

## Dr. Craig W. Lindsley

### Home Address:

401 Brierly Court  
Brentwood, TN 37027  
(615)-891-1470

### Laboratory Address:

Department of Pharmacology  
MRBIV (Langford), 12415D  
Vanderbilt Medical Center  
Nashville, TN 37232-6600

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**Web site:** [www.lindsleylab.com](http://www.lindsleylab.com)

**Citizenship:** US

**Marital status:** Married (Stacey), three children: Cameron (5), Jayma (3), Paige (2)

### Education:

1997 – 1999	Postdoctoral Fellow, Harvard University, Cambridge, MA
1992 - 1996	Ph.D., University of California, Santa Barbara, Santa Barbara, CA
1988 - 1992	B.S., Chemistry, California State University, Chico, Chico, CA

### Academic Appointments and Research Experience:

01/2011-present	<b>Professor of Pharmacology (<i>with tenure</i>), Professor of Chemistry; Co-Director, Vanderbilt Center for Neuroscience Drug Discovery; Director of Medicinal Chemistry, Vanderbilt Center for Neuroscience Drug Discovery (formerly Vanderbilt Program in Drug Discovery); Director of Drug Discovery, Human Chemical Sciences Institute (Scripps-Vanderbilt); PI, Vanderbilt MLPCN Specialized Chemistry Center; Editor-in-Chief, <i>ACS Chemical Neuroscience</i></b> <i>Member, Vanderbilt Institute of Chemical Biology</i> Vanderbilt University School of Medicine, Vanderbilt University ( <i>Medicinal Chemistry, Drug Discovery, Total Synthesis, Chemical Biology</i> )
04/2010-present	<b>Professor of Pharmacology (<i>with tenure</i>), Professor of Chemistry; Director of Medicinal Chemistry, Vanderbilt Program in Drug Discovery; PI, Vanderbilt MLPCN Specialized Chemistry Center; Editor-in-Chief, <i>ACS Chemical Neuroscience</i></b> <i>Member, Vanderbilt Institute of Chemical Biology</i> Vanderbilt University School of Medicine, Vanderbilt University ( <i>Medicinal Chemistry, Drug Discovery, Total Synthesis, Chemical Biology</i> )
05/08-04/2010	<b>Associate Professor of Pharmacology (<i>with tenure</i>), Associate Professor of Chemistry; Director of Medicinal Chemistry, Vanderbilt Program in Drug Discovery; PI, Vanderbilt MLPCN Specialized Chemistry Center; Co-Director, VICB Synthesis Facility, Editor-in-Chief, <i>ACS Chemical Neuroscience</i></b> <i>Member, Vanderbilt Institute of Chemical Biology</i> Vanderbilt University School of Medicine, Vanderbilt University ( <i>Medicinal Chemistry, Drug Discovery, Total Synthesis, Chemical Biology</i> )
09/06 – 05/08	<b>Associate Professor of Pharmacology, Associate Professor of Chemistry;</b>

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**Director of Medicinal Chemistry, Vanderbilt Program in Drug Discovery;  
Director, Vanderbilt MLSCN Chemistry Molecular Probe Center;  
Co-Director, VICB Synthesis Facility**

*Member, Vanderbilt Institute of Chemical Biology*

Vanderbilt University School of Medicine, Vanderbilt University

*(Medicinal Chemistry, Drug Discovery, Total Synthesis, Chemical Biology)*

07/05-09/06

**Senior Research Fellow/Group Leader  
(Medicinal Chemistry Department)**

Merck Research Laboratories, Merck & Co., West Point, PA

Supervise a group of 19 Ph.D. and B.S./M.S. scientists

*(Neuroscience, Antiviral, Cardiovascular, Cancer, Small Molecule PPIs)*

08/02-07/05

**Research Fellow/Group Leader  
(Medicinal Chemistry Department)**

Merck Research Laboratories, Merck & Co., West Point, PA

*(Cancer, Neuroscience, Antiviral)*

06/01-08/02

**Senior Research Chemist/Group Leader  
(Medicinal Chemistry Department)**

Merck Research Laboratories, Merck & Co., West Point, PA

*(Cancer, Neuroscience, Antiviral)*

05/00-6/01

**Senior Organic Chemist (Chemistry Research Technologies)**

Eli Lilly & Co., Indianapolis, IN

*Lead Discovery (H3 antagonists, MC4 agonists)*

04/99-05/00

**Senior Scientist (Medicinal Chemistry)**

Parke-Davis Pharmaceuticals, Ann Arbor, MI

*Anti-Viral (HIV), Anti-Bacterial, SERM and Rasta resin research.*

05/97-04/99

**Postdoctoral Research with Professor Matthew D. Shair**

Harvard Institute of Chemistry and Cell Biology (ICCB)/Department of  
Chemistry & Chemical Biology; Harvard University, Cambridge, MA

*Biomimetic Solid Phase Synthesis of Benzoxanthone Unnatural Products  
Novel Organometallic and Solid Phase Methodologies.*

06/92-11/96

**Graduate Research with Professor Bruce Lipshutz,**

University of California, Santa Barbara, Santa Barbara, CA

*Organometallic Methodology, Novel Bi-Directional Polyene Linchpins for  
All-E Polyene Synthesis, Development of New Protecting Groups.*

06/91-05/92

**Undergraduate Research with Professor David Ball,**

California State University, Chico, Chico, CA

*Progress Towards the Total Synthesis of Borrellidin.*

Summer 1991

**NSF Summer Undergraduate Research Fellowship with Professor  
Phillip Cruz**

University of California, Santa Cruz, Santa Cruz, CA

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*Isolation, Purification and Characterization of Secondary Sponge Metabolites.*

## Teaching Experience

Fall 2006 – present

### **Professor of Pharmacology & Chemistry**

Vanderbilt University Medical Center/Vanderbilt University  
Pharm 327 *Modern Drug Discovery*  
Chem 324 *Heterocyclic Chemistry*  
IGP 300B: *Small Molecule Design for Biologists*

Fall 2004

### **Adjunct Faculty**

Villanova University  
Chem 398 *Graduate Course on Medicinal/Combinatorial Chemistry*

2000-2001

### **Part-time Instructor/Lecturer**

Indiana University/Purdue University at Indianapolis (IUPUI)  
*General and Organic Chemistry lectures and laboratory*

1992-1996

### **Graduate Teaching Assistant**

University of California, Santa Barbara  
*Organic Laboratory, Honors Organic lab, NMR lab*

## Professional Organizations:

- American Chemical Society (1991-present)
- American Society of Pharmacology and Experimental Therapeutics (2009-present)

## Professional Activities:

### **Intramural:**

#### Thesis Committees:

J. Phillip Kennedy	Chemistry	2007-2010, Chair
R. Nathan Daniels	Chemistry	2007-2010, Chair
Sameer Sharma	Chemical & Physical Biology	2007-2009, Member
Leslie Aldrich	Chemistry	2008-present, Chair
Olgubeminiyi Fadeyi	Chemistry	2008-present, Chair
Michael Schulte	Chemistry	2009-present, Chair
Gordon Lemmon	Chemical & Physical Biology	2008-present, Chair
Paige Selvey	Pharmacology	2007-present, Chair
Sydney Stoops	Pharmacology	2008-present, Member
Nicole Miller	Pharmacology	2008-2010, Member
Jonathan Hemphill	Chemistry	2008-present, Member
Sean Deguire	Chemistry	2008-present, Member
Evan Lebois	Pharmacology	2008-2010, Member
Thomas Bridges	Pharmacology	2007-2010, Member
Steve Townsend	Chemistry	2007-present, Member
Robert Lavieri	Pharmacology	2007-present, Member
Uyen Le	Chemical & Physical Biology	2009-present, Member
John Brogan	Chemical & Physical Biology	2009-present, Member
Matthew O'Reilly	Chemistry	2010-present, Chair
Kris Hahn	Chemistry	2010-present, Chair

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Tim Senter	Chemistry	2010-present, Chair
Josh Bruner	Chemistry	2011-present, Chair

**Extramural:**

NIH, grant reviewer and/or ad hoc on 8 NIH panels including Synthetic Chemistry and Biology A. Standing member of NIH Drug Discovery Review Panel.

Reviewer for: *Organic Letters*, *Journal of Organic Chemistry*, *Journal of Medicinal Chemistry*, *Journal of the American Chemical Society*, *Bioorganic and Medicinal Chemistry Letters* and *Current Topics in Medicinal Chemistry*

Medicinal Chemistry Consultant for: Amgen, Abbott, Eisai, Michael J Fox Foundation

Co-Chair, Chemistry Coordination Committee, MLPCN (2007-present)

**Editorial Positions:**

- Editor-in-Chief, *ACS Chemical Neuroscience* – 2009-onward
- Associate Editor, *Current Topics in Medicinal Chemistry* – 2007-2009.
- Editorial Advisory Boards: *Journal of Combinatorial Chemistry*, *Current Topics in Medicinal Chemistry*, *International Journal of High-Throughput Screening*, *International Journal of Drug Design & Discovery*.
- Guest Editor, *Curr. Top. Med. Chem.*, issue on Small Molecule Protein-Protein Inhibitors (2006/2007)
- Guest Editor, *Curr. Top. Med. Chem.*, issue on Metabotropic Glutamate Receptors (2004/2005)

**Review Positions, Advisory Boards and Honors:**

- Vanderbilt Leadership of a Multi-Investigator Team Award for Two or More Faculty Working Collaboratively or in a Multidisciplinary Manner to Address Important Biological Processes and/or Diseases, 2011.
- ‘Most Cited Article 2003-2010’ *Bioorg. Med. Chem. Lett.* (ref. 26)
- Top 50 ‘Most Cited Articles 2003-2010’ *Bioorg. Med. Chem. Lett.* (ref. 40)
- Invited Instructor, Drew University Medicinal Chemistry Short Course, 2010-onward
- ASPET-Astellas Award for Translational Pharmacology, 2010
- Organizer, Keystone Symposia on ‘Early Stage Drug Discovery’, 2011.
- Faculty of 1000 Biology
- Thomson Reuters *Essential Science Indicators*<sup>SM</sup> Hot New Paper, Most Cited in Field of Pharmacology 2008/2009 (Review ref. 28)
- 6<sup>th</sup> Most Accessed and Downloaded Manuscript in 2009, *ChemMedChem* (ref. 70)
- Co-Chair, Allosteric Modulator Session (MEDI), ACS 238<sup>th</sup> National Meeting 2009.
- Most Read and Cited Article 2008, *Journal of Combinatorial Chemistry* (Review ref. 23)
- Most Read and Cited Article 2008, *ACS Chemical Biology* (Review ref. 27)
- Abbott - medicinal chemistry consultant, 2010-onward

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- Eisai - medicinal chemistry consultant, 2008-onward
- Amgen – medicinal chemistry consultant, 2007-onward
- Reuter's – medicinal chemistry consultant, 2007-onward
- Most Cited Paper 2005-2008 Award, *Bioorg. Med. Chem. Lett.* (reference 27).
- Assessor – Michael J. Fox Foundation for Parkinson's Research, 2006-onward
- Scientific Advisory Board Member. NIH Chemical Genomics Center, 2004-onward
- NIH SEP Pilot Library Grant Review Committee – 2005-2007
- Innovator/key contributor to six MERCK preclinical candidates: **MK-7816** (insomnia), **MK-2637** (schizophrenia), **MK-1832** (atrial fibrillation), **MK-6673** (oncology), **MK-2206** (oncology) and [<sup>18</sup>F]**MK-6577** (schizophrenia tracer).
- Early Development Team (EDT) Chemistry Representative MK-2637
- Merck Research Labs (MRL) Chemistry Representative: Schizophrenia and Neuroscience Target Area Group (TAGs)
- Most Cited Paper 2003-2006 Award, *Bioorg. Med. Chem. Lett.* (reference 26).
- 3<sup>rd</sup> most downloaded and 2<sup>nd</sup> most cited manuscript in 2005, *Bioorg. Med.Chem.Lett.* (reference 26)
- Top 25 most downloaded manuscripts in 2005, *Bioorg. Med.Chem.Lett.* (reference 27)
- Merck Research Labs (MRL) Quarterly Stock Grant Award: 1Q2005, 4Q2005, 1Q2006 (2)
- LHS Alumni Hall of Honor Inductee, 2005
- TES lead optimization paradigm featured in Genetic Engineering News, Vol. 25(14), 2005
- Aldrich commercialized our Rasta resins utilizing our MAOS protocol – 2005
- Our MAOS research featured in C&E NEWS, Vol. 82 (50), Exclusive Online – 2004
- Advisory Board Member. World Pharmaceutical Congress - 'Hit-to-Lead: Streamlining Lead Generation to Enhance Downstream Success' Conference, 2004
- Merck Award for Excellence, Merck Research Laboratories, 2002, 2005, 2006 (3)
- 'Change the World' Award, Eli Lilly & Co., 2001
- Parke-Davis/University of Michigan Mentor/Instructor, 1999-2000
- Harvard Institute of Chemistry and Cell Biology Postdoctoral Fellowship Recipient, 1997-1999
- Robert H. DeWolfe Award for Excellence in Undergraduate Instruction, UCSB, 1995-1996
- Outstanding Graduating Senior in Chemistry, CSUC, 1992
- American Institute of Chemists Award for Outstanding Senior in Chemistry, CSUC, 1992
- President, Student Affiliates of the American Chemical Society, CSUC chapter, 1991-1992
- NSF Summer Undergraduate Research Fellowship Recipient, 1991

**STUDENTS SUPERVISED:**

Over 20 rotation students (Pharmacology, Chemistry and CPB)

J. Phillip Kennedy - Chemistry Graduate Student (2006-2010); currently postdoc in Fesik lab

R. Nathan Daniels - Chemistry Graduate Student (2006-2010); currently postdoc in Fesik lab

Olgubeminiyi Fadeyi - Chemistry Graduate Student

*Dr. Craig W. Lindsley*

Michael Schulte - Chemistry Graduate Student

Leslie Aldrich - Chemistry Graduate Student

Sydney Stoops - Pharmacology Graduate Student

Thomas Bridges - Pharmacology Graduate Student (2006-2010); currently postdoc in Daniels lab

Evan Lebois - Pharmacology Graduate Student (2008-2010); currently in Emory Ph.D. program

Robert Lavieri - Pharmacology Graduate Student

Sameer Sharma – CPB Graduate Student (2007-2009); currently in VU MBA Program

Uyen Le – Chemical and Physical Biology Graduate Student

John Brogan - Chemical and Physical Biology Graduate Student

Patirck Gentry - Chemical and Physical Biology Graduate Student

Tim Senter - Chemistry Graduate Student

Kris Hahn - Chemistry Graduate Student

Supervised over 12 Vanderbilt Chemistry Undergraduate Researchers

**POSTDOCTORAL FELLOWS SUPERVISED:**

Jana Lewis (2007-2009); currently Sr. Scientist at Living Proof, Inc.

Jason Buck (2007-2008); currently postdoc in VU Imaging Center

Ya Zhou (2007-2009); currently staff scientist in VCNDD

Dustin Haddenham (2010- 2011); currently, Scientists at Boehringer-Ingelheim (Process Chemistry)

Chris Tarr (2010- )

Steven Townsend (2010-)

Margie Mattmann (2011- )

**VPDD/MLPCN STAFF SCIENTISTS SUPERVISED:** 10 Ph.D.s, 18 B.S./M.S.

**MERCK:** Supervised a group of 20 Medicinal Chemists (4 Ph.D.s, 16 B.S./M.S.)

**Funding (Grants):**

**Active**

- VU Therapeutic Discovery Grant ‘mGluR4 PAMs for Parkinson’s Disease’ (\$4.5 million), co-PI, 01/01/2007-02/28/2010, 0% effort.
- Michael J. Fox Foundation LEAPS Award ‘mGluR4 PAMs for PD’ (\$4.4 million), co-PI, 01/01/2008-12/31/2011, 10% effort.
- 1RO1MH082867-01 ‘Sel. M1 mAChR Allost. Mod. for Schizophrenia’ (\$1.12 million), PI, 05/20/2008-04/30/2013, 18% effort.
- 1RO1DA023947-01 ‘Partial Antag. of mGluR5 for the Treatment of Cocaine Addiction’ (\$1.36 million), PI, 06/01/2008-05/31/2013, 20% effort.
- 1U54MH084659-01 ‘Vanderbilt Spec. Chem. Center for Accel. Probe Dev.’ (\$25.9 million), PI, 09/01/2008-05/31/2014, 25% effort.
- 1U01MH087965-01 ‘Vanderbilt NCDDDG for Discovery of Novel Treatments for Schizophrenia’ (\$11.3 million), co-PI, 12/01/2009-11/30/2014, 15% effort.
- 1U54MH084659-S01 ‘Design and synthesis of libraries of small molecule protein-protein inhibitors’ (\$1 million), PI, 06/01/2010 – 05/31/2012, no effort.
- 1U54MH084659-S02 ‘DMPK Profiling and Optimization of MLPCN Probes’ (\$1 million), PI, 06/01/2010 – 05/31/2012, no effort.
- McDonnell Foundation, VUMC220020246 ‘PLD Inhibitors for the Treatment of Cancer’ (\$150K), co-PI, 08/01/2010 – 07/31/2011, no effort.

**Funding (Corporate Partnerships):**

- VUMC33842, Seaside Therapeutics ‘mGluR5 Partial Antagonists for the Treatment of FXS’ (\$4.5 million), co-PI, 12/01/2007-6/31/2011, 1% effort.
- VUMC34998, Johnson & Johnson ‘mGluR5 Positive Allosteric Modulators for Schizophrenia’ (\$11 million), co-PI, 12/01/2008-12/31/2011, 1% effort.

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- VUMC36176, Seaside Therapeutics 'M1 Antagonists for the Treatment of FXS' (\$5.0 million), co-PI, 01/01/2010-12/31/2012, 1% effort.

### **Completed**

- Alzheimer Association IIRG-07-57131 'Novel Muscarinic Therapeutics for AD' (\$239 K), PI.
- VICB Pilot Project Grant 'M1 PAMs for Alzheimer's Disease' (\$45K), PI
- VICB Pilot Project Grant 'GLP-1 PAMs for Diabetes' (\$45K), co-PI
- ACS-IRG 'Allosteric Akt and PDK1 inhibitors' (\$20K), PI
- 3U54 MH074427-02S1, NIH/MLSCN Chemistry Core Supplement (\$500K), PI
- Vanderbilt UCDPG Infrastructure Grant 'Preparative Chiral HPLC' (\$174,600), co-PI

### **Bibliometrics** (based on Web of Knowledge Database)

- Total citations (1994-2010): 2,874
- Average citations per manuscript: 15.3; Average citations per year: 159.7
- h-index: 29

### **Publications:**

#### **In Preparation**

14. Noetzel, M.J.; Rook, J.M.; Vinson, P.N.; Cho, K.P.; Days, E.; Zhou, Y.; Rodriguez, A.L.; Lavreysen, H.; Stauffer, S.R.; Niswender, C.M.; Xiang, Z.; Daniels, J.S.; Lindsley, C.W.; Weaver, C.D.; Conn, P.J. 'Positive allosteric modulators of the metabotropic glutamate receptor subtype 5 have in vivo activity independent of their pharmacological classification' *Mol. Pharm., in preparation.*
13. Digby, G.J.; Walker, A.G.; LeBois, E.P.; Utley, T.J.; Xiang, Z.; Miller, N.R.; Plumley, H.C.; Davis, A.A.; Morrison, R.; Daniels, S.; Lindsley, C.W.; Conn, P.J. 'Discovery and characterization of VU0364572, A CNS-penetrant M1-selective partial agonist that increases activity in medium spiny neurons and hippocampus' *Nat. Chem. Bio., in preparation.*
12. Selvy, P.E.; Lindsley, C.W.; Bornhop, D.A.; Brown, H.A. 'Novel bimodal mechanism of small molecule inhibition of lipid signaling' *Nature, in preparation.*
11. O'Reilly, M.C.; Lindsley, C.W. 'Enantioselective synthesis of protected morpholines' *Org. Lett., in preparation*
10. Schulte, M.L.; Fadeyi, O.O.; Lindsley, C.W. 'Highly diastereoselective and general synthesis of primary  $\beta$ -fluoroamines' *Org. Lett., in preparation.*
9. Selvy, P.E.; Lavieri, R.; Lindsley, C.W.; Brown, H.A. 'Phospholipase D: enzymology, signaling, and chemical modulation. *Chem. Rev., in preparation (invited).*
8. Schulte, M.L.; Lindsley, C.W. 'Tropane-based alkaloids as muscarinic antagonists for the treatment of asthma, obstructive pulmonary disease and motion sickness' in *Bioactive Heterocyclic Compound Classes: Pharmaceuticals and Agrochemicals*, Dinges, J., Ed., Wiley-VCH Verlag GmbH & Co., Germany, *in preparation.*
7. Lindsley, C.W. and Conn, P.J. 'Allosteric Modulation of GPCRs' *J. Med. Chem., in preparation*

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(invited Perspective.)

6. Gentry, P.W.; Salovich, J.; Engers, D.; Gogliotti, R.; Cheung, Y-Y.; Lindsley, C.W.; Hopkins, C.R. 'One-step microwave-assisted synthesis of substituted hydantoins from amino acids and isocyanates' *Tetrahedron Lett.*, in preparation.
5. Williams, D.L. Jr; Wolkenberg, S.E.; Zhao, Z.; Wisnoski, D.D.; Leister, W.H.; O'Brien, J.A.; Lemaire, W.; Jacobson, M.A.; Kinney, G.G; Pettibone, D.J.; Tiller, P.R.; Smith, S.; Gibson, C.; Ma, B.K.; Polsky-Fisher, S.L.; Lindsley, C.W.; Hartman, G.D; Sur, C. 'In vitro and in vivo pharmacology of 2,4-dichloro-N-((1-propylsulfonyl)-4-(pyridine-2-yl)piperidin-4-yl)methyl benzamide, a potent and orally active GlyT1 inhibitor' *J. Pharmacol. Exp. Therapeut.*, in preparation.
3. Brogan, J.T.; Lindsley, C.W. 'Total synthesis of (+)-tryptarginine and (+)-5-bromo-tryptarginine via Bronsted base catalysis' *Org. Lett.*, in preparation.
3. Fadeyi, O.; Lindsley, C.W. 'Novel Methodology for the Enantioselective Synthesis of Decahydropyrrol[1,2-*a*]azocines, Octahydropyrrolo[1,2-*a*]azepines and Octahydroindolizines' *J. Am. Chem. Soc.*, in preparation.
2. Kane, A.S.; Williams, R.; Lindsley, C.W.; Conn, P.J.; Jones, C.K. 'Glycine transporter 1 inhibitor ACPPB facilitates extinction of conditioned fear responses in preclinical model of PTSD' *Proc. Natl. Acad. Sci. USA*, in preparation.
1. Kane, A.S.; Bubser, M.; Bridges, T.M.; Kennedy, J.P.; Deutch, A.Y.; Lindsley, C.W.; Conn, P.J.; Jones C.K. 'Modulation of monoamine turnover and antipsychotic-like activity in rats using novel selective allosteric activator of the M<sub>4</sub> muscarinic acetylcholine receptor' *J. Neurosci.*, in preparation.

### **In Press/submitted**

13. Robichaud, A.J.; Engers, D.W.; Lindsley, C.W.; Hopkins, C.R. 'Recent Progress on the Identification of Metabotropic Glutamate 4 Receptor Ligands and Their Potential Utility as CNS Therapeutics' *ACS Chem. Neurosci.*, submitted.
12. Jones, C.K.; Engers, D.W.; Thompson, A.D.; Field, J.R.; Blobaum, A.L.; Lindsley, S.R.; Zhou, Y.; Gogliotti, R.D.; Jadhav, S.; Zamorano, R.; Daniels, J.S.; Morrison, R.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Niswender, C.M.; Hopkins, C.R. 'Discovery, Synthesis, SAR Development of a Series of N-4-(2,5-dioxopyrrolidin-1-yl)-phenylpicolinamides: Characterization of VU0195 (ML182) as a Centrally Active Positive Allosteric Modulator of Metabotropic Glutamate Receptor 4 (mGlu<sub>4</sub>) with Oral Efficacy in an anti-Parkinsonian Animal Model' *J. Med. Chem.*, submitted.
11. Xu, J.; Zhu, Y.; Kraniotis, S.; Stauffer, S.R.; Lindsley, C.W.; Conn, P.J.; Contractor, A. 'Potentiating mGluR5 function with a positive allosteric modulator enhances adaptive learning' *J. Neurosci.*, submitted.
10. Conrad, K.L.; Davis, A.R.; Silberman, Y.; Sheffler, D.; Shields, A.D.; Saleh, S.; Matthies, H.J.G.; Conn, P.J.; Lindsley, C.W.; Winder, D.G. 'Orexin mediates yohimbine actions in the BNST and impaired extinction of cocaine place preference through a norepinephrine-independent process' *Biol. Psychiatry*, submitted.
9. Mattman, M.E.; Stoops, S.L.; Lindsley, C.W. 'Update on Akt inhibitors: a patent overview' *Exp. Opin. Ther. Patent*, submitted.
8. Thomsen, M.; Lindsley, C.W.; Conn, P.J.; Wessell, J.; Fulton, B.S.; Wess, J.; Caine, S.B. 'Evidence of contribution of both M<sub>1</sub> and M<sub>4</sub> receptors to muscarinic agonist attenuation of cocaine's discriminative stimulus' *Psychopharmacology*, submitted.



7. Speedy, N.; Saunders, C.; Davis, A.R.; Owens, W.A.; Matthies, H.J.G.; Saadat, S.; Kennedy, J.P.; Vaughan, R.A.; Neve, R.; Lindsley, C.W.; Russo, S.J.; Daws, L.C.; Niswender, K.; Galli, A. 'Impaired Striatal Akt Signaling Disrupts Dopamine Homeostasis and Increases Caloric Intake' *PLoS Biology*, *submitted*.
6. Wang, H-R.; Wu, M.; Yu, H.; Long, S.; Stevens, A.; Engers, D.W.; Sakin, H.; Daniels, J.S.; Dawson, E.S.; Hopkins, C.R.; Lindsley, C.W.; Li, M.; McManus, O.B. 'Selective inhibition of the  $K_{ir}2$  family of inward rectifier potassium channels by a small molecule probe: the discovery, SAR and pharmacological characterization of ML133' *ACS Chem. Bio.*, *submitted*.
5. Stoops, S.L.; Pearson, S.A.; Weaver, C.; Waterson, A.G.; Days, E.; Farmer, C.; Brady, S.; Weaver, C.D.; Beauchamp, R.D.; Lindsley, C.W. 'Identification and optimization of small molecules that restore E-cadherin expression and reduce invasion in colorectal cells' *ACS Chem. Bio.*, *WEB ASAP*.
4. Norton, L.J.; Zhang, Q.; Saquib, K.M.; Schrewe, H.; Anderson, K.E.; Lindsley, C.; Brown, A.; Rudge, S.A.; Wakelam, M.J.O. 'PLD1, rather than PLD2, regulates phorbol ester-, adhesion dependent-, and Fc $\gamma$  receptor- stimulated reactive oxygen species production in neutrophils' *J. Cell Sci.*, *in press*.
3. Cipriano, R.; Graham, J.; Miskimen, K.; Bruntz, R.C.; Lindsley, C.W.; Scott, S.A.; Brown, H.A.; Stark, G.R.; Jackson, M.W. 'Discovery of a novel family of oncogenes using a validation-based forward genetic strategy' *Nature Med.*, *in press*.
2. Mueller, R.; Dawson, E.S.; Niswender, C.M.; Mariusz, B.; Weaver, C.D.; Lindsley, C.W.; Conn, P.J.; Meiler, J. 'Virtual high-throughput screening as a robust tool to identify metabotropic glutamate receptor subtype 4 potentiators' *ACS Chem. Neurosci.*, *submitted*.
1. Lindsley, C.W.; Bates, B.S.; Menon, U.N.; Jadhav, S.B.; Kane, A.S.; Jones, C.K.; Conn, P.J.; Olsen, C.M.; Winder, D.G.; Emmitte, K.A. '(3-Cyano-5-fluorophenyl)biaryl non-competitive antagonists of mGlu $_5$ : Discovery of a new tool compound with activity in mouse models of anxiety and addiction' *ACS Chem. Neurosci.*, *WEB ASAP*.

### **Primary Literature**

131. Lamb, J.A.; Engers, D.W.; Niswender, C.M.; Venable, D.; Conn, P.J.; Lindsley, C.W. 'Discovery of molecular switches within the ADX-47273 mGlu $_5$  PAM scaffold that modulate modes of pharmacology to afford potent mGlu $_5$  NAMs, PAMs, and partial antagonists' *Bioorg. Med. Chem. Lett.* **2011**, *21*, 2711-2714.
130. Reid, P.R.; Bridges, T.M.; Sheffler, D.A.; Cho, H.P.; Lewis, L.M.; Days, E.; Daniels, J.S.; Jones, C.K.; Niswender, C.M.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Wood, M.R. 'Discovery and optimization of a novel, selective and brain penetrant M $_1$  positive allosteric modulator (PAM): the development of ML169, an MLPCN Probe' *Bioorg., Med. Chem. Lett.* **2011**, *21*, 2697-2701.
129. Wolkenberg, S.E.; Zhao, Z.; Thut, C.; Maxwell, J.W.; McDonald, T.P.; Kinose, F.; Reilly, M.; Lindsley, C.W.; Hartman, G.D. 'Design, synthesis and evaluation of novel 3,6-diaryl-4-aminoalkoxyquinolines as selective agonists of somatostatin receptor subtype 2' *J. Med. Chem.* **2011**, *54*, 2351-2358.
128. Cheung, Y.Y.; Zamorano, R.; Blobaum, A.L.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Niswender, C.M.; Hopkins, C.R. 'Solution-phase parallel synthesis and SAR of homopiperazinyl analogs as positive allosteric modulators of mGlu $_4$ ' *ACS Combi. Sci.* **2011**, *13*, 159-165.
127. Williams, R.; Manka, J.T.; Rodriguez, A.L.; Vinson, P.N.; Niswender, C.M.; Weaver, C.D.; Jones, C.K.; Conn, P.J.; Lindsley, C.W.; Stauffer, S.R. 'Synthesis and SAR of centrally active mGlu $_5$

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positive allosteric modulators based on an aryl acetylenic bicyclic lactam scaffold' *Bioorg. Med. Chem. Lett.* **2011**, *21*, 1350-1353.

126. Engers, D.W.; Field, J.R.; Le, U.; Zhou, S.; Bolinger, J.D.; Zamorano, R.; Blobaum, A.L.; Jones, C.K.; Jadhav, S.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Niswender, C.M.; Hopkins, C.R. 'Discovery, Synthesis, and SAR Development of a Series of *N*-(4-acetamido)-phenylpicolinamides as Positive Allosteric Modulators of Metabotropic Glutamate Receptor 4 (mGlu<sub>4</sub>) with CNS Exposure in Rats' *J. Med. Chem.* **2011**, *54*, 1106-1110.
125. Hamill, T.G.; Eng, W.; Jennings, A.S.R.; Lewis, R.T.; Thomas, S.; Wood, S.; Street, L.J.; Wisnoski, D.D.; Wolkenberg, S.W.; Lindsley, C.W.; Sanabria-Bohorquez, S.M.; Patel, S.; Ryan, C.; Cook, J.; Sur, C.; Burns, H.D.; Hargreaves, R. 'The synthesis and preclinical evaluation in rhesus monkey of [<sup>18</sup>F]MK-6577 and [<sup>11</sup>C]CMPyPB, glycine transporter 1 (GlyT1) PET radiotracers' *Synapse* **2011**, *65*, 261-270.
124. Dunaway, C.M.; Hwang, Y.; Lindsley, C.W.; Cook, R.S.; Wu, J.Y.; Boothby, M.; Chen, J.; Brantley-Sieders, D.M. 'Cooperative signaling between Slit2 and Ephrin-A1 regulates a balance between angiogenesis and angiostasis' *Mol. Cell Bio.* **2011**, *31*, 404-416.
123. Kang, D.W.; Park, M.H.; Lindsley, C.; Brown, H.A.; Min, D.S. 'Autoregulation of phospholipase D activity is coupled to selective induction of phospholipase D1 expression to promote invasion of breast cancer cells' *Int. J. Cancer*, **2011**, *128*, 805-816.
122. Bhave, G.; Chauder, B.A.; Liu, W.; Dawson, E.S.; Kadakia, R.; Nguyen, T.T.; Lewis, L.M.; Meiler, J.; Weaver, C.D.; Satlin, L.M.; Lindsley, C.W.; Denton, J.S. 'Development of a selective small-molecule inhibitor of Kir<sub>1.1</sub>, the renal outer medullary potassium channel' *Mol. Pharm* **2011**, *79*, 42-50.
121. Rodriguez, A.L.; Grier, M.D.; Jones, C.K.; Herman, E.J.; Kane, A.S.; Smith, R.L.; Williams, R.; Zhou, Y.; Marlo, J.E.; Days, E.L.; Blatt, T.N.; Jadhav, S.; Menon, U.; Vinson, P.N.; Rook, J.M.; Stauffer, S.R.; Niswender, C.M.; Lindsley, C.W.; Weaver, C.D.; Conn, P.J. 'Discovery of novel allosteric modulators of metabotropic glutamate receptor subtype 5 reveals chemical and functional diversity and in vivo activity in rat behavioral models of anxiolytic and antipsychotic activity' *Mol. Pharm.* **2010**, *78*, 1105-1123.
120. Zhou, Y.; Manka, J.; Rodriguez, A.L.; Weaver, C.D.; Jones, C.K.; Conn, P.J.; Lindsley, C.W.; Stauffer, S.R. '*N*-Aryl piperazines as selective mGlu<sub>5</sub> potentiators with improved physiochemical properties and efficacy in a rodent model predictive of antipsychotic activity' *ACS Med. Chem. Lett.* **2010**, *1*, 433-438.
119. Hammond, A.S.; Rodriguez, A.L.; Niswender, C.M.; Lindsley, C.W.; Conn, P.J. 'Discovery of a novel chemical class of allosteric ligands of metabotropic glutamate receptor subtype 5 indicates distinct modes of efficacy as well as a unique interaction with the receptor' *ACS Chem. Neurosci.*, **2010**, *1*, 702-716.
118. Lavieri, R.; Scott, S.A.; Selvy, P.E.; Brown, H.A.; Lindsley, C.W. 'Design, Synthesis and Biological Evaluation of Halogenated *N*-(2-(4-Oxo-1-phenyl-1,3,8-triazasprio[4.5]decan-8-yl)ethylbenzamides: Discovery of an Isoform Selective Small-Molecule Phospholipase D2 (PLD2) Inhibitor' *J. Med. Chem.* **2010**, *53*, 6706-6719.
117. Bridges, T.M.; Kennedy, J.P.; Hopkins, C.R.; Conn, P.J.; Lindsley, C.W. 'Heterobiaryl and heterobiaryl ether derived M<sub>5</sub> positive allosteric modulators' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 5617-5622.

Dr. Craig W. Lindsley

116. Ansari, M.; Rook, J.; Conn, P.J.; Felts, A.; Lindsley, C.W.; Alagille, D.; Tamagnan, G.; Kessler, R.; Baldwin, R. 'Microwave synthesis of [<sup>18</sup>F]FPEB in a semi-automated synthesis module' *J. Nucl. Med.* **2010**, *51*, 141-142.
115. Robertson, S.D.; Owens, A.W.; Matthies, H.J.G.; Sathananthan, V.; Christianson, N.S.B.; Kennedy, J.P.; Lindsley, C.W.; Daws, L.C.; Galli, A. 'Insulin reveals Akt signaling as a novel regulator of norepinephrine transporter trafficking and norepinephrine homeostasis' *J. Neurosci.* **2010**, *30*, 11305-11316.
114. Davis, A.A.; Heilman, C.J.; Brady, A.E.; Miller, N.R.; Fuerstenau-Sharpo, M.; Hanson, B.J.; Lindsley, C.W.; Conn, P.J.; Lah, J.J.; Levey, A.I. 'Differential effects of allosteric M<sub>1</sub> muscarinic acetylcholine receptor agonists on receptor activation, arrestin 3 recruitment, and receptor downregulation' *ACS Chem. Neurosci.* **2010**, *1*, 542-551.
113. Aldrich, L.N.; Stoops, S.L.; Crews, B.C.; Marnett, L.J.; Lindsley, C.W. 'Total synthesis and biological evaluation of tabjamine K and a library of unnatural analogs' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 5207-5211.
112. Engers, D.W.; Gentry, P.R.; Williams, R.; Bolinger, D.L.; Weaver, C.D.; Menon, U.N.; Conn, P.J. Lindsley, C.W.; Niswender, C.M.; Hopkins, C.R. 'Synthesis and SAR of novel, 4-(phenylsulfamoyl)phenylacetamide mGluR4 PAMs identified by functional HTS' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 5175-5178.
111. Felts, A.S.; Lindsley, S.R.; Lamb, J.P.; Rodriguez, A.L.; Menon, U.N.; Jadhav, S.; Conn, P.J.; Lindsley, C.W.; Emmitte, K.A. '3-Cyano-5-fluoro-*N*-arylbenzamides as negative allosteric modulators of mGlu<sub>5</sub>: Identification of easily prepared tool compounds with CNS exposure in rats' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 4390-4394.
110. Speed, N.R.; Matthies, H.J.G.; Kennedy, J.P.; Vaughan, R.A.; Javitch, J.A.; Russo, S.J.; Lindsley, C.W.; Niswender, K.A.; Galli, A. 'Akt Dependent and Isoform Specific Regulation of the Dopamine Transporter Cell Surface Expression' *ACS Chem. Neurosci.* **2010**, *1*, 476-481.
109. Fadeyi, O.; Schulte, M.; Lindsley, C.W. 'Rapid, general access to chiral *N*-alkyl terminal aziridines via organocatalysis' *Org. Lett.* **2010**, *12*, 3276-3278.
108. Siuta, M.A.; Robertson, S.D.; Kocalis, H.; Saunders, C.; Gresch, P.J.; Khatri, V.; Chiyo Shiota, C.; Kennedy, J.P.; Lindsley, C.W.; Daws, L.C.; Polley, D.B.; Veenstra-Vanderweele, J.; Stanwood, G.D.; Magnuson, M.A.; Niswender, K.D.; Galli, A. 'Dysregulation of the norepinephrine transporter sustains cortical hypodopaminergia and schizophrenia-like behaviors in neuronal rictor null mice' *PLoS Biology* **2010**, *8*, e1000393.
107. Salovich, J.A.; Lindsley, C.W.; Hopkins, C.R. 'Synthesis of 2,3-diarylsubstituted indazole utilizing a Suzuki cross-coupling/deprotection/*N*-arylation sequence' *Tetrahedron Lett.* **2010**, *51*, 3796-3799.
106. Williams, R.; Zhou, Y.; Niswender, C.M.; Luo, Q.; Conn, P.J.; Lindsley, C.W.; Hopkins, C.R. 'Re-exploration of the PHCCC scaffold: Discovery of improved positive allosteric modulators of mGluR4' *ACS Chem. Neurosci.* **2010**, *1*, 411-419.
105. Smith, B.J.; Qu, T.; Mulder, M.; Lindsley, C.W.; Sulikowski, G.A. 'Synthesis and Bioactivity of (±)-dihydrohaliclonyclamine A' *Tetrahedron* **2010**, *66*, 4805-4810.
104. Mueller, R.; Rodriguez, A.; Dawson, E.S.; Butkiewicz, M.; Oleskiewicz, S.; Bleckmann, A.; Weaver, C.D.; Lindsley, C.W.; Conn, P.J.; Meiler, J. 'Identification of metabotropic glutamate receptor subtype 5 potentiators using virtual high-throughput screening' *ACS Chem. Neurosci.*, **2010**, *1*, 288-305.
103. Kennedy, J.P.; Lindsley, C.W. 'Progress towards the synthesis of piperazimycin A: synthesis of the

Dr. Craig W. Lindsley

non-proteogenic amino acids and elaboration into di- and tri-peptides, including the first piperazic acid-piperazic acid peptide coupling' *Tetrahedron Lett.* **2010**, *51*, 2493-2496.

102. Miller, N.R.; Daniels, R.N.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of *N*-(4-(4-alkylpiperazin-1-yl)phenyl)benzamides as muscarinic acetylcholine subtype 1 (M<sub>1</sub>) antagonists' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 2174-2177.
101. Bridges, T.M.; Kennedy, J.P.; Cho, H.P.; Conn, P.J.; Lindsley, C.W. 'Chemical optimization of an M<sub>1</sub>, M<sub>3</sub>, M<sub>5</sub> positive allosteric modulator (PAM) lead. Part II. Development of a highly selective M<sub>1</sub> PAM' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 1972-1975.
100. Aldrich, L.A.; Dawson, E.S.; Lindsley, C.W. 'Evaluation of the biosynthetic proposal for the synthesis of Marineosins A and B' *Org. Lett.* **2010**, *12*, 1048-1051.
99. Thomsen, M.; Boon, J.Y.; Fulton, B.; Wess, J.; Fink-Jensen, A.; Conn, P.J.; Lindsley, C.W.; Caine, S.B. 'Attenuation of Cocaine's Reinforcing and Discriminative Stimulus Effects via Muscarinic M<sub>1</sub> Acetylcholine Receptor Stimulation' *J. Pharm. & Exp. Ther.* **2010**, *332*(3), 959-969.
98. Hao, J.; Ho, J.N.; Lewis, J.A.; Karim, K.A.; Daniels, R.N.; Gentry, P.R.; Hopkins, C.R.; Lindsley, C.W.; Hong, C.C. 'In Vivo Structure Activity Relationship (SAR) Study Identifies Dorsomorphin Analogs that Selectively Inhibit VEGF and BMP Signalling' *ACS Chem. Bio.* **2010**, *5*, 245-253.
97. Lebois, E.P.; Bridges, T.M.; Dawson, E.S.; Kennedy, J.P.; Xiang, Z.; Jadhav, S.B.; Yin, H.; Meiler, J.; Jones, C.K.; Conn, P.J.; Weaver, C.D.; Lindsley, C.W. 'Discovery and development of novel subtype-selective M<sub>1</sub> allosteric agonists for the investigation of M<sub>1</sub> receptor function' *ACS Chemical Neurosci.* **2010**, *1*, 104-121.
96. Bridges, T.M.; Kennedy, J.P.; Cho, H.P.; Conn, P.J.; Lindsley, C.W. 'Chemical optimization of an M<sub>1</sub>, M<sub>3</sub>, M<sub>5</sub> positive allosteric modulator (PAM) lead. Part I. Development of a highly selective M<sub>5</sub> PAM' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 558-562.
95. Yang, F.V.; Shipe, W.D.; Bunda, J.L.; Nolt, M.B.; Wisnoski, D.D.; Zhao, Z.; Barrow, J.C.; Ray, W.J.; Ma, L.; Wittman, M.; Seager, M.; Koeplinger, K.; Hartman, G.D.; Lindsley, C.W. 'Parallel synthesis of *N*-Birayl Quinolone Carboxylic Acids as selective M<sub>1</sub> positive allosteric modulators' *Bioorg. Med. Chem. Lett.* **2010**, *20*, 531-536.
94. Miller, T.; Forbes, J.; Shah, C.; Wyatt, S.; Manning, C.H.; Olivares, M.; Sanchez, V.; Dugger, T.; Narasanna, A.; Muraoka-Cook, R.; Kennedy, J.P.; Lindsley, C.W.; Artega, C.L. 'Inhibition of mammalian target of rapamycin is required for optimal antitumor effect of HER2 inhibitors against HER2-overexpressing cancer cells' *Clin. Cancer Res.* **2009**, *15*, 7266-7276.
93. Felts, A.S.; Saleh, S.A.; Le, U.; Rodriguez, A.L.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Emmitte, K.A. 'Discovery and SAR of 6-substituted-4-anilinoquinazolines as non-competitive antagonists of mGlu<sub>5</sub>' *Bioorg. Med. Chem. Lett.* **2009**, *19*, 6623-6626.
92. Lewis, L.M.; Bhave, G.; Chauder, B.A.; Banerjee, S.; Lornsen, K.A.; Fallen, K.; Lindsley, C.W.; Weaver, C.D.; Denton, J.S. 'High-throughput screening reveals a small-molecule inhibitor of ROMK and Kir7.1' *Mol. Pharm.* **2009**, *76*, 1094-1103.
91. Kennedy, J.P.; Breininger, M.L.; Lindsley, C.W. 'Total synthesis of Eudistomins Y<sub>1</sub>-Y<sub>6</sub>' *Tetrahedron Lett.* **2009**, *50*, 7067-7069.
90. Fadeyi, O.; Lindsley, C.W. 'Total synthesis of brevisamide' *Org. Lett.* **2009**, *11*, 3950-3952.
89. Shirey, J.K.; Brady, A.E.; Jones, P.J.; Davis, A.A.; Bridges, T.M.; Jadhav, S.B.; Menon, U.; Christain, E.P.; Doherty, J.J.; Quirk, M.C.; Snyder, D.H.; Levey, A.I.; Watson, M.L.; Nicolle, M.M.; Lindsley, C.W.; Conn, P.J. 'A selective allosteric potentiator of the M<sub>1</sub> muscarinic

Dr. Craig W. Lindsley

acetylcholine receptor increases activity of medial prefrontal cortical neurons and can restore impairments of reversal learning' *J. Neurosci.* **2009**, *29*, 14271-14286.

88. Engers, D.W.; Niswender, C.M.; Weaver, C.D.; Jadhav, S.; Menon, U.; Conn, P.J.; Lindsley, C.W.; Hopkins, C.R. 'Synthesis and Evaluation of a Series of Heterobiaryl Amides that are Centrally Penetrant Metabotropic Glutamate Receptor 4 (mGluR4) Positive Allosteric Modulators (PAMs)' *J. Med. Chem.* **2009**, *52*, 4115-4118.
87. Williams, R.; Johnson, K.A.; Gentry, P.R.; Niswender, C.M.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Hopkins, C.R. 'Synthesis and SAR of a novel positive allosteric modulator (PAM) of the metabotropic glutamate receptor 4 (mGluR4)' *Bioorg. Med. Chem.Lett.* **2009**, *19*, 4967-4970.
86. Zhou, Y.; Rodriguez, A.L.; Williams, R.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of Novel, Non-MPEP Chemotype mGluR5 NAMs Identified by Functional HTS' *Bioorg. Med. Chem.Lett.* **2009**, *19*, 6502-6506.
85. Fadeyi, O.; Daniels, R.N.; DeGuire, S.; Lindsley, C.W. 'Total synthesis of Polemannone B and C' *Tetrahedron Lett.* **2009**, *50*, 3084-3087.
83. Bridges, T.M.; Marlo, J.E.; Niswender, C.M.; Jones, J.K.; Jadhav, S.B.; Gentry, P.R.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W. 'Discovery of the first highly M5-preferring muscarinic acetylcholine receptor ligand, an M5 positive allosteric modulator derived from a series of 5-trifluoromethoxy *N*-benzyl isatins' *J. Med. Chem.* **2009**, *52*, 3445-3448.
82. Kennedy, J.P.; Conn, P.J.; Lindsley, C.W. 'A novel class of H<sub>3</sub> antagonists derived from the natural product guided synthesis of unnatural analogs of the marine bromopyrrole alkaloid dispyrin' *Bioorg. Med. Chem. Lett.* **2009**, *19*, 3304-3307.
81. Ma, L.; Seager, M.; Wittman, M.; Bickel, N.; Burno, M.; Jones, K.; Graufelds, V.K.; Xu, G.; Pearson, M.; McCampbell, A.; Gaspar, R.; Shughrue, P.; Danzinger, A.; Regan, C.; Garson, S.; Doran, S.; Kreatsoulas, C.; Veng, L.; Lindsley, C.W.; Shipe, W.; Kuduk, S.; Jacobson, M.; Sur, C.; Kinney, G.; Seabrook, G.R.; Ray, W.J. 'Selective activation of the M1 muscarinic acetylcholine receptor achieved by allosteric potentiation' *Proc. Natl. Acad. Sci. USA* **2009**, *106*, 15950-15955.
80. Sharma, S.; Kedrowski, J.; Rook, J.M.; Smith, J.M.; Jones, C.K.; Rodriguez, A.L.; Conn, P.J.; Lindsley, C.W. 'Discovery of Molecular Switches that Modulate Modes of mGluR5 Pharmacology *In Vitro* and *In Vivo* Within a Series of Functionalized 5-(phenylethynyl)pyrimidines' *J. Med. Chem.* **2009**, *52*, 4103-4106.
79. Rodriguez, A.L.; Williams, R.; Zhou, S.; Lindsley, S.R.; Le, U.; Conn, P.J.; Lindsley, C.W. 'Discovery and SAR of Novel mGluR5 Non-Competitive Antagonists not based on an MPEP Chemotype' *Bioorg. Med. Chem. Lett.* **2009**, *19*, 3209-3212.
78. Lavieri, R.; Lewis, J.A.; Scott, S.A.; Selvy, P.E.; Buck, J.; Armstrong, M.D.; Brown, H.A.; Lindsley, C.W. 'Design and synthesis of isoform-selective phospholipase D (PLD) inhibitors. Part II: PLD2 selectivity by virtue of a triazaspiron privileged structure' *Bioorg. Med. Chem. Lett.* **2009**, *19*, 2240-2244.
77. Lewis, J.A.; Scott, S.A.; Lavieri, R.; Buck, J.R.; Selvy, P.E.; Stoops, S.L.; Armstrong, M.D.; Brown, H.A.; Lindsley, C.W. 'Design and synthesis of isoform-selective phospholipase D (PLD) inhibitors. Part I: Impact of alternative halogenated privileged structures on PLD1 specificity' *Bioorg. Med. Chem. Lett.* **2009**, *19*, 1916-1919.
76. Ayala, J.E.; Chen, Y.; Banko, J.L.; Sheffler, D.J.; Williams, R.; Xiang, Z.; Zhang, Y.; Jones, P.J.; Watson, N.L.; Telk, A.N.; Lindsley, C.W.; Olive, M.F.; Conn, P.J. 'mGluR5 positive allosteric modulators facilitate both hippocampal LTP and LTD and enhance spatial learning'

*Neuropsychopharmacology* **2009**, *34*, 2057-2071.

75. Delpire, E.; Days, E.; Mi, D.; Lewis, M.; Lindsley, C.W.; Weaver, C.D. 'Small molecule screen identifies novel inhibitors of the neuronal K-Cl cotransporter KCC2' *Proc. Natl. Acad. Sci. USA*, **2009**, *106*, 5383-5388.
74. Wolkenberg, S.E.; Zhao, Z.; Wisnoski, D.D.; Leister, W.H.; O'Brien, J.A.; Lemiare, W.; Williams, Jr., D.L.; Jacobson, M.A.; Sur, C.; Kinney, G.G.; Pettibone, D.J.; Tiller, P.R.; Smith, S.; Gibson, C. Ma, B.K.; Polsky-Fisher, S.L.; Lindsley, C.W.; Hartman, G.D. 'Discovery of GlyT1 inhibitors with improved pharmacokinetic properties' *Bioorg. Med. Chem.Lett.* **2009**, *19*, 1492-1495.
73. Zhao, Z.; Leister, W.H.; O'Brien, J.A.; Lemiare, W.; Williams, Jr., D.L.; Jacobson, M.A.; Sur, C.; Kinney, G.G.; Pettibone, D.J.; Tiller, P.R.; Smith, S.; Hartman, G.D.; Lindsley, C.W.; Wolkenberg, S.E. 'Discovery of *N*-{[1-(propylsulfonyl)-4-pyridin-2-ylpiperidin-4-yl]methyl} benzamides as novel, selective and potent GlyT1 inhibitors' *Bioorg. Med. Chem.Lett.* **2009**, *19*, 1488-1491.
72. Williams, R.; Niswender, C.; Luo, Q.; Le, U.; Conn, P.J.; Lindsley, C.W. 'Positive allosteric modulators of the metabotropic glutamate receptor subtype 4 (mGluR4). Part II: Challenges in lead optimization due to shallow SAR across multiple confirmed HTS hits' *Bioorg. Med. Chem. Lett*, **2009**, *19*, 962-965.
71. Kennedy, J.P.; Bridges, T.M.; Gentry, P.R.; Brogan, J.T.; Brady, A.E.; Shirey, J.K.; Jones, C.K.; Conn, P.J.; Lindsley, C.W. 'Synthesis and structure-activity-relationships of allosteric potentiators of the M<sub>4</sub> muscarinic acetylcholine receptor' *ChemMedChem.* **2009**, *4*, 1600-1607.
70. Engers, D.W.; Rodriguez, A.L.; Oluwatola, O.; Hammond, A.S.; Venable, D.F.; Williams, R.; Sulikowski, G.A.; Conn, P.J.; Lindsley, C.W. 'Synthesis, SAR and unanticipated pharmacological profiles of analogs of the mGluR5 ago-potentitaor ADX-47273' *ChemMedChem.* **2009**, *4*, 505-511.
69. Daniels, R.N.; Melancon, B.J.; Wang, E.A.; Crews, B.S.; Marnett, L.M.; Sulikowski, G.A.; Lindsley, C.W. 'Progress towards the total synthesis of Lucentamycin A: Total synthesis and biological evaluation of 8-epi-Lucentamycin A' *J. Org. Chem.* **2009**, *74*, 8852-8855.
68. Marlo, J.E.; Niswender, C.M.; Luo, Q.; Brady, A.E.; Shirey, J.K.; Rodriguez, A.L.; Bridges, T.M.; Williams, R.; Days, E.; Nalywajko, N.T.; Austin, C.; Williams, M.; Xiang, Y.; Orton, D.; Brown, H.A.; Kim, K.; Lindsley, C.W.; Weaver, C.D.; Conn, P.J. 'Identification and characterization of novel allosteric potentiators of M1 muscarinic receptors reveals multiple modes of activity' *Mol. Pharm.* **2009**, *75*(3), 577-588.
67. Fadeyi, O.; Lindsley, C.W. 'Rapid, general access to chiral  $\beta$ -flouroamines and  $\beta,\beta$ -difluoroamines via organocatalysis' *Org. Lett.* **2009**, *11*, 943-946.
66. Scott, S.A.; Selvy, P.E.; Buck, J.; Cho, H.P.; Criswell, T.L.; Thomas, A.L.; Armstrong, M.D.; Arteaga, C.; Lindsley, C.W.; Brown, H.A. 'Design of isoform-selective phospholipase D inhibitors that modulate cancer cell invasiveness' *Nat. Chem. Bio.* **2009**, *5*, 108-117.
65. Sheffler, D.J.; Williams, R.; Bridges, T.M.; Lewis, L.M.; Xiang, Z.; Zheng, F.; Kane, A.S.; Byum, N.E.; Jadhav, S.; Mock, M.M.; Zheng, F.; Lewis, L.M.; Jones, C.K.; Niswender, C.M.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.; Conn, P.J. 'Novel Selective Muscarinic Acetylcholine Receptor Subtype 1 (M<sub>1</sub> mAChR) Antagonist Reduces Seizures without Impairing Hippocampal-Dependent Learning' *Mol. Pharmacol.* **2009**, *76*, 356-368.
64. Miller, T.W.; Perez-Torres, M.; Wu, H.; Shyr, Y.; Guix, M.; Jiang, A.; Stal, O.; Kennedy, J.P.; Lindsley, C.W.; Arteaga, C.L. 'Loss of *Phosphatase and Tensin Homologue Deleted on Chromosome 10* engages ErbB3 and Insulin-Like Growth Factor I Receptor signaling to promote anti-estrogen resistance in breast cancer' *Cancer Res.* **2009**, *69*, 4192-4201 .
63. Aldrich, L.; LeBois, E.P.; Lewis, L.M.; Nalywajko, N.T.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W.

Dr. Craig W. Lindsley

'MAOS protocols for the general synthesis and lead optimization of 3,6-disubstituted-[1,2,4]triazolo[4,3-b]pyridazines' *Tetrahedron Lett.* **2009**, *50*, 212-216.

62. Hammond, A.; Rodriguez, A.; Lindsley, C.W.; Conn, P.J. "Members of a novel benzamide structural class act as positive allosteric modulators of metabotropic glutamate receptor subtype 5 by binding to a site other than that of 2-methyl-6-(phenylethynyl)-pyridine and its analogs" *Neuropsychopharmacology* **2009**, *55* (4), 601-612.
61. Lindsley, C.W. Development of novel, centrally active GlyT1 inhibitors that further validate the NMDA hypofunction hypothesis of schizophrenia in preclinical animal models' *Schizophrenia Bull.* **2007**, *33* (2), 475.
60. Pawluczyk, J.M.; McClain, R.T.; Denicola, C.; Mulhearn, J.J., Jr.; Rudd, D.J.; Lindsley, C.W. 'Microwave-initiated living free radical polymerization: optimization of the preparative scale synthesis of Rasta resins. *Polymer Preprints* **2008**, *49*(2), 928-929.
59. Niswender, C.M.; Lebois, E.P.; Luo, Q.; Kim, K.; Muchalski, H.; Yin, H.; Conn, P.J.; Lindsley, C.W. 'Positive allosteric modulators of the metabotropic glutamate receptor subtype 4 (mGluR4). Part I: Discovery of pyrazolo[3,4-*d*]pyrimidines as novel mGluR4 positive allosteric modulators' *Bioorg. Med. Chem. Lett.* **2008**, *18*, 5626-5629.
58. Miller, N.R.; Daniels, N.R.; Bridges, T.M.; Brady, A.E.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of analogues of the M1 allosteric agonist TBPB. Part II. Amides, sulfonamides and ureas: the effect of capping the distal basic piperidine nitrogen' *Bioorg. Med. Chem. Lett.* **2008**, *18*, 5443-5446.
57. Bridges, T.M.; Brady, A.E.; Kennedy, J.P.; Daniels, N.R.; Miller, N.R.; Kim, K.; Breininger, M.L.; Gentry, P.R.; Brogan, J.T.; Jones, J.K.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of analogues of the M1 allosteric agonist TBPB. Part I. Exploration of alternative benzyl and privileged structure moieties' *Bioorg. Med. Chem. Lett.*, **2008**, *18*, 5439-5442.
56. Yang, Z.-Q.; Barrow, J.C.; Shipe, W.D.; Schlegel, K.S.; Shu, Y.; Yang, F.V.; Lindsley, C.W.; Rittle, K.E.; Bock, M.G.; Hartman, G.D.; Uebele, V.N.; Nuss, C.E.; Fox, S.V.; Kraus, R.L.; Doran, S.M.; Connolly, T.M.; Tang, C.; Ballard, J.E.; Kuo, Y.; Adarayan, E.D.; Prueksaritanont, Y.; Zrada, M.M.; Marino, M.J.; DiLella, A.G.; Reynolds, I.J.; Vargas, H.M.; Bunting, P.B.; Woltman, M.M.; Koblan, K.S.; Renger, J.J. 'Discovery of 1,4-substituted piperidines as potent and selective inhibitors of T-type calcium channels' *J. Med. Chem.* **2008**, *51*, 6471-6477.
55. Kim, K.; Miller, N.R.; Sulikowski, G.A.; Lindsley, C.W. 'A new multi-gram synthetic route to labeling precursors for the D<sub>2/3</sub> PET agent <sup>18</sup>F-fallypride' *Bioorg. Med. Chem. Lett.* **2008**, *18*, 4467-4470.
54. Lewis, J.A.; Daniels, N.R.; Lindsley, C.W. 'Total synthesis of Ciliatimides A-C: stereochemical revision and the natural product-guided synthesis of unnatural analogs' *Org. Lett.* **2008**, *10*, 4545-4548.
53. Daniels, N.R.; Fadeyi, O.; Lindsley, C.W. 'A new catalytic Cu(II)/sparteine oxidant system for β,β-phenolic couplings of styrenyl phenols: total synthesis of carpanone and unnatural analogues' *Org. Lett.* **2008**, *10*, 4097-4100.
52. Kennedy, J.P.; Brogan, J.T.; Lindsley, C.W. 'Total synthesis and biological evaluation of the marine bromopyrrole alkaloid dispyrin: elucidation of discrete molecular targets with therapeutic potential' *J. Nat. Prod.* **2008**, *71*, 1783-1788.
51. Brady, A.; Jones, C.K.; Bridges, T.M.; Kennedy, P.J.; Thompson, A.D.; Breininger, M.L.; Gentry, P.R.; Yin, H.; Jadhav, S.B.; Shirey, J.; Conn, P.J.; Lindsley, C.W. 'Centrally active allosteric potentiators of the M4 muscarinic acetylcholine receptor reverse amphetamine-induced hyperlocomotion behavior in rats' *J. Pharm. & Exp. Ther.* **2008**, *327*, 941-953.

50. Niswender, C.M.; Myers-Johnson, K.A.; Weaver, C.D.; Jones, C.K.; Luo, Q.; Rodriguez, A.L.; Marlo, J.E.; de Paulis, T.; Thompson, A.; Days, E.; Nalywajko, N.T.; Austin, C.; Williams, M.; Ayala, J.E.; Williams, R.; Lindsley, C.W.; Conn, P.J. 'Discovery, characterization and antiparkinsonian effect of novel positive allosteric modulators of metabotropic glutamate receptor 4' *Mol. Pharm.* **2008**, *74*, 1345-1358.
49. Jones, C.K.; Brady, A.E.; Davis, A.A.; Xiang, Z.; Bubser, M.; Tantawy, M.N.; Kane, A.; Bridges, T.M.; Kennedy, J.P.; Bradley, S.R.; Peterson, T.; Baldwin, R.M.; Kessler, R.; Deutch, A.; Lah, J.L.; Levey, A.I.; Lindsley, C.W.; Conn, P.J. 'Novel selective allosteric activator of the M1 muscarinic acetylcholine receptor reduces amyloid processing and produces antipsychotic-like activity in rats. *J. Neurosci.* **2008**, *28*(41), 10422-10433.
48. Sharma, S.; Rodriguez, A.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of a mGluR5 allosteric partial antagonist lead: Unexpected modulation of pharmacology with slight structural modifications to a 5-(phenylethynyl)pyrimidine scaffold' *Bioorg. Med. Chem. Lett.*, **2008**, *18*, 4098-4101.
47. Shipe, W.D.; Barrow, J.C.; Yang, Z.-Q.; Lindsley, C.W.; Yang, F.V.; Schlegel, K.S.; Shu, Y.; Rittle, K.E.; Zrada, M.M.; Bock, M.G.; Hartman, G.D.; Tang, C.; Ballard, J.E.; Kuo, Y.; Adarayan, E.D.; Prueksaritanont, Y.; Uebele, V.N.; Nuss, C.E.; Connolly, T.M.; Doran, S.M.; Fox, S.V.; Kraus, R.L.; Marino, M.J.; Graufelds, V.K.; Vargas, H.M.; Bunting, P.B.; Hasbun-Manning, M.; Evans, R.M.; Koblan, K.S.; Renger, J.J. 'Design, synthesis and evaluation of novel 4-aminomethyl-4-fluoropiperidine as a T-Type Ca<sup>2+</sup> antagonist' *J. Med. Chem.* **2008**, *51*, 3692-3695.
46. Kennedy, J.P.; Brogan, J.T.; Lindsley, C.W. 'Progress towards the total synthesis of piperazimycin A: exploration of the synthesis of  $\gamma$ -hydroxy and  $\gamma$ -chloropiperazic acids' *Tetrahedron Lett.*, **2008**, *49*, 4116-4118.
45. Zeng, Z.; O'Brien, J.A.; Leimare, W.; O'Malley, S.S.; Miller, P.J.; Zhao, Z.; Wallace, M.A.; Raab, C.; Lindsley, C.W.; Sur, C.; Williams, D.L. Jr. 'A novel radioligand for glycine transporter 1 (GlyT1): characterization and use in autoradiography studies and *in vivo* brain occupancy studies' *Nuc. Med. and Bio.* **2008**, *35*, 315-325.
44. Lewis, L.M.; Sheffler, D.; Williams, R.; Bridges, T.A.; Kennedy, J.P.; Brogan, J.T.; Mulder, M.J.; Williams, L.; Nalywajko, N.T.; Niswender, C.; Weaver, C.D.; Conn, P.J.; Lindsley, C.W. 'Synthesis and SAR of selective muscarinic acetylcholine receptor subtype 1 (M1 mAChR) antagonists' *Bioorg. Med. Chem. Lett.*, **2008**, *18*, 885-889.
43. Zhao, Z.; Wolkenberg, S.E.; Lu, M.; Munshi, V.; Moyer, G.; Feng, M.; Carella, A.V.; Ecto, L.T.; Gabryelski, L.J.; Lai, M.-T.; Prasad, S.; Yan, Y.; McGaughey, G.B.; Miller, M.D.; Lindsley, C.W.; Hartman, G.D.; Vacca, J.P.; Williams, T.M. 'Novel indole-3-sulfonamides as potent HIV non-nucleotide reverse transcriptase inhibitors (NNRTIs)' *Bioorg. Med. Chem. Lett.* **2008**, *18*, 554-557.
42. Zhao, Z.; Robinson, R.G.; Barnett, S.F.; Defeo-Jones, D.; Jones, R.J.; Hartman, G.D.; Huber, M.E.; Lindsley, C.W. 'Development of potent, allosteric Akt1 and Akt2 dual inhibitors with improved physical properties and cell activity' *Bioorg. Med. Chem. Lett.* **2008**, *18*, 49-53.
41. Daniels, R.N.; Kim, K.; Lewis, J.A.; Lebois, E.P.; Muchalski, H.; Lindsley, C.W. 'Microwave-assisted protocols for the expedited synthesis of pyrazolo[1,5-*a*] and [3,4-*d*]pyrimidines' *Tetrahedron Lett.* **2008**, *49*, 305-308.
40. Zhao, Z.; Wisnoski, D.D.; O'Brien, J.A.; Lemiare, W.; Williams, Jr., D.L.; Jacobson, M.A.; Wittman, M.; Ha, S.; Schaffhauser, H.; Sur, C.; Pettibone, D.J.; Duggan, M.E.; Conn, P.J.; Hartman, G.D.; Lindsley, C.W. 'Challenges in the development of mGluR5 positive allosteric modulators: the discovery of CPPHA' *Bioorg. Med. Chem. Lett.*, **2007**, *17*, 1386-1389.
39. Pawluczyk, J.M.; McClain, R.T.; Denicola, C.; Mulhearn, J.J.; Rudd, D.J.; Lindsley, C.W.



Dr. Craig W. Lindsley

Microwave-initiated living free radical polymerization: Optimization of the preparative scale synthesis of Rasta resins' *Tetrahedron Lett.* **2007**, 48, 1497-1500.

38. Lindsley, C.W.; Weaver, D.; Conn, P.J.; Marnett, L. 'Preclinical Drug Discovery Research and Training at Vanderbilt' *ACS Chem. Biol.* **2007**, 2, 17-21.
37. Shipe, W.D.; Yang, F.; Zhao, Z.; Wolkenberg, S.E.; Nolt, M.B.; Lindsley, C.W. 'Convenient and general microwave-assisted protocols for the expedient synthesis of heterocycles' *Heterocycles*, **2006**, 70, 665-679 (invited).
36. Zhao, Z.; O'Brien, J.A.; Lemiare, W.; Williams, Jr., D.L.; Jacobson, M.A.; Sur, C.; Pettibone, D.J.; Tiller, P.R.; Smith, S.; Hartman, G.D.; Lindsley, C.W. 'Synthesis and SAR of GlyT1 inhibitors derived from a series of *N*-((4-(morpholine-4-carbonyl)-1-(propylsulfonyl)piperidin-yl)methyl) benzamides' *Bioorg. Med. Chem.Lett.*, **2006**, 16, 5968-5971.
35. Nanda, K.K.; Nolt, M.B.; Cato, M.J.; Kane, S.A.; Kiss, L.; Spencer, R.H.; Jixin Wang, J.; Lynch, J.L.; Regan, C.P.; Stump, G.L.; Li, B.; White, R.; Yeh, S.; Bogusky, M.J.; Bilodeau, M.T.; Dinsmore, C.J.; Lindsley, C.W.; Hartman, G.D.; Scott Wolkenberg S.E.; Trotter, B.W. 'Potent antagonists of the atrial  $I_{Kur}/Kv1.5$  potassium channel: synthesis and evaluation of analogous *N,N*-diisopropyl-2-(pyridine-3-yl)acetamides' *Bioorg. Med. Chem.Lett.* **2006**, 16, 5897-5901.
34. Wolkenberg, S.E.; Zhao, Z.; Kapitskaya, M.; Webber, A.L.; Pertukhin, K.; Tang, Y.S.; Dean, D.C.; Hartman, George D.; Lindsley, C.W. 'Identification of potent agonists of Photoreceptor-Specific Nuclear Receptor (NR2E3) and preparation of a radioligand' *Bioorg. Med. Chem.Lett.*, **2006**, 16, 5001-5005.
33. Lindsley, C.W.; Zhao, Z.; Leister, W.H.; O'Brien, J.A.; Lemiare, W.; Williams, Jr., D.L.; Chen, T-B.; Chang, R.S.L.; Burno, M.; Jacobson, M.A.; Sur, C.; Kinney, G.G.; Pettibone, D.J.; Tiller, P.R.; Smith, S.; Tsou, N.N.; Duggan, M.E.; Conn, P.J.; Hartman, G.D. 'Design, synthesis and *in vivo* efficacy of novel glycine transporter-1 (GlyT1) inhibitors derived from a series of [4-Phenyl-1-(propylsulfonyl)piperidin-4-yl] methyl benzamides' *ChemMedChem*, **2006**, 1, 807-812.
32. Jesudason, C.D.; Beavers, L.S.; Cramer, J.W.; Dill, J.; Finley, D.R.; Lindsley, C.W.; Stevens, F.C.; Gadski, R.A.; Oldham, S.W.; Pickard, R.T.; Siedem, C.S.; Sindelar, D.K.; Singh, A.; Watson, B.M.; Hipskind, P.A. 'Synthesis and SAR of novel histamine H3 receptor antagonists' *Bioorg. Med. Chem.Lett.*, **2006**, 16, 3415-3418.
31. Nolt, M.B.; Smiley, M.A.; Varga, S.L.; McClain, R.T.; Wolkenberg, S.E.; Lindsley, C.W. 'Convenient preparation of substituted 5-amino oxazoles via a microwave-assisted Cornforth rearrangement' *Tetrahedron*, **2006**, 62, 4698-4705; Tetrahedron Symposia-in-Print MAOS (invited).
30. Lindsley, C.W.; Bogusky, M.J.; Leister, W.H.; McClain, R.T.; Robinson, R.; Barnett, S.F.; Defeo-Jones, D.; III Ross, C.W.; Hartman, G.D. 'Synthesis and biological evaluation of unnatural canthine alkaloids' *Tetrahedron Lett.* **2005**, 46, 2779-2782.
29. Defeo-Jones, D.; Barnett, S.F.; Fu, S.; Hancock, P.J.; Haskell, K.M.; Leander, K.R.; McAvoy, E.; Robinson, R.G.; Duggan, M.E.; Lindsley, C.W.; Zhao, Z.; Huber, H.E.; Jones, R.E. 'Tumor cell sensitization to apoptotic stimuli by selective inhibition of specific Akt/PKB family members' *Mol. Cancer Ther.* **2005**, 4(2), 271-279.
28. Kinney, G.G.; O'Brien, Lemaire, W.; Burno, M.; Bickel, D.J.; Clements, M.K.; Wisnoski, D.D.; Lindsley, C.W.; Tiller, P.R.; Smith, S.; Jacobson, M.A.; Sur, C.; Duggan, M.E.; Pettibone, D.J.; Williams, Jr., D.W. 'A novel selective allosteric modulator of metabotropic glutamate receptor subtype 5 (mGluR5) has an antipsychotic profile in rat behavioral models' *J. Pharmacol. Exp. Therapeut.* **2005**, 313(1), 199-208.

Dr. Craig W. Lindsley

27. Zhao, Z.; Duggan, M.E.; Barnett, S.F.; Defeo-Jones, D.; Huber, H.E.; Huff, J.R.; Hartman, G.D.; Leister, W.; Kral, A.; Fu, S.; Hancock, P. J.; Haskell, K.A.; Jones, R.E.; Robinson, R.; Lindsley, C.W. 'Discovery of 2,3,5-trisubstituted pyridine derivatives as potent Akt1 and Akt2 dual inhibitors' *Bioorg. Med. Chem.Lett.*, **2005** *15*, 905-908.
26. Lindsley, C.W.; Zhao, Z.; Leister, W.H.; Robinson, R.G.; Barnett, S.F.; Defeo-Jones, D.; Jones, R.E.; Hartman, G.D.; Huff, J.R.; Huber, H.E.; Duggan, M.E. 'Allosteric Akt (PKB) kinase inhibitors. Discovery and SAR of isozyme selective inhibitors' *Bioorg. Med. Chem Lett.* **2005**, *15*, 761-765.
25. Lindsley, C.W.; Wisnoski, D.D.; Leister, W.H.; O'Brien, J.A.; Lemaire, W.; Williams, Jr., D.L.; Burno, M.; Sur, C.; Kinney, G.G.; Pettibone, D.J.; Miller, P.R.; Smith, S.; Duggan, M.E.; Hartman, G.D.; Conn, P.J.; Huff, J.R. 'Discovery of positive allosteric modulators for the metabotropic glutamate receptor subtype 5 from a series of *N*-(1,3-Diphenyl-1H-pyrazol-5-yl)benzamides that potentiate receptor function *in vivo*' *J. Med. Chem.* **2004**, *47*, 5825-5828.
24. Zhao, Z.; Wisnoski, D.D.; Wolkenberg, S.E.; Leister, W.; Wang, Y.; Lindsley, C.W. 'A General microwave-assisted protocol for the expedient preparation of quinoxalines and heterocyclic pyrazines' *Tetrahedron Lett.* **2004**, *45*, 4873-4876.
23. Wolkenberg, S.E.; Wisnoski, D.D.; Leister, W.H.; Zhao, Z.; Wang, Y.; Lindsley, C.W. 'Efficient synthesis of imidazoles from aldehydes and 1,2-diketones using microwave irradiation' *Org. Lett.* **2004**, *6*, 1453-1456.
22. O'Brien, J.A.; Lemaire, W.; Wittmann, M.; Jacobson, M.A.; Ha, S.N.; Wisnoski, D.D.; Lindsley, C.W.; Schaffhauser, H.J.; Sur, C.; Duggan, M.E.; Pettibone, D.J.; Conn, J.; Williams, D.L. 'A novel selective allosteric modulator potentiates the activity of the native metabotropic glutamate receptor subtype 5 (mGluR5) in rat forebrain' *J. Pharmacol. Exp. Therapeut.* **2004**, *309*(2), 568-579.
21. O'Brien, J.A.; Lemaire, W.; Chen, T-B.; Chang, R.S.L.; Jacobson, M.A.; Ha, S.N.; Lindsley, C.W.; Sur, C.; Pettibone, D.J.; Conn, J.; Williams, D.L. 'A family of highly selective allosteric modulators of the metabotropic glutamate receptor subtype 5 (mGluR5)' *Mol. Pharmacol.* **2003**, *64* (3), 731-741.
20. Lindsley, C.W.; Wisnoski, D.D.; Leister, W.H.; Wang, Y.; Zhao, Z. 'A 'one pot' microwave-mediated synthesis of the basic canthine skeleton: expedient access to unnatural  $\beta$ -carboline alkaloids' *Tetrahedron Lett.* **2003**, *44*, 4495-4498.
19. Wisnoski, D.D.; Leister, W.H.; Strauss, K.A.; Zhao, Z.; Lindsley, C.W. 'Microwave-initiated living free radical polymerization: rapid formation of Rasta resins' *Tetrahedron Lett.* **2003**, *44*, 4321-4324.
18. Leister, W.H.; Strauss, K.A.; Wisnoski, D.D.; Zhao, Z.; Lindsley, C.W. 'Development of a custom high-throughput preparative liquid chromatography/mass spectrometer platform for the preparative purification and analytical analysis of compound libraries.' *J. Comb. Chem.* **2003**, *5*, 322-329.
17. Zhao, Z.; Leister, W.H.; Strauss, K.A.; Wisnoski, D.D.; Lindsley, C.W. 'Broadening the scope of 1,2,4-triazine synthesis by the application of microwave technology' *Tetrahedron Lett.* **2003**, *44*, 1123-1127.
16. Lindsley, C.W.; Zhao, Z.; Leister, W.H.; Strauss, K.A. 'Fluorous-tethered amine bases for organic and parallel synthesis: scope and limitations' *Tetrahedron Lett.* **2002**, *43*, 6319-6323.
15. Lindsley, C.W.; Zhao, Z.; Newman, R.C.; Leister, W.H.; Strauss, K.A. 'A general Staudinger

Dr. Craig W. Lindsley

protocol for solution phase parallel synthesis' *Tetrahedron Lett.* **2002**, *43*, 4467-4470.

14. Lindsley, C.W.; Zhao, Z.; Leister, W.H. 'Fluorous-tethered quenching reagents for solution phase parallel synthesis' *Tetrahedron Lett.* **2002**, *43*, 4225-4428.
13. Tallarico, J.A.; Depew, K.M.; Pelsih, H.E.; Westwood, N.J.; Lindsley, C.W.; Shair, M.D.; Schreiber, S.L.; Foley, M.A. 'An alkylsilyl-tethered, high capacity solid support amenable to diversity-oriented synthesis for one-bead, one-stock solution chemical genetics' *J. Comb. Chem.*, **2001**, *3*, 312-321.
12. McAlpine, S.R.; Lindsley, C.W.; Hodges, J.C.; Leonard, D.M.; Filzen, G.F. 'Determination of functional group distribution within Rasta resins utilizing optical analysis' *J. Comb. Chem.* **2001**, *3*, 1-7.
11. Lindsley, C.W.; Hodges, J.C.; Filzen, G.F.; Watson, B.M.; Geyer, A.G. 'Rasta silanes: new silyl resins with novel macromolecular architecture via living free radical polymerization' *J. Comb. Chem.* **2000**, *2*, 550-557.
10. Lindsley, C.W.; Chan, L.K.; Goess, B.C.; Joseph, R.; Shair, M.D. 'Solid-phase biomimetic synthesis of carpanone-like molecules' *J. Am. Chem. Soc.* **2000**, *122*, 422-423.
9. Lipshutz, B.H.; Ullman, B.; Lindsley, C.; Pecchi, S.; Buzard, D.J.; Dickson, D. 'A new bromotrienyne: synthesis of all-*E* conjugated tetra-, penta-, and hexaenes common to oxopolyene macrolide antibiotics' *J. Org. Chem.* **1998**, *63*, 6092-6093.
8. Lipshutz, B.H.; Lindsley, C. 'A streamlined route to highly conjugated, all-*E* polyenes characteristic of oxo polyene macrolide antibiotics' *J. Am. Chem. Soc.* **1997**, *119*, 4555-4556.
7. Lipshutz, B.H.; Buzard, D.J.; Carrico, I.; Dickson, D.; James, B.; Lindsley, C.; Pecchi, S.; Vance, S.; Ullman, B. 'New organometallic solutions to problems in polyene natural and unnatural products synthesis' *Org. Synth. Organomet., Proceedings of the Symposium, 5<sup>th</sup>*, Helmchen, G. Ed., Vieweg, **1997**, pp. 361-376.
6. Lipshutz, B.H.; Mollard, P.; Lindsley, C.; Chang, V. 'cyclo-SEM: A new carbonyl protecting group' *Tetrahedron Lett.* **1997**, *38*, 1873-1876.
5. Lipshutz, B.H.; Wood, M.R.; Lindsley, C. 'Spectroscopic experiments on the role of TMSCl in lithium dialkylamide deprotonations' *Tetrahedron Lett.* **1995**, *36*, 4385-4328.
4. Lipshutz, B.H.; Lindsley, C.; Susfalk, R.; Gross, T. 'A convenient preparation of triisopropylsilyl acyl silanes' *Tetrahedron Lett.* **1994**, *35*, 8999-9002.
3. Lindsley, C.; DiMare, M. 'Metal alkoxide catalysis of catecholborane and borane reductions. Mechanistic Studies' *Tetrahedron Lett.* **1994**, *35*, 5141-5143.
2. Lipshutz, B.H.; Lindsley, C.; Bhandari, A. 'Expanding the versatility of Schwartz' reagent: hydrozirconation of vinylic and acetylenic acyl silanes' *Tetrahedron Lett.* **1994**, *35*, 4669-4672.
1. Lipshutz, B.H.; Bhandari, A.; Lindsley, C.; Keil, R.; Wood, M. 'New synthetic methods based on organozirconium and organocopper chemistry' *Pure Appl. Chem.* **1994**, *66*, 1493-1501.

### Invited Reviews

39. Wood, M.R.; Hopkins, C.R.; Brogan, J.T.; Conn, P.J.; Lindsley, C.W. 'Molecular switches' on allosteric ligands that modulate modes of pharmacology' *Biochemistry* **2011**, *50*, 2403-2140

Dr. Craig W. Lindsley  
(invited).

38. LeBois, E.P.; Jones, C.K.; Lindsley, C.W. 'The Evolution of Histamine H<sub>3</sub> Antagonists/Inverse Agonists' *Curr. Topics in Med. Chem.* **2011**, *11*, 648-660.
37. Digby, G.J.; Conn, P.J.; Lindsley, C.W. 'Orthosteric- and allosteric-induced ligand-directed trafficking at G protein-coupled receptors' *Curr. Opin. Drug Discov. & Dev.* **2010**, *13*, 577-586.
36. Bridges, T.M.; LeBois, E.P.; Hopkins, C.R.; Wood, M.R.; Jones, J.K.; Conn, P.J.; Lindsley, C.W. 'Antipsychotic potential of muscarinic allosteric modulation' *Drug News & Perspect.* **2010**, *23*, 229-240.
35. Lindsley, C.W. 'The Akt/PKB Family of Protein Kinases: A Review of Small Molecule Inhibitors and Progress Towards Target Validation: A 2009 Update' *Curr. Topics in Med. Chem.* **2010**, *10*, 458-477.
34. Lindsley, C.W.; Emmitte, K.A. "Recent progress in the development of negative allosteric modulators of mGluR5" *Curr. Opin. Drug Discov. & Dev.* **2009**, *12*, 446-457 (invited).
33. Lindsley, C.W.; Niswender, C.M.; Engers, D.W.; Hopkins, C.R. 'Recent progress in the development of mGluR4 positive allosteric modulators for the treatment of Parkinson's disease' *Curr. Topics in Med. Chem.* **2009**, *9*, 949-963 (invited).
32. Hopkins, C.R.; Lindsley, C.W.; Niswender, C.M. 'mGluR4 Positive Allosteric Modulation as a Potential Treatment for Parkinson's Disease' *Front. In Med. Chem.* **2009**, *1*, 501-513.
31. Conn, P.J.; Jones, C.; Lindsley, C.W. 'Subtype selective allosteric modulators of muscarinic receptors for the treatment of CNS disorders' *Trends in Pharm. Sci.* **2009**, *30*, 148-156.
30. Weaver, C.D.; Sheffler, D.J.; Lewis, L.M.; Bridges, T.M.; Williams, R.; Nalywajko, N.T.; Kennedy, J.P.; Mulder, M.M.; Jadhav, S.; Aldrich, L.A.; Jones, C.K.; Marlo, J.E.; Niswender, C.M.; Mock, M.M.; Zheng, F.; Conn, P.J.; Lindsley, C.W. 'Discovery and development of a potent and highly selective small molecule muscarinic acetylcholine receptor subtype I (mAChR1 or M1) antagonist in vitro and in vivo probe' *Curr. Topics in Med. Chem.* **2009**, *9*, 1217-1227.
29. Conn, P.J.; Lindsley, C.W.; Jones, C. 'Allosteric modulation of metabotropic glutamate receptors as a novel approach for the treatment of schizophrenia' *Trends in Pharm. Sci.* **2009**, *30*, 25-31.
28. Conn, P.J.; Christopolous, A.; Lindsley, C.W. 'Allosteric Modulators of GPCRs as a Novel Approach to Treatment of CNS Disorders' *Nat. Rev. Drug Discov.* **2009**, *8*, 41-54.
27. Bridges, T.M.; Lindsley, C.W. 'G-protein coupled receptors: From classical modes of modulation to allosteric mechanisms' *ACS Chem. Biol.* **2008**, *3*, 530-542.
26. Conn, P.J.; Tamminga, C.; Schoepp, D.D.; Lindsley, C. 'Schizophrenia: Moving Beyond Monoamine Antagonists' *Mol. Intervent.* **2008**, *8*, 99-105 (invited).
25. Bridges, T.M.; Lindsley, C.W. 'Accelerating Lead Optimization: A Technology-Enabled Approach' *Preclinical World 2008*, **2008**, 42-46.
24. Bridges, T.M.; Williams, R.; Lindsley, C.W. 'Design of potent GlyT1 inhibitors: *in vitro* and *in vivo* profiles' *Curr. Opin. Mol. Ther.* **2008**, *10*, 591-604 (invited).
23. Kennedy, J.P.; Williams, L.; Bridges, T.M.; Daniels, R.N.; Weaver, D.; Lindsley, C.W. 'Application of combinatorial chemistry science on modern drug discovery' *J. Comb. Chem.* **2008**, *10*, 345-354 (invited Perspective).

Dr. Craig W. Lindsley

22. Lewis, J.A.; Lebois, E.P.; Lindsley, C.W. 'Allosteric modulators of kinases and GPCRs. Design principles and structural diversity' *Curr. Opin. Chem. Biol.*, **2008**, *12*, 269-279 (invited).
21. Lindsley, C.W.; Weaver, D.; Bridges, T.M.; Kennedy, J.P. 'Lead Optimization in Drug Discovery' *Wiley Encyclopedia of Chemical Biology* **2009**, *2*, 511-519 (invited).
20. Lindsley, C.W.; Barnett, S.F.; Layton, M.E.; Bilodeau, M.T. 'The PI3K/Akt pathway: Recent progress in the development of ATP-competitive and allosteric Akt kinase inhibitors' *Curr. Cancer Drug Targets* **2008**, *8*, 7-24 (invited).
19. Layton, M.E.; Barnett, S.F.; Bilodeau, M.T.; Lindsley, C.W. 'Recent progress in the development of ATP-competitive and allosteric Akt kinase inhibitors' *Curr. Topics in Med. Chem.*, **2007**, *7*, 1349-1360 (invited).
18. Lindsley, C.W., Guest Editor. 'Small molecule inhibition of protein-protein interactions: An emerging paradigm in drug design' *Curr. Topics in Med. Chem.*, **2007**, *7*, issue 10; editorial: 921.
17. Dudkina, A.; Lindsley, C.W. 'Small molecule protein-protein inhibitors (SMPPIs) for the p53-MDM2 interaction: A review of recent progress' *Curr. Topics in Med. Chem.*, **2007**, *10*, 955-966 (invited).
16. Lindsley, C.W.; Wolkenberg, S.E.; Kinney, G.G. 'Progress in the preparation and testing of glycine transporter type-1 (GlyT1) inhibitors' *Curr. Topics in Med. Chem.*, **2006**, *8*, 1883-1894 (invited).
15. Lindsley, C.W.; Shipe, W.D.; Wolkenberg, S.E.; Theberge, C.R.; Williams, D.L. Jr.; Sur, C.; Kinney, G.G. 'Progress towards validating the NMDA receptor hypofunction hypothesis of schizophrenia' *Curr. Topics in Med. Chem.*, **2006**, *8*, 771-784 (invited).
14. Kim, D.; Cheng, G.Z.; Lindsley, C.W.; Yang, H.; Cheng, J.Q. 'Targeting phosphatidylinositol-kinase/Akt pathway for cancer therapy' *Curr. Opin. In Invest. Drugs* **2005**, *6*, 1250-1262 (invited).
13. Cheng, J.Q.; Lindsley, C.W.; Cheng, G.Z.; Sun, M.; Yang, H.; Nicosia, S.V. 'The Akt/PKB pathway: molecular target for cancer therapy' *Oncogene*, **2005**, *24*, 7482-7489 (invited).
12. Wolkenberg, S.E.; Shipe, W.D.; Lindsley, C.W.; Guare, J.P.; Pawluczyk, J. 'Applications of microwave assisted organic synthesis on the multigram scale' *Curr. Opin. in Drug Disc. & Dev.*, **2005**, *8*, 701-712 (invited).
11. Lindsley, C.W., Guest Editor. 'Metabotropic glutamate receptors: medicinal chemistry and therapeutic implications' *Curr. Topics in Med. Chem.*, **2005**, *5*, issue 9.
10. Williams, D.L., Jr.; Lindsley, C.W. 'Positive allosteric modulators of metabotropic glutamate receptor subtype 5 (mGluR5)' *Curr. Topics in Med. Chem.*, **2005**, *5*, 825-838.
9. Fesuton, B.P.; Chakravorty, S.J.; Conway, J.F.; Culberson, C.; Forbes, J.; Kraker, B.; Lennon, P.A.; Lindsley, C.; McGaughey, G.B.; Mosley, R.; Sheridan, R.; Valenciano, M.; Kearsley, S.K. 'Web enabling technology for design, enumeration, optimization and tracking of compound libraries' *Curr. Topics in Med. Chem.*, **2005**, *5*, 773-783 (invited).
8. Lindsley, C.W.; Wolkenberg, S.E.; Shipe, W.; Williams, D.L. Jr. 'Recent advances in positive allosteric modulators of metabotropic glutamate receptors (mGluRs)', *Curr. Opin. in Drug Disc. & Dev.*, **2005**, *8*(4), 449-457 (invited).
7. Lindsley, C.W.; Wolkenberg, S.E.; Shipe, W. 'Accelerating lead development by microwave-enhanced medicinal chemistry' *Drug Discovery Today: Technologies*, **2005**, *2*, 155-161 (invited).
6. Hodges, J.C.; Lindsley, C.W. 'TEMPO-methyl-polystyrene resin' *Handbook of Reagents for*

Dr. Craig W. Lindsley

*Organic Synthesis: Reagents for High-Throughput Solid-Phase and Solution-Phase Synthesis*, Wipf, P. Ed., John Wiley & Sons Ltd., **2005**, pp.317-318 (Invited).

5. Barnett, S.F.; Bilodeau, M.T.; Lindsley, C.W. 'The Akt/PKB family of protein kinases: A review of small molecule inhibitors and progress towards target validation' *Curr. Topics in Med. Chem.* **2005**, 5(2), 109-125 (Invited).
4. Wolkenberg, S.W.; Lindsley, C.W. 'MAOS: A 'diversity engine' for parallel synthesis' *Discovery developments* **2004**, 4, 1-4.
3. Ball, D.B.; Wood, M.; Lindsley, C.; Mollard, P.; Buzard, D.J.; Vivian, R.; Mahoney, M.; Taft, B.R. 'Research, teaching and professional development at a comprehensive university' *J. Chem. Ed.* **2004**, 81, 1796-1781.
2. Lindsley, C.W. 'Mastering medicinal chemistry: strategies, issues and success stories' *Drug Discovery Today*, **2004**, 9(16), 695.
1. Williams, D. L. Jr., O'Brien, J. A., Lemaire, W., Chen, Tsing-Bau, Chang, Raymond S.L., Jacobson, M. A., Ha, Sookhee N., Wisnoski, D. D., Lindsley, C.W., Sur, C., Duggan, M. E., Pettibone, D. J., Conn, P.J., 'Difference in mGluR5 interaction between positive allosteric modulators from two structural classes' *Glutamate and Disorders of Cognition and Motivation*, Annals of the New York Academy of Sciences, Vol. 1003, Moghaddam, B. and Wolf, M.E., Eds., NY, **2003**, pp. 481-490.

### **Book Chapters**

5. Lindsley, C.W.; Hopkins, C.R.; Sulikowski, G.A. 'Biomimetic synthesis of ligands and neolignans' in *Biomimetic Organic Synthesis*, Poupon, E. and Nay, B., Eds. Wiley-VCH Verlag GmbH & Co., **2011**, pp. 677-694. (Invited)
4. Lindsley, C.W. and Leister, W.H. 'Fluorous Scavengers' in *Handbook of Fluorous Chemistry*, Gladysz, J.A.; Curran, D.P.; Horvath, I.T., Eds. Wiley-VCH, N.Y., **2004**, pp. 236-246. (Invited)
3. Lindsley, C.W. and Zhao, Z. '4-Aminobenzoic acid. The Staudinger Reduction with a fluorous phosphine' in *Handbook of Fluorous Chemistry*, Gladysz, J.A.; Curran, D.P.; Horvath, I.T., Eds. Wiley-VCH, N.Y., **2004**, pp. 370. (Invited).
2. Lindsley, C.W. and Zhao, Z. '1,2-Diethyl-6a,10-dimethoxy-1,6a,11b,11c-tetrahydro-2H-benzo[k]xanthen-4-one.  $\beta,\beta$ -Phenolic coupling reactions to access unnatural carpanone analogs with a fluorous diacetoxymethyl iodobenzene (F-DAIB) reagent' in *Handbook of Fluorous Chemistry*, Gladysz, J.A.; Curran, D.P.; Horvath, I.T., Eds. Wiley-VCH, N.Y., **2004**, pp. 371. (Invited)
1. Lindsley, C.W. and Layton, M.E. 'Product subclass 2: 1, 2, 4-triazines' in *Science of Synthesis*, Vol. 17, Weinreb, S.W., Ed., Georg Thieme Verlag, N.Y., **2004**, pp.357-447 (Invited).

### **Editorials and other content for ACS Chemical Neuroscience**

10. Lindsley, C.W. 'A Special 'Reviews' Issue on the Chemical Senses' *ACS Chem. Neurosci.* **2011**, 2, 1.
9. Lindsley, C.W. 'The Last Issue of Our Inaugural Year: Reflections and a View to the Future' *ACS Chem. Neurosci.* **2010**, 1, 770-771.
8. Lindsley, C.W. 'Horner's Syndrome and Neuroblastoma: Our Family's Odyssey with Disorders of the Sympathetic Nervous System' *ACS Chem. Neurosci.* **2010**, 1, 649-651.

Dr. Craig W. Lindsley

7. Lindsley, C.W. '(S)-(+)-Mecamylamine (TC-5214): A Neuronal Nicotinic Receptor Modulator Enters Phase III Trials as an Adjunct Treatment for Major Depressive Disorder (MDD)' *ACS Chem. Neurosci.* **2010**, *1*, 530-531.
6. Lindsley, C.W. 'The Top Prescription Drugs of 2009 in the US: CNS Therapeutics Rank among Highest Grossing' *ACS Chem. Neurosci.* **2010**, *1*, 407-408.
5. Lindsley, C.W. 'Torn from the Headlines: AstraZeneca Fined For Illegal Marketing of SEROQUEL' *ACS Chem. Neurosci.* **2010**, *1*, 343.
4. Lindsley, C.W. 'ACS Chemical Neuroscience Molecule Spotlight' *ACS Chem. Neurosci.* **2010**, *1*, 257.
3. Lindsley, C.W. 'GlyT1 – Up from the Ashes. The importance of not condemning a mechanism based on a single chemotype' *ACS Chem. Neurosci.* **2010**, *1*, 165-166.
2. Lindsley, C.W. 'Screening and Beyond: New Opportunities to Advance Neuroscience Discovery' *ACS Chem. Neurosci.* **2010**, *1*, 84.
1. Lindsley, C.W. Editorial, *ACS Chem. Neurosci.* **2010**, *1*, 1.

**'Molecule of the Month' in Current Topics in Medicinal Chemistry**

26. Lindsley, C.W. 'Hitting cancer with a one-two punch: An unusual collaboration between Merck and AstraZeneca .' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2009**, *9*, 464.
25. Lindsley, C.W. 'New, isozyme selective tools to study PLD function' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2009**, *9*, 416.
24. Lindsley, C.W. '2008 Drug Approvals by the FDA: A Much Needed Upswing' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2009**, *9*, 320.
23. Lindsley, C.W. 'FDA approves new treatment for fibromyalgia' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2009**, *9*, 225.
22. Lindsley, C.W. 'The 'skinny' on CB1 antagonists/inverse agonists: controversial obesity drugs will not go to FDA for approval for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 1553.
21. Lindsley, C.W. 'Tumor Necrosis Factor (TNF) blockers ignite FDA inquiry over cancer concerns' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 1158.
20. Lindsley, C.W. 'The most prescribed and top selling drugs of 2007: expansion of generics and, of course, Lipitor<sup>TM</sup>' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 1100.
19. Lindsley, C.W. 'FDA probes Singulair<sup>TM</sup> suicide link' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 935.
18. Lindsley, C.W. 'In vivo inhibition of BACE-1 leads to A $\beta$  lowering and increased APP $\alpha$  processing without effect on Neuregulin' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 839.
17. Lindsley, C.W. 'FDA approval of atypical antipsychotic drugs specifically for adolescents' for *Molecule of the Month* in *Curr. Topics in Med.Chem.* **2008**, *8*, 725.

Dr. Craig W. Lindsley

16. Lavieri, R.R.; Lindsley, C.W. 'Genistein, modest optimization of a natural product yields increase in antioxidant activity' for *Molecule of the Month in Curr.Topics in Med.Chem.* **2008**, 8, 615.
15. Lindsley, C.W. 'Discovery of mAChR subtype selective M4 positive allosteric modulators' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2008**, 8, 531.
14. Lindsley, C.W. 'Is Zetia<sup>TM</sup> a 'Do Nothing' Drug?' for *Molecule of the Month in Curr. Topics in Med.Chem.*, **2008**, 8, 434.
13. Lavieri, R.R.; Lindsley, C.W. 'Halopemide, a phospholipase D2 inhibitor identified by high-throughput screening' for *Molecule of the Month in Curr.Topics in Med.Chem.* **2008**, 8, 365.
12. Bridges, T.M.; Lindsley, C.W. 'UCI-30002 – a novel nAChR negative allosteric modulator' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2008**, 8, 268.
11. Lindsley, C.W. 'CHF5074 – A novel NSAID-derived  $\gamma$ -secretase modulator that reduces brain  $\beta$ -amyloid pathology without peripheral toxicity' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2008**, 8, 171.
10. Thomas, A.L.; Lindsley, C.W. 'Overcoming resistance: The Iressa<sup>TM</sup> story.' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2008**, 8, 62.
9. Lindsley, C.W. 'An orally bioavailable MC4 antagonist with *in vivo* efficacy in animal models of cachexia' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1829.
8. Bolinger, J.D. and Lindsley, C.W. 'The most prescribed and top selling drugs of 2006: generics, biologics and, of course, Lipitor<sup>TM</sup>.' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1643.
7. Sharma, S. and Lindsley, C.W. 'A new high affinity PET tracer for the metabotropic glutamate receptor subtype 5 (mGluR5)' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1542.
6. Breininger, M.L.; Lindsley, C.W. 'Chantix<sup>TM</sup> - the first non-nicotine-containing medication for smoking cessation' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1330.
5. Kennedy, J.P.; Lindsley, C.W. 'New FD approval for Cymbalta<sup>TM</sup> for Generalized Anxiety Disorder' for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1248.
4. Daniels, N.R.; Lindsley, C.W. 'A new, non-SSRI mechanism of action for Prozac<sup>TM</sup>, for *Molecule of the Month in Curr. Topics in Med.Chem.* **2007**, 7, 1039.
3. Moore, M.; Lindsley, C.W. 'ABT-737: Bad news for cancer' for *Molecule of the Month in Curr. Topics in Med, Chem.* **2007**, 7, 919.
2. Lindsley, C.W. 'Emerging from the shadow of Vioxx<sup>TM</sup>' for *Molecule of the Month in Curr. Topics in Med, Chem.* **2007**, 7, 739.
1. Lindsley, C.W. 'Viagra<sup>TM</sup>: Not just for impotence anymore' for *Molecule of the Month in Curr. Topics in Med, Chem.* **2007**, 7, 637.

## **PATENTS**

I have drafted and filed 93 Patent Applications at Vanderbilt (2007-present) covering 10 molecular targets.



**Issued US Patents**

19. Beavers, L.S.; Gadski, R.A.; Hipskind, P.A.; Jesudason, C.D.; Lobb, K.L.; Pickard, R.T.; Lindsley, C.W. 'Histamine H3 receptor antagonists, preparations and therapeutic uses' US 7,902,191, **2011**.
18. Burns, H.D.; Hamill, T.G.; Lindsley, C.W. 'Radiolabeled glycine transporter inhibitors' US 7,834,031, **2010**.
17. Blackaby, W.; Duggan, M.E.; Hallett, D.; Hartman, G.D.; Jennings, A.S.; Leister, W.H.; Lewis, R.T.; Lindsley, C.W.; Naylor, E.; Street, L.J.; Wang, Y.; Wisnoski, D.D.; Wolkenberg, S.E.; Zhao, Z. 'Heteroaryl piperidine glycine transporter inhibitors' US 7,825,135, **2010**.
16. Lindsley, C.W.; Wisnoski, D.D.; Wolkenberg, S.E. 'Cyclopropyl piperidine glycine transporter inhibitors' US 7,776,886, **2010**.
15. Lindsley, C.W.; Wisnoski, D.D. 'Synthesis of functional polymers for use in organic synthesis and combinatorial chemistry' US 7,592,392, **2009**.
14. Cosford, N.D.P.; Layton, M.E.; Liang, J.; Lindsley, C.W.; Sanderson, P.E.; Zhao, Z. 'Inhibitors of Akt activity' US 7,589,068, **2009**.
13. Bilodeau, M.T.; Duggan, M.E.; Hartnett, J.C.; Lindsley, C.W.; Wu, Z.; Zhao, Z. 'Inhibitors of Akt activity' US 7,579,355, **2009**.
12. Lindsley, C.W.; Wolkenberg, S.E.; Zhao, Z. 'Morpholinyl piperidine glycine transporter inhibitors' US 7,576,083, **2009**.
11. Lindsley, C.W.; Hallett, D.; Wokenberg, S.E. 'Azetidine glycine transporter inhibitors' US 7,572,792, **2009**.
10. Dinsmore, C.J.; Beshore, D.C.; Bergman, J.M.; Lindsley, C.W. 'Tyrosine kinase inhibitors' US 7,560,546, **2009**.
9. Duggan, M.E.; Lindsley, C.W.; Zhao, Z. 'Substituted pyrazine inhibitors of AKT' US 7,524,850, **2009**.
8. Duggan, M.E.; Lindsley, C.W.; Wisnoski, D.D. 'Benzamide modulators of metabotropic glutamate receptors' US 7,507,836, **2009**.
7. Duggan, M.E.; Lindsley, C.W.; Wu, Z.; Zhao, Z.; Hartnett, J.C. 'Substituted pyridine compounds as inhibitors of protein kinase Akt activity for treating cancer' US 7,414,055, **2008**.
6. Duggan, M.E.; Lindsley, C.W.; Zhao, Z. 'A preparation of thieno[3,4-*b*]pyrazine derivatives useful as inhibitors of Akt activity' US 7,399,764, **2008**.
5. Lindsley, C.W.; Zhao, Z. 'Preparation of *N*-[4-(3-phenylquinoxalin-2-yl)benzyl] substituted sulfonamides as inhibitors of Akt activity' US 7,396,832, **2008**.
4. Beavers, L.S.; Gadski, R.A.; Hipskind, P.A.; Lindsley, C.W.; Lobb, K.L.; Nixon, J.A.; Pickard, R.T.; Schaus, J.M.; Takakuwa, T.; Watson, B.M. 'Preparation of non-imidazole aryl alkylamines as histamine H3 receptor antagonists' US 7,314,937, **2008**.
3. Bilodeau, M.T.; Lindsley, C.W.; Zhao, Z. 'Triazine moiety-containing compounds as inhibitors of Akt kinase activity, and use for the treatment of cancer' US 7,304,063, **2007**.
2. Lindsley, C.W.; Zhao, Z. 'Preparation of fused quinoxaline derivatives as inhibitors of Akt activity for

Dr. Craig W. Lindsley  
treating cancer' US 7,273,869, **2007**.

1. Bilodeau, M.T.; Duggan, M.E.; Hartnett, J.C.; Lindsley, C.W.; Manley, P.J.; Wu, Z. Zhao, Z. 'Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer' US 7,223,738, **2007**.

### **Published Patent Applications**

75. Conn, P.J.; Lindsley, C.W.; Hopkins, C.R.; Niswender, C.M.; Gogliotti, R.D; Salovich, J.M. 'mGlu<sub>4</sub> allosteric potentiators, compositions, and methods of treating neurological dysfunction' WO 050316, **2011**.
74. Conn, P.J.; Lindsley, C.W.; Hopkins, C.R.; Niswender, C.M.; Gogliotti, R.D. 'mGlu<sub>4</sub> allosteric potentiators, compositions, and methods of treating neurological dysfunction' WO 050305, **2011**.
73. Conn, P.J.; Lindsley, C.W.; Emmitte, K.A.; Weaver, C.D. 'Substituted phenylamine carboxamide analogs as mglur5 negative allosteric modulators and methods of making and using the same' WO 035214, **2011**.
72. Conn, P.J.; Lindsley, C.W.; Emmitte, K.A.; Weaver, C.D.; Rodriguez, A.L.; Felts, A.S.; Jones, C.K.; Bates, B.S. 'Substituted benzamide analogs as mglur5 negative allosteric modulators and methods of making and using the same' WO 035209, **2011**.
71. Conn, P.J.; Lindsley, C.W.; Emmitte, K.A.; Weaver, C.D.; Rodriguez, A.L.; Felts, A.S.; Jones, C.K.; Bates, B.S. 'Substituted heteroarylamide analogs as mglur5 negative allosteric modulators and methods of making and using the same' WO 035186, **2011**.
70. Conn, P.J.; Lindsley, C.W.; Emmitte, K.A.; Weaver, C.D.; Rodriguez, A.L.; Felts, A.S.; Jones, C.K.; Bates, B.S. 'Substituted heteroarylamine carboxamide analogs as mglur5 negative allosteric modulators and methods of making and using the same' WO 035174, **2011**.
69. Conn, J.P.; Lindsley, C.W.; Weaver, C.D.; Stauffer, S.; Williams, R.; Mcdonald, G.; Bartolome-Nebreda, J.M.; Zhou, Ya 'O-benzyl nicotinamide analogs as mglur5 positive allosteric modulators' WO 035324, **2011**.
68. Conn, P.J.; Lindsley, C.W.; Hopkins, C.R.; Weaver, C.D.; Niswender, C.M.; Engers, D.W.; Gentry, P.R.; Cheung, Y-Y; Salovich, J.M.; Gogliotti, R.D. 'Imidazolidinone derivatives and related compounds as mGluR4 allosteric potentiators, compositions and methods of treating neurological dysfunction' WO 029104, **2011**.
67. Conn, P.J.; Lindsley, C.W.; Hopkins, C.R.; Weaver, C.D.; Niswender, C.M.; Cheung, Y-Y. 'Substituted benzoimidazolesulfonamides and substituted indolesulfonamides as mGluR4 potentiators' WO 011722, **2011**.
66. Brown, H.A.; Lindsley, C.W.; Waterson, A.G.; Scott, S.A. 'Isoform selective phospholipase D inhibitors' WO 011680, **2011**.
65. Shipe, W.D.; Lindsley, C.W.; Hallett, D. 'Quinolone M1 Receptor Positive Allosteric Modulators' WO 0317692, **2010**.
64. Lindsley, C.W.; Conn, P.J.; Williams, R.; Jones, C.K.; Sheffler, D.J. 'Sulfonyl-piperidin-4-yl-methylamine amide analogs as GlyT1 inhibitors, methods for making same, and use of same in treating psychiatric disorders' WO 0256186, **2010**.
63. Lindsley, C.W.; Conn, P.J.; Williams, R.; Jones, C.K.; Sheffler, D.J. 'Sulfonyl-azetid-3-yl-

Dr. Craig W. Lindsley

methylamine amide analogs as GlyT1 inhibitors, methods for making same, and use of same in treating psychiatric disorders' WO 0261696, **2010**.

62. Lindsley, C.W.; Conn, P.J.; Williams, R.; Jones, C.K.; Sheffler, D.J. 'Alkylsulfonyl-2,3-dihydrospiro[indene-1,4'-piperidine analogs as GlyT1 inhibitors, methods for making same and use of same in treating psychiatric disorders' WO 0249167, **2010**.
61. Barrow, J.C.; Lindsley, C.W.; Shipe, W.D.; Yang, Z. '3-Fluoro-Piperidine T-Type Calcium Channel Antagonists' WO 0222387, **2010**.
60. Wolkenberg, S.E.; Zhao, Z.; Lindsley, C.W. 'Non-Nucleoside Reverse Transcriptase Inhibitors' WO 0222322, **2010**.
59. Lindsley, C.W.; Conn, J.P.; Weaver, C.D.; Niswender, C.M.; Lebois, E.P.; Bridges, T.M. 'Amidobipiperidinecarboxylate M<sub>1</sub> allosteric agonists, analogs and derivatives thereof, and methods of making and using same' WO 096703, **2010**.
58. Barrow, J.C.; Lindsley, C.W.; Shipe, W.D.; Yang, Z.; Wisnoski, D.D. '4-Fluoro-Piperidine T-Type Calcium Channel Antagonists' WO 0216841, **2010**.
57. Armstrong, D.J.; Goto, Y.; Hashihayata, T.; Kato, T.; Kelly, M.J.; Layton, M.E.; Lindsley, C.W.; Ogino, Y.; Onozaki, Y.; Rodzinak, K.J.; Rossi, M.A.; Sanderson, P.E.; Wang, J.; Yaroschak, M.M. 'Preparation of diphenyl substituted naphthyridine compounds as inhibitors of Akt activity' WO 088177, **2010**.
56. Conn, P.J.; Lindsley, C.W.; Hopkins, C.R.; Weaver, C.D.; Niswender, C.M.; Gogliotti, R.D.; Engers, D.W. 'Substituted 1,1,3,3-tetraoxidobenzo[d][1,3,2]dithiazoles as mglur4 allosteric potentiators, compositions, and methods of treating neurological dysfunction' WO 088406, **2010**.
55. Lindsley, C.W.; Leister, W.H.; Wolkenberg, S.E. 'Non-Nucleoside Reverse Transcriptase Inhibitors' WO 0179122, **2010**.
54. Lindsley, C.W.; Conn, P. J.; Weaver, C.D.; Niswender, C.M.; Williams, R.; Jones, C.K.; Sheffler, D.J. '3.1.0 GlyT1 inhibitors and methods of making and using the same' WO 0256192, **2010**.
53. Wolkenberg, S.E.; Lindsley, C.W.; Zhao, Z.; Williams, T.M. 'Non-Nucleoside Reverse Transcriptase Inhibitors' WO 0168097, **2010**.
52. Lindsley, C.W.; Conn, P. J.; Weaver, C.D.; Niswender, C.M.; Williams, R.; Jones, C.K.; Sheffler, D.J. '3.3.0 GlyT1 inhibitors and methods of making and using the same' WO 0261773, **2010**.
51. Bilodeau, M.T.; Kett, N.R.; Lindsley, C.W.; Manley, P.J.; Melamed, J.Y.; Paone, D.V.; Trotter, W.B.; Wu, Z. 'Decahydroquinoline analogs as CB2 receptor modulators, useful in the treatment of pain, respiratory and non-respiratory disease' WO 099673, **2010**.
50. Dinsmore, C.J.; Beshore, D.C.; Bergman, J.M.; Lindsley, C.W. 'Tyrosine kinase inhibitors' WO 0041652, **2010**.
49. Lindsley, C.W.; Shipe, W.D.; Yang, F.; Bunda, J.L. 'Benzyl-substituted quinolone M1 receptor positive allosteric modulators' WO 0009962, **2010**.
48. Lindsley, C.W.; Conn, P.J.; Kennedy, J.P.; Brogan, J.T. 'Unnatural dispyrin analogues, preparation and uses thereof' WO 0152107, **2009**.
47. Burns, H.D.; Hamill, T.G.; Lindsley, C.W. 'Radiolabeled Glycine Transporter Inhibitors' WO 0269278, **2009**.

*Dr. Craig W. Lindsley*

46. Hallett, D.; Lindsley, C.W.; Naylor, E.M.; Zhao, Z.; Theberge, C.R.; Wolkenberg, S.E.; Nolt, B.M. 'Piperidine Glycine Transporter Inhibitors' WO 0270451, **2009**.
45. Conn, J.P.; Lindsley, C.W.; Weaver, C.D.; Rodriguez, A.L.; Niswender, C.M.; Jones, C.K.; Williams, R. 'Bicyclic mGluR5 positive allosteric modulators and methods of making and using same' WO 0270362, **2009**.
44. Eastman, B.; Lindsley, C.W.; Wolkenberg, S.E.; Zhao, Z. 'Somatostatin agonists' WO 0258853, **2009**.
43. Dinsmore, C.J.; Beshore, D.C.; Bergman, J.M.; Lindsley, C.W. 'Tyrosine kinase inhibitors' WO 0186871, **2009**.
42. Bergman, J.M.; Coleman, P.J.; Cox, C.D.; Hartman, G.D.; Lindsley, C.W.; Mercer, S.P.; Roecker, A.J.; Whitman, D.B. 'Proline bis-amide orexin receptors' WO 0118200, **2009**.
41. Conn, P.J.; Lindsley, C.W.; Weaver, C.D.; Rodriguez, A.L.; Niswender, C.M.; Jones, C.K.; Williams, R. 'Benzamide derivatives as mGluR5 positive allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases' WO 151184, **2008**.
40. Bilodeau, M.T.; Kett, N.R.; Lindsley, C.W.; Manley, P.J.; Melamed, J.Y.; Paone, D.V.; Trotter, B.W.; Wu, Z. 'Decahydroquinoline analogs as CB2 receptor modulators' WO 088744, **2008**.
39. Eastman, B.; Lindsley, C.W.; Wolkenberg, S.E.; Zhao, Z. 'Preparation of quinoline derivatives as somatostatin agonists' WO 051272, **2008**.
38. Lindsley, C.W.; Shipe, W.D.; Yang, F.; Bunda, J.L. 'Benzyl-substituted quinolone M1 receptor positive allosteric modulators' WO 002621, **2008**.
37. Shipe, W.D.; Lindsley, C.W.; Hallett, D. 'Quinolone M1 receptor positive allosteric modulators' WO 100366, **2007**.
36. Shipe, W. D.; Lindsley, C.W.; Hallett, D. 'Quinolone derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use as M1 receptor positive allosteric modulators' WO 067489, **2007**.
35. Hallett, D.; Lindsley, C.W.; Naylor, E.M.; Zhao, Z.; Theberge, C.R.; Wolkenberg, S.E.; Nolt, B.M. 'Piperidine glycine transporter inhibitors' WO 053400, **2007**.
34. Burns, H.D.; Hamill, T.G.; Lindsley, C.W. 'Preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging' WO 041025, **2007**.
33. Barrow, J.C.; Lindsley, C.W.; Shipe, W.D.; Yang, Z. 'Preparation of 3-fluoropiperidine compounds as T-type calcium channel antagonists' WO 002361, **2007**.
32. Barrow, J.C.; Lindsley, C.W.; Shipe, W.D.; Yang, Z.; Wisnoski, D. 'Preparation of 4-fluoropiperidine derivatives as T-type calcium antagonists' WO 002884, **2007**.
31. Wolkenberg, S.E.; Zhao, Z.; Lindsley, C.W. 'Preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS' WO 002368, **2007**.
30. Lindsley, C.W.; Leister, W.H.; Wolkenberg, S.E. 'Preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS' WO 002481, **2007**.

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29. Wolkenberg, S.E.; Lindsley, C.W.; Zhao, Z.; Williams, T.M. 'Preparation of indole derivatives as non-nucleoside reverse transcriptase inhibitors' WO 002458, **2007**.
28. Bergman, J.M.; Coleman, P.J.; Cox, C.; Hartman, G.D.; Lindsley, C.W.; Mercer, S.P.; Roecker, A.J.; Whitman, D.B. 'Proline bis-amide orexin receptor antagonists' WO 127550, **2006**.
27. Cosford, N.D.P.; Layton, M.E.; Liang, J.; Lindsley, C.W.; Sanderson, P.E. 'Preparation of quinoxaline and naphthyridine compounds as inhibitors of Akt kinases for the treatment of cancer activity' WO 091395, **2006**.
26. Arrington, K.L.; Fraley, M.E.; Garbaccio, R.M.; Huang, S.Y.; Lindsley, C.W.; Steen, J.T.; Yang, F. 'Preparation of indolylindazole derivatives as inhibitors of checkpoint kinases' WO 086255, **2006**.
25. Barnett, S.F.; Bogusky, M.J.; Leister, W.H.; Lindsley, C.W. 'Canthine analog inhibitors of Akt kinase activity, and use in the treatment of cancer' WO 068796, **2006**.
24. Lindsley, C.W.; Wisnoski, D.D.; Wolkenberg, S.E. 'Cyclopropyl piperidine glycine transporter inhibitors for treatment of neurological and psychiatric disorders' WO 039221, **2006**.
23. Lindsley, C.W.; Hallet, D.; Wolkenberg, S.E. 'Azetidines as glycine transporter inhibitors, their preparation, pharmaceutical compositions, and use in treatment of schizophrenia. WO 110983, **2005**.
22. Lindsley, C.W.; Wolkenberg, S.E.; Zhao, Z. 'Morpholinyl piperidine derivative glycine transporter GlyT1 inhibitors, their preparation and their use for treatment of neurological and psychiatric disorders' WO 107469, **2005**.
21. Blackaby, W.; Duggan, M.E.; Hallett, D.; Hartman, G.D.; Jennings, A.S.; Leister, W.H.; Lewis, R.T.; Lindsley, C.W.; Naylor, E.; Street, L.J.; Wang, Y.; Wisnoski, D.D.; Wolkenberg, S.E.; Zhao, Z. 'Preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for the treatment of psychiatric disorders' WO 094514, **2005**.
20. Beavers, L.S.; Gadski, R.A.; Hipskind, P.A.; Jesudason, C.D.; Lindsley, C.W.; Lobb, K.; Pickard, R.T. 'Preparation of tetralin derivatives as histamine H3 receptor antagonists' WO 082893, **2005**.
19. Lindsley, C.W.; Wisnoski, D.D.; Zhao, Z. '4-Phenylpiperidine derivative glycine transporter inhibitors for the treatment of neurological and psychiatric disorders' WO 046601, **2005**.
18. Lindsley, C.W.; Wisnoski, D.D. 'Pyrazole modulators of metabotropic glutamate receptors' WO 030128, **2005**.
17. Duggan, M.E.; Lindsley, C.W.; Wu, Z.; Zhao, Z.; Hartnett, J. 'Substituted pyridine compounds as inhibitors of protein kinase Akt activity for treating cancer' WO 096135, **2004**.
16. Bilodeau, M.T.; Duggan, M.E.; Hartnett, J.; Lindsley, C.W.; Wu, Z.; Zhao, Z. Preparation of azaheterocyclyl-substituted diphenylpyridines as Akt inhibitors for the treatment of cancer' WO 096131, **2004**.
15. Bilodeau, M.T.; Lindsley, C.W.; Zhao, Z. 'Triazine moiety-containing compounds as inhibitors of Akt kinase activity, and use for the treatment of cancer' WO 096129, **2004**.
14. Lindsley, C.W.; Wisnoski, D.D. 'Synthesis of functional polymers for use in organic synthesis and combinatorial chemistry' WO 089857, **2004**.
13. Duggan, M.E.; Lindsley, C.W.; Wisnoski, D.D. 'Benzamide modulators of metabotropic glutamate receptors' WO 087048, **2004**.

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12. Duggan, M.E.; Lindsley, C.W.; Zhao, Z. 'A preparation of thieno[3,4-*b*]pyrazine derivatives useful as inhibitors of Akt activity' WO 041162, **2004**.
11. Dinsmore, C.J.; Beshore, D.C.; Bergman, J.M.; Lindsley, C.W. 'Preparation of substituted sulfonyl indoles as novel tyrosine kinase inhibitors' WO 014851, **2004**.
10. Dinsmore, C.J.; Beshore, D.C.; Bergman, J.M.; Lindsley, C.W. 'Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors' WO 014300, **2004**.
9. Lindsley, C.W.; Zhao, Z. 'Preparation of fused quinoxaline derivatives as inhibitors of Akt activity for the treatment of cancer' WO 086404, **2003**.
8. Lindsley, C.W.; Zhao, Z. 'Preparation of *N*-[4-(3-phenylquinoxalin-2-yl)benzyl] substituted sulfonamides as inhibitors of Akt activity' WO 086403, **2003**.
7. Bilodeau, M.T.; Duggan, M.E.; Hartnett, J.C.; Lindsley, C.W.; Manley, P.J.; Wu, Z. Zhao, Z. 'Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer' WO 086394, **2003**.
6. Duggan, M.E.; Lindsley, C.W.; Zhao, Z. 'Preparation of 2,3-diphenylpyrazine derivatives as inhibitors of Akt activity for treating cancer' WO 086279, **2003**.
5. Barnett, S.F.; Defeo-Jones, D.; Haskell, K.M.; Huber, H.E.; Nahas, D.D.; Lindsley, C.W.; Zhao, Z.; Hartman, G.D. 'Preparation of triazolo[3,4-*b*]pyridazines and 2,3-diarylquinazolines for the treatment of cancer' WO 084473, **2003**.
4. Trotter, W.B.; Bell, I.M.; Zartman, B.C.; Lindsley, C.W.; Zhao, Z. 'Preparation of 2-carboxypyrroles as tyrosine kinase inhibitors' WO 035615, **2003**.
3. Beavers, L. S.; Gadski, Robert A.; Hipskind, P. A.; Lindsley, C.W.; Lobb, K. L.; Nixon, J.A.; Pickard, R.T.; Schaus, J. M.; Takakuwa, T.; Watson, B.M. 'Preparation of non-imidazole aryl alkylamines as histamine H3 receptor antagonists' WO 0276925, **2002**.
2. Hodges, J.C.; Lindsley, C.W.; Harikrishnan, H. 'Solid-supported initiators and functional polymers for use in organic synthesis and combinatorial chemistry' WO 0078740, **2000**.
1. Lindsley, C.W.; Chen, C.; Shair, M.D.; Westwood, N.; Pelish, H.; Sheehan, S.; Goess, B.; Layton, M. 'Biomimetic combinatorial synthesis of polycyclic natural products' WO 9964379, **1999**.

#### **Presentations:**

95. Fadeyi, O.O.; Lindsley, C.W. 'Application of organocatalysis to the synthesis of pharmacological relevant scaffolds: Chiral  $\beta$ -fluoroamines and aziridines' 241<sup>st</sup> ACS National Meeting, March 27-31, 2011.
94. Lindsley, C.W. 'Development of M4 Allosteric Modulators for Psychiatric Disorders' University of Minnesota, February 15, 2010.
93. Lindsley, C.W. 'Development of Allosteric Modulators for Psychiatric and Neurological Disorders' Broad Institute, December 1, 2010.
92. Lindsley, C.W. 'Modulation of Glutamate Signaling at Multiple Levels for Treatment of Positive Symptoms and Cognitive Disturbances in Schizophrenia' ACNP 2010, December 6, 2010.

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91. Lindsley, C.W. 'Development of Allosteric Modulators for Psychiatric and Neurological Disorders' ACNP 2010, December 7, 2010.
90. Lindsley, C.W. 'Discovery and Optimization of allosteric activators of M1 and M5: Efficacy in preclinical AD models' ICAD 2010, Honolulu, HI, July 13, 2010.
89. Lindsley, C.W. 'Molecular Switches' on allosteric ligands that modulate modes of pharmacology' Gordon Research Conference on High Throughput Chemistry and Chemical Biology, Les Diablerets, Switzerland, June 20, 2010.
88. Lindsley, C.W. 'Development of allosteric modulators of GPCRS, kinases and lipases' Merck Pharmaceuticals (Legacy Schering), July 22, 2010.
87. Lindsley, C.W. 'Development of allosteric modulators of GPCRS, kinases and lipases' Abbott Pharmaceuticals, June 11, 2010.
86. Lindsley, Craig W. 'Development of positive allosteric modulators of mAChRs 1, 4 and 5' Abstracts of Papers, 238th ACS National Meeting, Washington, DC, United States, August 16-20, 2009 .
85. Reger, T.S.; Yang, Z-Q.; Schlegel, K-A. S.; Shu, Y.; Cube, R.V.; Mattern, C.; Rittle, K.E.; Ngo, P.L.; Shipe, W. D.; Yang, V.; Lindsley, C.W.; Barrow, J.; Coleman, P.; Hartman, G.D.; Tang, C.; Ballard, J.; Kuo, Y.; Prueksaritanont, T.; Kane, S.A.; Urban, M.O.; Liang, A.; Sain, N.M.; Uebele, V.N.; Nuss, C. E.; Doran, S.M.; Garson, S.L.; Fox, S.V.; Kraus, R.L.; Renger, J.J. 'Evaluation of potent and selective T-Type calcium channel antagonists in models of pain and insomnia' Abstracts of Papers, 237th ACS National Meeting, Salt Lake City, UT, United States, March 22-26, 2009
84. Felts, A.S.; Lindsley, C.W. 'Development of novel chemotypes for negative allosteric modulation of mGluR5' Abstracts of Papers, 237th ACS National Meeting, Salt Lake City, UT, United States, March 22-26, 2009.
83. Robertson, S.D.; Matthies, H.; Bibus-Christianson, N.; Kennedy, J.P.; Lindsley, C.W.; Blakely, R.D.; Galli, A. 'Insulin regulation of the norepinephrine transporter (NET)' Society for Neuroscience, Washington, D.C., November 15-19, 2008.
82. Speed, N.; Owens, W.A.; Matthies, H.; Saunders, C.; Situ, M.; Kennedy, J.P.; Vaughan, R.; Lindsley, C.W.; Niswender, K.; Daws, L.C.; Galli, A. 'Insulin regulation of the dopamine transporter' Society for Neuroscience, Washington, D.C., November 15-19, 2008.
81. Oluwatola, O.O.; Engers, D.W.; Sulikowski, G.A.; Lindsley, C.W. 'Advances in the Development of Positive Allosteric Modulators for Metabotropic Glutamate Receptor Subtype 5 (mGluR5)' 60th Southeast Regional Meeting of the American Chemical Society, Nashville, TN, United States, November 12-15, 2008.
80. Kennedy, J.P.; Lindsley, C.W. 'Progress toward the Total Synthesis of Piperazimycin A' 60th Southeast Regional Meeting of the American Chemical Society, Nashville, TN, United States, November 12-15, 2008.
79. Lewis, J.A.; Daniels, R.N.; Lindsley, C.W. 'Total Synthesis of Ciliatamides A - C: Stereochemical Revision and Unnatural Analogs' 60th Southeast Regional Meeting of the American Chemical Society, Nashville, TN, United States, November 12-15, 2008.
78. Wang, E.A.; Daniels, R.N.; Melancon, B.J.; Sulikowski, G.A.; Lindsley, C.W. 'Efforts toward the Ethylideneproline Core of Lucentamycins -D. 60th Southeast Regional Meeting of the American Chemical Society, Nashville, TN, United States, November 12-15, 2008.

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77. Daniels, R.N.; **Lindsley, C.W.** 'Total Synthesis of Lucentamycins A-D' Abstracts, 60th Southeast Regional Meeting of the American Chemical Society, Nashville, TN, United States, November 12-15, 2008.
76. Davis, A.A.; Brady, A.E.; Heilman, C.J.; Gurevich, V.V.; **Lindsley, C.W.**; Conn, P.J.; Lah, J.J.; Levey, A.I. 'The novel allosteric agonist TBPB activates M1 muscarinic acetylcholine receptors without inducing arrestin recruitment or functional desensitization' Society for Neuroscience, Washington, DC, Nov. 15-19<sup>th</sup>, 2008.
75. **Lindsley, C.W.** 'An Allosteric Approach for the Modulation of Class A GPCRs: Potential New Therapeutics for Schizophrenia and Alzheimer's Disease' NYAS Novel Approaches to 7-Transmembrane Receptor Therapeutics, New York, NY September 23, 2008.
74. Lewis, J.A.; Jaboin, J.; Tu, T.; Daniels, R.N.; Wiley, C.D.; **Lindsley, C.W.**; Hallahan, D.E. 'Development of Radiation Sensitizers that Inhibit Bone Marrow X Kinase' Radiation Research Society Meeting, Boston, MA September 21-25, 2008.
73. Hammond, A.S.; Rodriguez, A.L.; Engers, D.W.; Jones, C.K.; Ayala, J.; Chen, Y.; Venable, D.F.; Oluwatola, O.; **Lindsley, C.W.**; Conn, P.J. 'Optimization of mGluR5 PAMs related to ADX47273' 6<sup>th</sup> International Meeting on Metabotropic Glutamate Receptors, Taormina, Sicily – Italy, September 14-19, 2008.
72. **Lindsley, C.W.** 'Challenges in the development of mGluR allosteric ligands: Medicinal chemistry strategies to address confounding structure-activity-relationships' 6<sup>th</sup> International Meeting on Metabotropic Glutamate Receptors, Taormina, Sicily – Italy, September 14-19, 2008.
71. Hammond, A.S.; Rodriguez, A.L.; **Lindsley, C.W.**; Conn, P.J. 'Members of a novel benzamide structural class act as positive allosteric modulators of metabotropic glutamate receptor subtype 5 by binding to a site other than that of 2-methyl-6-(phenylethynyl)pyridine and its analogs' 6<sup>th</sup> International Meeting on Metabotropic Glutamate Receptors, Taormina, Sicily – Italy, September 14-19, 2008.
70. Hamill, T.G.; Jennings, A.S.R.; Lewis, R.T.; Pike, A.; Thomas, S.; Wood, S.; Street, L.; Patel, S.; Wisnoski, D.; Wolkenberg, S.E.; **Lindsley, C.W.**; Zhao, Z.; Krause, S.M.; Ryan, C.; Michener, M.; Williams, D.; Zeng, Z.; Miller, P.; Riffel, K.; Eng, W.; Gibson, R.E.; Sur, C.; Hargreaves, R.; Burns, H.D. 'Synthesis and characterization of glycine transporter (GlyT1) PET ligands' 236<sup>th</sup> ACS National Meeting, Philadelphia, PA, August 17-21, 2008.
69. Pawluczyk, J.M.; McClain, R.T.; Mulhearn, J.J., Jr.; Rudd, D.J.; Denicola, C.; **Lindsley, C.W.** 'Microwave initiated living free radical polymerization: Optimization of the preparative scale synthesis of Rasta resins. 236<sup>th</sup> ACS National Meeting, Philadelphia, PA, August 17-21, 2008.
68. **Lindsley, C.W.** 'Discovery of Centrally Active M1 and M4 Positive Allosteric Modulators' Gordon Research Conference on Medicinal Chemistry, New London, NH, August 4-8, 2008 (invited Speaker).
67. **Lindsley, C.W.** 'Development of allosteric ligands of Class A and Class C GPCRs Boston University's 9<sup>th</sup> Annual Symposium on Chemical Synthesis: Advances and Applications' Boston University, Boston, MA, June 27, 2008 (invited speaker).
66. Speed, N.; Owens, W.A.; Williams, J.M.; Saunders, C.; Siuta, M.; Kennedy, J.P.; **Lindsley, C.W.**; Niswender, K.; Avison, M.J.; Daws, L.C.; Galli, A. 'How sweet is DAT: insulin regulation of dopamine transporter activity' ACNP 47<sup>th</sup> Annual Meeting, Scottsdale, AZ, December 7-11, 2008.
65. Doughty, S.E.; Bibus-Christianson, N.; Kennedy, P.; **Lindsley, C.**; Blakely, R.D.; Galli, A. 'Insulin regulation of the norepinephrine transporter' Society for Neuroscience, Washington, DC, November



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64. **Lindsley, C.W.** 'Discovery and development of allosteric modulators of Class A and Class C GPCRs' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008 (invited speaker).
63. Lewis, L.M.; Sheffler, D.; Williams, R.; Bridges, T.M.; Kennedy, J.P.; Brogan, J.T.; Mulder, M.J.; Williams, L.; Nalywajko, N.T.; Niswender, C.M.; Weaver, C.D.; Conn, P.J.; **Lindsley, C.W.** 'Discovery and development of a highly selective and centrally active M1 antagonist' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008.
62. Bridges, T.M.; Jones, C.K.; Brady, A.; Marlo, J.E.; Rodriguez, A.L.; Niswender, C.M.; Sheffler, D.; Kennedy, P.; Williams, R.; Orton, D.; Kim, K.; Williams, L.; Mulder, M.; Lewis, M.; Shirey, J.K.; Davis, A.A.; Lah, J.J.; Levey, A.I.; Weaver, C.D.; Conn, P.J.; **Lindsley, C.W.** 'Subtype-selective allosteric modulation of the M1 and M4 muscarinic receptors' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008.
61. Le, U.M.; Iwamoto, H.; Yuan, H.; DeFelice, L.; **Lindsley, C.W.** 'Targeting serotonin transporter channel activity aids in the development of therapeutic drugs for clinical depression' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008 (2008),
60. Sharma, S.; Williams, R.; Rodriguez, A.L.; Niswender, C.M.; Weaver, C.D.; Conn, P. J.; **Lindsley, C.W.** 'Synthesis and SAR of a partial mGluR5 antagonist lead: Unexpected modulation of pharmacology with slight structural modifications to a 5-(phenylethynyl)pyrimidine scaffold' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008
59. Daniels, R.N.; **Lindsley, C.W.** 'Total synthesis of lucentamycins' 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008.
58. Thomsen, M.; Conn, P.J.; **Lindsley, C.W.**; Fink-Jensen, A.; Caine, S.B. 'Modulation of cocaine discrimination by muscarinic ligands in mice' Experimental Biology 2008, San Diego, CA, April 5-9, 2008.
57. Bridges, T.M.; Jones, C.K.; Brady, A.; Marlo, J.E.; Rodriguez, A.L.; Niswender, C.M.; Sheffler, D.; Kennedy, P.; Williams, R.; Orton, D.; Kim, K.; Williams, L.; Mulder, M.; Lewis, M.; Shirey, J.K.; Davis, A.A.; Lah, J.J.; Levey, A.I.; Weaver, C.D.; Conn, P.J.; **Lindsley, C.W.** 'Discovery and characterization of novel, highly-selective agonists and antagonists of mAChR1: *In vitro* and *in vivo* profiles relevant to Alzheimer's disease and schizophrenia' ASPET Centennial Meeting, Experimental Biology 2008, San Diego, CA, April 5-9, 2008.
56. Brady, A.E.; Bridges, T.M.; Thompson, A.D.; Yin, H.; Shirey, J.K.; **Lindsley, C.W.**; Conn, P.J. 'Characterization of novel and selective positive allosteric modulators (PAMs) of the M4 muscarinic acetylcholine receptor (mAChR)' ASPET Centennial Meeting, Experimental Biology 2008, San Diego, CA, April 5-9, 2008.
55. **Lindsley, C.W.** 'De novo design of potent GlyT1 inhibitors: *In vitro* and *in vivo* profiles' ASPET Centennial Meeting, Experimental Biology 2008, San Diego, CA, April 5-9, 2008 (invited speaker).
54. Ray, W.J.; Seager, M.; Ma, L.; Wittman, M.; Getty, K.; Marlatt, M.; Crouthamel, M-C.; Wu, G.; Sankaranarayanan, S.; Simon, A.; Burno, M.; Jones, K.; Graufieds, V.K.; Bickel, D.; Posavec, D.; Cook, J.; Veng, L.; Kuduk, S.; Sur, C.; Shipe, W.; **Lindsley, C.**; Kinney, G.; Pascarella, D. Jacobson, M.; Seabrook, G. 'Allosteric potentiation of the M1 muscarinic receptor provides unprecedented selectivity and a novel therapeutic strategy for the treatment of Alzheimer's Disease' Keystone Symposium on Alzheimer's Disease (C7), Keystone, CO, March 24-27, 2008.
53. Myers-Johnson, K.A.; Niswender, C.M.; Luo, Q.; Ayala, J.E.; Rodriguez, A.L.; Marlo, J.E.; Days, E.L.;

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- Nalawajko, N.T.; Lornsen, K.A.; Williams, M.; Lewis, M.; Weaver, C.D.; Conn, P.J.; **Lindsley, C.W.** 'Discovery, synthesis and SAR of a series of novel positive allosteric modulators of metabotropic glutamate receptor subtype 4' Society for Neuroscience, San Diego, CA November 6, 2007.
52. Miller, T.W.; Perez-Torres, M.; Guix, M.; **Lindsley, C.W.**; Arteaga, C.L. 'Loss of PTEN confers hormone-independent and anti-estrogen resistance to hormone receptor-positive human breast cancer cells' AACR special conf. on breast cancer, San Diego, CA, October 17-20, 2007.
51. Buck, J.; Williams, R.; Marlo, J.; Brady, A.; Conn, P.J.; **Lindsley, C.W.** 'Development of M1 muscarinic receptor modulators' ACS WRM, San Diego, CA, October 9-13, 2007.
50. Lewis, J.A.; Orton, D.; Fu, A.; **Lindsley, C.W.**; Hallahan, D.E. 'Development of phosphatidylinositol-3 kinase inhibitors as cancer therapeutics' ACS WRM, San Diego, CA, October 9-13, 2007.
49. Barrow, J.; Yang, Q.; Shipe, W.D.; **Lindsley, C.W.**; Ynag, F.V.; Bieber, K.; Shu, Y.; Rittle, K.E.; Zrada, M.M.; Hartman, G.D.; Tang, C.; Ballard, J.; Kuo, Y.; Adarayan, E.D.; McLoughlin, D.; Prueksartitanot, T.; Uebele, V.N.; Nuss, C.E.; Doran, S.; Fox, S.; Kraus, R.L.; Vargas, H.M.; Bunting, P.; Woltmann, R.; Magee, M.; Koblan, K.; Renger, J.J. 'Discovery and optimization of selective, brain-penetrant T-type calcium channel antagonists' ACS-EFMC Frontiers in CNS and Oncology Medicinal Chemistry, Sienna, Italy, October 7-9, 2007.
48. Bridges, T.; Brady, A.; Shirey, J.; Marlo, J.; Jones, C.K.; Conn, P.J.; **Lindsley, C.W.** 'Sub-type selective allosteric modulation of the M1 and M4 muscarinic receptors: novel agonists and potentiators relevant to Alzheimer's disease and schizophrenia' ACS-EFMC Frontiers in CNS and Oncology Medicinal Chemistry, Sienna, Italy, October 7-9, 2007 (voted best poster).
47. **Lindsley, C.W.** 'Natural Product Guided Synthesis: Total Synthesis, Molecular Editing and Biological Evaluation of Natural Products' ICCA X, Nashville, TN, August 12-15, 2007 (invited speaker).
46. Williams, R.; Jones, C.; Brady, A.; Conn, P.J.; **Lindsley, C.W.** 'Development of M1 muscarinic modulators' Gordon Research Conference on Combinatorial Chemistry, New London, NH, June 3-7, 2007.
45. **Lindsley, C.W.** 'Preclinical Drug Discovery in an Academic Setting - It is Possible' Gordon Research Conference on Combinatorial Chemistry, New London, NH, June 3-7, 2007 (invited speaker).
44. Zhao, Z.; Nolt, M.B.; McDonald, T.P.; Maxwell, J.W.; Kinose, F.; Thut, C.; **Lindsley, C.W.**; Wolkenberg, S.E. 'Discovery of selective agonists of somatostatin receptor subtype 2 (SSTR2): application of an iterative analogue library approach' ACS MARM 2007, Ursinus College, Collegeville, PA May 16, 2007.
43. **Lindsley, C.W.** 'Development of novel, centrally active GlyT1 inhibitors that further validate the NMDA hypofunction hypothesis of schizophrenia in preclinical animal models' International Congress on Schizophrenia Research (ICOSR), Colorado Springs, CO, March 28-May 1, 2007.
42. **Lindsley, C.W.** 'Progress towards validation of the NMDA hypofunction hypothesis of schizophrenia: discovery and development of centrally active glycine transporter (GlyT1) inhibitors' American College of Neuropsychopharmacology 45<sup>th</sup> Annual Meeting, Hollywood, FL, December 3-7, 2006.
41. Zeng, Z.; Lemaire, W.; O'Malley, S.S.; O'Brien, J.A.; Miller, P.J.; Zhao, Z.J.; Wisnoski, D.D.; **Lindsley, C.W.**; Raab, C.E.; Williams, D.L.; Sur, C. 'Localization of GlyT1 in CNS tissues of rat and rhesus monkey by in vitro quantitative autoradiography using a novel GlyT1 radioligand' Society For Neuroscience 2006 Meeting, Atlanta, Georgia, October 10, 2006.
40. Wolkenberg, S.E.; Zhao, Z.; Nolt, B.M.; Wisnoski, D.D.; Nanda, K.; Trotter, B.W.; Leister, W.H.; Hartman, G.D.; **Lindsley, C.W.** 'Application of an iterative analogue library approach to cardiovascular and neuroscience programs' Gordon Research Conference on Combinatorial Chemistry, Oxford, UK, August 20-25, 2006. (Voted Best Poster)

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39. **Lindsley, C.W.** 'Discovery and development of the first centrally active mGluR5 positive allosteric modulators' Gordon Research Conference on Medicinal Chemistry, New London, NH, August 6-11, 2006 (invited speaker).
38. Nanda, K.K.; Trotter, B.W.; Nolt, M.B.; Wolkenberg, S.E., **Lindsley, C.W.**; Kiss, L.; Spencer, R.H.; Wang, J.; Cato, M.J.; White, R.B.; Yeh, S.; Lynch, J.J.; Regan, C.P.; Stump, G.L. 'Synthesis and characterization of a series of 2,3-diarylbutanamide Kv1.5 potassium channel antagonists' Medicinal Chemistry 30th National Symposium, Seattle, WA., June 25, 2006.
37. Dudkina, A.; **Lindsley, C.W.**; McClain, R.T.; Cox, A.L.; Wang, Y., Denicola, C.J. 'Toward fully automated analytical support for high-throughput medicinal chemistry' High Performance Liquid Phase Separations 30th International Symposium (HPLC 2006), San Francisco, California, May 17-24, 2006.
36. Jesudason, C.D.; Beavers, L.S.; Cramer, J.W.; Dill, J.; Finley, D.R.; Gleason, S.D.; Hemrick-Leuke, S.K.; **Lindsley, C.W.**; Nelson, D.L.G.; Stevens, F.C.; Gadski, R.A.; Oldham, S.W.; Pickard, R.T.; Siedem, C.S.; Sindelar, D.K.; Singh, A.; Watson, B.M.; Witkin, J.M.; Hipskind, P.A. '(3-Piperidin-1-yl-propoxy)-tetrahydroisoquinolines and tetrahydrozaepines: A novel series of selective H3 antagonists' 35<sup>th</sup> European Histamine Research Society Meeting, Delphi, Greece, May 10-13, 2006.
35. Wang, Y.; McClain, R.T.; Dudkina, A.; Cox, A.L.; Denicola, C.J.; **Lindsley, C.W.** 'Automated purification and post purification support in a high throughput synthesis group' Prep 19th International Symposium, Baltimore, Maryland, May 14-17, 2006.
34. McClain, R.T.; Varga, S.L.; Wolkenberg, S.E.; **Lindsley, C.W.**; Smiley, M.A.; Nolt, M.B. 'Convenient preparation of substituted 5-amino oxazoles via a microwave-assisted Cornforth rearrangement' Cambridge Health Institute: Chemistry Enabled Drug Design Meeting, San Diego, CA April 23-26, 2006.
33. Yang, F.V.; Shipe, W.D.; **Lindsley, C.W.** 'General microwave-assisted protocol for the expedient synthesis of quinoxalinones' Microwaves In Chemistry 4th International Conference, Orlando, FL. March 10, 2006.
32. Wisnoski, D.D.; **Lindsley, C.W.**; Williams Jr., D.L.; Sur, C. 'Discovery and development of the first centrally active mGluR5 positive allosteric modulators' Gordon Research Conference on Combinatorial Chemistry, Andover, NH, August 21-26, 2005 (invited poster).
31. **Lindsley, C.W.** 'Lead optimization driven by iterative analogue library synthesis: development of novel allosteric Akt kinase inhibitors' Gordon Research Conference on Combinatorial Chemistry, Andover, NH, August 21-26, 2005 (invited speaker).
30. **Lindsley, C.W.** 'Hit-to-Lead-to-Proof of Concept: Akt kinase inhibitors and mGluR5 positive allosteric modulators' World Pharmaceutical Congress - Hit-to-Lead: Streamling Lead Generation to Enhance Downstream Success, Philadelphia, PA May 24-25, 2005 (invited speaker).
29. **Lindsley, C.W.** 'Discovery and development of the first centrally active mGluR5 positive allosteric modulators' ACS Mid-Atlantic Regional Meeting, Rutgers University, New Brunswick, NJ, May 23, 2005 (invited speaker).
28. **Lindsley, C.W.** 'Technology Enabled Synthesis: A new paradigm for lead optimization' ACS ProSpectives on Medicinal Chemistry, Boston, MA, May 15-18, 2005 (invited speaker).
27. Zhao, Z.; Leister, W.H.; Wisnoski, D.D.; Wang, Y.; Wolkenberg, S.E.; **Lindsley, C.W.** 'Microwave-assisted organic synthesis of quinoxalines and 5,6-diphenylpyrazin-2(1H)-one libraries as isozyme selective Akt inhibitors' ACS ProSpectives on Medicinal Chemistry, Boston, MA, May 15-18, 2005.
26. **Lindsley, C.W.** 'Technology enhanced medicinal chemistry: development of allosteric Akt

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kinase inhibitors' The Royal Society of Chemistry – High Throughput Medicinal Chemistry, London, UK, May 10, 2005 (invited speaker).

25. **Lindsley, C.W.** 'Technology Enabled Synthesis: application to the development of the first centrally active mGluR5 positive allosteric modulators' Vanderbilt Institute of Chemical Biology Nashville, TN, February 9, 2005 (invited speaker).
24. Huber, H.E.; Barnett, S.F.; Defeo-Jones, D.; Hancock, P.J.; Haskell, K.M.; Jones, R.E.; Leander, K.R.; **Lindsley, C.W.**; Robinson, R.G.; Zhao, Z.J. 'Akt inhibitors as chemosensitizers' Nature Biotechnology 2005 Winter Symposium, Miami, FL, February 5-9, 2005.
23. **Lindsley, C.W.** 'Application of microwave-assisted organic synthesis (MAOS) for lead optimization' LabAutomation 2005, San Jose, CA, February 3, 2005 (invited speaker).
22. Wang, Y.; Leister, W.; McClain, R.; Dudkina, A.; Wolkenberg, S.W.; **Lindsley, C.W.** 'Automated post-purification sample handling in a high-throughput medicinal chemistry group' LabAutomation 2005, San Jose, CA, February 3, 2005.
21. Zhao, Z.; Wisnoski, D.D.; Leister, W.H.; Wang, Y.; Wolkenberg, S.E.; **Lindsley, C.W.** 'Microwave-assisted organic synthesis of quinoxaline and 5,6-diphenylpyrazin-2(1H)-one libraries as Akt inhibitors' Microwave User Group Meeting, Princeton, New Jersey, October 26, 2004.
20. Balitza, A.E.; Barnett, S.F.; Bilodeau, M.T.; Defeo-Jones, D.; Hartman, G.D.; Hoffman, J.M.; Huber, H.E. Jones, R.E.; Kral, A.M.; **Lindsley, C.W.**; Manley, P.J.; Robinson, R.G.; Smith, A.M. 'Development of diaryl-naphthyridine inhibitors of Akt kinase' American Chemical Society 228th National Meeting, Philadelphia, Pennsylvania, August 22-28, 2004.
19. **Lindsley, C.W.** 'Establishing an effective lead discovery and development operation' The National Institute of Health, Bethesda, MD., August 27, 2004 (invited speaker).
18. Defeo-Jones, D.; Barnett, S.F.; Hancock, P.J.; Haskell, K.M.; Leander, K.R.; Fu, S.; Robinson, R.G.; Huber, H.E.; Jones, R.E.; Zhao, Z.; Duggan, M.E.; **Lindsley, C.W.** 'Tumor cell sensitization to apoptotic stimuli by selective inhibition of specific Akt/PKB family members' Gordon Research Conference on Cancer Models and Mechanisms, Salve Regina University, New Port, RI, August 1-6, 2004.
17. **Lindsley, C.W.** 'Development pleckstrin homology domain dependent and isozyme selective Akt kinase inhibitors' Gordon Research Conference on Medicinal Chemistry, New London, NH, August 1-6, 2004 (invited speaker).
16. Defeo-Jones, D.; Barnett, S.F.; Hancock, P.J.; Haskell, K.M.; Leander, K.R.; Fu, S.; Robinson, R.G.; Huber, H.E.; Jones, R.E.; Zhao, Z.; Duggan, M.E.; **Lindsley, C.W.** 'Tumor cell sensitization to apoptotic stimuli by selective inhibition of specific Akt/PKB family members' Anti-Cancer Drug Discovery and Development, 6<sup>th</sup> Annual Summit, Philadelphia, PA July 21-23, 2004.
15. Leister, W.H.; **Lindsley, C.W.** 'Complete high throughput analytical support for drug discovery: from customization of a preparative liquid chromatograph/mass spectrometer to plating samples for screening' World Pharmaceutical Congress - Hit-to-Lead: Streamling Lead Generation to Enhance Downstream Success, Philadelphia, PA May 18-19, 2004.
14. **Lindsley, C.W.** 'The challenges of establishing an effective lead discovery and development group' World Pharmaceutical Congress - Hit-to-Lead: Streamling Lead Generation to Enhance Downstream Success, Philadelphia, PA May 18-19, 2004 (invited speaker).
13. Duggan, M.E.; **Lindsley, C.W.**; Leister, W.H.; Strauss, K.A.; Wang, Y.; Wisnoski, D.D.; Zhao, Z. 'Application of enabling technologies to expedite drug discovery' Advances in Synthetic, Combinatorial and Medicinal Chemistry International Symposium, Moscow, Russia May 5-8, 2004.
12. **Lindsley, C.W.** 'Technology enhanced medicinal chemistry' CHI's Molecular Medicine Triconference: Mastering Medicinal Chemistry, San Francisco, CA March 26, 2004 (invited speaker).

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11. **Lindsley, C.W.** 'Target and diversity-oriented organic synthesis employing microwave technology' 2<sup>nd</sup> International Microwaves in Chemistry Conference, Orlando, FL, March 5, 2004 (invited speaker).
10. Williams, D.L., O'Brien, J. A., Lemaire, W., Wittmann, M., Chen, T., Chang, R.S.L., Jacobson, M.A., Ha, S.N., Wisnoski, D.D., **Lindsley, C.W.**, Sur, C., Duggan, M.E., Pettibone, D.J., Conn, P.J. 'Actions of a novel allosteric potentiator of mGluR5 in recombinant and native systems' Society for Neuroscience, New Orleans, LA, November 8-12, 2003.
9. **Lindsley, C.W.** 'Methodology and tools to expedite the synthesis and purification of analog libraries' 35<sup>th</sup> ACS Central Regional Meeting, Pittsburgh, PA, October 22, 2003 (invited speaker).
8. **Lindsley, C.W.** 'Microwave-assisted organic synthesis: A powerful approach for small molecule construction and the expedient development of new materials' Mid-Atlantic Fall Symposium on Microwave-Assisted Organic Synthesis, Iselin, NJ, October 16, 2003 (invited speaker).
7. **Lindsley, C.W.** 'Technology enhanced medicinal chemistry – practical tools to accelerate lead discovery and development' Presented at Meeting the Challenges of Modern Medicinal Chemistry East Brunswick, NJ, October 2, 2003 (invited speaker).
6. **Lindsley, C.W.** 'Microwave-mediated synthesis: A powerful approach for small molecule construction and the expedient development of new materials' Mid-Atlantic Symposium on Microwave-Assisted Organic Synthesis, King of Prussia, PA, June 19, 2003 (invited speaker).
5. Williams, D.L. Jr.; O'Brien, J.A; Lemaire, W. Chen, T.B. Chang, R.S.L.; Jacobson, M.A., Ha, S.N.; Wisnoski, D. D.; **Lindsley, C. W.**; Sur, C.; Duggan, M.E.; Pettibone, D.J.; Conn, P. J. 'Difference in mGluR5 interaction between positive allosteric modulators from two structural classes' New York Academy of Sciences Meeting on Glutamate and Disorders of Cognition and Motivation, New Haven CT, 13-15 April 2003.
4. **Lindsley, C.W.** 'Fluorous technology for solution phase parallel synthesis' University of Pittsburgh, Departmental Seminar, Pittsburgh, PA, September 17, 2002 (invited speaker).
3. **Lindsley, C. W.** 'West Point's Technology Enabled Synthesis (TES) group: A targeted library approach to chemical lead development for nascent programs' Merck Chemistry Council Medicinal Chemistry Conference, La Sapiniere Resort, Val David, Quebec, Canada, June 15-19, 2002.
2. **Lindsley, C. W.** 'Biomimetic solid-phase synthesis of benzoxanthenone unnatural products', University of California, Santa Barbara, Departmental Seminar, Santa Barbara, CA, November 17, 1998 (invited speaker).
1. **Lindsley, C. W.** 'Novel bi-directional organometallic linchpins for all-E polyene synthesis', Chemistry Alumni Research Symposium (CARS), California State University, Chico, Chico, CA 1997.

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