

Stefan Kubicek, Ph.D.

Head of Chemical Screening and Platform Austria in Chemical Biology
Ce-M-M - Research Center for Molecular Medicine of the Austrian Academy of Sciences

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Date of birth:
Oct 2, 1978 in Vienna, Austria
Nationality:
Austrian

Education

- Feb 2007-
Jul 2010 Broad Institute of Harvard and MIT, Cambridge, MA, USA
Postdoctoral Fellow, Chemical Biology Program, advisor: Prof. Dr. Stuart Schreiber
- Sep-Dec
2006 Research Institute of Molecular Pathology (IMP), Vienna, Austria
Postdoctoral Fellow, Epigenetics and Chromatin Research, advisor: Prof. Dr. Thomas Jenuwein
- Aug 2006 University of Vienna, Austria
Ph.D. in "Molecular Biology" with honors.
- Oct 2002-
Aug 2006 Research Institute of Molecular Pathology (IMP), Vienna, Austria
Ph.D. Thesis "Regulation of Histone Lysine Methylation by small molecule HMTase inhibitors and demethylases" in the group of Prof. Dr. Thomas Jenuwein.
Referees: Prof. Dr. C. David Allis (Rockefeller University, NY, USA), Prof. Dr. Gunter Reuter (Martin Luther University Halle-Wittenberg, Germany)
- Jun 2002 Vienna University of Technology, Austria
"Dipl.-Ing." (equals M.Sc.) in "Organic Chemistry and Technology" with honors.
- Mar-Aug
2001 ETH Zürich, Switzerland
Diploma Thesis "A New Adenine Receptor for the Investigation of π - π -Stacking Interactions between Nucleobases" in the group of Prof. Dr. François Diederich, advisor Dr. Muriel Blanzat.
- Sep 1996-
Jun 2002 Vienna University of Technology, Austria
Studies of Computer Science and Technical Chemistry specializing in "Organic Chemistry and Technology".
- Jan-Aug
1997 Obligatory military service in the Austrian army.
- 1988-1996 BRG X, Laaerbergstraße 1, A-1100 Wien (secondary education); completed by "Matura" with honors.

Awards and Fellowships

- Marie Curie International Outgoing Fellowship of the European Commission, 2008-2011
Postdoctoral Fellowship of the Ernst Schering Research Foundation, 2007-2008
IMP PhD Studentship, 2002-2006
Vienna University of Technology Achievement Award, 2002
ERASMUS Scholarship for studies at ETH Zürich, 2001

Teaching Experience

Protein Structure and Modeling, Lecture, 15 units, FH Campus Wien, 2004/05

Protein Structure and Modeling, Exercises, 15 units, FH Campus Wien, 2004/05

Work Experience and Employment History

from August 2010 Head of Chemical Screening and Platform Austria in Chemical Biology
CeMM - Research Center for Molecular Medicine of the Austrian Academy of Sciences, Vienna, Austria

Feb 2007-Jul 2010 Research Scholar, Harvard University, Cambridge, MA, USA

Sep-Dec 2006- Postdoctoral Fellow; Research Institute of Molecular Pathology (IMP), Vienna, Austria

Oct 2002-Dec 2006 Ph.D. Student; Research Institute of Molecular Pathology (IMP), Vienna, Austria

Apr/Jul 2004 Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT, USA
High Throughput Screen for Small Molecule Inhibitors of Histone Lysine Methyltransferases

Winters 1999-2003; Ski instructor; CSA Skischule Grillitsch, Obertauern, Austria; Skischule Winkler, Spittal/S., Austria; Skischule Sport Puschi, Semmering, Austria
Instructing individuals and groups of up to 16 children and adults, from beginner to expert level.

Jul 2000 Internship; OMV AG, Vienna, Austria
Laboratory for Deposit Sciences
Water analysis and extraction experiments.

Jul 1999 Internship; OMV AG, Vienna, Austria
Refinery Processes
Petrochemical engineering and process simulation.

Sep 1998 Internship; Siemens AG, Vienna, Austria
Software Development Center
Workflow Management Software evaluation and testing.

Outside interests

Sports (skiing instructor, PADI rescue diver)

Languages (German, English, Spanish)

Publications

First-author publications

- Stefan Kubicek, Roderick J. O'Sullivan, E. Michael August, Eugene R. Hickey, Qiang Zhang, Miguel L. Teodoro, Karl Mechtler, Stephen Rea, Jennifer A. Kowalski, Carol Ann Homon, Terence A. Kelly, and Thomas Jenuwein (2007). Transient reversal of H3K9me2 by a small molecule inhibitor for the G9a histone methyltransferase. *Mol. Cell* **25**, 473-481.
- Barna D. Fodor*, Stefan Kubicek*, Masato Yonezawa, Roderick J. O'Sullivan, Roopsha Sengupta, Laura Perez-Burgos, Susanne Opravil, Karl Mechtler, Gunnar Schotta, and Thomas Jenuwein (2006). Jmjd2b antagonizes H3K9 tri-methylation at pericentric heterochromatin in mammalian cells. *Genes Dev.* **20**, 1557-1562.
- Dina Fomina*, Stefan Kubicek*, Depeeka Walpita, Vlado Dancik, Jacob Hecksher-Sørensen, Joshua Bittker, Tanaz Sharifnia, Alykhan Shamji, Paul A. Clemons, Bridget K. Wagner, and Stuart L. Schreiber (2010). Small molecule inducers of insulin expression in pancreatic alpha cells. *PNAS* **107**, 15099-15104.
- Stefan Kubicek, Josh Gilbert, Dina Fomina, Bridget K. Wagner, Paul A. Clemons, and Stuart L. Schreiber. Compounds targeting chromatin modifying enzyme induce specific cell-type independent transcription signatures in the endocrine pancreas. *In preparation*
- Stefan Kubicek, Sudeshna Fisch, Alex Gitlin, Yiyang Xu, Nathan Ross, Robert Gould, and Stuart L. Schreiber. Specific small molecule inhibitors for the GASC1 demethylase. *In preparation*

Collaborative scientific articles

- Yongxiang Liu, Xuelai Luo, Stefan Kubicek, Stuart Schreiber, and Xiang Wang. Structure-Based Design of a Cell-Active Specific Inhibitor of Jumonji C Domain-Containing Histone Demethylases. *Nature Chem. Biol.*, *in revision*
- Roderick J. O'Sullivan, Stefan Kubicek, Stuart L. Schreiber, and Jan Karlseder. Reduced histone biosynthesis and redistribution of chromatin marks during aging arising from a chronic damage signal at human telomeres (2010). *Nature Struct. Mol. Biol.*, *in press*.
- Thomas Frogne, Kathrine Beck Sylvestersen, Stefan Kubicek, Michael Lund Nielsen, and Jacob Hecksher-Sørensen (2010). Nanofluidic Proteomic Immunoassay reveals serine 61 as the principal site of phosphorylation on the transcription factor Pdx1. *EMBO J.*, *submitted*.
- Gunnar Schotta, Roopsha Sengupta, Stefan Kubicek, Stephen Malin, Monika Kauer, Elsa Callen, Arkady Celeste, Michaela Pagani, Susanne Opravil, Inti A. De La Rosa-Velaquez, Alexandra Espejo, Mark T. Bedford, André Nussenzweig, Meinrad Busslinger, and Thomas Jenuwein (2008). A chromosome-wide transition to H4K20 mono-methylation impairs genome integrity and programmed DNA rearrangements in the mouse. *Genes Dev* **22**, 2048-2061.
- Thomas Rudolph, Masato Yonezawa, Sandro Lein, Kathleen Heidrich, Stefan Kubicek, Christiane Schäfer, Sameer Phalke, Matthias Walther, Andreas Schmidt, Thomas Jenuwein, and Gunter Reuter (2007). Heterochromatin formation in *Drosophila* is initiated through active removal of H3K4 methylation by the LSD1 homolog SU(VAR)3-3. *Mol. Cell* **26**, 103-15.
- Jing Huang*, Laura Perez-Burgos*, Brandon J. Placek, Roopsha Sengupta, Mario Richter, Jean A. Dorsey, Stefan Kubicek, Susanne Opravil, Thomas Jenuwein, and Shelley L. Berger (2006). Repression of p53 activity by Smyd2-mediated methylation. *Nature* **444**, 629-632.
- Stefan Schoeftner, Aditya K. Sengupta, Stefan Kubicek, Karl Mechtler, Laura Spahn, Haruhiko Koseki, Thomas Jenuwein and Anton Wutz (2006). Recruitment of PRC1 function at the initiation of X inactivation independent of PRC2 and silencing. *EMBO J.* **25**, 3110-3122.
- Anja Ebert, Gunnar Schotta, Sandro Lein, Stefan Kubicek, Veiko Krauss, Thomas Jenuwein, and Gunter Reuter (2004). Su(var) genes regulate the balance between euchromatin and heterochromatin in *Drosophila*. *Genes Dev.* **18**, 2973-2983.

Raffaella Faraoni, Muriel Blanzat, Stefan Kubicek, Christophe Braun, W. Bernd Schweizer, Volker Gramlich, and François Diederich (2004). New Rebeck imide-type receptors for adenine featuring acetylene-linked π -stacking platforms. *Org. Biomol. Chem.* **2**, 1962-1964.

Antoine H.F.M. Peters, Stefan Kubicek, Karl Mechtler, Roderick J. O'Sullivan, Alwin A.H.A. Derijck, Laura Perez-Burgos, Alexander Kohlmaier, Susanne Opravil, Makoto Tachibana, Yoichi Shinkai, Joost H.A. Martens, and Thomas Jenuwein (2003). Partitioning and Plasticity of Repressive Histone Methylation States in Mammalian Chromatin. *Mol. Cell* **12**, 1577-1589.

Bernhard Lehnertz*, Yoshihide Ueda*, Alwin A.H.A. Derijck*, Ulrich Braunschweig, Laura Perez-Burgos, Stefan Kubicek, Taiping Chen, En Li, Thomas Jenuwein, and Antoine H.F.M. Peters (2003). Suv39h-Mediated Histone H3 Lysine 9 Methylation Directs DNA Methylation to Major Satellite Repeats at Pericentric Heterochromatin. *Curr. Biol.* **13**, 1192-1200.

Review articles

Stefan Kubicek, Gunnar Schotta, Monika Lachner, Roopsha Sengupta, Alexander Kohlmaier, Laura Perez-Burgos, Ylva Linderson, Joost H.A. Martens, Roderick J. O'Sullivan, Barna D. Fodor, Masato Yonezawa, Antoine H.F.M. Peters, and T. Jenuwein (2006). The Role of Histone Modifications in Epigenetic Transitions During Normal and Perturbed Development. *Ernst Schering Res. Found. Workshop* **57**, 1-27.

Stefan Kubicek and Thomas Jenuwein (2004). A Crack in Histone Lysine Methylation. *Cell* **119**, 903-906.

* These authors contributed equally.

Conferences, Invited Lectures, Posters

- May 20-21, 2010 European Chemical Biology Symposium, Prague, Czech Republic
Lecture "*Targeting chromatin for transdifferentiation in the pancreas*"
- Apr 12-17, 2010 Keystone Symposium "Islet Biology", Whistler Mountain, BC, Canada
Poster "*Small molecules targeting chromatin as transcriptional regulators in the pancreas*"
- Oct 30, 2009 Constellation Pharmaceuticals, Cambridge, MA, USA
Lecture "*Targeting histone methylation with small molecules*"
- Nov 3, 2009 Broad Institute Retreat, Boston, MA, USA
Lecture "*Targeting chromatin: Small molecules controlling proliferation and epigenetic memory*"
- Oct 30, 2009 Epizyme, Inc., Cambridge, MA, USA
Lecture "*Targeting histone methylation with small molecules*"
- Sep 19-20, 2009 Nature Chemical Biology Symposium, Cambridge, MA, USA
Poster "*Small molecule regulators of histone methylation*"
- Jun 15-16, 2009 Abcam Conference "Chromatin, Replication and Chromosomal Stability", Copenhagen, Denmark
Poster "*Small molecule regulators of histone methylation*"
- May 1, 2009 GlaxoSmithKline, Collegeville, PA, USA
Lecture "*Small molecules targeting lysine methylation - regulators of cellular differentiation and proliferation*"
- Feb 17, 2009 University of Colorado, Boulder, CO, USA
Lecture "*Controlling differentiation and proliferation with small molecules targeting lysine methylation*"
- Nov 13-14, 2008 SGC Symposium "Epigenetic Mechanisms in Health and Disease", Oxford, UK
Lecture "*Controlling differentiation and proliferation with small molecules targeting lysine methylation*"
- Jun 1-6, 2008 FASEB meeting "Biological Methylation", Carefree, AZ, USA
Lecture "*Small molecule regulators of histone lysine methylation*"
- Apr 6-11, 2008 Keystone Symposium "Islet and Beta Cell Biology", Snowbird, UT, USA
Poster "*Epigenetic regulation of beta cell development and proliferation*"
- Nov 13-14, 2007 Broad Institute Retreat, Boston, MA, USA
Poster: "*Chromatin in beta cell biology*"
- Dec 4-6, 2006 Gtcbio Modern Drug Discovery and Development Summit, Philadelphia, PA, USA
Lecture "*The Development of Histone Lysine Methyltransferase Inhibitors for Epigenetic Cancer Therapy*"
- Oct 16-18, 2006 GEN-AU Conference "Genomics for Health", Vienna, Austria
Poster "*Reversal of H3K9me2 by a small molecule inhibitor for the G9a HMTase*"
- Oct 4-6, 2006 IMP Recess, Vienna, Austria
Lecture "*Active removal of repressive histone methylation*"

- Jun 28, 2006 Novartis Institute of Biomedical Research, Boston, MA, USA
Lecture "*Regulation of Histone Lysine Methylation by small molecule HMTase inhibitors and demethylases*"
- Jun 26, 2006 Broad Institute of Harvard and MIT, Boston, MA, USA
Lecture "*Regulation of Histone Lysine Methylation by small molecule HMTase inhibitors and demethylases*"
- Jan 19-24, 2006 Keystone Symposium "Epigenetics and Chromatin Remodeling in Development", Keystone, CO, USA
Lecture "*Epigenetic control by histone methylation*"
Poster "*Transient reversal of H3K9me2 by a small molecule inhibitor for the G9a HMTase*"
- Dec 9, 2005 Friedrich Miescher Institute (FMI), Basel, Switzerland
Lecture "*Counteracting histone lysine methylation – HMTase inhibitors and demethylases*"
- Oct 5-7, 2005 IMP Recess, Vienna, Austria
Poster "*A Small Molecule Inhibitor for the G9a HMTase*"
- May 19-21, 2005 13th IMP Spring Conference, Vienna, Austria
Poster "*A high throughput screen for small molecule inhibitors of HMTases*"
- Mar 31 - Apr 5, 2005 Keystone Symposium "Chromatin Modification Pathways", Snowbird, UT, USA
Poster "*Characterizing combinations of histone modifications by quantitative mass spectrometry*"
- Nov 8-9, 2004 2nd GEN-AU Evaluation Conference, Vienna, Austria
Poster: "*A high throughput screen for small molecule inhibitors of HMTases*"
- Oct 13, 2004 Vienna Biocenter MassSpecDay, Vienna, Austria
Lecture "*Comprehensive mass spectrometric analysis of histone modifications*"
- Oct 6-8, 2004 IMP Recess, Vienna, Austria
Poster "*A high throughput screen for small molecule inhibitors of HMTases*"
- Sep 30, 2004 2nd Austrian Proteome Research Symposium, Vienna University of Technology, Austria
Lecture "*Comprehensive mass spectrometric analysis of histone modifications*"
- Jan 26-29, 2004 1st Symposium of the Austrian Proteomics Platform, Seefeld, Austria
Lecture and Poster "*Quantitative mass spectrometry of histone modifications*"
- Oct 22, 2003 1st GEN-AU Evaluation Conference, Vienna, Austria
Poster: "*Quantitative mass spectrometry of histone modifications*"
- Oct 1-3, 2003 IMP Recess, Vienna, Austria
Poster "*Quantitative mass spectrometry of histone modifications*"