

Dustin J. Mergott

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Lilly Corporate Center
Eli Lilly and Company
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Professional Experience:

Eli Lilly and Company, Discovery Chemistry Research and Technologies, Indianapolis, IN
(June 2006 – present)

Current position: Principal Research Scientist and Medicinal Chemistry Group Leader

- Chemistry Leader of team that delivered LY2886721, a β -secretase inhibitor which advanced to Phase II clinical trials
- One of two named inventors on US patent covering LY2886721
- One of four named inventors on US patent covering LY2811376, a β -secretase inhibitor that provided first proof-of-concept for reduction of cerebrospinal fluid A β via β -secretase inhibition in healthy volunteers
- Design, SAR, and synthetic contributions leading to a Notch Inhibitor drug candidate currently in clinical development
- Scientific Team Leader of international and cross-functional team on high priority neuroscience project with strategy, budget, and time-line accountability
- Medicinal Chemistry Group Leader with accountability for Neuroscience Portfolio and supervisory responsibility for multiple Ph.D. scientists
- Co-author on multiple disclosures related to Lilly's BACE research
- Actively mentored peers and associates in medicinal chemistry, drug hunting, synthetic chemistry, and team leadership
- Currently serving as Chair of Lilly Discovery Chemistry Ph.D. recruiting committee based on strong record in identification and successful recruitment of top talent

Harvard University, Cambridge, MA (Feb. 2004 – Apr. 2006)

Postdoctoral Research Associate

Advisor: Professor Eric N. Jacobsen

- Completed a total synthesis of (+)-yohimbine featuring a catalytic asymmetric acyl-Pictet–Spengler reaction and an intramolecular Diels–Alder reaction

University of Michigan, Ann Arbor, MI (Sept. 1998 – Jan. 2004)

Pfizer Graduate Fellow

Advisor: Professor William R. Roush

- Completed a total synthesis of (–)-spinosyn A utilizing a strategy involving a tandem transannular Diels–Alder and vinylogous Morita–Baylis–Hillman reaction sequence
- Developed the intramolecular vinylogous Morita–Baylis–Hillman reaction for the synthesis of carbocyclic systems
- Methodology development for the synthesis of carbohydrate orthoesters

Awards:

American Chemical Society Young Investigator Award, 2014

President's Award Nominee, Lilly Research Labs, 2013

Pfizer Graduate Fellowship, University of Michigan, 2002–03

Undergraduate Research Thesis Honors, Illinois Wesleyan University (IWU), 1997–98

Outstanding Senior Award (for top 5% of graduating class), IWU, 1997–98

Organic and Inorganic Chemistry Award, IWU, 1997–98

Analytical Chemistry Student of the Year, IWU, 1996–97

Organic Chemistry Student of the Year, IWU, 1995–96

Barry Goldwater Scholarship Nominee, IWU, 1995–96

Education: **Ph.D. Organic Chemistry**, April 2004; GPA: 7.3/8.0
University of Michigan, Ann Arbor, MI
Advisor: Professor William R. Roush

B.S. Chemistry, May 1998; GPA: 3.8/4.0
Illinois Wesleyan University, Bloomington, IL
Advisor: Professor Jeffrey A. Frick

Publications: Winneroski, L. L.; Schiffler, M. A.; Erickson, J. A.; May, P. C.; Monk, S. A.; Timm, D. E.; Audia, J. E.; Beck, J. P.; Boggs, L. N.; Borders, A. R.; Boyer, R. D.; Brier, R. A.; Hudziak, K. J.; Klimkowski, V. J.; Garcia-Losada, P.; Mathes, B. M.; Stout, S. L.; Watson, B. M.; Mergott, D. J.* "Preparation and biological evaluation of conformationally constrained BACE1 inhibitors" *Bioorg. Med. Chem.* submitted.

Rincon, J. A.; Mateos, C.; Garcia-Losada, P.; Mergott, D. J. "A large scale continuous flow transformation of oximes into fused bicyclic isoxazolidines: an example of process intensification" *Org. Process Res. Dev.* **2015**, *In press*.

May, P. C.; Willis, B. A.; Lowe, S. L.; Dean, R. A.; Monk, S. A.; Cocke, P. J.; Audia, J. E.; Boggs, L. N.; Borders, A. R.; Brier, R. A.; Calligaro, D. O.; Day, T. A.; Ereshefsky, L.; Erickson, J. A.; Gevorkyan, H.; Gonzales, C. R.; Jhee, S. S.; James, D. E.; Komjathy, S. F.; Li, L.; Lindstrom, T. D.; Mathes, B. M.; Martenyi, F.; Sheehan, S. M.; Stout, S. L.; Timm, D. E.; Vaught, G. M.; Watson, B. M.; Winneroski, L. L.; Yang, Z.; Mergott, D. J.* "The Potent BACE1 Inhibitor LY2886721 Elicits Robust Central A β Pharmacodynamic Responses in Mice, Dogs, and Humans" *J. Neurosci.* **2015**, 35(3), 1199–1210.

May, P. C.; Dean, R. A.; Lowe, S. L.; Martenyi, F.; Sheehan, S. M.; Boggs, L. N.; Monk, S. A.; Mathes, B. M.; Mergott, D. J.; Watson, B. M.; Stout, S. L.; Timm, D. E.; LaBell, E. S.; Gonzales, C. R.; Nakano, M.; Jhee, S. S.; Yen, M.; Ereshefsky, L.; Lindstrom, T. D.; Calligaro, D. O.; Cocke, P. J.; Hall, D. G.; Friedrich, S.; Citron, M.; Audia, J. E. "Robust Central Reduction of Amyloid- β in Humans with an Orally Available, Non-Peptidic β -Secretase Inhibitor" *J. Neurosci.* **2011**, 31(46), 16507–16516.

Mergott, D. J.; Zuend, S. J.; Jacobsen, E. N. "Catalytic Asymmetric Total Synthesis of (+)-Yohimbine" *Org. Lett.* **2008**, 10, 745–748.

Winbush, S. M.; Mergott, D. J.; Roush, W. R. "Total Synthesis of (–)-Spinosyn A: Examination of the Structural Features that Govern the Stereoselectivity of the Key Transannular Diels-Alder Reaction" *J. Org. Chem.* **2008**, 73, 1818–1829.

Mergott, D. J.; Frank, S. A.; Roush, W. R. "Total Synthesis of (–)-Spinosyn A" *Proc. Natl. Acad. Sci. U.S.A.* **2004**, 101, 11955–11959.

Mergott, D. J.; Frank, S. A.; Roush, W. R. "Application of the Intramolecular Vinylogous Morita–Baylis–Hillman Reaction toward the Synthesis of the Spinosyn A Tricyclic Nucleus" *Org. Lett.* **2002**, 4, 3157–3160.

Frank, S. A.; Mergott, D. J.; Roush, W. R. "The Intramolecular Vinylogous Morita–Baylis–Hillman Reaction: Synthesis of Functionalized Cyclopentenones and Cyclohexenones Using Trialkylphosphines as Nucleophilic Catalysts" *J. Am. Chem. Soc.* **2002**, 124, 2404–2405.

Patents: Green, S. J.; Mergott, D. J.; Watson, B. M.; Winneroski, L. L. "Tetrahydropyrrolothiazine

Compounds” US8841293.

Beck, J. P.; Green, S. J.; Lopez, J. E.; Mathes, B. M.; Mergott, D. J.; Porter, W. J.; Rankovic, Z.; Shi, Y.; Watson, B. M.; Winneroski, L. L. “Tetrahydropyrrolothiazine Compounds” US8889856.

Mergott, D. J.; Lopez, J. E. “Tetrahydropyrrolothiazine Compounds” US8629270.

Mergott, D. J.; Vaught, G. M. “BACE Inhibitors” US8278441.

Audia, J. E.; Mergott, D. J.; Sheehan, S. M.; Watson, B. M. “BACE Inhibitors”, US7648983.

**Presentations
and Posters:**

Mergott, D. J. et al. “Non-Clinical Characterization and Clinical Translation of LY2811376 and LY2886721” Invited and named program speaker at the XXIII European Federation of Medicinal Chemistry International Symposium on Medicinal Chemistry, September 7–11, 2014, Lisbon, PT. Abstract #: LE100.

DeMattos, R. B.; May, P. C., Racke, M. M.; Hole, J. T., Tzaferis, J. A.; Liu, F.; DeLong, C. A., Ahmed, Z.; Fisher A.; Day, T. A.; Anderson, W.; Iverson, P. W.; Gonzales, C. R.; Yang, Z.; Boggs, L. N.; Monk, S. A.; Mergott, D. J.; Tang, Y.; Lu, J.; Sims, J. R.; Hutton, M. L.; Nordstedt, C. “Combination Therapy with a Plaque Specific Abeta Antibody and BACE Inhibitor Results in Dramatic Plaque Lowering in Aged PDAPP Transgenic Mice” Oral presentation at the Alzheimer’s Association International Conference, July 12-17, 2014.

Willis, B. A.; Monk, S. A.; Lowe, S. L.; Friedrich, S.; James, D. E.; Mergott, D. J.; Boggs, L. N.; Gevorkyan, H.; Jhee, S.; Dean, R. A.; Nakano, M.; Gonzales, C. R.; May, P. C. “A Comparison of In Vivo Potency of Two BACE Inhibitors as Determined in Dogs and Humans” *Alzheimer’s Dement.* **2014**; *10* (suppl 4): pg 448.

Mergott, D. J. et al. “Preclinical Characterization and Clinical Translation of BACE Inhibitors” Invited award presentation in the Young Investigators in Medicinal Chemistry Session at the 247th ACS Meeting in Dallas, TX, March 16–20, 2014. Paper MEDI: #35

Clay, J. M.; Hipskind, P. A.; Bender, M. H.; Zamek-Gliszczynski, M.; Reel, J. K.; Porter, W. J.; Mergott, D. J.; Mathes, B. M.; Patel, B. K. “Novel Inhibitor of Notch Signaling for the Treatment of Cancer” Poster presentation at the Medicinal Chemistry Gordon Conference. Colby Sawyer College, New London, NH, Aug. 4–8, 2013.

Mergott, D. J. et al. “Robust Central Reduction of Amyloid- β in Humans with LY2811376 and LY2886721” Invited and named program speaker at Fragments 2013: 4th Royal Society of Chemistry Fragments Conference. STFC Rutherford Appleton Laboratory, Oxfordshire, UK, March 5, 2013.

Mergott, D. J. et al. “Robust Central Reduction of Amyloid- β in Humans with LY2811376 and LY2886721” Invited oral presentation in the “Late Breakers” session at the Medicinal Chemistry Gordon Research Conference. Colby-Sawyer College, New London, NH, Aug. 9, 2012.

Mergott, D. J. et al. “Robust Central Reduction of Amyloid- β in Humans with LY2811376”

Poster presentation at the Medicinal Chemistry Gordon Conference. Colby Sawyer College, New London, NH, Aug. 5–10, 2012.

Willis, B. A.; Martenyi, F.; Dean, R. A.; Lowe, S. L.; Nakano, M; Monk S. A.; Gonzales, C. R.; Mergott D. J.; Daugherty, L. L.; Citron, M.; May P. C. “Central BACE1 Inhibition by LY2886721 Produces Opposing Effects on APP Processing as Reflected by Cerebrospinal Fluid sAPPalpha and sAPPbeta.” Alzheimer’s Association International Conference, Vancouver, British Columbia, Canada. July 14–19, 2012.

Martenyi, F.; Dean, R. A.; Lowe, S.; Nakano, M; Monk, S. A.; Willis B. A.; Gonzales, C. R.; Mergott, D. J.; Daugherty, L. L.; May, P. C.; Audia J. A.; Gevorkyan, H.; Jhee S. S.; Ereshefsky, L.; Citron, M. “BACE Inhibitor LY2886721 Safety and Central and Peripheral PK and PD in Healthy Subjects.” Alzheimer’s Association International Conference, Vancouver, British Columbia, Canada. July 14–19, 2012.

Mergott, D. J. et al. “Central Inhibition of Alzheimer’s Beta-Secretase in Humans: Proof of Concept with LY2811376.” Invited oral presentation as part of a special symposium “An Update on Treating Alzheimer’s Disease”, ACS National Meeting, San Diego, CA, March 26, 2012. Paper MEDI: #223

Mergott, D. J. et al. “Central Inhibition of Alzheimer’s Beta-Secretase in Humans: Proof of Concept with LY2811376.” Invited oral presentation, and poster presentation, at Gordon Research Conference “Regulated Proteolysis of Cell Surface Proteins” Davidson College, N.C., July 10–14, 2011.

Mergott, D. J. et al. “Central Inhibition of Alzheimer’s Beta-Secretase in Humans: Proof of Concept with LY2811376.” Invited oral presentation, ACS Central Regional Meeting, Indianapolis, June 9, 2011. Paper CERM: #216.

Mergott, D. J. et al. “Total Synthesis of (+)-Yohimbine”, Presented at the 230th ACS Meeting in Washington, D.C. Aug. 28–Sep. 1, 2005. Paper ORGN: # 35.

Mergott, D. J. et al. “Studies Directed Toward a Total Synthesis of (–)-Spinosyn A”, Presented at the 224th ACS Meeting in Boston, MA, Aug. 18–22, 2002. Paper ORGN: # 896.

**Book
Chapters:**

Beck, J. P.; Mergott, D. J.; “Chapter 4: Peptidic, Peptidomimetic, and HTS-derived BACE Inhibitors” in *BACE: Lead Target for Orchestrated Therapy of Alzheimer’s Disease*. John, V., Ed.; John Wiley and Sons: Hoboken, NJ, 2010.

“Woodward *cis*-dihydroxylation.” “Corey–Winter olefin synthesis.” “Prins reaction.” Mergott, D. J. In *Name Reactions in Heterocyclic Chemistry*; Li, J. J., Ed.; John Wiley and Sons: Hoboken, NJ, 2006.