

## **CURRICULUM VITAE**

### **David J. Bearss, Ph.D.**

Co-Director of The Center for Investigational Therapeutics  
and Associate Professor

The Huntsman Cancer Institute and  
University of Utah

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#### **EDUCATION:**

- |      |                                   |   |
|------|-----------------------------------|---|
| 1991 | Chemistry Major                   | Brigham Young University Idaho, Rexburg, ID.                |
| 1994 | B.S. Human Biology                | Brigham Young University, Provo, UT.                        |
| 1999 | Ph.D. Cell and Structural Biology | University of Texas Health Science Center, San Antonio, TX. |

#### **PROFESSIONAL EXPERIENCE:**

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|--------------|---|
| 2009-Present | Co-Director of The Center for Investigational Therapeutics and Associate Professor The Huntsman Cancer Institute and The Department of Oncological Sciences, The University of Utah, Salt Lake City, Utah |
| 2008- 2009   | Chief Scientific Officer, SuperGen Inc., Salt Lake City, Utah   |
| 2006-2008    | Vice President and Chief Scientist, SuperGen Inc., Salt Lake City, UT   |
| 2003-2006    | Founder and Chief Scientific Officer, Montigen Pharmaceuticals, Salt Lake City, UT  |
| 2004-present | Adjunct Assistant Professor, Bioengineering Department, University of Utah  |
| 2004-present | Adjunct Faculty Member, Salt Lake Community College   |
| 2002-2003    | Assistant Professor, Department of Molecular and Cellular Biology, University of Arizona  |
| 2002         | Research Assistant Professor, Department of Molecular and Cellular Biology, University of Arizona   |
| 2001-2003    | Co-director, Genetically Modified Mice Shared Service, Arizona Cancer Center  |
| 2000-2003    | Member, Arizona Cancer Center   |

- 1999- 2002            Assistant Research Scientist, University of Arizona
- 1999                    Research Associate, Cancer Therapy and Research Center,  
San Antonio, TX

### **RESEARCH TRAINING EXPERIENCE:**

- 1991 - 1994 Undergraduate research, Chemistry Department, Brigham Young University: Research in the lab of John D. Lamb, Ph.D. I characterized the properties of novel, crown ether based macrocyclic ligands in making metal ion separations in different membrane systems. I also was involved in determining the thermodynamic equilibrium constants of the interaction of these macrocyclic ligands with specific metal ions using titration calorimetry.
- 1995-1996 Graduate research, University of Texas Health Science Center at San Antonio: Research in the laboratory of Edward Seto Ph.D. I investigated the regulation of expression of the transcription factor YY1 by cloning, sequencing and characterizing the promoter of the human YY1 gene. I constructed deletion mutants of the YY1 promoter to identify regulatory regions. I also participated in the cloning and characterizing mRPD3 (HDAC2), a histone deacetylase and an YY1 interacting protein.
- 1996 – 1999 Graduate research, University of Texas Health Science Center at San Antonio: Dissertation research in the laboratory of Jolene J.Windle, Ph.D. I studied the role of the cyclin dependent kinase inhibitor p21<sup>WAF1/CIP1</sup> in the regulation of cell growth and apoptosis in transgenic and knockout mouse tumor models. These studies revealed a role for p21<sup>WAF1/CIP1</sup> as both a positive and negative regulator of cell proliferation. These activities were influenced by the expression of the oncogenes *Ha-ras* and *c-myc*. I also used these different transgenic and knockout mouse tumor models to evaluate the contributions of different genetic alterations to tumor response to treatment with conventional and novel anticancer agents.
- 1999                    Post-doctoral research, Institute for Drug Development, San Antonio, TX: Research in the lab of Daniel D. Von Hoff, M.D. I was involved in evaluating the influence of DNA repair defects in the response of cell lines to treatment with anticancer agents. Additionally, I designed a novel genetic screen to identify potential targets for new anticancer agents to be used in the treatment of pancreatic cancer.

### **TEACHING EXPERIENCE:**

- 4/95 & 4/96 Invited Lecture, Chemistry Department, Boise State University, **Principles of Biochemistry and Molecular Biology**
- 9/96 - 12/96 Graduate Teaching Assistant, Department of Cellular and Structural Biology, University of Texas Health Science Center at San Antonio, **Colloquium Course**

- 7/97 &7/98 Graduate Teaching Assistant, Department of Cellular and Structural Biology, University of Texas Health Science Center at San Antonio, **Medical Genetics Course**
- 7/02- 2/03 Assistant Professor, Department of Molecular and Cell Biology, University of Arizona, **Undergraduate and Graduate Research Advisor**
- 7/04-12/04 Instructor, Salt Lake Community College **Biotechnology Course**
- 1/10- 4/10 Co-instructor BC/MB Program journal club/grant writing course

### **STUDENTS AND POST-DOCTORAL FELLOWS TRAINED:**

Duke, Crystal	1999-2000
Olsen, Colin	2000- 2002
Grand, Cory	2000-2003
Rojanala, Sangeeta	2000-2003
Feliza Sibayan	2000-2002
Gray, Phillip	2001-2003
Riley, Chris	2001
Ohalete, Chioma	2001
Pham, Thai	2001
Haiyong Han	2000-2003
Steven Warner	2001-2003
Bret Stephens	2001-2003
Nicholas Buchkovich	2004
Marcy Gardiner	2004-2006
Jeffery Walker	2004-2006
Joseph Redman	2004-2006
Bret Stephens	2010-present
Alexis Mollard	2009-present
Venkataswamy Sorna	2010-present
LingYao Meng	2010-present
Simon Curie	2010-present
Kelly Doyle	2010-present
Susie Choi	2011-present

### **GRADUATE STUDENTS DOCTORAL COMMITTEES:**

Steven Warner (Biological Chemistry Program, University of Arizona) 2002-2003  
 Bret Stephens (Molecular and Cellular Biology University of Arizona) 2002-2003  
 Tom Dexheimer (Biological Chemistry Program, University of Arizona) 2002-2003  
 Colin Olsen (Applied Bioscience, University of Arizona) 2001-2002  
 Cory Grand (Cancer Biology, University of Arizona) 2001-2003  
 Manolis Demetriou (Cancer Biology, University of Arizona) 2001-2004  
 Sangeeta Rojanala (Molecular and Cellular Biology University of Arizona) 2000-2003  
 Eric Gourley (Applied Biosciences, University of Utah) 2007-2008

### **HONORS AND AWARDS:**

4/91	Service Award Sigma Gamma Chi Fraternity
9/97	David Carrillo Memorial Fellowship
10/97	AACR /AFLAC Young Investigator Award
3/99	Cellular and Structural Biology Academic Excellence Award University of Texas Health Science Center at San Antonio
1/05	v 100 Entrepreneur 2005

**COMMITTEE, BOARD AND ORGANIZATION MEMBERSHIP:**

1995 - 1997	Graduate Student Association Representative, University of Texas Health Science Center at San Antonio
1998- 2002	Associate Member of the American Association for Cancer Research
2000-2003	Arizona Cancer Center Equipment Task Force Committee
2001-2002	Faculty Search Committee, Department of Molecular and Cellular Biology, University of Arizona
2002-2003	Faculty Advisor for the Program in Applied Biosciences, University of Arizona
2004-present	Member of the American Association for Cancer Research (AACR)
2005-2006	Ad-Hoc Member, Basic Mechanisms of Cancer Therapeutics, NCI Study Section ZRG1 ONC-Q
2010-present	Editorial Board, Current Molecular Pharmacology, Bentham Science Publishers
2010	Faculty Search Committee, Department Radiation Oncology, University of Utah
2011	Executive Committee, Department of Oncological Sciences

**CURRENT EXTERNALLY FUNDED RESEARCH:**

<b>Title</b>	<b>Years and Funding Level</b>
Bearss (PI) Abraxis BioScience Computationally Directed Approaches to Structure-Based Drug Design Role: PI 35% Effort Current Year Total Funding \$576,581	6/10- 6/13
RO1 CA152105 Zhan (PI)	7/1/10-6/30/15

NEK2 Over-expression Causes Drug Resistance in Myeloma

Role: Co-investigator 5% Effort

Current year Total Funding \$312,288

**PENDING EXTERNALLY FUNDED RESEARCH:**

<b>Title</b>	<b>Years and Funding Level</b>
RO1 Bearss (PI) Mechanisms of Sensitivity of PTEN Deficient Tumor Cells to PDK-1 Inhibition Role: PI 15% Effort	11/11- 11/16
RO1 Bearss (PI) Targeting Beta-Catenin Nuclear Transport Through CAS/CSE1 Inhibition Role: PI 15% Effort	11/11- 11/16
RO1 Bearss (PI) Targeting Tumor Initiating Cells Through Inhibition of DNA De-methylation Role: PI 15% Effort	11/11- 11/16
RO1 Sharma (PI) Prevention of Tumor Progression by LSD1 Inhibition in APC Mutant Colon Cancers Role: Co-investigator 10% Effort	11/11- 11/16

**PAST EXTERNALLY FUNDED RESEARCH:**

<b>Title</b>	<b>Years and Funding Level</b>
Principal Investigator Ilex Oncology New Targets for Drug Development in Pancreatic Cancer	7/01- 7/04 \$900,954
Principal Investigator Ilex Oncology Microarray Studies to Determine the Mechanism of Action of Apomine	7/01- 7/02 \$29,500
Principal Investigator Arizona Disease Control Commission Development of a Transgenic Mouse Model of Pancreas Cancer	7/00- 7/02 \$99,000
Principal Investigator American Cancer Society The Biology of the Serine Protease Inhibitor, Pancpin, and it's Function in Pancreatic Cancer	12/00- 12/01 \$15,000
Co-Investigator (P.I. Laurence Hurley) Arizona Disease Control Commission Identification of G-quadruplex-Targeted Suppressors of bcl-2 and c-myc Gene Expression	7/00- 7/03 \$442,779

Co-investigator  
(P.I. Daniel D. Von Hoff) 8/00-8/05  
National Foundation for Cancer Research (NFCR) \$500,000  
Center for Drug Development

Co-investigator  
RO1-CA49751 (P.I. Laurence Hurley) 7/01/00-6/30/06  
NIH/NCI \$2,301,475  
Novel Approaches to Antitumor Drug Design

Co-investigator  
U54 CA090821-01(P.I. Garth Powis) 7/01/01-6/30/06  
NIH/NCI \$1,868,737  
Survival Signals for Molecular Target Assessment

Co-investigator  
(P.I. Louise M. Canfield) 7/01-6/03  
American Institute for Cancer Research (AICR) \$164,693  
Anticancer Action of Beta-Carotene Oxidative Products

Co-investigator  
R-O1 CA95031-01 (P.I. Daniel Von Hoff) 5/01/02-6/30/07  
NIH/NCI \$1,584,313  
Aurora Kinase as a Therapeutic Target in Pancreatic Cancer

Co-investigator  
1 P50 CA95060-01 (Gerner, PI) 4/1/02-3/31/07  
NIH/NCI \$1,750,000 annual direct costs  
SPORE in GI Cancer \$13, 681,764 total direct costs

Co-investigator  
1 R33/21 CA95944-01 (Gillies, PI) 2/1/02-1/31/05  
NIH/NCI \$1,759,435 total direct costs  
Multimeric Ligands for Targeting Pancreatic Cancer

## MANUSCRIPT PUBLICATIONS:

1. C. Wang, P. Huszthy, J.S. Bradshaw, J.D. Lamb, B. Olenyuk, **D. Bearss**, and R.M. Izatt. Alkoxyethyl-substituted 18-Crown-6 and 21-Crown-7 Ligands: Synthesis, Complexation Properties, and Metal Ion Membrane Separations. *Sep. Science and Tech.*, 30 (7-9), 1589-1607 (1995).
2. W. Yang, C.Inouye, Y. Zeng, **D. Bearss**, and E.Seto. Transcriptional repression by YY1 is mediated by interaction with a mammalian homolog of the yeast global regulator RPD3. *Proc. Natl. Acad. Sci. USA* 93: 12845-12850 (1996).

3. R.E. Barrington, M.A. Subler, J.E. Hundley, S.K. Koester, D.A. Troyer, C.A. Omer, P.J. Miller, E. Rands, **D.J. Bearss**, M. W. Conner, K. Hamilton, K.S. Koblan, S.D. Mosser, T. J. O'Neill, M.D. Schaber, J.B. Gibbs, J.J. Windle, A. Oliff, and N. Kohl. Farnesyltransferase Inhibitor-Induced Tumor Regression is Mediated by Alterations in Both Cell Cycle Control and Apoptosis. *Mol. Cell. Biol.* 18(1): 85-92 (1998).
4. J.A. Engelman, R.L. Lee, A. Karnezis, **D.J. Bearss**, M. Webster, P. Siegel, W.J. Muller, J.J. Windle, R.G. Pestell and M.P. Lasanti. Reciprocal regulation of Neu tyrosine kinase activity and caveolin-1 protein expression in vitro and in vivo. Implications for mammary tumorigenesis. *J. Biol. Chem.* 273(32): 20448-20455 (1998).
5. **D.J. Bearss**, M.A. Subler, J. E. Hundley, D.A. Troyer, R.A. Salinas, and J.J. Windle. Genetic Determinants of Response to Chemotherapy in Transgenic Mouse Mammary and Salivary Tumors. *Oncogene* 19(8): 1114-22. (2000).
6. **D.J. Bearss**, L.A. Hurley, and D.D. Von Hoff. Telomere Maintenance Mechanisms as a Target for Drug Development. *Oncogene* 19: 6632-41. (2000).
7. Maha Zewail-Foote, Ven-Shun Li, Harold Kohn, **David Bearss**, Mary Guzman, and Laurence H. Hurley The Inefficiency of Incisions of Ecteinascidin 743-DNA Adducts by the UvrABC Nuclease and the Unique Structural Feature of the DNA Adducts Can Be Used To Explain the Repair-Dependent Toxicities of this Antitumor Agent. *Chem Biol.* 8:1033-1049 (2001)
8. **D.J. Bearss**, R.L. Lee, D.A. Troyer, R.G. Pestell, and J.J. Windle. p21<sup>WAF1/CIP1</sup> Deficiency has Opposite Effects on Tumor Cell Proliferation, CDK Activity and Cyclin Levels in Mammary Tumors from MMTV-*ras* and MMTV-*myc* Transgenic Mice. *Cancer Res.* 62:2077-2084 (2002)
9. Haiyong Han, **David J. Bearss**, L. Walden Browne, Robert Calaluce, Raymond B. Nagle, and Daniel D. Von Hoff Identification of differentially expressed genes in pancreatic cancer cell lines using cDNA microarray *Cancer Res.* 62:2890-2986 (2002)
10. Roza K. Sypniewska, Lieve Hoflack, **David J. Bearss**, Claudia Gravekamp, " Potential mouse tumor model for pre-clinical testing of Mage-specific breast cancer vaccines." *Breast Cancer Res. Treat.* 2273: 1-13 (2002)
11. Grand C, Munoz R.M., Han H., Hurley L.H., Von Hoff D.D and **Bearss D.J.** Specific inhibition of c-MYC expression by the cationic porphyrin TMPyP4 results in downregulation of hTERT expression and reduced telomerase activity. *Mol Cancer Ther.* 1:565-573 (2002).
12. Adam Siddiqui-Jan, Cory L. Grand, **David J. Bearss** and Laurence H. Hurley. Transcriptional Repression of c-MYC by a G-Quadruplex Formed in Its Promoter Region and by a G-Quadruplex-Stabilizing Compound *Proc. Natl. Acad. Sci. USA* 99:11593-11598 (2002).
13. E.M. Rezler, **D.J. Bearss**, L.H. Hurley, Telomeres and Telomerases as Drug Targets. , *Curr. Opin. Pharmacol* 2:415-423(2002).
14. T. Petit, **D.J. Bearss**, D.A. Troyer, and J.J. Windle. The influence of p53 on the responsiveness of salivary tumors to treatment with platinum compounds in MMTV-*ras* transgenic mice. *Mol Cancer Ther* 2:165-171(2003).

15. D.D. Von Hoff and **D.J. Bearss**. New Drugs for Patients with Pancreatic Cancer. *Curr. Opin Oncol* 14(6):621-7 (2002).
16. Rezler EM, **Bearss DJ**, Hurley LH. Telomere inhibition and telomere disruption as processes for drug targeting. *Annu Rev Pharmacol Toxicol*. 43:359-79 (2003).
17. Vankayalapati H, **Bearss DJ**, Saldanha JW, Munoz RM, Rojanala S, Von Hoff DD, Mahadevan D. Targeting Aurora Kinases in Oncogenesis: A Structural Bioinformatics Approach to Target Validation and Rational Drug Design. *Mol Cancer Ther*. 2:283-94 (2003).
18. Dauraka Mahadeven, **David J. Bearss**, Hariprasad Vankayalapati, Structure-Based Design of Novel Anticancer Agents Targeting Aurora Kinases. *Curr. Med. Chem*. 3(1):25-34, (2003).
19. Steven L. Warner, **David J. Bearss**, Haiyong Han, and Daniel D. Von Hoff. Targeting Aurora-2 Kinase in Cancer. *Mol Cancer Ther* 2: 589-595 (2003).
20. Lalitha V. Ramanathapuram, James J. Kobie, Meghan Kreeger, Claire M. Payne, **David Bearss**, Katrina Trevor, Emmanuel T. Akporiaye,  $\alpha$ -Tocopheryl Succinate Sensitizes Established Tumors to Vaccination with Immature Dendritic Cells. *Cancer Immunol Immunother*. 53(7):580-8 (2004).
21. Phillip J. Gray Jr., **David J. Bearss**, Haiyong Han, Raymond Nagle, Ming-Sound Tsao, Nicholas Dean and Daniel D. Von Hoff "Identification of Human Polo-like Kinase 1 as a Potential Therapeutic Target in Pancreatic Cancer" *Mol Cancer Ther*. 3(5):641-6 (2004).
22. S. Rojanala, H. Han, RM Munoz, R. Nagle, D.D. Von Hoff, **D.J. Bearss**. The Mitotic Serine Threonine Kinase, Aurora2, is a Potential Target for Drug Development in Human Pancreatic Cancer. *Mol Cancer Ther*. 3(4):451-7 (2004).
23. Cory L. Grand, Tiffanie J. Powell, Raymond B. Nagle, **David J. Bearss**, Denise Tye, Mary Gleason-Guzman, and Laurence H. Hurley. Mutations in the G-Quadruplex Silencer Element and Their Relationship to c-MYC Overexpression, NM23 Repression, and Therapeutic Rescue. *Proc Natl Acad Sci U S A*. 101(16):6140-5 (2004).
24. Wadkins RM, **Bearss DJ**, Manikumar G, Wani MC, Wall ME, Von Hoff DD, Topoisomerase I-mediated DNA Complex Stability Induced by Camptothecins and its role in Drug Activity. *Curr. Med. Chem*. 4(4): 327-334, (2004).
25. Wadkins RM, **Bearss DJ**, Manikumar G, Wani MC, Wall ME, Von Hoff DD, Hydrophilic Camptothecin Analogs that Form Extremely Stable Cleavable Complexes with DNA and Topoisomerase I. *Cancer Res*.64(18):6679-83 (2004).
26. Manikumar G, Wadkins RM, **Bearss D**, Von Hoff DD, Wani MC, Wall ME. Camptothecin analogs with bulky, hydrophobic substituents at the 7-position via a Grignard reaction. *Bioorg Med Chem Lett*. 14(21):5377-81 (2004).
27. Calaluce R, **Bearss DJ**, Barrera J, Zhao Y, Han H, Beck SK, McDaniel K, Nagle RB. Laminin-5 beta3A Expression in LNCaP Human Prostate Carcinoma Cells Increases Cell Migration and Tumorigenicity. *Neoplasia*. (5):468-479. (2004).



28. McKlveen Buschhorn H, Klein RR, Chambers SM, Hardy MC, Green S, **Bearss D**, Nagle RB. Aurora-A over-expression in high-grade PIN lesions and prostate cancer. *Prostate*. 64(4):341-346 (2005)
29. Warner SL, Bashyam S, Vankayalapati H, **Bearss DJ**, Han H, Von Hoff DD, Hurley LH. Identification of a lead small-molecule inhibitor of the Aurora kinases using a structure-assisted, fragment-based approach. *Mol Cancer Ther*. 5(7):1764-73 (2006)
30. Mahadevan D, Cooke L, Riley C, Swart R, Simons B, Della Croce K, Wisner L, Iorio M, Shakalya K, Garewal H, Nagle R, **Bearss D**. A novel tyrosine kinase switch is a mechanism of imatinib resistance in gastrointestinal stromal tumors. *Oncogene* 7;26(27):3909-19 (2007)
31. Steven L Warner, Ruben M Munoz, David J Bearss, Paul Grippo, Haiyong Han, Ph.D., Daniel D. Von Hoff, M.D. Pdx-1-Driven Overexpression of Aurora A Kinase Induces Mild Dysplasia of Pancreatic Ducts near Islets in Transgenic Mice. *Pancreas* 37(3):e39-44, (2008)
32. Qi W, Cooke LS, Stejska A, Riley C, Della Croce K, Saldanha JW, **Bearss D**, Mahadevan D. MP470, a novel receptor tyrosine kinase inhibitor, in combination with Erlotinib inhibits the HER family/PI3K/Akt pathway and tumor growth in prostate cancer. *BMC Cancer*, 9:142. (2009)
33. Lisa S. Chen, Sanjeev Redkar, **David Bearss**, William G. Wierda, Varsha Gandhi, Pim kinase inhibitor, SGI-1776, induces apoptosis in CLL lymphocytes. *Blood* 114(19):4150-7 (2009)
34. Shannon M. Mumenthaler, Patricia Y.B. Ng, Amanda Hodge, **David Bearss**, Gregory Berk, Sarath Kanekal, Sanjeev Redkar, Pietro Taverna, David B. Agus, Anjali Jain. Pharmacologic inhibition of Pim kinases alters prostate cancer cell growth and resensitizes chemoresistant cells to taxanes. *Mol Cancer Ther*. 8(10):2882-93 (2009)
35. Welsh JW, Mahadevan D, Ellsworth R, Cooke L, **Bearss D**, Stea B. The c-Met receptor tyrosine kinase inhibitor MP470 radiosensitizes glioblastoma cells. *Radiat Oncol* 4:69 (2009)
36. Chuang JC, Warner SL, Vollmer D, Vankayalapati H, Redkar S, **Bearss DJ**, Qiu X, Yoo CB, Jones PA. S110, a 5-Aza-2'-deoxycytidine-containing dinucleotide, is an effective DNA methylation inhibitor in vivo and can reduce tumor growth. *Mol Cancer Ther*. 9(5):1443-50 (2010)
37. Kausar Begam Riaz Ahmed, Steven L. Warner, Andrew Chen, Eric S. Gourley, Xiaohui Liu, Hariprasad Vankayalapati, Roberto Nussenzveig, Josef T. Prchal, **David J. Bearss**, Charles J. Parker. In Vitro and In Vivo Characterization of SGI-1252, a Small Molecule Inhibitor of JAK2 Submitted *Exp Hematol*. 39(1):14-25 (2011)
38. Katrina T Trevor, Daniel Combs, Arshed Al-Obeidi, Daruka Mahadevan, James W Welsh, **David J Bearss**, Sherif S Morgan, Lee D Cranmer. MP470, a novel multi-targeted kinase inhibitor for the treatment of synovial sarcoma. Submitted *BMC Cancer*

39. Lavelle D, Sauntharajah Y, Vaitkus K, Singh M, Banzon V, Phiasivongsva P, Redkar S, Kanekal S, **Bearss D**, Shi C, Inloes R, DeSimone J. S110, a novel decitabine dinucleotide, increases fetal hemoglobin levels in baboons (*P. anubis*). *J Transl Med.* Oct 8;8:92. (2010)
40. Chung JY, Davis JA, Price B, Staley DM, Wagner MV, Warner SL, **Bearss DJ**, and Hansen MDH. Competitive enhancement of HGF-induced epithelial scattering by accessory growth factors. *Exp. Cell Res.* 317(3):307-18. (2011)
41. Swords R, Kelly K, Carew J, Nawrocki S, Mahalingam D, Sarantopoulos J, **Bearss D**, Giles F. The Pim Kinases: New Targets for Drug Development. *Curr Drug Targets.* Jul. 2011.
42. Sorna Venkataswamy, Hariprasad Vankayalapati, Steven L. Warner, Lee Call, Sunil Sharma, and **David J. Bearss**. Fragment-Based Design, Synthesis, Biological Evaluation and SAR of 1H-benzo[d]imidazol-2-yl)-1H-indazol Derivatives as Potent PDK1 Inhibitors  
Proposed Journal: *ACS Medicinal Chemistry Letters*
43. Alexis Mollard, Steven L. Warner, Lee Call, Mark Wade, Jared Bearss, Anupam Verma, Sunil Sharma, **David J. Bearss** and Hariprasad Vankayalapati Structure-based design, synthesis and biological evaluation of a series of novel Axl and Mer kinase Inhibitors  
Proposed Journal: *Journal of Medicinal Chemistry*
44. Sorna Venkataswamy, Hariprasad Vankayalapati, Jared Bearss, Sunil Sharma, Steven L. Warner and **David J. Bearss** and Identification of 5-substituted phenyl amino pyrimidin and 1H-pyrazolo[3,4-d]pyrimidins series as Irreversible Inhibitors of the Tec family of BTK Kinase  
Proposed Journal: *Bioorganic and Medicinal Chemistry Letters*
45. Bret Stephens, Steven L. Warner, **David J. Bearss**, Hariprasad Vankayalapati and Sunil Sharma. Identification of Novel N'-(1-phenylethylidene)-benzohydrazide LSD1 inhibitors by High-Throughput Virtual Screening and Biological Evaluation  
Submitted: *Journal of Medicinal Chemistry*
46. F. Xiao, M. Zangari, H. Xu, G. Tricot, A. Mollard, H. Vankayalapati, S. Sharma, **D. Bearss F.** Zhan Aberrant expression of the mitotic kinase NEK2 promotes drug resistance in multiple myeloma. Submitted: *Cancer Cell*

## **PUBLISHED PATENTS**

1. Methods and compositions for personalization of dietary supplements based on genetic markers **Bearss, David**; Davis, Jason; Anderson, Dallin PCT Int. Appl. (2010), WO 2010030600
2. Preparation of quinoline derivatives as DNA methylation modulators for the treatment of cancer and hematological disorders. Phiasivongsa, Pasit; Redkar, Sanjeev G.; Gamage, Swarna; Brooke, Darby; Denny, William; **Bearss, David J.**; Vankayalapati, Hariprasad; Xu, Yong; Swierczek, Krzysztof U.S. Pat. Appl. Publ. (2009), US 20090285772

3. Preparation of oxo-imidazolyl compounds having antibacterial activity. **Bearss, David J.**; Vankayalapati, Hariprasad; Xu, Yong; Fischetti, Vincent A.; Stebbins, Charles Erec PCT Int. Appl. (2009), WO 2009139870
4. Preparation of fused pyrimidines as therapeutic protein kinase inhibitors. Hurley, Laurence H.; Mahadevan, Daruka; Han, Haiyong; **Bearss, David J.**; Vankayalapati, Hariprasad; Bashyam, Sridevi; Munoz, Ruben M.; Warner, Steven L.; Croce, Kimiko Della; Von Hoff, Daniel D.; et al U.S. Pat. Appl. Publ. (2009), US 20090143399
5. Fused pyrimidines as protein kinase inhibitors for use as antitumor agents. Hurley, Laurence H.; Mahadevan, Daruka; Han, Haiyong; **Bearss, David J.**; Vankayalapati, Hariprasad; Bashyam, Sridevi; Munoz, Ruben M.; Warner, Steven L.; Della Croce, Kimiko; Von Hoff, Daniel D.; et al U.S. Pat. Appl. Publ. (2009), US 20090099165
6. Quinoline derivatives for modulating DNA methylation and their preparation and use in the treatment of cancer and hematological disorders Phiasivongsa, Pasit; Redkar, Sanjeev G.; Gamage, Swarna; Brooke, Darby; Denny, William; **Bearss, David J.**; Vankayalapati, Hariprasad U.S. Pat. Appl. Publ. (2009), US 20090099106
7. Quinoline derivatives for modulating DNA methylation and their preparation and use in the treatment of cancer and hematological disorders Phiasivongsa, Pasit; Redkar, Sanjeev G.; Gamage, Swarna; Brooke, Darby; Denny, William; **Bearss, David J.**; Vankayalapati, Hariprasad PCT Int. Appl. (2009), WO 2009049132
8. Preparation of substituted pyrrolopyrimidine derivatives and analogs as Axl kinase inhibitors **Bearss, David J.**; Vankayalapati, Hariprasad; Xu, Yong PCT Int. Appl. (2008), WO 2008128072
9. Pharmaceutical formulations comprising salts of a protein kinase inhibitor and methods of using same **Bearss, David J.**; Joshi-Hangal, Rajashree; Liu, Xiao-Hui; Phiasivongsa, Pasit; Redkar, Sanjeev G.; Vankayalapati, Hariprasad U.S. Pat. Appl. Publ. (2008), US 20080226747
10. Pyrimidine-2,4-diamine derivatives as JAK2 kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer Vankayalapati, Hariprasad; Liu, Xiao-Hui; **Bearss, David J.** PCT Int. Appl. (2008), WO 2008106635
11. Preparation of imidazo[1,2-b]pyridazine and pyrazolo[1,5-a]pyrimidine derivatives as protein kinase inhibitors. **Bearss, David J.**; Liu, Xiao-Hui; Vankayalapati, Hariprasad; Xu, Yong PCT Int. Appl. (2008), WO 2008058126
12. Piperazinylpyrimidoindole derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer. **Bearss, David J.**; Grand, Cory L.; Liu, Xiao-Hui; Vankayalapati, Hariprasad PCT Int. Appl. (2008), WO 2008055233
13. Quinoline derivatives for modulating DNA methylation and their preparation and use in the treatment of cancer and hematological disorders. Phiasivongsa, Pasit; Redkar, Sanjeev; Gamage, Swarna; Brooke, Darby; Denny, William; **Bearss, David J.**; Vankayalapati, Hariprasad PCT Int. Appl. (2008), WO 2008046085

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15. Preparation of cinnolines and related compounds as inhibitors of polo-like kinase-1 (Plk-1). **Bearss, David J.**; Vankayalapati, Hariprasad; Grand, Cory L. PCT Int. Appl. (2006), WO 2006124996
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94. **David J Bearss PhD**, David A Jones PhD, Bradley R Cairns PhD, Sunil Sharma MD. Epigenetic reprogramming of cancer cells by targeting DNA methylation dynamics. 240th ACS National Meeting & Exposition, Boston, Massachusetts, 2010

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96. S.L. Warner, M.L. Wade, L.T. Call, H. Vankayalapati, M.A. Firpo, S.J. Mulvihill, **D.J. Bearss**, S. Sharma Soluble Axl (sAxl) from serum defines a subpopulation of patients with breast, colon, lung, and pancreatic cancers. EORTC-NCI-AACR Annual Symposium, Berlin Germany, 2010
97. **David J. Bearss**, Sorna Venkataswamy, Steven L. Warner, Hariprasad Vankayalapati, Jared J. Bearss, Sunil Sharma. Design, optimization, and biological evaluation of potent irreversible inhibitors of BTK kinase. Proceedings of AACR Annual Meeting, 2011.
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100. Warren C. Fiskus, Sunil Sharma, Rekha Rao, Ramesh Balusu, Jianguo Tao, Eduardo Sotomayor, Peter Atadja, Siddhartha Ganguly, **David Bearss**, Kapil N. Bhalla Combined targeting of epigenetic mechanisms has superior efficacy against human mantle cell lymphoma cells. Proceedings of AACR Annual Meeting, 2011.
101. Sunil Sharma, Sorna Venkataswamy, Steven L. Warner, Lee T. Call, **David J. Bearss**, Hariprasad Vankayalapati. Fragment-based design, synthesis and biological evaluation of a series of novel PDK1 inhibitors. Proceedings of AACR Annual Meeting, 2011.
102. Bret J. Stephens, Steven L. Warner, Hari Vankayalapati, **David J. Bearss**, Sunil Sharma. Identification of CIT-0665, a novel inhibitor of LSD1. Proceedings of AACR Annual Meeting, 2011.
103. **David J. Bearss**, Bret J. Stephens, Steven L. Warner, Hari Vankayalapati, Sunil Sharma. Discovery a Novel inhibitor of LSD1 and Characterization of Activity in ER-Negative Breast Cancer Cells. NCI Translates Meeting, Washington DC, 2011.

#### INVITED LECTURES AND PRESENTATIONS:

- 4/95 **Principles of Biochemistry and Molecular Biology** Invited Lecture, Chemistry Department, Boise State University
- 4/96 **Principles of Biochemistry and Molecular Biology** Chemistry Department, Boise State University

- 8/99 **Transgenic Mouse Models for Cancer Drug Development**, Arizona Cancer Center Cancer Biology Seminar Series, University of Arizona
- 11/00 **Specific inhibition of c-MYC expression by the cationic porphyrin TMPyP4 results in downregulation of hTERT expression and reduced telomerase activity.** EORTC-AACR New Drugs in Cancer Therapy Meeting, Amsterdam, NL Nov. 7-10 2000
- 2/01 **Targets in Pancreatic Cancer---Just Waiting to be Hit**, 3<sup>rd</sup> Symposium on Cancer Drug Development in Arizona, Phoenix, AZ
- 2/02 **Targeting Aurora-2 Kinase in Pancreatic Cancer**, Department of Molecular and Cellular Biology Departmental Seminar, University of Arizona.
- 10/02 **Progress in the Detection and Treatment of Pancreatic Cancer.** Department of Surgery Grand Rounds, University of Arizona.
- 11/02 **Aurora-2 and Pancreatic Cancer**, Department of Biochemistry, University of Arizona.
- 2/05 **Rational Design and Synthesis of a New Selective Inhibitor of the Aurora Kinases**, Department of Bioengineering, University of Utah
- 10/05 **Achieving Higher-Throughput Target Validation in Model Organisms: Realizing the Dream**, Discovery on Target, CHI Boston Mass.
- 2/06 **Identification of a Novel Multi-Targeted Kinase Inhibitor Using CLIMB™ Technology**, Molecular Medicine Tri-Conference 2006, San Francisco, CA
- 6/07 **Developments in SuperGen's PreClinical Pipeline.** OBR/INCE Oncology Pipeline Forum, Chicago, IL
- 8/07 **Targeted Therapeutics in Cancer.** Physicians' Education Resource, Washington DC
- 1/09 Symposium Organizer "**Accelerating Drug Development with Innovative Discovery Platforms.**" New York Academy of Sciences, New York, NY
- 03/09 **"Discovery and Characterization of Pim Kinase Inhibitors"** CTEP Annual Meeting, National Cancer Institute, Bethesda, MD
- 03/09 **"Targeting Pim Kinases"** Cancer Progress Meeting 2009. New York, NY
- 03/09 **"Approaches for Targeting Kinase Inhibitors"** Cancer Progress Meeting 2009. New York, NY
- 08/10 **Epigenetic reprogramming of cancer cells by targeting DNA methylation dynamics.** 240th ACS National Meeting & Exposition, Boston, Massachusetts, 2010

03/11

**Targeting PDK1 for the Treatment of Cancers with PTEN and PIK3CA mutations.** Experimental Therapeutics Symposium MD Anderson Cancer Center, Houston TX.

## REFERENCES

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