Alex G. Waterson, Ph.D.

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VANDERBILT UNIVERSITY, NASHVILLE, TN **2008-present**
Research Associate Professor of Pharmacology and Chemistry (Oct. 2015-pres)
Research Assistant Professor of Pharmacology and Chemistry (Nov. 2008- Oct. 2015)

- *Director and Scientific Coordinator: Vanderbilt Center for Cancer Drug Discovery Feb 2016-pres*
- *Co-Director, Scientific Coordinator: NCI CBC Chemical Diversity Center Aug 2009-2016*
- *Chemistry Group Leader, Fesik lab for cancer drug discovery Nov 2009-pres*
- *Director, Chemical Synthesis Core Nov 2008- Nov 2009*
- *VICB Associate Director, Medicinal Chemistry Feb 2018-pres*

Strategic and technical leadership of medicinal chemistry and multidisciplinary project teams in an academic drug discovery program; shared responsibility for portfolio, resourcing, and funding decisions.

- *Program leader, RAS/SOS:* Lead project team in discovery and optimization of novel agents that affect the Ras pathway via several novel modes.
  - Collaborative multi-target licensing agreement with Boehringer Ingelheim established
  - Multiple collaboration milestones achieved 2015-2018
- *Program leader, RPA:* Lead multidisciplinary project team in optimization of fragment hits into sub-micromolar leads for the inhibition of RPA70N protein-protein interactions using fragment linking and structure-based design strategies.
- *Program leader, MYC:* Lead multidisciplinary team in optimization of compounds that disrupt a protein-protein interaction critical for MYC-dependent transcription.
- Lead chemistry team in HTS and fragment tethering follow-up and subsequent optimization for inhibitors of Taspase1, a novel protease target, in collaboration with the NCI.
- Lead chemistry team in optimization of small molecules inhibitors of LDHA, a novel metabolic enzyme target, in collaboration with the NCI.
  - Potential licensing agreements under exploration
- Managed medicinal chemistry optimization of allosteric agonists of mosquito odorant receptors; currently under a licensing agreement.
- Set up empty lab to support a modern, functioning medicinal chemistry team, including selection and purchase of a custom 15,000-membered fragment library.
- Prepared funded grant applications and sections of grants and proposals with collaborators.

Initiate, develop, and coordinate collaborations with government, academic, and industrial partners.

- *Collaboration leader and Joint Research Committee member, (2015-pres), Boehringer Ingelheim – Vanderbilt collaboration and licensing agreements for RAS and SOS agents*. Co-draft research plan, coordinate all aspects of the collaboration.
- *Director and Scientific Coordinator: Vanderbilt Center for Cancer Drug Discovery (starting 2016); Co-Director, Scientific Coordinator, Vanderbilt Chemical Diversity Center (2009-2016).* Drive chemistry strategy and center resourcing decisions. Draft and edit statements of work and associated contract documents. Manage technical aspects of project direction.
- Implement agreement, coordinate logistical aspects, and direct scientific activities of 2-6 FTE contract researchers at Viva Biotech, Ltd.
- As synthesis core director, initiated new medicinal chemistry collaborations with multiple Vanderbilt researchers. Several of these collaborations remain ongoing.

Design and synthesis of high quality analogs in optimization efforts on probe and drug discovery projects.

- Optimized fragment hits that bind to K-Ras and inhibit nucleotide exchange.
- Optimized hits from a phenotypic E-cadherin screen to produce an *in vivo* active probe.

MEDICINAL CHEMISTRY, GLAXOSMITHKLINE, RTP, NC **2001-2008**

Investigator (2004-2008), Principal Scientist (2001-2004)

Design and synthesis of high impact kinase inhibitors from multiple chemical series.

- Developed new chemical series that resulted in the B-Raf drug Tafinlar/dabrafenib.
- Developed new chemical templates that launched IGF-1R, B-Raf, and other kinase programs.
- Designed and implemented strategies to solve key potency, pharmacokinetic, solubility, and *in vivo* efficacy problems via both new molecule design and biological study.

Shared and primary technical and strategic leadership of individuals and scientific teams to accomplish program, project, and departmental goals.

- Co-led a Hit-to-Lead triple kinase inhibitor program that resulted in lead declarations.
- Evaluated HTS results and designed initial follow-up activities for a novel kinase target.
- Managed a target validation stage non-kinase oncology target.
- Managed one senior scientist, two associate scientists, and up to ten contract chemists.
- Proposed two new oncology targets to internal committee (one funded).
- Coordinated the recruiting and hiring of associate level synthetic chemists.

POSTDOCTORAL RESEARCH ASSOCIATE, Fort Collins, CO **1999-2001**

Postdoctoral Research Associate, Advisor: Professor A. I. Meyers

- Completed the total synthesis of (-)-Penienone and developed a new approach to Viridenomycin, both using a bicyclic lactam-based chiral auxiliary.

EMORY UNIVERSITY, Atlanta, GA **1995-1999**

Doctoral Candidate, Advisor: Professor Albert Padwa

- Developed tandem iminium/thionium ion cyclizations and nitrofuran Diels-Alder cascades.

MISSISSIPPI STATE UNIVERSITY, Starkville, MS **1993-1994**

Undergraduate Research Associate, Advisor: Professor Rickey P. Hicks

- Explored carbonyl condensation reaction kinetics toward the synthesis of Neoisostegane, Steganone, and Substance P antagonists.

GEORGIA INSTITUTE OF TECHNOLOGY, Atlanta, GA **1993**

Research Experience for Undergraduates (REU) Participant, Advisor: Professor Leon Zalkow

- Synthesized non-nucleoside HIV reverse transcriptase inhibitors.

Education

Ph.D., Organic Chemistry 1999
Emory University, Atlanta, GA

B.S., *summa cum laude*, Chemistry 1994
Mississippi State University, Starkville, MS

Honors and Awards

- Research incentive award, Vanderbilt University (2017, 2018)
- GSK Inventor Award (2015, awarded retroactively for contributions to Taflinar/dabrafenib)
- R&D Exceptional Science Award, GlaxoSmithKline (2005)
- GlaxoSmithKline R&D Silver Award (2008)
- Twelve GlaxoSmithKline R&D Bronze Awards (2003-2008)
- Medicinal Chemistry Recruiter of the Year, GlaxoSmithKline (2004)
- Medicinal Chemistry Rookie Recruiter of the Year, GlaxoSmithKline (2001)
- Boehringer Ingelheim Fellowship, Emory University (1997)
- GAANN Chemistry Scholar, Emory University (1995, 1994)
- Most Outstanding Senior Chemistry Student, Mississippi State University (1994)
- L.C. Behr Scholar, Mississippi State University (1994, 1993)
- Eagle Scout rank achieved (highest rank possible in the Boy Scouts of America) (1989)

Professional Affiliations

- Member, American Chemical Society (1997-pres)
- Member, American Association of Cancer Research (2012-pres)
  - AACR Chemistry in Cancer Research Nominating Committee (2014-2015)
  - AACR Chemistry in Cancer Workgroup (2014-pres)
  - Chemistry in Cancer Research Editorial Board (2017-2020)
    - Editor-elect for 2019
- Member, NCI Chemical Biology Consortium Steering Committee (2009-pres)
  - Co-chair, 2018
- Member, Scientific Advisory Board, Cumberland Emerging Technologies (2008-pres)
- Member, Vanderbilt Institute of Chemical Biology (2008-pres)
  - Operating Committee member: 2008-present
  - Executive Committee member: 2018-present
  - Associate Director, Medicinal Chemistry: 2018-present
- Medicinal Chemistry Consultant, VICB Chemical Synthesis Core (2009-pres)
- Member, Vanderbilt-Ingram Cancer Center (2010-pres)
  - Cancer Center Therapeutic Task Force (2008-2012)
- Member, Boehringer Ingelheim–Vanderbilt Joint Research Committee (2015-pres)
- Reviewer, *Journal of Organic Chemistry*, *BioOrganic and Medicinal Chemistry Letters*, *Nature Chemical Biology*, *Chemistry and Medicinal Chemistry*, *Tetrahedron*, *European Journal of Medicinal Chemistry*
- NIH Internet Assisted Reviewer for section IMST-G (2010-2013)

Current Research Support

4/2016-present **National Cancer Institute Chemical Biology Consortium**
Vanderbilt Center for Cancer Drug Discovery

- Role: PI, Director, Scientific Coordinator (co-directors Stephen Fesik, Gary Sulikowski)
- Dedicated funding of $1,000,000 per year, renewal for 5 years, plus supplemental contract funding per project need
- Additional variable, task oriented funding. Contracts currently active:
  - Discovery of small molecule inhibitors of the WDR5-MLL1 interaction
  - Discovery of Taspase1 inhibitors for cancer.
  - Optimization of LDH-A inhibitors.

4/1/2014 – 4/1/2019 **NIH 1R01CA174887-01A1** (PI: Fesik, S. W.)

- Validating the protein binding domain of RPA as a cancer target.
- Role: Key Personnel, Medicinal chemist
- Prepared grant application and annual reports. Manage project direction.

01/01/2015– 12/31/2018 **VUMC44152 Boehringer Ingelheim Pharmaceutical Corp Collaboration and License Agreement** (PI: Fesik, S. W.)

- Role: Collaboration leader, Joint Research Committee member
- The collaboration between Boehringer Ingelheim (BI) and Vanderbilt University (VU) is focused on directly targeting three different forms of KRas and associated regulatory proteins

12/20/2016– 12/19/2019 **UNIV58306 Boehringer Ingelheim Pharmaceutical Corp** (PI: Fesik, S. W.)

- Role: Collaboration leader, Joint Research Committee member
- This collaboration concentrates on research to discover and develop compounds that directly target SOS by the optimization of hits obtained from both institutions.

Completed Funding

4/2016-present **NCI Chemical Biology Consortium Center for Cancer Drug Discovery**

- Role: PI, Director, Scientific Coordinator (co-directors Stephen W. Fesik, Gary A Sulikowski)
- Dedicated funding of $1,000,000 per year, renewal for 5 years, plus supplemental contract funding per project need
- Completed projects:
  - Discovery of inhibitors of Mcl-1 (4/2016 - 12/2017)

01/01/2011-12/31/2017 **Lustgarten Foundation for Pancreatic Cancer** (PI: Fesik, S. W.)

- Direct targeting of K-RAS with small molecules in pancreatic cancer.
- Role: Key personnel, Medicinal chemist
- Prepared funding renewal application and annual reports. Manage project direction.

05/01/2009-04/30/2017 **NCI 5P50CA095103-08** (PI: Coffey, R. J.)

- Specialized Program of Research Excellence (SPORE) in GI cancer to apply translational research strengths of the Vanderbilt Ingram Cancer Center towards molecular targets for prevention and therapy of colorectal cancer.
- Role: Project 2 Key personnel, Medicinal chemist, co-project leader

8/2009-4/2016 **National Cancer Institute Chemical Biology Consortium Chemical Diversity Center** (co-PIs: Sulikowski, G. A. and Waterson, A. G.)

- Role: co-PI, Scientific Coordinator of the Vanderbilt Chemical Diversity Center
- Variable, task oriented funding. Tasks awarded:
  - Discovery of small molecule inhibitors of the WDR5-MLL1 interaction (6/2015-5/2016)
  - Discovery of Taspase1 inhibitors for cancer. (6/01/2011- 3/31/2016)
  - Discovery of inhibitors of Mcl-1. (6/24/2013-6/30/2016)
  - Optimization of LDH-A inhibitors. (6/14/2014-4/30/2016)
  - Confirmation of ATF2 translocation results. (11/1/2012-5/1/2013)

01/22/2014-12/31/2015 **NIAID 1R21AI107145-01A1** (PI: Williams, J.)

- High-throughput screening for inhibitors of human metapneumovirus.
- Role: Key Personnel, Medicinal chemistry advisor

09/30/2010– 07/31/2015 **NIH/DP** 1DP1OD006933-01 (PI: Fesik, S. W.)

- Expanding the druggable genome.
- Role: Key Personnel, Medicinal chemist

09/01/09 -08/31/2012 **V-Foundation grant** (co-PIs: Pao, W., Weaver, D. A., and Waterson, A. G.)

- To discover and optimize inhibitor compounds active against mutant EGFR.
- Role: co-PI, Medicinal chemist

03/01/2011 **Vanderbilt Ingram Cancer Center support grant**

- Pilot funding for compound purchase and assay development to support the discovery of mutant EGFR inhibitors.
- Role: Medicinal chemist, Co-applicant with Dr. William Pao and Dr. Monica Red Brewer

6/01/2010-06/1/2011 **Lung SPORE pilot project** (PI: Fesik, S. W.)

- Target validation using RNAi and small molecule tools for TBK1
- Role: Medicinal chemist, Co-applicant with Dr. Olivia Rossanese

11/01/2009-12/01/2011 **ARRA CA148375-01** (PI: Marnett, L. J.)

- Vanderbilt molecular target discovery and development center to examine the molecular subtypes that underlie many cancers to discover new targets for drug discovery.
- Role: Medicinal chemist

Publications

1. **Waterson, A. G.**, Scott, S. A.; Kett, N. R.; Blobaum, A. L.; Brown, H. A.; Lindsley, C. W. "Isoform selective PLD inhibition by novel, chiral 2,8-diazaspiro[4.5]decan-1-one derivatives" *BioOrg. Med. Chem. Lett.* Submitted.

2. Hodges, T., R.; Abbott, J. R.; Little, A.; Sarkar, D.; Salovich, J. M.; Howes, J. E.; Akan, D.; Sai, J.; Arnold, A.; Browning, C. F.; Burns, M. C.l; Sobolik, T.; Sun, Q.; Beesetty, Y.; Coker, J., A.; Scharn, D.; Stadtmueller, H.; Rossanese, O. W.; Phan, J.; **Waterson, A., G**.; McConnell, D.; Fesik, S. W. "Discovery and Structure-Based Optimization of Benzimidazole-Derived Activators of SOS1-Mediated Nucleotide Exchange on RAS" *J. Med. Chem* (2018), accepted with minor revisions.

3. Abbott, J. R.; Patel, P. A.; Howes, J. E.; Akan, D. T.; Kennedy, J. P.; Burns, M. C.; Browning, C. F.; Sun, Q.; Rossanese, O. W.; Phan, J.; **Waterson, A. G**.; Fesik, S. W. "Discovery of Quinazolines That Activate SOS1-Mediated Nucleotide Exchange on RAS" *ACS Med. Chem. Lett.* 2018; Ahead of print. DOI:10.1021/acsmedchemlett.8b00296.

4. Abbott, J. R.; Hodges, T. R.; Daniels, R. N.; Patel, P. A.; Kennedy, J. P.; Howes, J. E.; Akan, D. T.; Burns, M. C.; Sai, J.; Sobolik, T.; Beesetty, Y.; Lee, T.; Rossanese, O. W.; Phan, J.; **Waterson, A. G**.; Fesik, S. W. "Discovery of Aminopiperidine Indoles That Activate the Guanine Nucleotide Exchange Factor SOS1 and Modulate RAS Signaling" *J. Med. Chem.* 2018; 61(14):6002-6017.

5. Burns, M. C.; Howes, J. E.; Sun, Q.; Little, A. J.; Camper, D.V.; Abbott, J. R.; Phan, J.; **Waterson, A. G.;** Lee, T.; Rossanese, O. W.; Fesik, S. W "High-throughput screening identifies small molecules that bind to the Ras:SOS:Ras complex and perturb Ras signaling" *Anal. Biochem.* 2018; 548:44-52.

6. Howes, J. E.; Akan, D. T.; Burns, M. C.; Rossanese, O. W.; **Waterson, A. G.;** Fesik, S. W. "Small molecule-mediated activation of Ras elicits biphasic modulation of phospho ERK levels that are regulated through negative feedback on SOS1" *Mol. Canc. Ther.* 2018; 17(5): 1051-1060.

7. Padmanabha, C.; Rellinger, E. J.; Zhu, J; An. H.; Woodbury. L. G.; Chung, D. H.; **Waterson**, **A. G.;** Lindsley. C. W.; Means, A. L.; Beauchamp, R. D. "cFLIP critically modulates apoptotic resistance in epithelial-to-mesenchymal transition" *Oncotarget* 2017; 8:101072-101086.

8. Rai, G.; Brimacombe, K. R.; Mott, B. R.; Urban, D. J.; Hu, X; Yang, S, -M.; Lee, T. D.; Cheff, D. M.; Pohida, K.; Benavides, G. A.; Darley-Usmar, V. M.; Moore, W. J.;Stott, G.; Flint, A.; Hall, M. D.; Neckers, L.; Van Dang, C.; **Waterson, A. G.**; Simeonov, A.;Jadhav, A.; Maloney, D. J. "Discovery and Optimization of potent, cell-active pyrazole-based inhibitors of Lactate Dehydrogenase (LDH)" *J. Med. Chem.* 2017; 60(22): 9184-9204.

9. Rellinger, E. J.; Padmanabhan, C.; Qiao, J.; Craig, B. T.; An, H.; Zhu, J.; **Waterson, A. G.**; Lindsley, C. W.; Beauchamp, R. D.; Chung, D. H.; Beauchamp, R. D. "Isoxazole compound ML327 blocks MYC expression and tumor formation in neuroblastoma" *Oncotarget* 2017 8(53): 91040-91051.

10. Rellinger, E. J.; Padmanabhan, C.; Qiao, J.; Appert, A.; **Waterson, A. G**.; Lindsley, C. W.; Beauchamp, R. D.; Chung, D. H. "ML327 induces apoptosis and sensitizes Ewing sarcoma cells to TNF-related apoptosis-inducing ligand" *Biochem. Biophys. Res. Commun.* 2017, 491(2), 463-468.

11. Patrone, J.D.; **Waterson, A.G.**; Fesik, S.W. "Recent advancements in the discovery of protein-protein interaction inhibitors of Replication Protein A" *MedChemComm* 2017; 8(2): 259-267.

12. Patrone, J.D.; Pelz, N.F.; Bates, B.S.; Souza-Fagundes, E.M.; Vangamudi, B.; Camper, D.V.; Kuznetsov, A.G.; Browning, C.F.; Feldkamp, M.D.; Gilston, B.A.; Olejniczak, E.T.; Rossasese, O.W.; **Waterson, A.G.**, Chazin, W.J.; Fesik, S.W. "Identification and optimization of anthranilic acid-based inhibitors of Replication Protein A" *ChemMedChem* 2016; 11(8): 893-899.

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14. An, H.; Deane, N. G.; Stoops, S. L.; Zi, J.; Weaver, C.; Waterson, A. G.; Zijlstra, A.; Lindsley, C. W.; Beauchamp, R. D. "Small molecule/ML327 mediated transcriptional de-repression of E-cadherin and inhibition of epithelial-to-mesenchymal transition" *Oncotarget* 2015; 6(26): 22934-22948. PMCID: PMC4673210.

15. **Waterson, A. G**.; Kennedy, J. P.; Patrone, J. D.; Pelz, N. F.; Feldkamp, M. D.; Frank, A. O.; Vangamudi, B.; Souza-Fagundes, E/ M.; Rossanese, O. W.; Chazin, W. J.; Fesik, S. W. "Diphenylpyrazoles as Replication Protein A inhibitors" *ACS Med. Chem. Lett.* 2015; 6(2): 140-145.

16. Romaine, I. M.; Taylor, R. W.; Saidu, S. P.; Kim, K.; Sulikowski, G. A.; Zwiebel, L. J.; **Waterson, A. G**. "Narrow SAR in odorant sensing Orco receptor antagonists" *Bioorg. Med. Chem. Lett.* 2014; 24(12): 2613-2616. PMCID: PMC4111141.

17. Burns, M. C.; Sun, Q.; Daniels, R. N.; Camper, D. V.; Kennedy, J. P.; Phan, J.; Olejniczak, E. O.; Lee, T.; **Waterson, A. G.**; Rossanese, O. W.; Fesik, S. W. "Approach for targeting Ras with small molecules that activate SOS-mediated nucleotide exchange" *Proc. Natl. Acad. Sci.* 2014; 11(9): 3401-3406. PMCID: PMC3948241.

18. Frank, A. O.; Vangamudi, B.; Feldkamp, M. D.; Souza-Fagundes, E.; Luzwick, J.; Cortez, D.; Olejniczak, E. T.; **Waterson, A. G.**; Rossanese, O. W.; Chazin, W. J.; Fesik, S. W. "Discovery of a potent stapled helix peptide that binds to the 70N domain of Replication Protein A" *J. Med. Chem.* 2014; 57(6): 2455-2461. PMCID: PMC3969094.

19. Frank, A. O.; Feldkamp, M. D.; Kennedy, J. P.; **Waterson, A. G.;** Pelz, N. F.; Patrone, J. D.; Vangamudi, B.; Camper, D. V.; Rossanese, O. W.; Chazin, Walter J., Fesik, S. W. "Discovery of a Potent Inhibitor of Replication Protein A Protein-Protein Interactions Using a Fragment-Linking Approach" *J. Med. Chem.* 2013; 56(22): 9242-9250. PMCID: PMC3932990.

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21. Patrone, J. D.; Kennedy, J.P .; Frank, A. O.; Feldkamp, M. D., Vangamudi, B.; Pelz, N. F.; Rossanese, O. W.; **Waterson, A. G.**; Chazin, W. J.; Fesik, S. W. "Discovery of protein-protein interaction inhibitors of Replication Protein A" *ACS Med. Chem. Lett.* 2013; 4(7): 601-605. PMCID: PMC3728914.

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Patent Applications

1. "1H-Pyrazol-1-ylthiazoles as inhibitors of lactate dehydrogenase and their preparation" Maloney, D. J.; **Waterson, A. G.**; Bantukallu, G. R.; Brimacombe, K. R.; Christov, P.; Dang, C. V.; Darley-Usmar, V. M.; Hall, Matthew; H., Xin; J., A.; Jana, S.; Kim, K.; Moore, W. J.; Mott, B. T.; Neckers, L. M.; Simeonov, A.; Sulikowski, G. A.; Urban, D. J.; Yang, S. M. PCT Int. Appl. (2018), WO 2018005807.

2. "Binary compositions as disruptors of ORco-mediated odorant sensing" Zwiebel, L.; Romaine, I. M.; Ochieng, S.; **Waterson, A. G.**; Sulikowski, G. A. PCT Int. Appl. (2016), WO 2016154471.

3. "Methods for use of small molecule activators of hem-y / protoporphyrinogen oxidase (ppo)" Skaar, E. P; Surdel, M.; Sulikowski, G. A.; Dutter, B.; Reid, P. **Waterson, A. G.** US Pat Appl. Publ. (2016) US 20160213780.

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6. "Small molecule mediated transcriptional induction of E-cadherin" Lindsley, C. W.' **Waterson, A. G.**; Beauchamp, R. D. US Pat. Appl. Publ. (2016), US 20160052895.

7. "Compositions and methods for treating microbial infections" Skaar, E. P.; Anzaldi, L.; Sulikowski, G.; **Waterson, A.;** Reid, P. US. Pat. Appl. Publ. (2015), US 20150174130.

8. "Isoform selective phospholipase d inhibitors" Brown, H. A.; Lindsley, C. W.; **Waterson, A. G.;** Scott, S. A. U.S. Pat. Appl. Publ. (2015), US 20150174130.

9. "Preparation of thiazole sulfonamides and oxazole sulfonamides as antitumor agents" Adams, J. L.; Dickerson, S. H.; Johnson, N. W.; Kuntz, K.; Petrov, K.; Ralph, J. M.; Rheault, T. R.; Schaaf, G.; Stellwagen, J.; Tian, X.; Uehling, D. E.; **Waterson, A. G.;** Wilson, B.; Adjabeng, G.; Hornberger, K. Costa Rica, Patent Appl. (2014), CR 20140051.

10. "Preparation of phenylpyrazole and pyrazolo[1,5-c]quinazoline compounds for treating microbial infections" Skaar, E.; Azaldi, L; Sulikowski, G; **Waterson, A.**; Reid, P. PCT Int. Appl. (2014) WO 2014018925.

11. "Preparation of triazole compounds useful in compositions for inhibition of insect sensing" Zwiebel, L.; Pask, G. M.; Rinker, D. C.; Romaine, I. M.; Sulikowski, G. A.; Reid, P. R.; **Waterson, A. G.**; Kim, K.; Jones, P. L.; Taylor, R. W. PCT Int. Appl. (2012) WO 2012154403.

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18. "Preparation of imidazopyridines as inhibitors of IGF-1R and IR and one or both of EGFR and ErbB2 kinases for treating neoplasm" Kuntz, K.; Uehling, D. E.; **Waterson, A. G.**; Emmitte, K. A.; Stevens, K.; Shotwell, J. B.; Smith, S. C.; Nailor, K. E.; Salovich, J. M.; Wilson, B. J.; Cheung, M.; Mook, R. A.; Baum, E. W.; Moorthy, G. U.S. Pat. Appl. Publ. (2008), US2008300242 A1.

19. "Preparation of 3-(pyrimidin-4-yl)pyrazolo[1,5-a]pyridines as ErbB kinase inhibitors for treating neoplasm" Uehling, D. E.; Hubbard, R. D.; **Waterson, A. G.**; Petrov, K.; Bifulco, N. , Jr.; Wilson, J. W.; Badiang, J. G.; Cheung, M.; Yamabe, M. PCT Int. Appl. (2007) WO2007067506.

20. "Preparation of 2-pyrimidinyl pyrazolopyridines as ErbB kinase inhibitors for treating neoplasm" Uehling, D. E.; Stevens, K. L.; Dickerson, S. H.; **Waterson, A. G.**; Harris, P. A.; Sammond, D. M.; Hubbard, R. D.; Emerson, H. K.; Wilson, J. W. PCT Int. Appl. (2006), WO2006068826.

21. "Preparation of thienopyrimidine derivatives as ErbB kinase inhibitors." Dickerson, S. H.; Emerson, H. K.; Hinkle, K. W.; Hornberger, K. R.; Sammond, D. M.; Smith, S.; Stevens, K. L.; Hubbard, R. D.; Petrov, K. G.; Reno, M. J.; Uehling, D. E.; **Waterson, A. G.** PCT Int. Appl. (2005), WO2005007083.

22. "Preparation of pyrimidine derivatives as ErbB kinase inhibitors." Reno, M. J.; Stevens, K. L.; **Waterson, A. G.**; Zhang, Y. PCT Int. Appl. (2005), WO2005016914.

23. "Preparation of thienopyrimidines as inhibitors of ErbB kinases." Badiang, J. G.; Dickerson, S. H.; Donaldson, K. H.; Hinkle, K. W.; Hornberger, K. R.; Petrov, K. G.; Reno, M. J.; Stevens, K. L.; Uehling, D. E.; **Waterson, A. G.** PCT Int. Appl. (2004), WO2004112714.

(two additional patent applications submitted)

Teaching

1. "Towards Ras drug discovery: Multiple approaches for the inhibition of the most frequently mutated oncogene" Waterson, A. G. Case study lecture for "PHAR 8327: Modern Drug Discovery". Course taught by Carrie K. Jones, Ph.D. Dec. 15, 2015.

2. "Discovery of Dabrafenib: A treatment for mutation-positive advanced melanomas" Waterson, A. G. Case study lecture for "PHAR 8327: Modern Drug Discovery". Course taught by Carrie K. Jones, Ph.D. Dec. 1, 2015.

3. "Overview of Preclinical Drug Discovery" Waterson, A. G., Guest Lecture for "Clinical and molecular-based approaches to the diagnosis and treatment of cancer" course, taught by Kimberly B. Dahlman, Ph.D. Lecture given: Sept. 15, 2014; Feb, 2, 2015; Sept. 23, 2015; Feb 12, 2016; Sept 19, 2016.; Feb. 20, 2017; May 22, 2017.

4. "Cancer drug discovery in diverse settings: B-RAF vs K-RAS" Waterson, A. G. Guest Lecture for VPMM lecture series, Vanderbilt University School of Medicine. Feb 1., 2017.

5. "Able to target Abl, and other, kinases?" Waterson, A.G. Case study and lecture for BCB 2101-01 Chemical Biology course, taught by Gary A. Sulikowski. Sept. 22, 2017.

Invited Talks

1. Discovery and characterization of cell-active inhibitors of Lactate Dehydrogenase (LDH) using structure-based design" Waterson, A. G., Matthew Hall ACS National Meeting, part of the special session "NCI Chemical Biology Consortium: A Unique, Collaborative Approach to Cancer Drug Discovery" Aug 21, 2018, Boston, MA.

2. "Discovery of cell active inhibitors of Lactate Dehydrogenase using structure-based design" Waterson, A. G. VICB Student Symposium, Aug 10, 2017, Nashville, TN.

3. "Cancer drug discovery using fragment-based methods" Waterson, A. G. Gulf Coast Consortia Development of Novel Therapies through Fragment-Based Drug Discovery, May 24, 2016, Houston, TX.

4. "Fragment-inspired leads for inhibition of RPA70N protein protein interactions" Waterson, A. G. Structure-Based Drug Design Conference, Feb. 23, 2016, Carlsbad, CA.

5. "Fragment-based discovery and chemical biology at Vanderbilt" Waterson, A. G. University of Tennessee Health Sciences Center, Department of Pharmaceutical Sciences Seminar Series, Oct. 6, 2015, Memphis, TN.

6. "New directions in drug discovery and chemical biology" Waterson, A. G. Campbellsville University Chemistry Seminar Series, Oct. 3, 2014, Campbellsville, KY.

7. "Data interpretation: A cautionary tale" Waterson, A. G., Rossanese, O. W., University of South Carolina, College of Pharmacy special topics workshop, Apr. 22, 2014, Columbia, SC.

8. "Chemical biology: Challenges and opportunities for unconventional cancer targets" Waterson, A. G., Rossanese, O. W., University of South Carolina, College of Pharmacy seminar series, Apr. 22, 2014, Columbia, SC.

9. "Fragment inspired leads for protein-protein interactions" Waterson, A. G. From Chemistry to the Clinic 2: Hit to Lead, AACR Annual Meeting, Apr. 5, 2014, San Diego, CA.

10. "Fragment-based drug discovery" Waterson, A. G. Invited lecture, Southern Research Institute, February 24, 2014, Birmingham, AL.

11. "Discovering molecules that teach proteins to do new tricks: A chemical biology story in two acts" Waterson, A. G. Invited lecture, University of Louisville Chemistry Department Seminar Series, November 18, 2011, Louisville, KY.

12. "The Evolution of ErbB" Waterson, A. G. VICB Seminar Series, Aug. 6, 2008, Vanderbilt University, Nashville, TN.

13. "The design of orally bioavailable B-Raf inhibitors" Waterson, A.G. GSK Chemistry Conference, April 15-18, 2007, Verona, Italy.

Other Presentations/Posters

1. "Discovery of probes to evaluate the disruption of the protein-protein interactions mediated by RPA70N" Waterson, A. G.; Kennedy, J. P.; Patrone, J. D.; Pelz, N. F.; Frank, A. O.; Vangamudi, B.; Feldkamp, M. D.; Camper, D. V.; Souza-Fagundes, E. M.; Luzwick, J. W.; Cortez, D.; Olejniczak, E. T.; Rossanese, O. W.; Chazin, W. J.; Fesik, S. W. [abstract]. Proceedings of the 105th Annual Meeting of the American Association for Cancer Research. 2015 Apr17-Apr22; Philadelphia, PA: Abstract nr 3895.

2. "Optimization of a potent stapled helix peptide that binds to Replication Protein A" Waterson, A. G.; Frank, A. O.; Vangamudi, B.; Feldkamp, M. D.; Souza-Fagundes, E. M.; Luzwick, J. W.; Cortez, D.; Olejniczak, E. T.; Rossanese, O. W.; Chazin, W. J.; Fesik, S. W. [abstract]. Proceedings of the 105th Annual Meeting of the American Association for Cancer Research. 2014 Apr5-Apr9; San Diego, CA: Abstract nr 6293.

3. "Structure-based fragment optimization and linking: The discovery of potent inhibitors of Replication Protein A protein-protein interactions" Waterson, A. G.; Kennedy, J. P.; Patrone, J. D.; Pelz, N. F.; Frank, A. O.; Feldkamp, M. D.; Vangamudi, B.; Camper, D. V.; Souza-Fagundes, E. M.; Rossanese, O. W.; Chazin, W. J.; Fesik, S. W. ADDC 2013 Drug Discovery Conference, October 9-11, 2013.

4. Novel use of a chemical compound to restore E-Cadherin expression and localization in cancer cells" An, H.; Stoops, S.; Weaver, C.; Zi, J.; Deane, N. G.; Waterson, A. G.; Lindsley, C.; Beauchamp, R. D. 2013 VICC retreat, May 15, 2013.

5. "Small molecules modulate Ras activity by binding to the Ras:SOS:Ras ternary complex" Burns, M. C.; Sun, Q.; Kennedy, J. P.; Daniels, R. N.; Olejniczak, E. T.; Lee, T.; Waterson, A. G.; Rossanese, O. W.; Fesik, S. W. 2013 VICC retreat, May 15, 2013.

6. "Fragment-based discovery of inhibitors of Replication Protein A protein-protein interactions" Waterson, A.G.; Patrone, J.D.; Kennedy, J.P.; Frank, A.O.; Feldkamp, M.D.; Vangamudi, B.; Rossanesse, Souza-Fagundes, E.M.; Chazin, W.J.; Fesik, S.W. [abstract]. Proceedings of the 104th Annual Meeting of the American Association for Cancer Research. 2013 Apr6-Apr10; Chicago, IL: Abstract nr 2473.

7. "Stapled helix peptide probes to evaluate targeted disruption of RPA70N protein-protein interactions" Vangamudi, B.; Frank, A. O.; Souza-Fagundes, E. M.; Feldkamp, M.; Olejniczak, E. O.; Waterson, A. G.;

Rossanesse, O. W.; Fesik, S. W. [abstract]. Proceedings of the 104th Annual Meeting of the American Association for Cancer Research. 2013 Apr6-Apr10; Chicago, IL: Abstract nr 3340.

8. "Evaluation of TBK1 as a novel cancer target in the K-Ras pathway" Vangamudi, B.; Ayres, A. E.; Burke, J. P.; Waterson, A. G.; Rossanese, O. W.; Fesik, S. W. [abstract]. Proceedings of the 103rd Annual Meeting of the American Association for Cancer Research. 2012 Mar 31-Apr4; Chicago, IL: Abstract nr 1813.

9. "A selective Raf kinase inhibitor induces cell death and tumor regression of human cancer cell lines encoding R-Raf V600E mutation" Laquere, S.; Arnone, M.; Moss, K.; Yang, J.; Fisher, K.; Kane-Carson, L.; Smitheman, K.; Ward, J.; Heidrich, B.; Rheault, T.; Adjebeng, G.; Hornberger, K.; Stellwagen, J.; Waterson, A. G.; Han, C.; Mook, Jr. R. A.; Uehling, D.; King, A. J. poster abstract B88, AACR-NCI-EORTC International Conference on Molecular Targets and Cancer Therapeutics, November 15-19, 2009, Boston, MA.

10. "Small molecule inhibitors of the KCC2 neuronal co-transporter" Waterson, A. G.; Delpire, E.; Days, E.; Lewis, M.; Kim, K.; Lindsley, C. W.; Weaver, C. D. poster session, 2009 Gordon Research Conference on Natural Products, July 26- 31, 2009, Tilton, NH.

11. "Diels-Alder reactions of amino furans" Waterson, A. G.; Wu, T.; Padwa, A. 2nd Annual Boehringer Ingelheim Pharmaceuticals Scholarship Symposium, October 8-9, 1997, Ridgefield, CT.

12. "Kinetics Study of the carbonyl condensation reaction involved in the synthesis of nonpeptide Substance P antagonists" Waterson, A. G.; Kang, M.; Hicks, R. P. 26th Annual Southeastern Regional Conference of Undergraduate Student Chemists, March 24-26, 1994, Murfreesboro, TN.