotopic Labeling Reagents for Relative Quantification by Mass Spectrometry" US 7,982,070.

#### **RESEARCH GRANT SUPPORT**

##### Current

Title: *Harnessing the In Vitro Selection for Activity-based Proteomics and Chemical Probe Development*

PI: Casey Krusemark

Period: 09/01/18-08/31/23

Grant Agency: National Institutes of Health-NIGMS (R35 GM128894, MIRA)

Title: *Covalent Crosslinking of DNA-encoded libraries to Target Proteins*

PI: Casey Krusemark

Period: 05/01/19-04/30/20

Grant Agency: X-Chem Pharmaceuticals, Inc.

Title: *DNA-encoded, Drug-like Libraries Based on Privileged Scaffolds Generated by Novel Chemistry*

PIs: Casey Krusemark, Mingj Dai, Markus Lill, Zhong-Yin Zhang  
Period: 09/01/18-08/31/20  
Grant Agency: Purdue University Institute for Drug Discovery

Title: *Discovery of Subtype-selective Ion Channel Inhibitors Targeting Extracellular Toxin-binding Domains*

PIs: Gregory Hockerman, Casey Krusemark, Yang Yang  
Period: 09/01/18-08/31/20  
Grant Agency: Purdue University Institute for Drug Discovery

#### Completed

Title: *In vitro Evolution of Substrates for Highly Specific Kinase Inhibition*

PI: C. Krusemark  
Period: 01/01/14-12/31/14  
Grant Agency: Purdue University Center for Cancer Research (Jim & Diann Robbers Cancer Research Grant for New Investigators)

Title: *DNA-encoding to Enable Discovery of Active Small Molecules*

PI: C. Krusemark  
Period: 07/01/14-06/30/16  
Grant Agency: Ralph W. and Grace M. Showalter Research Trust

Title: *DNA Sequencing of DNA-encoded Peptidomimetics for CBX Chromodomain Ligand Discovery*

PI: C. Krusemark  
Period: 10/02/17-07/02/18  
Grant Agency: Purdue University Center for Cancer Research (Shared Resource Grant)

Title: *Multiplexed Detection of Tyrosine Kinase Activities by DNA Sequencing for Determining Breast Cancer Drug Resistance Mechanisms*

PI: C. Krusemark  
Period: 01/01/18-08/31/18  
Grant Agency: Purdue University Center for Cancer Research (Phase I Concept Award)

Title: *Differential modulation of  $Ca_v1.2$  and  $Ca_v1.3$*

PI: Gregory Hockerman (Purdue University)  
Period: 02/01/17-01/31/19  
Grant Agency: National Institutes of Health-NINDS (R21 1NS101535)

#### **TEACHING**

##### Undergraduate Courses Taught

MCMP 205    Organic Chemistry II  
MCMP 208    Biochemistry for Pharmaceutical Sciences I (Course Director)

##### Professional Courses Taught

PHRM 824    Pathophysiology and Drug Action (6 lectures)

##### Graduate Course Taught

MCMP 690    Graduate Student Seminar-Medicinal Chemistry and Chemical Biology (Course Director)

## **STUDENT MENTORING**

### Current Group Members

#### *Postdoctoral Associates (2)*

Dr. Benjamin Peters (Ph.D. Colorado State University)

Dr. Fei Tang (Ph. D. Australian National University)

#### *Graduate Students (3)*

Bo Cai (BS, China Pharmaceutical University)

Yixing Sun (BS, Peking University)

Sijie Wang (MS, University of Southern California)

### Past Group Members

#### *Staff Scientist (1)*

Dr. Dongwook Kim

#### *Postdoctoral Associates (2)*

Wei Cui (2016) Current Position: Research Scientist, HitGen Ltd., Chengdu, China

Rachael Jetson (2013-2016) Current Position: Research Scientist, X-Chem Pharm., Waltham, MA

#### *Graduate Students (1)*

Kyle Denton (Ph. D. 2017) Current Position: Research Scientist, X-Chem Pharm., Waltham, MA

#### *Undergraduate Students (3)*

Joshua Saliutama (2014-2017) Current Position: Graduate Student, Biochemistry, Clemson University

Ivanna Zhilinskaya (2014-2016) Current Position: Research Tech., X-Chem Pharm., Waltham, MA

Samantha Ivaturi (2014-2015)

## **LECTURES PRESENTED AT PROFESSIONAL MEETINGS**

“Selection-based Sensing: Harnessing the In Vitro Selection as a General Assay Platform” 08/04/2017  
2<sup>nd</sup> Boston Symposium of Encoded Library Platforms, Brandeis University, Waltham, MA

“In Vitro Selection Assays: New Approaches and Applications” 08/21/2017  
254<sup>th</sup> ACS National Meeting, Medicinal Chemistry Division, Washington, DC,  
Session: Encoded Technologies for Lead Generation: Successes and Challenges

“In Vitro Selection Assays: New Approaches and Applications in DNA-encoded Libraries and Activity-based Probes” 09/26/2018  
7<sup>th</sup> Annual Conference of the International Chemical Biology Society, Vancouver, Canada  
Session: The Chemical Biology – Medicinal Chemistry Continuum

“In Vitro Selection Assays of Encoded Molecules: Affinity and Crosslinking-based Assays” 10/19/2018  
8<sup>th</sup> International Symposium on DNA-encoded Chemical Libraries, Chengdu, China

“In Vitro Selection Assays: On-DNA Medicinal Chemistry Optimization of Peptidomimetic Ligands to Chromodomains” 04/02/2018  
257<sup>th</sup> ACS National Meeting, Medicinal Chemistry Division, Orlando, FL  
Session: Academic Drug Discovery

"Critical Evaluation of in vitro Selection Assays for Encoded Libraries and Activity-based Probes"  
09/05/2019 (Scheduled)  
9<sup>th</sup> International Symposium on DNA-encoded Chemical Libraries, Zurich, Switzerland

"Encoding Chemicals with DNA"  
03/07/2020 (Scheduled)  
Molecules in the Midwest: A Regional Biochemistry Conference, Madison, WI  
University of Wisconsin—Madison ASBMB Undergraduate Chapter

#### **SEMINAR PRESENTATIONS**

"Mimicking Nature's Approaches to Build and Assay Synthetic Molecules with Directed Chemical Evolution and DNA-encoded Probes" 04/11/2016  
Division of Biochemistry, Department of Chemistry, Purdue University, West Lafayette, IN

"Mimicking Nature's Methods to Build and Assay Synthetic Molecules" 03/27/2017  
Department of Biochemistry and Molecular Biology, Indiana School of Medicine, Indianapolis, IN

"In Vitro Selection Assays: New Approaches and Applications" 12/20/2017  
HitGen Ltd., Chengdu, China

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 06/19/2018  
X-Chem Pharmaceuticals, Waltham, MA

"In Vitro Selection Assays of DNA-encoded Molecules for Discovery of CBX8 Ligands" 09/28/2018  
Department of Chemistry, University of Victoria, Victoria, BC, Canada

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 12/05/2018  
Chemistry Discovery Group, GlaxoSmithKline, Cambridge, MA

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 1/22/2019  
Department of Pharmaceutical Sciences and Experimental Therapeutics, University of Iowa, Iowa City, IA

"In Vitro Selection Assays of DNA-Encoded Peptidomimetics: Targeting Chromodomains and Protein Tyrosine Kinases" 3/12/2019  
Department of Chemistry-Group of Dr. Juan Del Valle, University of South Florida, Tampa, FL

"In Vitro Selection Assays: New Approaches and Applications in DNA-encoded Libraries and Activity-based Probes" 04/04/2019  
Department of Chemistry and Biochemistry, University of Arizona, Tucson, AZ

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 05/03/2019  
Encoded Medicinal Chemistry Group, Pfizer Inc., Cambridge, MA

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 05/29/2019  
Department of Chemistry, University of Alberta, Edmonton, AL, CA

"In Vitro Selection Assays of Encoded Molecules: New Approaches and Applications" 06/21/2019

Haystack Sciences, South San Francisco, CA

“In Vitro Selection Assays: New Approaches and Applications in DNA-encoded Libraries and Activity-based Probes” 10/04/2019 (Scheduled)

Department of Pharmaceutical Sciences, University of Wisconsin--Madison, Madison, WI