

**Karl Barry Sharpless, PhD**  
W. M. Keck Professor of Chemistry  
Scripps Research (previously "The Scripps Research Institute")  
10550 North Torrey Pines Road, BCC315  
La Jolla, CA 92037  
858-784-7505  
sharples@scripps.edu

## **EDUCATION**

Dartmouth College, BA, 1963, Thomas A. Spencer  
Stanford University, PhD, 1968, Organic Chemistry, Eugene E. Van Tamelen  
Stanford University, Postdoc, 1968, Inorganic/Organometallic Chemistry, James P. Collman  
Harvard University, Postdoc, 1969, Enzymology, Konrad E. Bloch

## **EMPLOYMENT**

Massachusetts Institute of Technology Faculty 1970-7, 1980-90, Arthur C. Cope Professor, 1987  
Stanford University Faculty, 1977-80  
Scripps Research Faculty, W. M. Keck Professor, 1990-  
Skaggs Institute for Chemical Biology at Scripps, 1996-

## **HONORARY: DEGREES, APPOINTMENTS, FELLOWSHIPS, MEMBERSHIPS**

National Science Foundation Doctoral Fellow, 1963  
National Institute of Health Postdoctoral Fellow, 1968  
A. P. Sloan Foundation Fellow, 1973  
Camille and Henry Dreyfus Foundation Fellow, 1973  
American Association for the Advancement of Science Fellow, 1984  
American Academy of Arts and Sciences, Fellow, 1984  
National Academy of Sciences, Member, 1985  
Sherman Fairchild Foundation Fellow, California Institute of Technology, 1987  
Simon Guggenheim Foundation Fellow, 1987  
Dartmouth College, Honorary Doctorate, 1995  
Royal Institute of Technology, Stockholm, Honorary Doctorate, 1995  
Technical University of Munich, Honorary Doctorate, 1995  
Catholic University of Louvain, Belgium, Honorary Doctorate, 1996  
Royal Society of Chemistry, Great Britain, Honorary Fellow, 1997  
Wesleyan University, Honorary Doctorate, 1999  
Inaugural Member, ISI Highly Cited Researchers Database, 2001  
Kitasato Institute, Honorary Member, Tokyo, 2002  
European Academy of Sciences, Member, 2003  
Hong Kong Polytechnic University, Distinguished Honorary Professor, 2002  
National Taiwan Normal University, Taipei, Honorary Doctorate, 2004  
Shanghai Institute of Organic Chemistry, Honorary Professor & Member, Academic Committee, 2004  
Hong Kong University of Science and Technology, Honorary Doctorate, 2007  
Nanjing University, Honorary Professor, 2008  
Israel Chemical Society, Honorary Member, 2011  
Kyushu University, Fukuoka, Japan, Distinguished University Professor, 2010  
Tianjin University, China, Honorary Professor, 2010  
Florida Center for Heterocyclic Compounds, University of Florida, Honorary Fellow, 2011  
Sochow University, Suzhou, China, Honorary Professor, 2011  
Nankai University, Tianjin, China, Honorary Professor, 2012  
New York Academy of Sciences President's Council, 2018

Chinese Academy of Sciences, Foreign Member, 2019

### **AMERICAN CHEMICAL SOCIETY AWARDS/HONORS**

Award for Creative Work in Synthetic Organic Chemistry, ACS, 1983

Arthur C. Cope Scholar Award, ACS, 1986

Harrison Howe Award, Rochester Section ACS, 1987

Ira Remsen Award, Maryland Section ACS, 1989

Arthur C. Cope Award, ACS, 1992

San Diego Scientist of the Year, San Diego Section ACS, 1992

Roger Adams Award in Organic Chemistry, ACS, 1997

Top 75 contributors to the Chemical Enterprise, Chemical & Engineering News' 75th Birthday, 1998

Theodore William Richards Medal, Northeastern Section ACS, 1998

Wallace Carothers Award, Delaware Section ACS, 1999

Sharpless Tribute Symposia (33 KBS group alumni spoke), Natl ACS meeting, San Diego, 2001

William H. Nichols Medalist, New York Section ACS, 2006

Breakthrough Award Citation, History of Chemistry Division ACS, 2008

F. A. Cotton Medal, Texas A&M Section ACS, 2014

Click Chemistry Symposium in Honor of Prof Sharpless's 75<sup>th</sup> Birthday, Natl ACS meeting, 2016

Priestley Medal, ACS, 2019

American Chemical Society Fellow, 2019

### **OTHER AWARDS; NAME LECTURESHIPS**

Hartshorn Chemistry Medal, Dartmouth College, 1963

Pfizer Distinguished Lecturer, Michigan State University, 1984

Allan R Day Award, Philadelphia Organic Chemists' Club, 1985

H. C. Brown Lecturer, Purdue University, 1985

*Inaugural* Paul Janssen Prize for Creativity in Organic Synthesis, 1986

Chemical Pioneer Award, American Institute of Chemists, 1988

Vladimir Prelog Medal for Stereochemistry, ETH, Zurich, 1988

Rolf Sammet Stiftungs Gastprofessor der Hoechst AG, Göthe Universitat, Frankfurt-am-Main, 1988

Smissman Medal, University of Kansas, 1989

Dreyfus Lecturer, Dartmouth College, 1989

Scheele Medal, Swedish Academy of Pharmaceutical Sciences, 1991

Tetrahedron Prize for Creativity in Organic Synthesis, 1993

Centenary Lecture Medalist, Royal Society of Chemistry, Great Britain, 1993

Burger Lecture, University of Virginia, 1993

Nelson Leonard Distinguished Lecturer, University of Illinois, 1993

33<sup>rd</sup> Werner E Bachmann Lecturer, University of Michigan, 1993

Turner Memorial Lecturer, Rice University, 1994

Commencement Address, The Scripps Research Institute, 1995

Cliff Hamilton Lectureship, University of Nebraska, 1995

King Faisal International Prize in Science, Saudi Arabia, 1995

Melvin Calvin Lectureship, University of California at Berkeley, 1995

Royal Society of Chemistry Centenary Lectures, England and Scotland, 1995

Marker Lecturer, Pennsylvania State University, 1995

Reilly Lecturer, University of Notre Dame, 1995

Pfizer Distinguished Lecturer, Colorado State University, 1995

Turner Memorial Lecturer, Rice University, 1995

Merck-Schuchardt Lectureship, Germany, 1995

Gilbert Stork Lecturer, Columbia University, 1996

Belleau Memorial Lecturer, McGill University, 1996  
Rowland T. Pettit Memorial Lecturer, University of Texas at Austin, 1996  
Hofmann Distinguished Lecturer, Imperial College, London, 1996  
Sunner Memorial Lecturer, Lund University, Sweden, 1996  
*Inaugural* Barton Lecturer, Texas A&M, 1997  
Microbial Chemistry Medal, Kitasato Institute, Tokyo, 1997  
ACL Distinguished Lecture, The Chinese University of Hong Kong, 1997  
Büchi Lecture, Massachusetts Institute of Technology, 1997  
McElvain Lecturer, University of Wisconsin, 1997  
Science Watch world's most-cited scientists in chemistry/materials science for 1994-1997  
Harvey Science and Technology Prize, Israel Institute of Technology (Technion), Haifa, 1998  
Max Tishler Lecture, The Kitasato Institute, Tokyo, 1998  
Wyeth-Ayerst Lecture, Princeton University, 1999  
George Kenner Memorial Lecturer, University of Liverpool, 2000  
Paul N. Rylander Award, Organic Reactions Catalysis Society, 2000  
Rhone Poulenc Medal, Royal Society of Chemistry, United Kingdom, 2000  
Chemical Sciences Award, National Academy of Sciences, 2000  
Chirality Medal, Italian Chemical Society, 2000  
Edgar Fahs Smith Lecture, University of Pennsylvania, 2000  
John C Polanyi Nobel Laureate Lecture, University of Toronto, 2000  
Sharpless 60<sup>th</sup> Birthday Symposium, The Scripps Research Institute, 2001  
Gooch–Stephens Lecture, Baylor University, 2001  
Reuben Benjamin Sandin Lecture, University of Alberta, 2001  
Benjamin Franklin Medal, Franklin Institute, Philadelphia, 2001  
Wolf Prize, Weizmann Institute, Tel Aviv, 2001  
John Scott Medal Award, City of Philadelphia, 2001  
Nobel Prize in Chemistry, 2001; 2022  
Third Annual Student Invited Colloquium, Columbia University, 2001  
*Inaugural* Cornforth Lecture, dedication of Cornforth Foundation for Chemistry, U of Sydney, 2002  
Danforth Lecture, Grinnell College, 2002  
*Inaugural* Criegee Memorial Lecturer, Karlsruhe Institute of Technology, 2002  
29<sup>th</sup> Annual Science Headliner, San Diego Press Club, 2002  
Fred H. Robbins Lectures, Pomona College, 2003  
Melvin Calvin Lectureship, University of California at Berkeley, 2003  
Edmund L. Keeney Lectureship, Scripps Clinic, La Jolla, 2004  
Frontiers in Chemistry Lecturer, The Scripps Research Institute, 2004  
Premio Nobel Visit Illustre, Universidad Catolica Del Norte, Chile, 2004  
Sessler Lecturer, Stanford University, 2005  
Novartis Central Europe Lecturer, 2005  
Grand Gold Medal of Cornelius University, Bratislava, Slovakia, 2005  
Rama Rao-Hamied Award Lecture, Indian Institute of Chemical Technology, Hyderabad, 2005  
William G Dauben Lectureship, University of Washington, 2005  
Dedication of Dr. K. Barry Sharpless Conference Hall, Mitsui Chemicals, Japan, 2005  
*Inaugural* Masamune Memorial Lecture, Massachusetts Institute of Technology, 2006  
*Inaugural* Jeremiah P. Freeman Organic Synthesis Lecture, Notre Dame University 2006  
Undergraduate Chemistry Council Distinguished Lecturer, Northwestern University, 2006  
Backer Lecturer, University of Groningen, Netherlands, 2006  
Wheeler Lecturer, University College Dublin, 2006  
Gerhard Closs Lecturer, University of Chicago, 2006  
C. S. Marvel Lecturer, University of Illinois, 2007

K.T. Wang Bioorganic Lecture, Institute of Biological Chemistry, Taipei, Taiwan, 2007  
Johnston Lecturer, Emory University, 2007  
ConCiencia Nobel Lecturer, Universidade de Santiago de Compostela, 2008  
Nobel Laureate Lectures, Griffith University, Brisbane, 2008  
Honeywell Nobel Initiative for Science Lectureship, Tianjin University, 2008  
Dreyfus Lecturer, Dartmouth College, 2009  
George Olah Lecturer, University of Southern California, 2009  
T. B. Johnson Lecturer, Yale University, 2009  
World's 7 Most Powerful Innovators, Forbes Magazine, 2009  
José Medal of Honor, Institute of Chemistry of São Carlos, University of São Paulo, Brazil, 2010  
Top 100 Chemists: 2000-2010 (KBS #4 in world) by Citation Impact, Thomson Reuters, 2011  
Top 100 Chemists (KBS #4 for impact of work published 2000-10), Thomson Reuters, 2011  
Andrew D. Dorsey Memorial Award Lecture, University of California at Berkeley, 2011  
Abbott Lecturer, University of Wisconsin, 2011  
Shain Colloquium Lecturer, University of Wisconsin, 2011  
Nobel Lecturer, South Catalonia Campus of Intl Excellence & Universitat Rovira i Virgili, Spain, 2012  
Seo Nam Distinguished Scholar Lecture, Seoul National University, 2012  
BASF Lecturer, Institut Català d'Investigació Química, Spain, 2012  
Donald J. Cram Lecture, University of California at Los Angeles, 2013  
Tsinghua University Top Talk, 2013  
Thomson Reuters Citation Laureate, 2013  
Thomson Reuters World's Most Influential Scientific Minds, 2014  
Einstein Professorship Lecture for Senior Intl Scientists, Chinese Academy of Sciences, 2014  
August Wilhelm von Hofmann Lectureship, The German Chemical Society, 2015  
Max Tischler Award Lecture, Tufts University, 2015  
Ahmed H. Zewail Gold Medal and Distinguished Lectureship, Wayne State University, 2015  
Tsinghua Global Vision Lectures, Tsinghua University, 2015  
*Inaugural* Rolf Huisgen Lecturer, Ludwig-Maximilians-Universität, Munich, 2016  
Cherry Emerson Lecturer, Georgia Tech, 2017  
KMS Frontier Seminar, Kitasato University, Tokyo, 2018  
*Inaugural* CalTech Student-Postdoc Seminar, 2019  
International Friendship Prize, Chinese Academy of Sciences, 2020

### **JOURNAL ETC. EDITORIAL/ADVISORY BOARDS (incomplete historical list)**

Advanced Synthesis & Catalysis; Beilstein Journal of Organic Chemistry; Bulletin of the Chemical Society of Japan; Catalysis Technology; ChemCatChem; Chirality; Current Drug Discovery Technologies; Current Opinion in Drug Discovery and Development; Enantiomer; journals (various) of the American Chemical Society; Medicinal Chemistry Study Section, NIH; Molecular Frontiers, Royal Swedish Academy of Sciences; Organic Syntheses, Inc; Research & Innovation MitoEdit, European FET Open Scheme; Synlett; Synthetic and Systems Biotechnology, China; Tetrahedron Publications; Topics in Stereochemistry; World Laureates Association, China.

### **PUBLICATIONS**

- 425 McFadden, W. M.; Casey-Moore, M. C.; Bare, G. A. L.; Kirby, K. A.; Wen, X.; Li, G.; Wang, H.; Slack, R. L.; Snyder, A. A.; Lorson, Z. C.; Kaufman, I. L.; Cilento, M. E.; Tedbury, P. R.; Gembicky, M.; Olson, A. J.; Torbett, B. E.; Sharpless, K. B.; Sarafianos, S. G., Identification of Clickable Hiv-1 Capsid-Targeting Probes for Viral Replication Inhibition. *Cell Chem Biol* **2024**, *31* (3), 477-486.e7. <https://doi.org/10.1016/j.chembiol.2024.02.012>
- 424 Yang, B.; Sukheja, P.; Qin, B.; Li, G.; Bare, G. A. L.; Cascioferro, A.; Love, M. S.; Petrassi, H. M.; Sharpless, K. B.; McNamara, C. W.; Chatterjee, A. K., Synthesis and Structure-Activity

- Relationships of Aryl Fluorosulfate-Based Inhibitors as Novel Antitubercular Agents. *Bioorg Med Chem Lett* **2024**, *98*, 129596. <https://doi.org/10.1016/j.bmcl.2023.129596>
- 423 Yang, Y.; Chen, M.; Wu, M.; Hong, S.; Gao, B.; Liu, Y.; Yu, C.; Young, T. S.; Chapla, D. G.; Yang, J.-Y.; Cappiello, J. R.; Li, J. P.; Sharpless, K. B.; Moremen, K. W.; Wu, P., Chemoenzymatic Tagging of Tn/Tf/Stf Antigens in Living Systems. *Israel Journal of Chemistry* **2023**, *63* (10-11), e202300081. <https://doi.org/10.1002/ijch.202300081>
- 422 Homer, J. A.; Xu, L.; Kayambu, N.; Zheng, Q.; Choi, E. J.; Kim, B. M.; Sharpless, K. B.; Zuilhof, H.; Dong, J.; Moses, J. E., Sulfur Fluoride Exchange. *Nature Reviews Methods Primers* **2023**, *3* (1), 58. <https://doi.org/10.1038/s43586-023-00241-y>
- 421 Sun, S.; Homer, J. A.; Smedley, C. J.; Cheng, Q.-Q.; Sharpless, K. B.; Moses, J. E., Phosphorus Fluoride Exchange: Multidimensional Catalytic Click Chemistry from Phosphorus Connective Hubs. *Chem* **2023**, *9* (8), 2128-2143. <https://doi.org/10.1016/j.chempr.2023.05.013>
- 420 Xin, Y.; Liu, S.; Liu, Y.; Qian, Z.; Liu, H.; Zhang, B.; Guo, T.; Thompson, G. J.; Stevens, R. C.; Sharpless, K. B.; Dong, J.; Shui, W., Affinity Selection of Double-Click Triazole Libraries for Rapid Discovery of Allosteric Modulators for Glp-1 Receptor. *Proc. Natl. Acad. Sci. U. S. A.* **2023**, *120* (11), e2220767120. <https://doi.org/10.1073/pnas.2220767120>
- 419 Li, H.; Chang, B. S.; Kim, H.; Xie, Z.; Laine, A.; Ma, L.; Xu, T.; Yang, C.; Kwon, J.; Shelton, S. W.; Klivansky, L. M.; Altoe, V.; Gao, B.; Schwartzberg, A. M.; Peng, Z.; Ritchie, R. O.; Xu, T.; Salmeron, M.; Ruiz, R.; Sharpless, K. B.; Wu, P.; Liu, Y., High-Performing Polysulfate Dielectrics for Electrostatic Energy Storage under Harsh Conditions. *Joule* **2023**, *7* (1), 95-111. <https://doi.org/10.1016/j.joule.2022.12.010>
- 418 Cheng, Y.; Li, G.; Smedley, C. J.; Giel, M. C.; Kitamura, S.; Woehl, J. L.; Bianco, G.; Forli, S.; Homer, J. A.; Cappiello, J. R.; Wolan, D. W.; Moses, J. E.; Sharpless, K. B., Diversity Oriented Clicking Delivers B-Substituted Alkenyl Sulfonyl Fluorides as Covalent Human Neutrophil Elastase Inhibitors. *Proc Natl Acad Sci U S A* **2022**, *119* (37), e2208540119. <https://doi.org/10.1073/pnas.2208540119>
- 417 Kim, H.; Zhao, J.; Bae, J.; Klivansky, L. M.; Dailing, E. A.; Liu, Y.; Cappiello, J. R.; Sharpless, K. B.; Wu, P., Chain-Growth Sulfur(VI) Fluoride Exchange Polycondensation: Molecular Weight Control and Synthesis of Degradable Polysulfates. *ACS Cent. Sci.* **2021**, *7* (11), 1919-1928. <https://doi.org/10.1021/acscentsci.1c01015>
- 416 Li, S.; Li, G.; Gao, B.; Pujari, S. P.; Chen, X.; Kim, H.; Zhou, F.; Klivansky, L. M.; Liu, Y.; Driss, H.; Liang, D.-D.; Lu, J.; Wu, P.; Zuilhof, H.; Moses, J.; Sharpless, K. B., Sufexable Polymers with Helical Structures Derived from Thionyl Tetrafluoride. *Nature Chemistry* **2021**, *13* (9), 858-867. <https://doi.org/10.1038/s41557-021-00726-x>
- 415 Sun, S.; Gao, B.; Chen, J.; Sharpless, K. B.; Dong, J., Fluorosulfonyl Isocyanate Enabled Sufex Ligation of Alcohols and Amines. *Angewandte Chemie International Edition* **2021**, *60* (39), 21195-21199. <https://doi.org/10.1002/anie.202105583>
- 414 Zhang, J.; Zhao, X.; Cappiello, J. R.; Yang, Y.; Cheng, Y.; Liu, G.; Fang, W.; Luo, Y.; Zhang, Y.; Dong, J.; Zhang, L.; Sharpless, K. B., Identification of Simple Arylfluorosulfates as Potent Agents against Resistant Bacteria. *Proc. Natl. Acad. Sci. U. S. A.* **2021**, *118* (28), e2103513118. <https://doi.org/10.1073/pnas.2103513118>
- 413 Shyong, Y.-J.; Sepulveda, Y.; Garcia, A.; Samskey, N. M.; Radic, Z.; Sit, R. K.; Sharpless, K. B.; Momper, J. D.; Taylor, P., Enhancing Target Tissue Levels and Diminishing Plasma Clearance of Ionizing Zwitterionic Antidotes in Organophosphate Exposures. *Journal of Pharmacology and Experimental Therapeutics* **2021**, *378* (3), 315-321. <https://doi.org/10.1124/jpet.121.000715>
- 412 Garnar-wortzel, L.; Bishop, T. R.; Kitamura, S.; Milosevich, N.; Asiaban, J. N.; Zhang, X.; Zheng, Q.; Chen, E.; Ramos, A. R.; Ackerman, C. J.; Hampton, E. N.; Chatterjee, A. K.; Young, T. S.; Hull, M. V.; Sharpless, K. B.; Cravatt, B. F.; Wolan, D. W.; Erb, M. A., Chemical

- Inhibition of Enl/Af9 Yeats Domains in Acute Leukemia. *ACS Cent. Sci.* **2021**, 7 (5), 815-830. <https://doi.org/10.1021/acscentsci.0c01550>
- 411 Taylor, P.; Shyong, Y.-J.; Samskey, N.; Ho, K.-Y.; Radic', Z.; Fenical, W.; Sharpless, K. B.; Kovarik, Z.; Camacho-Hernandez, G.-A., Ligand Design for Human Acetylcholinesterase and Nicotinic Acetylcholine Receptors, Extending Beyond the Conventional and Canonical. *Journal of Neurochemistry* **2021**, 158 (6), 1217-1222. <https://doi.org/10.1111/jnc.15335>
- 410 Sharpless, K. B., Eric Jacobsen@60, a Personal Statement. *Adv. Synth. Catal.* **2020**, 362 (2), 281-284. <https://doi.org/10.1002/adsc.201901658>
- 409 Zheng, Q.; Xu, H.; Wang, H.; Du, W.-G. H.; Wang, N.; Xiong, H.; Gu, Y.; Noodleman, L.; Sharpless, K. B.; Yang, G.; Wu, P., Sulfur [18f]Fluoride Exchange Click Chemistry Enabled Ultrafast Late-Stage Radiosynthesis. *J. Am. Chem. Soc.* **2021**, 143 (10), 3753-3763. <https://doi.org/10.1021/jacs.0c09306>
- 408 Brighty, G. J.; Botham, R. C.; Li, S.; Nelson, L.; Mortenson, D. E.; Li, G.; Morisseau, C.; Wang, H.; Hammock, B. D.; Sharpless, K. B.; Kelly, J. W., Using Sulfuramidimidoyl Fluorides That Undergo Sulfur(VI) Fluoride Exchange for Inverse Drug Discovery. *Nat. Chem.* **2020**, 12 (10), 906-913. <https://doi.org/10.1038/s41557-020-0530-4>
- 407 Kitamura, S.; Zheng, Q.; Woehl, J. L.; Solania, A.; Chen, E.; Dillon, N.; Hull, M. V.; Kotaniguchi, M.; Cappiello, J. R.; Kitamura, S.; Nizet, V.; Sharpless, K. B.; Wolan, D. W., Sulfur(VI) Fluoride Exchange (Sufex)-Enabled High-Throughput Medicinal Chemistry. *J. Am. Chem. Soc.* **2020**, 142 (25), 10899-10904. <https://doi.org/10.1021/jacs.9b13652>
- 406 Lauwaet, T.; Miyamoto, Y.; Iharaid, S.; Le, C.; Kalisiak, J.; Korthals, K. A.; Ghassemian, M.; Smith, D. K.; Sharpless, K. B.; Fokin, V. V.; Eckmann, L., Click Chemistry-Facilitated Comprehensive Identification of Proteins Adducted by Antimicrobial 5-Nitroimidazoles for Discovery of Alternative Drug Targets against Giardiasis. *PLoS Neglected Trop. Dis.* **2020**, 14 (4), e0008224. <https://doi.org/10.1371/journal.pntd.0008224>
- 405 Smedley, C. J.; Li, G.; Barrow, A. S.; Gialelis, T. L.; Giel, M.-C.; Ottonello, A.; Cheng, Y.; Kitamura, S.; Wolan, D. W.; Sharpless, K. B.; Moses, J. E., Diversity Oriented Clicking (Doc): Divergent Synthesis of Sufexable Pharmacophores from 2-Substituted-Alkynyl-1-Sulfonyl Fluoride (Sasf) Hubs. *Angew. Chem., Int. Ed.* **2020**, 59 (30), 12460-12469. <https://doi.org/10.1002/anie.202003219>
- 404 Macek Hrvat, N.; Kalisiak, J.; Sinko, G.; Radic, Z.; Sharpless, K. B.; Taylor, P.; Kovarik, Z., Evaluation of High-Affinity Phenyltetrahydroisoquinoline Aldoximes, Linked through Anti-Triazoles, as Reactivators of Phosphylated Cholinesterases. *Toxicol. Lett.* **2020**, 321, 83-89. <https://doi.org/10.1016/j.toxlet.2019.12.016>
- 403 Meng, G.; Guo, T.; Ma, T.; Zhang, J.; Shen, Y.; Sharpless, K. B.; Dong, J., Modular Click Chemistry Libraries for Functional Screens Using a Diazotizing Reagent. *Nature (London, U. K.)* **2019**, 574 (7776), 86-89. <https://doi.org/10.1038/s41586-019-1589-1>
- 402 Zheng, Q.; Woehl, J. L.; Kitamura, S.; Santos-Martins, D.; Smedley, C. J.; Li, G.; Forli, S.; Moses, J. E.; Wolan, D. W.; Sharpless, K. B., Sufex-Enabled, Agnostic Discovery of Covalent Inhibitors of Human Neutrophil Elastase. *Proc. Natl. Acad. Sci. U. S. A.* **2019**, 116 (38), 18808-18814. <https://doi.org/10.1073/pnas.1909972116>
- 401 Hong, S.; Shi, Y.; Wu, N. C.; Grande, G.; Douthit, L.; Wang, H.; Zhou, W.; Sharpless, K. B.; Wilson, I. A.; Xie, J.; Wu, P., Bacterial Glycosyltransferase-Mediated Cell-Surface Chemoenzymatic Glycan Modification. *Nat. Commun.* **2019**, 10 (1), 1-11. <https://doi.org/10.1038/s41467-019-09608-w>
- 400 Kovarik, Z.; Kalisiak, J.; Hrvat, N. M.; Katalinic, M.; Zorbaz, T.; Zunec, S.; Green, C.; Radic, Z.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., Reversal of Tabun Toxicity Enabled by a Triazole-Annulated Oxime Library-Reactivators of Acetylcholinesterase. *Chem. - Eur. J.* **2019**, 25 (16), 4100-4114. <https://doi.org/10.1002/chem.201805051>

- 399 Kovarik, Z.; Macek Hrvat, N.; Kalisiak, J.; Katalinic, M.; Sit, R. K.; Zorbaz, T.; Radic, Z.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., Counteracting Tabun Inhibition by Reactivation by Pyridinium Aldoximes That Interact with Active Center Gorge Mutants of Acetylcholinesterase. *Toxicol. Appl. Pharmacol.* **2019**, *372*, 40-46. <https://doi.org/10.1016/j.taap.2019.04.007>
- 398 Liu, F.; Wang, H.; Li, S.; Bare, G. A. L.; Chen, X.; Wang, C.; Moses, J. E.; Wu, P.; Sharpless, K. B., Biocompatible Sufex Click Chemistry: Thionyl Tetrafluoride (Sof4)-Derived Connective Hubs for Bioconjugation to DNA and Proteins. *Angew. Chem., Int. Ed.* **2019**, *58* (24), 8029-8033. <https://doi.org/10.1002/anie.201902489>
- 397 Taylor, P.; Yan-Jye, S.; Momper, J.; Hou, W.; Camacho-Hernandez, G. A.; Radic, Z.; Rosenberg, Y.; Kovarik, Z.; Sit, R.; Sharpless, K. B., Assessment of Ionizable, Zwitterionic Oximes as Reactivating Antidotal Agents for Organophosphate Exposure. *Chem.-Biol. Interact.* **2019**, *308*, 194-197. <https://doi.org/10.1016/j.cbi.2019.05.015>
- 396 Smedley, C. J.; Zheng, Q.; Gao, B.; Li, S.; Molino, A.; Duivenvoorden, H. M.; Parker, B. S.; Wilson, D. J. D.; Sharpless, K. B.; Moses, J. E., Bifluoride Ion Mediated Sufex Trifluoromethylation of Sulfonyl Fluorides and Iminosulfur Oxydifluorides. *Angew. Chem., Int. Ed.* **2019**, *58* (14), 4552-4556. <https://doi.org/10.1002/anie.201813761>
- 395 Sit, R. K.; Kovarik, Z.; Hrvat, N. M.; Zunec, S.; Green, C.; Fokin, V. V.; Sharpless, K. B.; Radic, Z.; Taylor, P., Pharmacology, Pharmacokinetics, and Tissue Disposition of Zwitterionic Hydroxyiminoacetamido Alkylamines as Reactivating Antidotes for Organophosphate Exposure. *J. Pharmacol. Exp. Ther.* **2018**, *367* (2), 363-372. <https://doi.org/10.1124/jpet.118.249383>
- 394 Gahtory, D.; Sen, R.; Pujari, S.; Li, S.; Zheng, Q.; Moses, J. E.; Sharpless, K. B.; Zuilhof, H., Quantitative and Orthogonal Formation and Reactivity of Sufex Platforms. *Chem. - Eur. J.* **2018**, *24* (41), 10550-10556. <https://doi.org/10.1002/chem.201802356>
- 393 Guo, T.; Meng, G.; Zhan, X.; Yang, Q.; Ma, T.; Xu, L.; Sharpless, K. B.; Dong, J., A New Portal to Sufex Click Chemistry: A Stable Fluorosulfonyl Imidazolium Salt Emerging as an "F-So2+" Donor of Unprecedented Reactivity, Selectivity, and Scope. *Angew. Chem., Int. Ed.* **2018**, *57* (10), 2605-2610. <https://doi.org/10.1002/anie.201712429>
- 392 Liu, Z.; Li, J.; Li, S.; Li, G.; Sharpless, K. B.; Wu, P., Sufex Click Chemistry Enabled Late-Stage Drug Functionalization. *J. Am. Chem. Soc.* **2018**, *140* (8), 2919-2925. <https://doi.org/10.1021/jacs.7b12788>
- 391 Gao, B.; Li, S.; Wu, P.; Moses, J. E.; Sharpless, K. B., Sufex Chemistry of Thionyl Tetrafluoride (SOF4) with Organolithium Nucleophiles: Synthesis of Sulfonimidoyl Fluorides, Sulfoximines, Sulfonimidamides, and Sulfonimidates. *Angew. Chem., Int. Ed.* **2018**, *57* (7), 1939-1943. <https://doi.org/10.1002/anie.201712145>
- 390 Mortenson, D. E.; Brighty, G. J.; Plate, L.; Bare, G.; Chen, W.; Li, S.; Wang, H.; Cravatt, B. F.; Forli, S.; Powers, E. T.; Sharpless, K. B.; Wilson, I. A.; Kelly, J. W., "Inverse Drug Discovery" Strategy to Identify Proteins That Are Targeted by Latent Electrophiles as Exemplified by Aryl Fluorosulfates. *J. Am. Chem. Soc.* **2018**, *140* (1), 200-210. <https://doi.org/10.1021/jacs.7b08366>
- 389 Gao, B.; Zhang, L.; Zheng, Q.; Zhou, F.; Klivansky, L. M.; Lu, J.; Liu, Y.; Dong, J.; Wu, P.; Sharpless, K. B., Bifluoride-Catalysed Sulfur(VI) Fluoride Exchange Reaction for the Synthesis of Polysulfates and Polysulfonates. *Nat. Chem.* **2017**, *9* (11), 1083-1088. <https://doi.org/10.1038/nchem.2796>
- 388 Wang, H.; Zhou, F.; Ren, G.; Zheng, Q.; Chen, H.; Gao, B.; Klivansky, L.; Liu, Y.; Wu, B.; Xu, Q.; Lu, J.; Sharpless, K. B.; Wu, P., Sufex-Based Polysulfonate Formation from Ethenesulfonyl Fluoride-Amine Adducts. *Angew. Chem., Int. Ed.* **2017**, *56* (37), 11203-11208. <https://doi.org/10.1002/anie.201701160>
- 387 Kim, W. J.; Korthals, K. A.; Li, S.; Le, C.; Kalisiak, J.; Sharpless, K. B.; Fokin, V. V.; Miyamoto, Y.; Eckmann, L., Click Chemistry-Facilitated Structural Diversification of

- Nitrothiazoles, Nitrofurans, and Nitropyrroles Enhances Antimicrobial Activity against *Giardia Lamblia*. *Antimicrob. Agents Chemother.* **2017**, *61* (6), e02397/1-e02397/12. <https://doi.org/10.1128/aac.02397-16>
- 386 Zha, G.-F.; Zheng, Q.; Leng, J.; Wu, P.; Qin, H.-L.; Sharpless, K. B., Palladium-Catalyzed Fluorosulfonylvinylation of Organic Iodides. *Angew. Chem., Int. Ed.* **2017**, *56* (17), 4849-4852. <https://doi.org/10.1002/anie.201701162>
- 385 Li, S.; Wu, P.; Moses, J. E.; Sharpless, K. B., Multidimensional Sufex Click Chemistry: Sequential Sulfur(VI) Fluoride Exchange Connections of Diverse Modules Launched from an Sof<sub>4</sub> Hub. *Angew. Chem., Int. Ed.* **2017**, *56* (11), 2903-2908. <https://doi.org/10.1002/anie.201611048>
- 384 Silverman, S. M.; Moses, J. E.; Sharpless, K. B., Reengineering Antibiotics to Combat Bacterial Resistance: Click Chemistry [1,2,3]-Triazole Vancomycin Dimers with Potent Activity against Mrsa and Vre. *Chem. - Eur. J.* **2017**, *23* (1), 79-83. <https://doi.org/10.1002/chem.201604765>
- 383 Zheng, Q.; Dong, J.; Sharpless, K. B., Ethenesulfonyl Fluoride (Esf): An on-Water Procedure for the Kilogram-Scale Preparation. *J. Org. Chem.* **2016**, *81* (22), 11360-11362. <https://doi.org/10.1021/acs.joc.6b01423>
- 382 Qin, H.-L.; Zheng, Q.; Bare, G. A. L.; Wu, P.; Sharpless, K. B., A Heck-Matsuda Process for the Synthesis of B-Arylethenesulfonyl Fluorides: Selectively Addressable Bis-Electrophiles for Sufex Click Chemistry. *Angew. Chem., Int. Ed.* **2016**, *55* (45), 14155-14158. <https://doi.org/10.1002/anie.201608807>
- 381 Chen, W.; Dong, J.; Plate, L.; Mortenson, D. E.; Brighty, G. J.; Li, S.; Liu, Y.; Galmozzi, A.; Lee, P. S.; Hulce, J. J.; Cravatt, B. F.; Saez, E.; Powers, E. T.; Wilson, I. A.; Sharpless, K. B.; Kelly, J. W., Arylfluorosulfates Inactivate Intracellular Lipid Binding Protein(S) through Chemoselective Sufex Reaction with a Binding Site Tyr Residue. *J. Am. Chem. Soc.* **2016**, *138* (23), 7353-7364. <https://doi.org/10.1021/jacs.6b02960>
- 380 Zhang, E.; Tang, J.; Li, S.; Wu, P.; Moses, J. E.; Sharpless, K. B., Chemoselective Synthesis of Polysubstituted Pyridines from Heteroaryl Fluorosulfates. *Chem. - Eur. J.* **2016**, *22* (16), 5692-5697. <https://doi.org/10.1002/chem.201600167>
- 379 Bourne, Y.; Sharpless, K. B.; Taylor, P.; Marchot, P., Steric and Dynamic Parameters Influencing in Situ Cycloadditions to Form Triazole Inhibitors with Crystalline Acetylcholinesterase. *J. Am. Chem. Soc.* **2016**, *138* (5), 1611-1621. <https://doi.org/10.1021/jacs.5b11384>
- 378 Toguchi, S.; Hirose, T.; Yorita, K.; Fukui, K.; Sharpless, K. B.; Ōmura, S.; Sunazuka, T., in Situ Click Chemistry for the Identification of a Potent D-Amino Acid Oxidase Inhibitor. *Chemical and Pharmaceutical Bulletin* **2016**, *64* (7), 695-703. <https://doi.org/10.1248/cpb.c15-00867>
- 377 Chen, W.; Dong, J.; Li, S.; Liu, Y.; Wang, Y.; Yoon, L.; Wu, P.; Sharpless, K. B.; Kelly, J. W., Synthesis of Sulfotyrosine-Containing Peptides by Incorporating Fluorosulfated Tyrosine Using an Fmoc-Based Solid-Phase Strategy. *Angew. Chem., Int. Ed.* **2016**, *55* (5), 1835-1838. <https://doi.org/10.1002/anie.201509016>
- 376 Baranczak, A.; Liu, Y.; Connelly, S.; Du, W.-G. H.; Greiner, E. R.; Genereux, J. C.; Wiseman, R. L.; Eisele, Y. S.; Bradbury, N. C.; Dong, J.; Noodleman, L.; Sharpless, K. B.; Wilson, I. A.; Encalada, S. E.; Kelly, J. W., A Fluorogenic Aryl Fluorosulfate for Intraorganellar Transthyretin Imaging in Living Cells and in *Caenorhabditis Elegans*. *J. Am. Chem. Soc.* **2015**, *137* (23), 7404-7414. <https://doi.org/10.1021/jacs.5b03042>
- 375 Liang, Q.; Xing, P.; Huang, Z.; Dong, J.; Sharpless, K. B.; Li, X.; Jiang, B., Palladium-Catalyzed, Ligand-Free Suzuki Reaction in Water Using Aryl Fluorosulfates. *Org. Lett.* **2015**, *17* (8), 1942-1945. <https://doi.org/10.1021/acs.orglett.5b00654>
- 374 Sharpless, K. B.; Finn, M. G.; Martin, V. S., Tsutomu Katsuki (1946-2014). *Angew. Chem., Int. Ed.* **2015**, *54* (16), 4708. <https://doi.org/10.1002/anie.201501065>



- 373 Dong, J.; Krasnova, L.; Finn, M. G.; Sharpless, K. B., Sulfur(Vi) Fluoride Exchange (Sufex): Another Good Reaction for Click Chemistry. *Angew. Chem., Int. Ed.* **2014**, *53* (36), 9430-9448. <https://doi.org/10.1002/anie.201309399>
- 372 Dong, J.; Sharpless, K. B.; Kwisnek, L.; Oakdale, J. S.; Fokin, V. V., Sufex-Based Synthesis of Polysulfates. *Angew. Chem., Int. Ed.* **2014**, *53* (36), 9466-9470. <https://doi.org/10.1002/anie.201403758>
- 371 Sit, R. K.; Fokin, V. V.; Amitai, G.; Sharpless, K. B.; Taylor, P.; Radic, Z., Imidazole Aldoximes Effective in Assisting Butyrylcholinesterase Catalysis of Organophosphate Detoxification. *J. Med. Chem.* **2014**, *57* (4), 1378-1389. <https://doi.org/10.1021/jm401650z>
- 370 Fraser, B. H.; Hamilton, S.; Krause-Heuer, A. M.; Wright, P. J.; Greguric, I.; Tucker, S. P.; Draffan, A. G.; Fokin, V. V.; Sharpless, K. B., Synthesis of 1,4-Triazole Linked Zanamivir Dimers as Highly Potent Inhibitors of Influenza a and B. *MedChemComm* **2013**, *4* (2), 383-386. <https://doi.org/10.1039/C2MD20300F>
- 369 Morris, G. M.; Green, L. G.; Radic, Z.; Taylor, P.; Sharpless, K. B.; Olson, A. J.; Grynszpan, F., Automated Docking with Protein Flexibility in the Design of Femtomolar "Click Chemistry" Inhibitors of Acetylcholinesterase. *J. Chem. Inf. Model.* **2013**, *53* (4), 898-906. <https://doi.org/10.1021/ci300545a>
- 368 Miyamoto, Y.; Kalisiak, J.; Korthals, K.; Lauwaet, T.; Cheung, D. Y.; Lozano, R.; Cobo, E. R.; Upcroft, P.; Upcroft, J. A.; Berg, D. E.; Gillin, F. D.; Fokin, V. V.; Sharpless, K. B.; Eckmann, L., Expanded Therapeutic Potential in Activity Space of Next-Generation 5-Nitroimidazole Antimicrobials with Broad Structural Diversity. *Proc. Natl. Acad. Sci. U. S. A.* **2013**, *110* (43), 17564-17569, S17564/1-S17564/127. <https://doi.org/10.1073/pnas.1302664110>
- 367 Hirose, T.; Maita, N.; Gouda, H.; Koseki, J.; Yamamoto, T.; Sugawara, A.; Nakano, H.; Hirono, S.; Shiomi, K.; Watanabe, T.; Taniguchi, H.; Sharpless, K. B.; Omura, S.; Sunazuka, T., Observation of the Controlled Assembly of Preclick Components in the in Situ Click Chemistry Generation of a Chitinase Inhibitor. *Proc. Natl. Acad. Sci. U. S. A.* **2013**, *110* (40), 15892-15897, S15892/1-S15892/5. <https://doi.org/10.1073/pnas.1315049110>
- 366 Grimster, N. P.; Connelly, S.; Baranczak, A.; Dong, J.; Krasnova, L. B.; Sharpless, K. B.; Powers, E. T.; Wilson, I. A.; Kelly, J. W., Aromatic Sulfonyl Fluorides Covalently Kinetically Stabilize Transthyretin to Prevent Amyloidogenesis While Affording a Fluorescent Conjugate. *J. Am. Chem. Soc.* **2013**, *135* (15), 5656-5668. <https://doi.org/10.1021/ja311729d>
- 365 Yamauchi, J. G.; Gomez, K.; Grimster, N.; Dufouil, M.; Nemezc, A.; Fotsing, J. R.; Ho, K.-Y.; Talley, T. T.; Sharpless, K. B.; Fokin, V. V.; Taylor, P., Synthesis of Selective Agonists for the A7 Nicotinic Acetylcholine Receptor with in Situ Click-Chemistry on Acetylcholine-Binding Protein Templates. *Mol. Pharmacol.* **2012**, *82* (4), 687-699. <https://doi.org/10.1124/mol.112.080291>
- 364 Radic, Z.; Sit, R. K.; Kovarik, Z.; Berend, S.; Garcia, E.; Zhang, L.; Amitai, G.; Green, C.; Radic, B.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., Refinement of Structural Leads for Centrally Acting Oxime Reactivators of Phosphylated Cholinesterases. *J. Biol. Chem.* **2012**, *287* (15), 11798-11809. <https://doi.org/10.1074/jbc.M111.333732>
- 363 Grimster, N. P.; Stump, B.; Fotsing, J. R.; Weide, T.; Talley, T. T.; Yamauchi, J. G.; Nemezc, A.; Kim, C.; Ho, K.-Y.; Sharpless, K. B.; Taylor, P.; Fokin, V. V., Generation of Candidate Ligands for Nicotinic Acetylcholine Receptors Via in Situ Click Chemistry with a Soluble Acetylcholine Binding Protein Template. *J. Am. Chem. Soc.* **2012**, *134* (15), 6732-6740. <https://doi.org/10.1021/ja3001858>
- 362 Sit, R. K.; Radic, Z.; Gerardi, V.; Zhang, L.-M.; Garcia, E.; Katalinic, M.; Amitai, G.; Kovarik, Z.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., New Structural Scaffolds for Centrally Acting Oxime Reactivators of Phosphylated Cholinesterases. *J. Biol. Chem.* **2011**, *286* (22), 19422-19430. <https://doi.org/10.1074/jbc.M111.230656>

- 361 Millward, S. W.; Henning, R. K.; Kwong, G. A.; Pitram, S.; Agnew, H. D.; Deyle, K. M.; Nag, A.; Hein, J.; Lee, S. S.; Lim, J.; Pfeilsticker, J. A.; Sharpless, K. B.; Heath, J. R., Iterative in Situ Click Chemistry Assembles a Branched Capture Agent and Allosteric Inhibitor for Akt1. *J. Am. Chem. Soc.* **2011**, *133* (45), 18280-18288. <https://doi.org/10.1021/ja2064389>
- 360 Gastaminza, P.; Pitram, S. M.; Dreux, M.; Krasnova, L. B.; Whitten-Bauer, C.; Dong, J.; Chung, J.; Fokin, V. V.; Sharpless, K. B.; Chisari, F. V., Antiviral Stilbene 1,2-Diamines Prevent Initiation of Hepatitis C Virus Rna Replication at the Outset of Infection. *J. Virol.* **2011**, *85* (11), 5513-5523. <https://doi.org/10.1128/JVI.02116-10>
- 359 Cochran, R.; Kalisiak, J.; Kucukkilinc, T.; Radic, Z.; Garcia, E.; Zhang, L.-M.; Ho, K.-Y.; Amitai, G.; Kovarik, Z.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., Oxime-Assisted Acetylcholinesterase Catalytic Scavengers of Organophosphates That Resist Aging. *J. Biol. Chem.* **2011**, *286* (34), 29718-29724, S29718/1-S29718/10. <https://doi.org/10.1074/jbc.M111.264739>
- 358 Weide, T.; Saldanha, S. A.; Minond, D.; Spicer, T. P.; Fotsing, J. R.; Spaargaren, M.; Frere, J.-M.; Bebrone, C.; Sharpless, K. B.; Hodder, P. S.; Fokin, V. V., Nh-1,2,3-Triazole Inhibitors of the Vim-2 Metallo-B-Lactamase. *ACS Med. Chem. Lett.* **2010**, *1* (4), 150-154. <https://doi.org/10.1021/ml900022q>
- 357 Radic, Z.; Kalisiak, J.; Fokin, V. V.; Sharpless, K. B.; Taylor, P., Interaction Kinetics of Oximes with Native, Phosphylated and Aged Human Acetylcholinesterase. *Chem.-Biol. Interact.* **2010**, *187* (1-3), 163-166. <https://doi.org/10.1016/j.cbi.2010.04.014>
- 356 Vanacore, R.; Ham, A.-J. L.; Voehler, M.; Sanders, C. R.; Conrads, T. P.; Veenstra, T. D.; Sharpless, K. B.; Dawson, P. E.; Hudson, B. G., A Sulfilimine Bond Identified in Collagen Iv. *Science (Washington, DC, U. S.)* **2009**, *325* (5945), 1230-1234. <https://doi.org/10.1126/science.1176811>
- 355 Valdez, C. A.; Tripp, J. C.; Miyamoto, Y.; Kalisiak, J.; Hruz, P.; Andersen, Y. S.; Brown, S. E.; Kangas, K.; Arzu, L. V.; Davids, B. J.; Gillin, F. D.; Upcroft, J. A.; Upcroft, P.; Fokin, V. V.; Smith, D. K.; Sharpless, K. B.; Eckmann, L., Synthesis and Electrochemistry of 2-Ethenyl and 2-Ethanyl Derivatives of 5-Nitroimidazole and Antimicrobial Activity against Giardia Lamblia. *J. Med. Chem.* **2009**, *52* (13), 4038-4053. <https://doi.org/10.1021/jm900356n>
- 354 Minond, D.; Saldanha, S. A.; Subramaniam, P.; Spaargaren, M.; Spicer, T.; Fotsing, J. R.; Weide, T.; Fokin, V. V.; Sharpless, K. B.; Galleni, M.; Bebrone, C.; Lassaux, P.; Hodder, P., Inhibitors of Vim-2 by Screening Pharmacologically Active and Click-Chemistry Compound Libraries. *Bioorg. Med. Chem.* **2009**, *17* (14), 5027-5037. <https://doi.org/10.1016/j.bmc.2009.05.070>
- 353 Kalisiak, J.; Trauger, S. A.; Kalisiak, E.; Morita, H.; Fokin, V. V.; Adams, M. W. W.; Sharpless, K. B.; Siuzdak, G., Identification of a New Endogenous Metabolite and the Characterization of Its Protein Interactions through an Immobilization Approach. *J. Am. Chem. Soc.* **2009**, *131* (1), 378-386. <https://doi.org/10.1021/ja808172n>
- 352 Hirose, T.; Sunazuka, T.; Sugawara, A.; Endo, A.; Iguchi, K.; Yamamoto, T.; Ui, H.; Shiomi, K.; Watanabe, T.; Sharpless, K. B.; Omura, S., Chitinase Inhibitors: Extraction of the Active Framework from Natural Argifin and Use of in Situ Click Chemistry. *J. Antibiot.* **2009**, *62* (5), 277-282. <https://doi.org/10.1038/ja.2009.28>
- 351 Hein, J. E.; Tripp, J. C.; Krasnova, L. B.; Sharpless, K. B.; Fokin, V. V., Copper(I)-Catalyzed Cycloaddition of Organic Azides and 1-Iodoalkynes. *Angew. Chem., Int. Ed.* **2009**, *48* (43), 8018-8021, S8018/1-S8018/64. <https://doi.org/10.1002/anie.200903558>
- 350 Agnew, H. D.; Rohde, R. D.; Millward, S. W.; Nag, A.; Yeo, W.-S.; Hein, J. E.; Pitram, S. M.; Tariq, A. A.; Burns, V. M.; Krom, R. J.; Fokin, V. V.; Sharpless, K. B.; Heath, J. R., Iterative in Situ Click Chemistry Creates Antibody-Like Protein-Capture Agents. *Angew. Chem., Int. Ed.* **2009**, *48* (27), 4944-4948, S4944/1-S4944/29. <https://doi.org/10.1002/anie.200900488>

- 349 V. Fokin, V.A. Rostovtsev, L. Green, F. Himo and K.B. Sharpless, Copper-Catalysed Ligation of Azides and Acetylenes, U.S. Patent Number 7,375,234, issued May 20, 2008
- 348 Yoo, E. J.; Ahlquist, M.; Bae, I.; Sharpless, K. B.; Fokin, V. V.; Chang, S., Mechanistic Studies on the Cu-Catalyzed Three-Component Reactions of Sulfonyl Azides, 1-Alkynes and Amines, Alcohols, or Water: Dichotomy Via a Common Pathway. *J. Org. Chem.* **2008**, *73* (14), 5520-5528. <https://doi.org/10.1021/jo800733p>
- 347 Radic, Z.; Manetsch, R.; Fournier, D.; Sharpless, K. B.; Taylor, P., Probing Gorge Dimensions of Cholinesterases by Freeze-Frame Click Chemistry. *Chem.-Biol. Interact.* **2008**, *175* (1-3), 161-165. <https://doi.org/10.1016/j.cbi.2008.04.048>
- 346 Kwok, S. W.; Hein, J. E.; Fokin, V. V.; Sharpless, K. B., Regioselective Synthesis of Either 1h- or 2h-1,2,3- Triazoles Via Michael Addition to A,S-Unsaturated Ketones. *Heterocycles* **2008**, *76* (2), 1141-1154. [https://doi.org/10.3987/com-08-s\(n\)73](https://doi.org/10.3987/com-08-s(n)73)
- 345 Kalisiak, J.; Sharpless, K. B.; Fokin, V. V., Efficient Synthesis of 2-Substituted-1,2,3-Triazoles. *Org. Lett.* **2008**, *10* (15), 3171-3174. <https://doi.org/10.1021/ol8006748>
- 344 Van der Eycken, E.; Sharpless, K. B., Click Chemistry. *QSAR Comb. Sci.* **2007**, *26* (11-12), 1115. <https://doi.org/10.1002/qsar.200790024>
- 343 Sugawara, A.; Sunazuka, T.; Hirose, T.; Nagai, K.; Yamaguchi, Y.; Hanaki, H.; Sharpless, K. B.; Omura, S., Design and Synthesis Via Click Chemistry of 8,9-Anhydroerythromycin a 6,9-Hemiketal Analogues with Anti-Mrsa and -Vre Activity. *Bioorg. Med. Chem. Lett.* **2007**, *17* (22), 6340-6344. <https://doi.org/10.1016/j.bmcl.2007.08.068>
- 342 Finn, M. G.; Kolb, H. C.; Fokin, V. V.; Sharpless, K. B., Concept and Applications of Click Chemistry. From the Standpoint of Advocates. *Kagaku to Kogyo (Tokyo, Jpn.)* **2007**, *60* (10), 976-980.
- 341 Vestberg, R.; Malkoch, M.; Kade, M.; Wu, P.; Fokin, V. V.; Sharpless, K. B.; Drockenmuller, E.; Hawker, C. J., Role of Architecture and Molecular Weight in the Formation of Tailor-Made Ultrathin Multilayers Using Dendritic Macromolecules and Click Chemistry. *J. Polym. Sci., Part A: Polym. Chem.* **2007**, *45* (14), 2835-2846. <https://doi.org/10.1002/pola.22178>
- 340 Liu, Y.; Diaz, D. D.; Accurso, A. A.; Sharpless, K. B.; Fokin, V. V.; Finn, M. G., Click Chemistry in Materials Synthesis. Iii. Metal-Adhesive Polymers from Cu(I)-Catalyzed Azide-Alkyne Cycloaddition. *J. Polym. Sci., Part A: Polym. Chem.* **2007**, *45* (22), 5182-5189. <https://doi.org/10.1002/pola.22262>
- 339 Hawker, C. J.; Fokin, V. V.; Finn, M. G.; Sharpless, K. B., Bringing Efficiency to Materials Synthesis: The Philosophy of Click Chemistry. *Aust. J. Chem.* **2007**, *60* (6), 381-383. <https://doi.org/10.1071/CH07107>
- 338 Yoo, E. J.; Ahlquist, M.; Kim, S. H.; Bae, I.; Fokin, V. V.; Sharpless, K. B.; Chang, S., Copper-Catalyzed Synthesis of N-Sulfonyl-1,2,3-Triazoles: Controlling Selectivity. *Angew. Chem., Int. Ed.* **2007**, *46* (10), 1730-1733. <https://doi.org/10.1002/anie.200604241>
- 337 Narayan, S.; Fokin, V. V.; Sharpless, K. B. In *Chemistry 'on Water' - Organic Synthesis in Aqueous Suspension*, Blackwell Publishing Ltd.: **2007**; pp 350-365.
- 336 Hirose, T.; Sunazuka, T.; Noguchi, Y.; Yamaguchi, Y.; Hanaki, H.; Sharpless, K. B.; Omura, S., Rapid 'Sar' Via Click Chemistry: An Alkyne-Bearing Spiramycin Is Fused with Diverse Azides to Yield New Triazole-Antibacterial Candidates. *Heterocycles* **2006**, *69*, 55-61. [https://doi.org/10.3987/COM-06-S\(O\)7](https://doi.org/10.3987/COM-06-S(O)7)
- 335 Sharpless, K. B.; Manetsch, R., In Situ Click Chemistry: A Powerful Means for Lead Discovery. *Expert Opin. Drug Discovery* **2006**, *1* (6), 525-538. <https://doi.org/10.1517/17460441.1.6.525>
- 334 Whiting, M.; Tripp, J. C.; Lin, Y.-C.; Lindstrom, W.; Olson, A. J.; Elder, J. H.; Sharpless, K. B.; Fokin, V. V., Rapid Discovery and Structure-Activity Profiling of Novel Inhibitors of Human Immunodeficiency Virus Type 1 Protease Enabled by the Copper(I)-Catalyzed Synthesis of 1,2,3-Triazoles and Their Further Functionalization. *J. Med. Chem.* **2006**, *49* (26), 7697-7710. <https://doi.org/10.1021/jm060754+>

- 333 Díaz, D. D.; Converso, A.; Sharpless, K. B.; Finn, M. G., 2,6-Dichloro-9-Thiabicyclo[3.3.1]Nonane: Multigram Display of Azide and Cyanide Components on a Versatile Scaffold. *Molecules* **2006**, *11* (4), 212-218. <https://doi.org/10.3390/11040212>
- 332 Whiting, M.; Muldoon, J.; Lin, Y.-C.; Silverman, S. M.; Lindstrom, W.; Olson, A. J.; Kolb, H. C.; Finn, M. G.; Sharpless, K. B.; Elder, J. H.; Fokin, V. V., Inhibitors of Hiv-1 Protease by Using in Situ Click Chemistry. *Angew. Chem., Int. Ed.* **2006**, *45* (9), 1435-1439. <https://doi.org/10.1002/anie.200502161>
- 331 Radic, Z.; Manetsch, R.; Krasinski, A.; Raushel, J.; Yamauchi, J.; Garcia, C.; Kolb, H.; Sharpless, K. B.; Taylor, P., Molecular Basis of Interactions of Cholinesterases with Tight Binding Inhibitors. *Chem.-Biol. Interact.* **2005**, *157-158*, 133-141. <https://doi.org/10.1016/j.cbi.2005.10.020>
- 330 Bourne, Y.; Radic, Z.; Kolb, H. C.; Sharpless, K. B.; Taylor, P.; Marchot, P., Structural Insights into Conformational Flexibility at the Peripheral Site and within the Active Center Gorge of Ache. *Chem.-Biol. Interact.* **2005**, *157-158*, 159-165. <https://doi.org/10.1016/j.cbi.2005.10.018>
- 329 Wu, P.; Malkoch, M.; Hunt, J. N.; Vestberg, R.; Kaltgrad, E.; Finn, M. G.; Fokin, V. V.; Sharpless, K. B.; Hawker, C. J., Multivalent, Bifunctional Dendrimers Prepared by Click Chemistry. *Chem. Commun. (Cambridge, U. K.)* **2005**, (46), 5775-5777. <https://doi.org/10.1039/b512021g>
- 328 Zhang, L.; Chen, X.; Xue, P.; Sun, H. H. Y.; Williams, I. D.; Sharpless, K. B.; Fokin, V. V.; Jia, G., Ruthenium-Catalyzed Cycloaddition of Alkynes and Organic Azides. *J. Am. Chem. Soc.* **2005**, *127* (46), 15998-15999. <https://doi.org/10.1021/ja054114s>
- 327 Loren, J. C.; Krasinski, A.; Fokin, V. V.; Sharpless, K. B., Nh-1,2,3-Triazoles from Azidomethyl Pivalate and Carbamates: Base-Labile N-Protecting Groups. *Synlett* **2005**, (18), 2847-2850. <https://doi.org/10.1055/s-2005-918944>
- 326 Johnson, S. M.; Petrassi, H. M.; Palaninathan, S. K.; Mohamedmohaideen, N. N.; Purkey, H. E.; Nichols, C.; Chiang, K. P.; Walkup, T.; Sacchettini, J. C.; Sharpless, K. B.; Kelly, J. W., Bisaryloxime Ethers as Potent Inhibitors of Transthyretin Amyloid Fibril Formation. *J. Med. Chem.* **2005**, *48* (5), 1576-1587. <https://doi.org/10.1021/jm049274d>
- 325 Loren, J. C.; Sharpless, K. B., The Banert Cascade: A Synthetic Sequence to Polyfunctional Nh-1,2,3-Triazoles. *Synthesis* **2005**, (9), 1514-1520. <https://doi.org/10.1055/s-2005-869892>
- 324 Feldman, A. K.; Colasson, B.; Sharpless, K. B.; Fokin, V. V., The Allylic Azide Rearrangement: Achieving Selectivity. *J. Am. Chem. Soc.* **2005**, *127* (39), 13444-13445. <https://doi.org/10.1021/ja050622q>
- 323 K. B. Sharpless, M. Andersson, R. Epple and V. V. Fokin, Second Cycle Asymmetric Dihydroxylation Reaction, U.S. Patent Number 6,852,874 B2, issued February 8, 2005.
- 322 Krasinski, A.; Radic, Z.; Manetsch, R.; Raushel, J.; Taylor, P.; Sharpless, K. B.; Kolb, H. C., In Situ Selection of Lead Compounds by Click Chemistry: Target-Guided Optimization of Acetylcholinesterase Inhibitors. *J. Am. Chem. Soc.* **2005**, *127* (18), 6686-6692. <https://doi.org/10.1021/ja043031t>
- 321 Narayan, S.; Muldoon, J.; Finn, M. G.; Fokin, V. V.; Kolb, H. C.; Sharpless, K. B., "On Water": Unique Reactivity of Organic Compounds in Aqueous Suspension. *Angew. Chem., Int. Ed.* **2005**, *44* (21), 3275-3279. <https://doi.org/10.1002/anie.200462883>
- 320 Mocharla, V. P.; Colasson, B.; Lee, L. V.; Roeper, S.; Sharpless, K. B.; Wong, C.-H.; Kolb, H. C., In Situ Click Chemistry: Enzyme-Generated Inhibitors of Carbonic Anhydrase Ii. *Angew. Chem., Int. Ed.* **2005**, *44* (1), 116-120. <https://doi.org/10.1002/anie.200461580>
- 319 Himo, F.; Lovell, T.; Hilgraf, R.; Rostovtsev, V. V.; Noodleman, L.; Sharpless, K. B.; Fokin, V. V., Copper(I)-Catalyzed Synthesis of Azoles. Dft Study Predicts Unprecedented Reactivity and Intermediates. *J. Am. Chem. Soc.* **2005**, *127* (1), 210-216. <https://doi.org/10.1021/ja0471525>

- 318 Chan, T. R.; Hilgraf, R.; Sharpless, K. B.; Fokin, V. V., Polytriazoles as Copper(I)-Stabilizing  
Ligands in Catalysis. *Org. Lett.* **2004**, *6* (17), 2853-2855. <https://doi.org/10.1021/ol0493094>
- 317 Manetsch, R.; Krasinski, A.; Radic, Z.; Raushel, J.; Taylor, P.; Sharpless, K. B.; Kolb, H. C.,  
In Situ Click Chemistry: Enzyme Inhibitors Made to Their Own Specifications. *J. Am. Chem.  
Soc.* **2004**, *126* (40), 12809-12818. <https://doi.org/10.1021/ja046382g>
- 316 Converso, A.; Saaidi, P.-L.; Sharpless, K. B.; Finn, M. G., Nucleophilic Substitution by  
Grignard Reagents on Sulfur Mustards. *J. Org. Chem.* **2004**, *69* (21), 7336-7339.  
<https://doi.org/10.1021/jo0489869>
- 315 Diaz, D. D.; Punna, S.; Holzer, P.; McPherson, A. K.; Sharpless, K. B.; Fokin, V. V.; Finn, M.  
G., Click Chemistry in Materials Synthesis. 1. Adhesive Polymers from Copper-Catalyzed  
Azide-Alkyne Cycloaddition. *J. Polym. Sci., Part A: Polym. Chem.* **2004**, *42* (17), 4392-4403.  
<https://doi.org/10.1002/pola.20330>
- 314 Wu, P.; Feldman, A. K.; Nugent, A. K.; Hawker, C. J.; Scheel, A.; Voit, B.; Pyun, J.;  
Frechet, J. M. J.; Sharpless, K. B.; Fokin, V. V., Efficiency and Fidelity in a Click-Chemistry  
Route to Triazole Dendrimers by the Copper(I)-Catalyzed Ligation of Azides and Alkynes.  
*Angew. Chem., Int. Ed.* **2004**, *43* (30), 3928-3932. <https://doi.org/10.1002/anie.200454078>
- 313 Krasinski, A.; Fokin, V. V.; Sharpless, K. B., Direct Synthesis of  
1,5-Disubstituted-4-Magnesio-1,2,3-Triazoles, Revisited. *Org. Lett.* **2004**, *6* (8), 1237-1240.  
<https://doi.org/10.1021/ol0499203>
- 312 Bourne, Y.; Kolb, H. C.; Radic, Z.; Sharpless, K. B.; Taylor, P.; Marchot, P., Freeze-Frame  
Inhibitor Captures Acetylcholinesterase in a Unique Conformation. *Proc. Natl. Acad. Sci. U. S.  
A.* **2004**, *101* (6), 1449-1454. <https://doi.org/10.1073/pnas.0308206100>
- 311 Kitayama, T.; Yokoi, T.; Kawai, Y.; Hill, R. K.; Morita, M.; Okamoto, T.; Yamamoto, Y.;  
Fokin, V. V.; Sharpless, K. B.; Sawada, S., The Chemistry of Zerumbone. Part 5: Structural  
Transformation of the Dimethylamine Derivatives. *Tetrahedron* **2003**, *59* (26), 4857-4866.  
[https://doi.org/10.1016/S0040-4020\(03\)00667-7](https://doi.org/10.1016/S0040-4020(03)00667-7)
- 310 Kolb, H. C.; Sharpless, K. B., The Growing Impact of Click Chemistry on Drug Discovery. *Drug  
Discovery Today* **2003**, *8* (24), 1128-1137. [https://doi.org/10.1016/S1359-6446\(03\)02933-7](https://doi.org/10.1016/S1359-6446(03)02933-7)
- 309 Brik, A.; Muldoon, J.; Lin, Y.-c.; Elder, J. H.; Goodsell, D. S.; Olson, A. J.; Fokin, V. V.;  
Sharpless, K. B.; Wong, C.-h., Rapid Diversity-Oriented Synthesis in Microtiter Plates for in  
Situ Screening of Hiv Protease Inhibitors. *ChemBioChem* **2003**, *4* (11), 1246-1248.  
<https://doi.org/10.1002/cbic.200300724>
- 308 Lee, L. V.; Mitchell, M. L.; Huang, S.-J.; Fokin, V. V.; Sharpless, K. B.; Wong, C.-H., A Potent  
and Highly Selective Inhibitor of Human A-1,3-Fucosyltransferase Via Click Chemistry. *J. Am.  
Chem. Soc.* **2003**, *125* (32), 9588-9589. <https://doi.org/10.1021/ja0302836>
- 307 A. E. Rubin and K. B. Sharpless, Synthesis of  $\alpha,\beta$ -Substituted Amino Amides, Esters, and  
Acids, U.S. Patent Number 6,573,387, issued June 3, 2003.
- 306 Himo, F.; Demko, Z. P.; Noodleman, L.; Sharpless, K. B., Why Is Tetrazole Formation by  
Addition of Azide to Organic Nitriles Catalyzed by Zinc(Ii) Salts? *J. Am. Chem. Soc.* **2003**, *125*  
(33), 9983-9987. <https://doi.org/10.1021/ja030204q>
- 305 Ripka, A. S.; Diaz, D. D.; Sharpless, K. B.; Finn, M. G., First Practical Synthesis of  
Formamidine Ureas and Derivatives. *Org. Lett.* **2003**, *5* (9), 1531-1533.  
<https://doi.org/10.1021/ol034287r>
- 304 G. Li and K. B. Sharpless, Two Step Synthesis of D- and L-  $\alpha$ -Amino Acids and D- and L-  
 $\alpha$ -Amino Aldehydes, U.S. Patent Number 6,509,506, issued January 21, 2003.
- 303 Wang, Q.; Chan, T. R.; Hilgraf, R.; Fokin, V. V.; Sharpless, K. B.; Finn, M. G., Bioconjugation  
by Copper(I)-Catalyzed Azide-Alkyne [3 + 2] Cycloaddition. *J. Am. Chem. Soc.* **2003**, *125* (11),  
3192-3193. <https://doi.org/10.1021/ja021381e>

- 302 Himo, F.; Demko, Z. P.; Noodleman, L.; Sharpless, K. B., Mechanisms of Tetrazole Formation by Addition of Azide to Nitriles. *J. Am. Chem. Soc.* **2002**, *124* (41), 12210-12216. <https://doi.org/10.1021/ja0206644>
- 301 Rostovtsev, V. V.; Green, L. G.; Fokin, V. V.; Sharpless, K. B., A Stepwise Huisgen Cycloaddition Process: Copper(I)-Catalyzed Regioselective "Ligation" of Azides and Terminal Alkynes. *Angew. Chem., Int. Ed.* **2002**, *41* (14), 2596-2599. [https://doi.org/10.1002/1521-3773\(20020715\)41:14<2596::AID-ANIE2596>3.0.CO;2-4](https://doi.org/10.1002/1521-3773(20020715)41:14<2596::AID-ANIE2596>3.0.CO;2-4)
- 300 Demko, Z. P.; Sharpless, K. B., An Expedient Route to the Tetrazole Analogs of  $\alpha$ -Amino Acids. *Org. Lett.* **2002**, *4* (15), 2525-2527. <https://doi.org/10.1021/ol020096x>
- 299 Sharpless, K. B., Searching for New Reactivity (Nobel Lecture). *Angew. Chem., Int. Ed.* **2002**, *41* (12), 2024-2032. [https://doi.org/10.1002/1521-3773\(20020617\)41:12<2024::AID-ANIE2024>3.0.CO;2-O](https://doi.org/10.1002/1521-3773(20020617)41:12<2024::AID-ANIE2024>3.0.CO;2-O)
- 298 V. V. Fokin and K. B. Sharpless, Aminohydroxylation of Olefins, U.S. Patent Number 6,350,905, issued February 26, 2002.
- 297 Demko, Z. P.; Sharpless, K. B., A Click Chemistry Approach to Tetrazoles by Huisgen 1,3-Dipolar Cycloaddition: Synthesis of 5-Acyltetrazoles from Azides and Acyl Cyanides. *Angew. Chem., Int. Ed.* **2002**, *41* (12), 2113-2116. [https://doi.org/10.1002/1521-3773\(20020617\)41:12<2113::AID-ANIE2113>3.0.CO;2-Q](https://doi.org/10.1002/1521-3773(20020617)41:12<2113::AID-ANIE2113>3.0.CO;2-Q)
- 296 Demko, Z. P.; Sharpless, K. B., A Click Chemistry Approach to Tetrazoles by Huisgen 1,3-Dipolar Cycloaddition: Synthesis of 5-Sulfonyl Tetrazoles from Azides and Sulfonyl Cyanides. *Angew. Chem., Int. Ed.* **2002**, *41* (12), 2110-2113. [https://doi.org/10.1002/1521-3773\(20020617\)41:12<2110::AID-ANIE2110>3.0.CO;2-7](https://doi.org/10.1002/1521-3773(20020617)41:12<2110::AID-ANIE2110>3.0.CO;2-7)
- 295 Lewis, W. G.; Green, L. G.; Grynszpan, F.; Radic, Z.; Carlier, P. R.; Taylor, P.; Finn, M. G.; Sharpless, K. B., Click Chemistry in Situ: Acetylcholinesterase as a Reaction Vessel for the Selective Assembly of a Femtomolar Inhibitor from an Array of Building Blocks. *Angew. Chem., Int. Ed.* **2002**, *41* (6), 1053-1057. [https://doi.org/10.1002/1521-3773\(20020315\)41:6<1053::AID-ANIE1053>3.0.CO;2-4](https://doi.org/10.1002/1521-3773(20020315)41:6<1053::AID-ANIE1053>3.0.CO;2-4)
- 294 Dupau, P.; Epple, R.; Thomas, A. A.; Fokin, V. V.; Sharpless, K. B., Osmium-Catalyzed Dihydroxylation of Olefins in Acidic Media: Old Process, New Tricks. *Adv. Synth. Catal.* **2002**, *344* (3+4), 421-433. [https://doi.org/10.1002/1615-4169\(200206\)344:3/4<421::AID-ADSC421>3.0.CO;2-F](https://doi.org/10.1002/1615-4169(200206)344:3/4<421::AID-ADSC421>3.0.CO;2-F)
- 293 Andersson, M. A.; Epple, R.; Fokin, V. V.; Sharpless, K. B., A New Approach to Osmium-Catalyzed Asymmetric Dihydroxylation and Aminohydroxylation of Olefins. *Angew. Chem., Int. Ed.* **2002**, *41* (3), 472-475. [https://doi.org/10.1002/1521-3773\(20020201\)41:3<472::AID-ANIE472>3.0.CO;2-7](https://doi.org/10.1002/1521-3773(20020201)41:3<472::AID-ANIE472>3.0.CO;2-7)
- 292 Schlingloff, G.; Sharpless, K. B., Asymmetric Aminohydroxylation, in "Asymmetric Oxidation Reactions: A Practical Approach in Chemistry", T. Katsuki, ed., Oxford University Press: 2001; pp 104-114.
- 291 Sharpless, K. B., Searching for New Reactivity. *Prix Nobel* **2001**, 225-241.
- 290 Demko, Z. P.; Sharpless, K. B., An Intramolecular [2 + 3] Cycloaddition Route to Fused 5-Heterosubstituted Tetrazoles. *Org. Lett.* **2001**, *3* (25), 4091-4094. <https://doi.org/10.1021/ol010220x>
- 289 Demko, Z. P.; Sharpless, K. B., Preparation of 5-Substituted 1h-Tetrazoles from Nitriles in Water. *J. Org. Chem.* **2001**, *66* (24), 7945-7950. <https://doi.org/10.1021/jo010635w>
- 288 K. Yudin and K. B. Sharpless, Epoxidation of Olefins, U.S. Patent number 6,271,400, issued August 7, 2001.
- 287 Converso, A.; Burow, K.; Marzinzik, A.; Sharpless, K. B.; Finn, M. G., 2,6-Dichloro-9-Thiabicyclo[3.3.1]Nonane: A Privileged, Bivalent Scaffold for the Display of Nucleophilic Components. *J. Org. Chem.* **2001**, *66* (12), 4386-4392. <https://doi.org/10.1021/jo015632y>

- 286 Fokin, V. V.; Sharpless, K. B., A Practical and Highly Efficient Aminohydroxylation of Unsaturated Carboxylic Acids. *Angew. Chem., Int. Ed.* **2001**, *40* (18), 3455-3457. [https://doi.org/10.1002/1521-3773\(20010917\)40:18<3455::AID-ANIE3455>3.0.CO;2-I](https://doi.org/10.1002/1521-3773(20010917)40:18<3455::AID-ANIE3455>3.0.CO;2-I)
- 285 Becker, H.; Sharpless, K. B. In *Asymmetric Dihydroxylation*, Oxford University Press: 2001; pp 81-104.
- 284 Petrassi, H. M.; Sharpless, K. B.; Kelly, J. W., The Copper-Mediated Cross-Coupling of Phenylboronic Acids and N-Hydroxyphthalimide at Room Temperature: Synthesis of Aryloxyamines. *Org. Lett.* **2001**, *3* (1), 139-142. <https://doi.org/10.1021/ol0003533>
- 283 Kolb, H. C.; Finn, M. G.; Sharpless, K. B., Click Chemistry: Diverse Chemical Function from a Few Good Reactions. *Angew. Chem., Int. Ed.* **2001**, *40* (11), 2004-2021. [https://doi.org/10.1002/1521-3773\(20010601\)40:11<2004::AID-ANIE2004>3.0.CO;2-5](https://doi.org/10.1002/1521-3773(20010601)40:11<2004::AID-ANIE2004>3.0.CO;2-5)
- 282 Marzinzik, A. L.; Sharpless, K. B., A Simple Method for the Preparation of N-Sulfonylsulfilimines from Sulfides. *J. Org. Chem.* **2001**, *66* (2), 594-596. <https://doi.org/10.1021/jo0012039>
- 281 Chuang, T.-H.; Sharpless, K. B., Applications of Aziridinium Ions. Selective Syntheses of A,B-Diamino Esters, A-Sulfanyl-B-Amino Esters, B-Lactams, and 1,5-Benzodiazepin-2-One. *Org. Lett.* **2000**, *2* (23), 3555-3557. <https://doi.org/10.1021/ol000221+>
- 280 Chuang, T.-H.; Sharpless, K. B., Applications of Aziridinium Ions: Selective Syntheses of Pyrazolidin-3-Ones and Pyrazolo[1,2-a]Pyrazoles. *Helv. Chim. Acta* **2000**, *83* (8), 1734-1743. [https://doi.org/10.1002/1522-2675\(20000809\)83:8<1734::AID-HLCA1734>3.0.CO;2-E](https://doi.org/10.1002/1522-2675(20000809)83:8<1734::AID-HLCA1734>3.0.CO;2-E)
- 279 B. Tao, G. Schlingloff and K. B. Sharpless, Synthesis of Aryl Serines, U.S. Patent number 6,057,473, issued May 2, 2000.
- 278 Demko, Z. P.; Bartsch, M.; Sharpless, K. B., Primary Amides. A General Nitrogen Source for Catalytic Asymmetric Aminohydroxylation of Olefins. *Org. Lett.* **2000**, *2* (15), 2221-2223. <https://doi.org/10.1021/ol000098m>
- 277 A. Gontcharov, H. Liu and K. B. Sharpless, Aminohydroxylation of Olefins with Tert-Alkyl Sulfonamides, U. S. Patent number 6,008,376, issued December 28, 1999.
- 276 G. Li and K. B. Sharpless, Two Step Synthesis of D- and L-  $\alpha$ -Amino Acids and D- and L-  $\alpha$ -Amino-Aldehydes, U.S. Patent number 5,994,583, issued November 30, 1999.
- 275 J. Rudolph and K. B. Sharpless, Accelerated Catalysis of Olefinic Epoxidations, U.S. Patent number 5,939,568, issued August 17, 1999.
- 274 J. U. Jeong and K. B. Sharpless, Aziridination of Olefins, U.S. Patent number 5,929,252, issued July 27, 1999.
- 273 Chuang, T.-H.; Sharpless, K. B., Applications of Aziridinium Ions. Selective Syntheses of B-Aryl-A,B-Diamino Esters. *Org. Lett.* **1999**, *1* (9), 1435-1437. <https://doi.org/10.1021/ol990256d>
- 272 G. Li, H.-T. Chang and K. B. Sharpless, Catalytic Asymmetric Aminohydroxylation of Olefins with Sulfonamides, U.S. Patent number 5,859,281, issued January 12, 1999.
- 271 Thomas, A. A.; Sharpless, K. B., The Catalytic Asymmetric Aminohydroxylation of Unsaturated Phosphonates. *J. Org. Chem.* **1999**, *64* (22), 8379-8385. <https://doi.org/10.1021/jo990060r>
- 270 Gontcharov, A. V.; Liu, H.; Sharpless, K. B., Tert-Butylsulfonamide. A New Nitrogen Source for Catalytic Aminohydroxylation and Aziridination of Olefins. *Org. Lett.* **1999**, *1* (5), 783-786. <https://doi.org/10.1021/ol990761a>
- 269 Pringle, W.; Sharpless, K. B., The Osmium-Catalyzed Aminohydroxylation of Baylis-Hillman Olefins. *Tetrahedron Lett.* **1999**, *40* (28), 5151-5154. [https://doi.org/10.1016/S0040-4039\(99\)00887-4](https://doi.org/10.1016/S0040-4039(99)00887-4)
- 268 Adolfsson, H.; Converso, A.; Sharpless, K. B., Comparison of Amine Additives Most Effective in the New Methyltrioxorhenium-Catalyzed Epoxidation Process. *Tetrahedron Lett.* **1999**, *40* (21), 3991-3994. [https://doi.org/10.1016/S0040-4039\(99\)00661-9](https://doi.org/10.1016/S0040-4039(99)00661-9)

- 267 Goossen, L. J.; Liu, H.; Dress, R.; Sharpless, K. B., Catalytic Asymmetric Aminohydroxylation with Amino-Substituted Heterocycles as Nitrogen Sources. *Angew. Chem., Int. Ed.* **1999**, *38* (8), 1080-1083.  
[https://doi.org/10.1002/\(SICI\)1521-3773\(19990419\)38:8<1080::AID-ANIE1080>3.0.CO;2-D](https://doi.org/10.1002/(SICI)1521-3773(19990419)38:8<1080::AID-ANIE1080>3.0.CO;2-D)
- 266 G. Li and K. B. Sharpless, Catalytic Asymmetric Aminohydroxylation of Olefins with Carbamates, U.S. Patent number 5,767,304, issued June 16, 1998.
- 265 Gypser, A.; Michel, D.; Nirschl, D. S.; Sharpless, K. B., Dihydroxylation of Polyenes Using Narasaka's Modification of the Upjohn Procedure. *J. Org. Chem.* **1998**, *63* (21), 7322-7327.  
<https://doi.org/10.1021/JO980850L>
- 264 Dress, K. R.; Goossen, L. J.; Liu, H.; Jerina, D. M.; Sharpless, K. B., Catalytic Aminohydroxylation Using Adenine-Derivatives as the Nitrogen Source. *Tetrahedron Lett.* **1998**, *39* (42), 7669-7672. [https://doi.org/10.1016/S0040-4039\(98\)01716-X](https://doi.org/10.1016/S0040-4039(98)01716-X)
- 263 Jeong, J. U.; Tao, B.; Sagasser, I.; Henniges, H.; Sharpless, K. B., Bromine-Catalyzed Aziridination of Olefins. A Rare Example of Atom-Transfer Redox Catalysis by a Main Group Element. *J. Am. Chem. Soc.* **1998**, *120* (27), 6844-6845. <https://doi.org/10.1021/JA981419G>
- 262 Reddy, K. L.; Dress, K. R.; Sharpless, K. B., N-Chloro-N-Sodio-2-Trimethylsilyl Ethyl Carbamate: A New Nitrogen Source for the Catalytic Asymmetric Aminohydroxylation. *Tetrahedron Lett.* **1998**, *39* (22), 3667-3670. [https://doi.org/10.1016/S0040-4039\(98\)00644-3](https://doi.org/10.1016/S0040-4039(98)00644-3)
- 261 Tao, B.; Schlingloff, G.; Sharpless, K. B., Reversal of Regioselection in the Asymmetric Aminohydroxylation of Cinnamates. *Tetrahedron Lett.* **1998**, *39* (17), 2507-2510.  
[https://doi.org/10.1016/S0040-4039\(98\)00350-5](https://doi.org/10.1016/S0040-4039(98)00350-5)
- 260 Coperet, C.; Adolfsson, H.; Khuong, T.-A. V.; Yudin, A. K.; Sharpless, K. B., A Simple and Efficient Method for the Preparation of Pyridine N-Oxides. *J. Org. Chem.* **1998**, *63* (5), 1740-1741. <https://doi.org/10.1021/JO9723467>
- 259 Kolb, H. C.; Sharpless, K. B. In *Asymmetric Aminohydroxylation*, Wiley-VCH Verlag GmbH: 1998; pp 243-260.
- 258 Kolb, H. C.; Sharpless, K. B. In *Asymmetric Dihydroxylation*, Wiley-VCH Verlag GmbH: 1998; pp 219-242.
- 257 Coperet, C.; Adolfsson, H.; Chiang, J. P.; Yudin, A. K.; Sharpless, K. B., A Simple and Efficient Method for the Preparation of Pyridine N-Oxides. ii. *Tetrahedron Lett.* **1998**, *39* (8), 761-764. [https://doi.org/10.1016/S0040-4039\(97\)10619-0](https://doi.org/10.1016/S0040-4039(97)10619-0)
- 256 Reddy, K. L.; Sharpless, K. B., From Styrenes to Enantiopure  $\alpha$ -Arylglycines in Two Steps. *J. Am. Chem. Soc.* **1998**, *120* (6), 1207-1217. <https://doi.org/10.1021/JA9728177>
- 255 DelMonte, A. J.; Haller, J.; Houk, K. N.; Sharpless, K. B.; Singleton, D. A.; Strassner, T.; Thomas, A. A., Experimental and Theoretical Kinetic Isotope Effects for Asymmetric Dihydroxylation. Evidence Supporting a Rate-Limiting "(3 + 2)" Cycloaddition. *J. Am. Chem. Soc.* **1997**, *119* (41), 9907-9908. <https://doi.org/10.1021/JA971650E>
- 254 Yudin, A. K.; Sharpless, K. B., Bis(Trimethylsilyl) Peroxide Extends the Range of Oxorhenium Catalysts for Olefin Epoxidation. *J. Am. Chem. Soc.* **1997**, *119* (47), 11536-11537.  
<https://doi.org/10.1021/JA973043X>
- 253 Rubin, A. E.; Sharpless, K. B., A Highly Efficient Aminohydroxylation Process. *Angew. Chem., Int. Ed. Engl.* **1997**, *36* (23), 2637-2640. <https://doi.org/10.1002/anie.199726371>
- 252 Coperet, C.; Adolfsson, H.; Sharpless, K. B., A Simple and Efficient Method for Epoxidation of Terminal Alkenes. *Chem. Commun. (Cambridge)* **1997**, (16), 1565-1566.  
<https://doi.org/10.1039/a703542j>
- 251 Rudolph, J.; Reddy, K. L.; Chiang, J. P.; Sharpless, K. B., Highly Efficient Epoxidation of Olefins Using Aqueous H<sub>2</sub>O<sub>2</sub> and Catalytic Methyltrioxorhenium/Pyridine: Pyridine-Mediated Ligand Acceleration. *J. Am. Chem. Soc.* **1997**, *119* (26), 6189-6190.  
<https://doi.org/10.1021/JA970623L>



- 250 Bruncko, M.; Schlingloff, G.; Sharpless, K. B., N-Bromoacetamide - a New Nitrogen Source for the Catalytic Asymmetric Aminohydroxylation of Olefins. *Angew. Chem., Int. Ed. Engl.* **1997**, *36* (13/14), 1483-1486. <https://doi.org/10.1002/anie.199714831>
- 249 Nelson, D. W.; Gypser, A.; Ho, P. T.; Kolb, H. C.; Kondo, T.; Kwong, H.-L.; McGrath, D. V.; Rubin, A. E.; Norrby, P.-O.; Gable, K. P.; Sharpless, K. B., Toward an Understanding of the High Enantioselectivity in the Osmium-Catalyzed Asymmetric Dihydroxylation. 4. Electronic Effects in Amine-Accelerated Osmylations. *J. Am. Chem. Soc.* **1997**, *119* (8), 1840-1858. <https://doi.org/10.1021/JA961464T>
- 248 Vanhessche, K. P. M.; Sharpless, K. B., Catalytic Asymmetric Synthesis of New Halogenated Chiral Synthons. *Chem. - Eur. J.* **1997**, *3* (4), 517-522. <https://doi.org/10.1002/chem.19970030406>
- 247 Li, G.; Angert, H. H.; Sharpless, K. B., N-Halocarbamate Salts Lead to More Efficient Catalytic Asymmetric Aminohydroxylation. *Angew. Chem., Int. Ed. Engl.* **1997**, *35* (23/24), 2813-2817. <https://doi.org/10.1002/anie.199628131>
- 246 Oi, R.; Sharpless, K. B., 3-[(1s)-1,2-Dihydroxyethyl]-1,5-Dihydro-3h-2,4-Benzodioxepine (1,2-Ethanediol, 1-(1,5-Dihydro-2,4-Benzodioxepin-3-Yl)-, (S)-). *Org. Synth.* **1996**, *73*, 1-12. <https://doi.org/10.15227/orgsyn.073.0001>
- 245 Rudolph, J.; Sennhenn, P. C.; Vlaar, C. P.; Sharpless, K. B., Smaller Substituents on Nitrogen Facilitate the Osmium-Catalyzed Asymmetric Aminohydroxylation. *Angewandte Chemie International Edition in English* **1996**, *35* (23-24), 2810-2813. <https://doi.org/10.1002/anie.199628101>
- 244 Vanhessche, K. P. M.; Sharpless, K. B., Ligand-Dependent Reversal of Facial Selectivity in the Asymmetric Dihydroxylation. *J. Org. Chem.* **1996**, *61* (23), 7978-7979. <https://doi.org/10.1021/JO961189T>
- 243 Chang, H.-T.; Sharpless, K. B., Molar Scale Synthesis of Enantiopure Stilbene Oxide. *J. Org. Chem.* **1996**, *61* (18), 6456-6457. <https://doi.org/10.1021/JO960718Q>
- 242 M. Beller and K.B. Sharpless, Diols Via Catalytic Dihydroxylation, "Applied Homogeneous Catalysis by Organometallic Complexes", Boy Cornils and Wolfgang A. Herrmann. Eds.; VCH Publishers: 1996; pp 1009-1024.
- 241 K.B. Sharpless, M. Beller, B. Blackburn, Y. Kawanami, H.-L. Kwong, Y. Ogino, T. Shibata, T. Ukita and L. Wang, Method For Catalytic Asymmetric Dihydroxylation of Olefins Using Heterocyclic Chiral Ligands, U.S. Patent 5,516,929, 1996 assigned to Massachusetts Institute of Technology.
- 240 I.E. Marko and K. B. Sharpless, Ligand-Accelerated Catalytic Asymmetric Dihydroxylation, European Patent 0395729, 1996, assigned to Massachusetts Institute of Technology.
- 239 Chang, H.-T.; Sharpless, K. B., A Practical Route to Enantiopure 1,2-Amino Alcohols. *Tetrahedron Lett.* **1996**, *37* (19), 3219-3222. [https://doi.org/10.1016/0040-4039\(96\)00534-5](https://doi.org/10.1016/0040-4039(96)00534-5)
- 238 Li, G.; Sharpless, K. B., Catalytic Asymmetric Aminohydroxylation Provides a Short Taxol Side-Chain Synthesis. *Acta Chem. Scand.* **1996**, *50* (8), 649-651. <https://doi.org/10.3891/acta.chem.scand.50-0649>
- 237 Bruncko, M.; Khuong, T.-A. V.; Sharpless, K. B., Allylic Amination and 1,2-Diamination with a Modified Diimidoseelenium Reagent. *Angew. Chem., Int. Ed. Engl.* **1996**, *35* (4), 454-6. <https://doi.org/10.1002/anie.199604541>
- 236 Li, G.; Chang, H.-T.; Sharpless, K. B., Catalytic Asymmetric Aminohydroxylation (AA) of Olefins. *Angewandte Chemie International Edition in English* **1996**, *35* (4), 451-454. <https://doi.org/10.1002/anie.199604511>
- 235 Becker, H.; Sharpless, K. B., A New Ligand Class for the Asymmetric Dihydroxylation of Olefins. *Angew. Chem., Int. Ed. Engl.* **1996**, *35* (4), 448-51. <https://doi.org/10.1002/anie.199604481>

- 234 Norrby, P.-O.; Becker, H.; Sharpless, K. B., Toward an Understanding of the High Enantioselectivity in the Osmium-Catalyzed Asymmetric Dihydroxylation. 3. New Insights into Isomeric Forms of the Putative Osmaoxetane Intermediate. *J. Am. Chem. Soc.* **1996**, *118* (1), 35-42. <https://doi.org/10.1021/JA952470C>
- 233 Chang, H.-T.; Chen, C.-T.; Kondo, T.; Siuzdak, G.; Sharpless, K. B., Asymmetric Dihydroxylation Enables Rapid Construction of Chiral Dendrimers Based on 1,2-Diols. *Angew. Chem., Int. Ed. Engl.* **1996**, *35* (2), 182-6. <https://doi.org/10.1002/anie.199601821>
- 232 Richardson, P. F.; Nelson, L. T. J.; Sharpless, K. B., Synthesis of Vicinal Diamines from Cyclic Sulfates. *Tetrahedron Lett.* **1995**, *36* (51), 9241-4. [https://doi.org/10.1016/0040-4039\(95\)02014-G](https://doi.org/10.1016/0040-4039(95)02014-G)
- 231 Hugger, U.; Sharpless, K. B., Asymmetric Dihydroxylation Affords Enantiomerically Pure C12 Building Blocks from Trans,Trans,Cis-1,5,9-Cyclododecatriene. *Tetrahedron Lett.* **1995**, *36* (37), 6603-6. [https://doi.org/10.1016/00404-0399\(50\)1365-O](https://doi.org/10.1016/00404-0399(50)1365-O)
- 230 Becker, H.; King, S. B.; Taniguchi, M.; Vanhessche, K. P. M.; Sharpless, K. B., New Ligands and Improved Emantioselectivities for the Asymmetric Dihydroxylation of Olefins. *J. Org. Chem.* **1995**, *60* (13), 3940-1. <https://doi.org/10.1021/jo00118a005>
- 229 K.B. Sharpless and J.M. Klunder, Optically Active Derivatives of Glycidol, U.S. Patent 5,344,947, 1994 assigned to Massachusetts Institute of Technology.
- 228 Berrisford, D. J.; Bolm, C.; Sharpless, K. B., Ligand-Accelerated Catalysis. *Angew. Chem., Int. Ed. Engl.* **1995**, *34* (10), 1059-70. <https://doi.org/10.1002/anie.199510591>
- 227 Becker, H.; Soler, M. A.; Sharpless, K. B., Selective Asymmetric Dihydroxylation of Polyenes. *Tetrahedron* **1995**, *51* (5), 1345-76. [https://doi.org/10.1016/0040-4020\(94\)01021-Q](https://doi.org/10.1016/0040-4020(94)01021-Q)
- 226 Wang, Z.-M.; Sharpless, K. B., A Solid-to-Solid Asymmetric Dihydroxylation Procedure for Kilogram-Scale Preparation of Enantiopure Hydrobenzoin. *J. Org. Chem.* **1994**, *59* (26), 8302-3. <https://doi.org/10.1021/jo00105a065>
- 225 Wang, Z.-M.; Kakiuchi, K.; Sharpless, K. B., Osmium-Catalyzed Asymmetric Dihydroxylation of Cyclic Cis-Disubstituted Olefins. *J. Org. Chem.* **1994**, *59* (23), 6895-7. <https://doi.org/10.1021/jo00102a008>
- 224 Kolb, H. C.; VanNieuwenhze, M. S.; Sharpless, K. B., Catalytic Asymmetric Dihydroxylation. *Chem. Rev. (Washington, D. C.)* **1994**, *94* (8), 2483-547. <https://doi.org/10.1021/cr00032a009>
- 223 Becker, H.; Ho, P. T.; Kolb, H. C.; Loren, S.; Norrby, P.-O.; Sharpless, K. B., Comparing Two Models for the Selectivity in the Asymmetric Dihydroxylation Reaction (AD). *Tetrahedron Lett.* **1994**, *35* (40), 7315-18. [https://doi.org/10.1016/0040-4039\(94\)85302-9](https://doi.org/10.1016/0040-4039(94)85302-9)
- 222 Norrby, P.-O.; Kolb, H. C.; Sharpless, K. B., Toward an Understanding of the High Enantioselectivity in the Osmium-Catalyzed Asymmetric Dihydroxylation. 2. A Qualitative Molecular Mechanics Approach. *J. Am. Chem. Soc.* **1994**, *116* (19), 8470-8. <https://doi.org/10.1021/ja00098a006>
- 221 Bennani, Y. L.; Vanhessche, K. P. M.; Sharpless, K. B., A Short Route to a Moshe's Acid Precursor Via Catalytic Asymmetric Dihydroxylation (AD). *Tetrahedron: Asymmetry* **1994**, *5* (8), 1473-1476. [https://doi.org/10.1016/0957-4166\(94\)80116-9](https://doi.org/10.1016/0957-4166(94)80116-9)
- 220 K.B. Sharpless and Y. Gao, Ruthenium-Catalyzed Production of Cyclic Sulfates, U.S. Patent 5,321,143, 1994 assigned to Massachusetts Institute of Technology.
- 219 King, S. B.; Sharpless, K. B., An Efficient Synthesis of Enantiomerically Pure Trans-2-Phenylcyclohexanol. *Tetrahedron Lett.* **1994**, *35* (31), 5611-12. [https://doi.org/10.1016/S0040-4039\(00\)77259-5](https://doi.org/10.1016/S0040-4039(00)77259-5)
- 218 Wang, Z.-M.; Kolb, H. C.; Sharpless, K. B., Large-Scale and Highly Enantioselective Synthesis of the Taxol C-13 Side Chain through Asymmetric Dihydroxylation. *J. Org. Chem.* **1994**, *59* (17), 5104-5. <https://doi.org/10.1021/jo00096a072>
- 217 Walsh, P. J.; Ho, P. T.; King, S. B.; Sharpless, K. B., Asymmetric Dihydroxylation of Olefins Containing Sulfur: Chemoselective Oxidation of C-C Double Bonds in the Presence of

- Sulfides, 1,3-Dithianes, and Disulfides. *Tetrahedron Lett.* **1994**, 35 (29), 5129-32.  
[https://doi.org/10.1016/S0040-4039\(00\)77045-6](https://doi.org/10.1016/S0040-4039(00)77045-6)
- 216 Xu, D.; Sharpless, K. B., Synthesis and Stereochemical Assignments for Goniobutenolides a and B. *Tetrahedron Lett.* **1994**, 35 (27), 4685-8.  
[https://doi.org/10.1016/S0040-4039\(00\)76941-3](https://doi.org/10.1016/S0040-4039(00)76941-3)
- 215 Vanhessche, K. P. M.; Wang, Z.-M.; Sharpless, K. B., Asymmetric Dihydroxylation of Primary Allylic Halides and a Concise Synthesis of (-)-Diepoxybutane. *Tetrahedron Lett.* **1994**, 35 (21), 3469-72. [https://doi.org/10.1016/S0040-4039\(00\)73212-6](https://doi.org/10.1016/S0040-4039(00)73212-6)
- 214 Xu, D.; Park, C. Y.; Sharpless, K. B., Study of the Regio- and Enantioselectivity of the Reactions of Osmium Tetroxide with Allylic Alcohols and Allylic Sulfonamides. *Tetrahedron Lett.* **1994**, 35 (16), 2495-8. [https://doi.org/10.1016/S0040-4039\(00\)77153-X](https://doi.org/10.1016/S0040-4039(00)77153-X)
- 213 Sharpless, K. B., Coelacanths and Catalysis. *Tetrahedron* **1994**, 50 (15), 4235-58.  
[https://doi.org/10.1016/S0040-4020\(01\)89364-9](https://doi.org/10.1016/S0040-4020(01)89364-9)
- 212 Kolb, H. C.; Andersson, P. G.; Sharpless, K. B., Toward an Understanding of the High Enantioselectivity in the Osmium-Catalyzed Asymmetric Dihydroxylation (Ad). 1. Kinetics. *J. Am. Chem. Soc.* **1994**, 116 (4), 1278-91. <https://doi.org/10.1021/ja00083a014>
- 211 VanNieuwenhze, M. S.; Sharpless, K. B., The Asymmetric Dihydroxylation Cis-Allylic and Homoallylic Alcohols. *Tetrahedron Lett.* **1994**, 35 (6), 843-6.  
[https://doi.org/10.1016/S0040-4039\(00\)75978-8](https://doi.org/10.1016/S0040-4039(00)75978-8)
- 210 Henderson, I.; Sharpless, K. B.; Wong, C. H., Synthesis of Carbohydrates Via Tandem Use of the Osmium-Catalyzed Asymmetric Dihydroxylation and Enzyme-Catalyzed Aldol Addition Reactions. *J. Am. Chem. Soc.* **1994**, 116 (2), 558-61. <https://doi.org/10.1021/ja00081a016>
- 209 Crispino, G. A.; Makita, A.; Wang, Z. M.; Sharpless, K. B., A Comparison of Ligands Proposed for Asymmetric Dihydroxylation. *Tetrahedron Lett.* **1994**, 35 (4), 543-6.  
[https://doi.org/10.1016/S0040-4039\(00\)75833-3](https://doi.org/10.1016/S0040-4039(00)75833-3)
- 208 Norrby, P. O.; Kolb, H. C.; Sharpless, K. B., Calculations on the Reaction of Ruthenium Tetroxide with Olefins Using Density Functional Theory (DFT). Implications for the Possibility of Intermediates in Osmium-Catalyzed Asymmetric Dihydroxylation. *Organometallics* **1994**, 13 (1), 344-7. <https://doi.org/10.1021/om00013a050>
- 207 Johnson, R. A.; Sharpless, K. B. In *Catalytic Asymmetric Epoxidation of Allylic Alcohols*, VCH: 1993; pp 103-58.
- 206 Johnson, R. A.; Sharpless, K. B. In *Catalytic Asymmetric Dihydroxylation*, VCH: 1993; pp 227-22.
- 205 Kalantar, T. H.; Sharpless, K. B., Transformations of Hydroxy Cyclic Sulfates: Stereospecific Conversion into 2,3,5-Trisubstituted Tetrahydrofurans. *Acta Chem. Scand.* **1993**, 47 (3), 307-13. <https://doi.org/10.3891/acta.chem.scand.47-0307>
- 204 Kolb, H. C.; Andersson, P. G.; Bennani, Y. L.; Crispino, G. A.; Jeong, K. S.; Kwong, H. L.; Sharpless, K. B., On "the Origin of High Enantioselectivity in the Dihydroxylation of Olefins Using Osmium Tetraoxide and Cinchona Alkaloid Catalysts". *J. Am. Chem. Soc.* **1993**, 115 (25), 12226-7. <https://doi.org/10.1021/ja00078a096>
- 203 Amberg, W.; Bennani, Y. L.; Chadha, R. K.; Crispino, G. A.; Davis, W. D.; Hartung, J.; Jeong, K. S.; Ogino, Y.; Shibata, T.; Sharpless, K. B., Syntheses and Crystal Structures of the Cinchona Alkaloid Derivatives Used as Ligands in the Osmium-Catalyzed Asymmetric Dihydroxylation of Olefins. *J. Org. Chem.* **1993**, 58 (4), 844-9.  
<https://doi.org/10.1021/jo00056a015>
- 202 Wang, Z. M.; Sharpless, K. B., Asymmetric Dihydroxylation of Tertiary Allylic Alcohols. *Tetrahedron Lett.* **1993**, 34 (51), 8225-8. [https://doi.org/10.1016/S0040-4039\(00\)61396-5](https://doi.org/10.1016/S0040-4039(00)61396-5)
- 201 Arrington, M. P.; Bennani, Y. L.; Gobel, T.; Walsh, P.; Zhao, S. H.; Sharpless, K. B., Modified Cinchona Alkaloid Ligands: Improved Selectivities in the Osmium Tetroxide Catalyzed

- Asymmetric Dihydroxylation (AD) of Terminal Olefins. *Tetrahedron Lett.* **1993**, 34 (46), 7375-8. [https://doi.org/10.1016/S0040-4039\(00\)60129-6](https://doi.org/10.1016/S0040-4039(00)60129-6)
- 200 Morikawa, K.; Sharpless, K. B., Double Diastereoselection in Asymmetric Dihydroxylation. *Tetrahedron Lett.* **1993**, 34 (35), 5575-8. [https://doi.org/10.1016/S0040-4039\(00\)73885-8](https://doi.org/10.1016/S0040-4039(00)73885-8)
- 199 Morikawa, K.; Park, J.; Andersson, P. G.; Hashiyama, T.; Sharpless, K. B., Catalytic Asymmetric Dihydroxylation of Tetrasubstituted Olefins. *J. Am. Chem. Soc.* **1993**, 115 (18), 8463-4. <https://doi.org/10.1021/ja00071a072>
- 198 Walsh, P. J.; Bennani, Y. L.; Sharpless, K. B., Asymmetric Dihydroxylation (Ad)/Cyclization of N-Diboc Allylic and Homoallylic Amines: Selective Differentiation of the Hydroxyl Groups. *Tetrahedron Lett.* **1993**, 34 (35), 5545-8. [https://doi.org/10.1016/S0040-4039\(00\)73877-9](https://doi.org/10.1016/S0040-4039(00)73877-9)
- 197 McGrath, D. V.; Brabson, G. D.; Sharpless, K. B.; Andrews, L., Reinvestigation of the Infrared Spectra of Oxoosmium(VI) Esters by Isotopic Labeling. *Inorg. Chem.* **1993**, 32 (19), 4164-5. <https://doi.org/10.1021/ic00071a035>
- 196 Crispino, G. A.; Sharpless, K. B., Enantioselective Synthesis of Juvenile Hormone Iii in Three Steps from Methyl Farnesoate. *Synthesis* **1993**, (8), 777-9. <https://doi.org/10.1055/s-1993-25939>
- 195 Walsh, P. J.; Sharpless, K. B., Asymmetric Dihydroxylation (AD) of A,B-Unsaturated Ketones. *Synlett* **1993**, (8), 605-6. <https://doi.org/10.1055/s-1993-22548>
- 194 Wang, Z. M.; Sharpless, K. B., Asymmetric Dihydroxylation of A-Substituted Styrene Derivatives. *Synlett* **1993**, (8), 603-4. <https://doi.org/10.1055/s-1993-22547>
- 193 VanNieuwenhze, M. S.; Sharpless, K. B., Kinetic Resolution of Racemic Olefins Via Asymmetric Dihydroxylation. *J. Am. Chem. Soc.* **1993**, 115 (17), 7864-5. <https://doi.org/10.1021/ja00070a037>
- 192 Andersson, P. G.; Sharpless, K. B., A Dramatic Ligand Effect on the Relative Reactivities of Substituted Alkenes with Osmium Tetroxide. *J. Am. Chem. Soc.* **1993**, 115 (15), 7047-8. <https://doi.org/10.1021/ja00068a102>
- 191 Göbel, T.; Sharpless, K. B., Temperature Effects in Asymmetric Dihydroxylation: Evidence for a Stepwise Mechanism. *Angewandte Chemie International Edition in English* **1993**, 32 (9), 1329-1331. <https://doi.org/10.1002/anie.199313291>
- 190 Crispino, G. A.; Jeong, K. S.; Kolb, H. C.; Wang, Z. M.; Xu, D.; Sharpless, K. B., Improved Enantioselectivity in Asymmetric Dihydroxylations of Terminal Olefins Using Pyrimidine Ligands. *J. Org. Chem.* **1993**, 58 (15), 3785-6. <https://doi.org/10.1021/jo00067a002>
- 189 Okamoto, S.; Tani, K.; Sato, F.; Sharpless, K. B.; Zargarian, D., Synthesis of Optically Active Secondary Allylic Alcohols from Allylsilanes Via Successive Asymmetric Dihydroxylation (AD) and Peterson Olefination Reactions. *Tetrahedron Lett.* **1993**, 34 (15), 2509-12. [https://doi.org/10.1016/S0040-4039\(00\)60454-9](https://doi.org/10.1016/S0040-4039(00)60454-9)
- 188 Wang, Z. M.; Zhang, X. L.; Sharpless, K. B., Asymmetric Dihydroxylation of Aryl Allyl Ethers. *Tetrahedron Lett.* **1993**, 34 (14), 2267-70. [https://doi.org/10.1016/S0040-4039\(00\)77590-3](https://doi.org/10.1016/S0040-4039(00)77590-3)
- 187 Bennani, Y. L.; Sharpless, K. B., Asymmetric Synthesis of  $\Gamma$ -Hydroxy A,B-Unsaturated Amides Via an Asymmetric Dihydroxylation (AD)-Elimination Process; Synthesis of (+)-Coriolic Acid. *Tetrahedron Lett.* **1993**, 34 (13), 2083-6. [https://doi.org/10.1016/S0040-4039\(00\)60351-9](https://doi.org/10.1016/S0040-4039(00)60351-9)
- 186 Bennani, Y. L.; Sharpless, K. B., Asymmetric Dihydroxylation (AD) of N,N-Dialkyl and N-Methoxy-N-Methyl A,B- and B, $\Gamma$ -Unsaturated Amides. *Tetrahedron Lett.* **1993**, 34 (13), 2079-82. [https://doi.org/10.1016/S0040-4039\(00\)60350-7](https://doi.org/10.1016/S0040-4039(00)60350-7)
- 185 Xu, D.; Sharpless, K. B., A Simple Route to Enantiomerically Enriched Oxazolidin-2-Ones. *Tetrahedron Lett.* **1993**, 34 (6), 951-2. [https://doi.org/10.1016/S0040-4039\(00\)77462-4](https://doi.org/10.1016/S0040-4039(00)77462-4)
- 184 Kolb, H. C.; Bennani, Y. L.; Sharpless, K. B., Short and Practical Syntheses of (R)-(-)-Carnitine and (R)-(-)- $\Gamma$ -Amino-B-Hydroxybutyric Acid (Gabob). *Tetrahedron: Asymmetry* **1993**, 4 (1), 133-41. [https://doi.org/10.1016/S0957-4166\(00\)86023-1](https://doi.org/10.1016/S0957-4166(00)86023-1)

- 183 Crispino, G. A.; Sharpless, K. B., Enantioselective Synthesis of Both Enantiomers of 7,7-Dimethyl-6,8-Dioxabicyclo[3.2.1]Octane Via Regioselective Asymmetric Dihydroxylation. *Synlett* **1993**, (1), 47-8. <https://doi.org/10.1055/s-1993-22343>
- 182 Crispino, G. A.; Ho, P. T.; Sharpless, K. B., Selective Perhydroxylation of Squalene: Taming the Arithmetic Demon. *Science (Washington, D. C., 1883-)* **1993**, 259 (5091), 64-6. <https://doi.org/10.1126/science.8418495>
- 181 McKee, B. H.; Gilheany, D. G.; Sharpless, K. B., (R,R)-1,2-Diphenyl-1,2-Ethanediol (Stilbene Diol). *Org. Synth.* **1992**, 70, 47-53. <https://doi.org/10.15227/orgsyn.070.0047>
- 180 Kolb, H. C.; Sharpless, K. B., A Simplified Procedure for the Stereospecific Transformation of 1,2-Diols into Epoxides. *Tetrahedron* **1992**, 48 (48), 10515-30. [https://doi.org/10.1016/S0040-4020\(01\)88349-6](https://doi.org/10.1016/S0040-4020(01)88349-6)
- 179 Keinan, E.; Sinha, S. C.; Sinha-Bagchi, A.; Wang, Z. M.; Zhang, X. L.; Sharpless, K. B., Synthesis of All Four Isomers of Disparlure Using Osmium-Catalyzed Asymmetric Dihydroxylation. *Tetrahedron Lett.* **1992**, 33 (43), 6411-14. [https://doi.org/10.1016/S0040-4039\(00\)79002-2](https://doi.org/10.1016/S0040-4039(00)79002-2)
- 178 Wang, Z. M.; Zhang, X. L.; Sharpless, K. B.; Sinha, S. C.; Sinha-Bagchi, A.; Keinan, E., A General Approach to  $\gamma$ -Lactones Via Osmium-Catalyzed Asymmetric Dihydroxylation. Synthesis of (-)- and (+)-Muricatacin. *Tetrahedron Lett.* **1992**, 33 (43), 6407-10. [https://doi.org/10.1016/S0040-4039\(00\)79001-0](https://doi.org/10.1016/S0040-4039(00)79001-0)
- 177 Hashiyama, T.; Morikawa, K.; Sharpless, K. B.,  $\alpha$ -Hydroxy Ketones in High Enantiomeric Purity from Asymmetric Dihydroxylation of Enol Ethers. *J. Org. Chem.* **1992**, 57 (19), 5067-8. <https://doi.org/10.1021/jo00045a011>
- 176 Xu, D.; Crispino, G. A.; Sharpless, K. B., Selective Asymmetric Dihydroxylation (AD) of Dienes. *J. Am. Chem. Soc.* **1992**, 114 (19), 7570-1. <https://doi.org/10.1021/ja00045a043>
- 175 Wang, L.; Sharpless, K. B., Catalytic Asymmetric Dihydroxylation of Cis-Disubstituted Olefins. *J. Am. Chem. Soc.* **1992**, 114 (19), 7568-70. <https://doi.org/10.1021/ja00045a042>
- 174 Crispino, G. A.; Sharpless, K. B., Asymmetric Dihydroxylation of Squalene. *Tetrahedron Lett.* **1992**, 33 (30), 4273-4. [https://doi.org/10.1016/S0040-4039\(00\)74236-5](https://doi.org/10.1016/S0040-4039(00)74236-5)
- 173 Jeong, K. S.; Sjo, P.; Sharpless, K. B., Asymmetric Dihydroxylation of Enynes. *Tetrahedron Lett.* **1992**, 33 (27), 3833-6. [https://doi.org/10.1016/S0040-4039\(00\)74797-6](https://doi.org/10.1016/S0040-4039(00)74797-6)
- 172 Sharpless, K. B.; Amberg, W.; Bennani, Y. L.; Crispino, G. A.; Hartung, J.; Jeong, K. S.; Kwong, H. L.; Morikawa, K.; Wang, Z. M.; et, a., The Osmium-Catalyzed Asymmetric Dihydroxylation: A New Ligand Class and a Process Improvement. *J. Org. Chem.* **1992**, 57 (10), 2768-71. <https://doi.org/10.1021/jo00036a003>
- 171 Oi, R.; Sharpless, K. B., Asymmetric Dihydroxylation of Acrolein Acetals: Synthesis of Stable Equivalents of Enantiopure Glyceraldehyde and Glycidaldehyde. *Tetrahedron Lett.* **1992**, 33 (16), 2095-8. [https://doi.org/10.1016/0040-4039\(92\)88149-Y](https://doi.org/10.1016/0040-4039(92)88149-Y)
- 170 McKee, B. H.; Kalantar, T. H.; Sharpless, K. B., Subtle Effects in the Asymmetric Epoxidation: Dependence of Kinetic Resolution Efficiency on the Monodentate Alkoxide Ligands of the Bystander Titanium Center. *J. Org. Chem.* **1991**, 56 (25), 6966-8. <https://doi.org/10.1021/jo00025a003>
- 169 Ogino, Y.; Chen, H.; Manoury, E.; Shibata, T.; Beller, M.; Lubben, D.; Sharpless, K. B., A Ligand Structure-Enantioselectivity Relationship for the Osmium Catalyzed Asymmetric Dihydroxylation of Olefins. *Tetrahedron Lett.* **1991**, 32 (41), 5761-4. [https://doi.org/10.1016/S0040-4039\(00\)93549-4](https://doi.org/10.1016/S0040-4039(00)93549-4)
- 168 Oi, R.; Sharpless, K. B., Facile Synthesis of Enantiopure Trans-2,3-Diphenyl-1,4-Diazabicyclo[2.2.2]Octane. *Tetrahedron Lett.* **1991**, 32 (37), 4853-4. [https://doi.org/10.1016/S0040-4039\(00\)93478-6](https://doi.org/10.1016/S0040-4039(00)93478-6)
- 167 Sharpless, K. B.; Amberg, W.; Beller, M.; Chen, H.; Hartung, J.; Kawanami, Y.; Lubben, D.; Manoury, E.; Ogino, Y.; et, a., New Ligands Double the Scope of the Catalytic Asymmetric

- Dihydroxylation of Olefins. *J. Org. Chem.* **1991**, *56* (15), 4585-8.  
<https://doi.org/10.1021/jo00015a001>
- 166 Ogino, Y.; Chen, H.; Kwong, H. L.; Sharpless, K. B., The Timing of Hydrolysis-Reoxidation in the Osmium-Catalyzed Asymmetric Dihydroxylation of Olefins Using Potassium Ferricyanide as the Reoxidant. *Tetrahedron Lett.* **1991**, *32* (32), 3965-8.  
[https://doi.org/10.1016/0040-4039\(91\)80601-2](https://doi.org/10.1016/0040-4039(91)80601-2)
- 165 Park, C. Y.; Kim, B. M.; Sharpless, K. B., Catalytic Osmylation of Conjugated Dienes: A One-Pot Stereoselective Synthesis of Polyols. *Tetrahedron Lett.* **1991**, *32* (8), 1003-6.  
[https://doi.org/10.1016/S0040-4039\(00\)74472-8](https://doi.org/10.1016/S0040-4039(00)74472-8)
- 164 Oi, R.; Sharpless, K. B., Stereospecific Conversion of Chiral 1,2-Cyclic Sulfates to Chiral Imidazolines. *Tetrahedron Lett.* **1991**, *32* (8), 999-1002.  
[https://doi.org/10.1016/S0040-4039\(00\)74471-6](https://doi.org/10.1016/S0040-4039(00)74471-6)
- 163 Fleming, P. R.; Sharpless, K. B., Selective Transformations of Threo-2,3-Dihydroxy Esters. *J. Org. Chem.* **1991**, *56* (8), 2869-75. <https://doi.org/10.1021/jo00008a051>
- 162 Finn, M. G.; Sharpless, K. B., Mechanism of Asymmetric Epoxidation. 2. Catalyst Structure. *J. Am. Chem. Soc.* **1991**, *113* (1), 113-26. <https://doi.org/10.1021/ja00001a019>
- 161 Woodard, S. S.; Finn, M. G.; Sharpless, K. B., Mechanism of Asymmetric Epoxidation. 1. Kinetics. *J. Am. Chem. Soc.* **1991**, *113* (1), 106-13. <https://doi.org/10.1021/ja00001a018>
- 160 Johnson, R.A. and Sharpless, K.B., Addition Reactions with Formation of Carbon-Oxygen Bonds: (ii) Asymmetric Methods of Epoxidation, "Comprehensive Organic Synthesis," Vol. 7, Barry M. Trost, Ed.; Pergamon Press: 1991; 389-436.
- 159 K.B. Sharpless, J.M. Klunder, and T.H. Onami, Optically Active Derivatives of Glycidol, U. S. Patent 4,946,974, 1990 assigned to Massachusetts Institute of Technology.
- 158 K.B. Sharpless and I.E. Markó, Ligand-Accelerated Catalytic Asymmetric Dihydroxylation, U.S. Patent 4,965,364, 1990 assigned to Massachusetts Institute of Technology.
- 157 Moon Kim, B.; Barry Sharpless, K., A Short Route to B-Lactams: Use of Cyclic Sulfites from Syn-2,3-Dihydroxy Esters. *Tetrahedron Letters* **1990**, *31* (30), 4317-4320.  
[https://doi.org/10.1016/S0040-4039\(00\)97610-X](https://doi.org/10.1016/S0040-4039(00)97610-X)
- 156 Shibata, T.; Gilheany, D. G.; Blackburn, B. K.; Sharpless, K. B., Ligand-Based Improvement of Enantioselectivity in the Catalytic Asymmetric Dihydroxylation of Dialkyl-Substituted Olefins. *Tetrahedron Lett.* **1990**, *31* (27), 3817-20. [https://doi.org/10.1016/S0040-4039\(00\)97477-X](https://doi.org/10.1016/S0040-4039(00)97477-X)
- 155 Ko, S. Y.; Lee, A. W. M.; Masamune, S.; Reed, L. A., III; Sharpless, K. B.; Walker, F. J., Total Synthesis of the L-Hexoses. *Tetrahedron* **1990**, *46* (1), 245-64.  
[https://doi.org/10.1016/S0040-4020\(01\)97596-9](https://doi.org/10.1016/S0040-4020(01)97596-9)
- 154 K.B. Sharpless, R. Hanson, and S.Y. Ko, Catalytic Asymmetric Epoxidation, U.S. Patent 4,900,847, 1990 assigned to the Massachusetts Institute of Technology.
- 153 Moon Kim, B.; Sharpless, K. B., Heterogeneous Catalytic Asymmetric Dihydroxylation: Use of a Polymer-Bound Alkaloid. *Tetrahedron Letters* **1990**, *31* (21), 3003-3006.  
[https://doi.org/10.1016/S0040-4039\(00\)89009-7](https://doi.org/10.1016/S0040-4039(00)89009-7)
- 152 Hoi-Lun, K.; Sorato, C.; Ogino, Y.; Hou, C.; Barry Sharpless, K., Preclusion of the "Second Cycle" in the Osmium-Catalyzed Asymmetric Dihydroxylation of Olefins Leads to a Superior Process. *Tetrahedron Letters* **1990**, *31* (21), 2999-3002.  
[https://doi.org/10.1016/S0040-4039\(00\)89008-5](https://doi.org/10.1016/S0040-4039(00)89008-5)
- 151 Pearlstein, R. M.; Blackburn, B. K.; Davis, W. M.; Sharpless, K. B., Structural Characterization of the Pseudoenantiomeric Cis-Dioxo Osmium(VI) Esters of Chiral Diols with Cinchona Alkaloid Ligands. *Angewandte Chemie International Edition in English* **1990**, *29* (6), 639-641.  
<https://doi.org/10.1002/anie.199006391>
- 150 Hayashi, M.; Okamura, F.; Toba, T.; Oguni, N.; Sharpless, K. B., Kinetic Resolution of Racemic B-Hydroxy Amines by Enantioselective N-Oxide Formation. *Chem. Lett.* **1990**, (4), 547-8. <https://doi.org/10.1246/cl.1990.547>

- 149 K.B. Sharpless and I.E. Markó, Ligand-Accelerated Catalytic Asymmetric Dihydroxylation using Dihydroquinidine and Dihydroquinidine Esters as Ligands, U.S. Patent 4,871,855, 1989 assigned to the Massachusetts Institute of Technology.
- 148 Dijkstra, G. D. H.; Kellogg, R. M.; Wynberg, H.; Svendsen, J. S.; Marko, I.; Sharpless, K. B., Conformational Study of Cinchona Alkaloids. A Combined Nmr, Molecular Mechanics and X-Ray Approach. *J. Am. Chem. Soc.* **1989**, *111* (21), 8069-76. <https://doi.org/10.1021/ja00203a001>
- 147 Carlier, P. R.; Sharpless, K. B., Studies on the Mechanism of the Asymmetric Epoxidation: A Ligand Variation Approach. *J. Org. Chem.* **1989**, *54* (17), 4016-18. <https://doi.org/10.1021/jo00278a004>
- 146 Burns, C. J.; Martin, C. A.; Sharpless, K. B., Tartrate-Like Ligands in the Asymmetric Epoxidation. *J. Org. Chem.* **1989**, *54* (12), 2826-34. <https://doi.org/10.1021/jo00273a010>
- 145 Kim, B. M.; Sharpless, K. B., Cyclic Sulfates Containing Acid-Sensitive Groups and Chemoselective Hydrolysis of Sulfate Esters. *Tetrahedron Lett.* **1989**, *30* (6), 655-8. [https://doi.org/10.1016/S0040-4039\(01\)80274-4](https://doi.org/10.1016/S0040-4039(01)80274-4)
- 144 Bhushan Lohray, B.; Kalantar, T. H.; Moon Kim, B.; Park, C. Y.; Shibata, T.; Wai, J. S. M.; Barry Sharpless, K., Documenting the Scope of the Catalytic Asymmetric Dihydroxylation. *Tetrahedron Letters* **1989**, *30* (16), 2041-2044. [https://doi.org/10.1016/S0040-4039\(01\)93706-2](https://doi.org/10.1016/S0040-4039(01)93706-2)
- 143 Svendsen, J. S.; Marko, I.; Jacobsen, E. N.; Rao, C. P.; Bott, S.; Sharpless, K. B., The Structure of Osmium Tetraoxide-Cinchona Alkaloid Complexes. *J. Org. Chem.* **1989**, *54* (10), 2263-4. <https://doi.org/10.1021/jo00271a002>
- 142 Lohray, B. B.; Gao, Y.; Sharpless, K. B., One-Pot Synthesis of Homochiral Aziridines and Amino Alcohols from Homochiral 1,2-Cyclic Sulfates. *Tetrahedron Lett.* **1989**, *30* (20), 2623-6. [https://doi.org/10.1016/S0040-4039\(00\)99081-6](https://doi.org/10.1016/S0040-4039(00)99081-6)
- 141 Wai, J. S. M.; Marko, I.; Svendsen, J. S.; Finn, M. G.; Jacobsen, E. N.; Sharpless, K. B., A Mechanistic Insight Leads to a Greatly Improved Osmium-Catalyzed Asymmetric Dihydroxylation Process. *J. Am. Chem. Soc.* **1989**, *111* (3), 1123-5. <https://doi.org/10.1021/ja00185a050>
- 140 Jacobsen, E. N.; Marko, I.; France, M. B.; Svendsen, J. S.; Sharpless, K. B., Kinetic Role of the Alkaloid Ligands in Asymmetric Catalytic Dihydroxylation. *J. Am. Chem. Soc.* **1989**, *111* (2), 737-9. <https://doi.org/10.1021/ja00184a055>
- 139 Klunder, J. M.; Onami, T.; Sharpless, K. B., Arenesulfonate Derivatives of Homochiral Glycidol: Versatile Chiral Building Blocks for Organic Synthesis. *J. Org. Chem.* **1989**, *54* (6), 1295. <https://doi.org/10.1021/jo00267a014>
- 138 Bolm, C.; Davis, W. M.; Halterman, R. L.; Sharpless, K. B., Synthesis and Crystal Structure of a Chiral C<sub>3</sub>-Symmetric Monophosphane. *Angewandte Chemie International Edition in English* **1988**, *27* (6), 835-837. <https://doi.org/10.1002/anie.198808351>
- 137 Gao, Y.; Sharpless, K. B., Vicinal Diol Cyclic Sulfates. Like Epoxides Only More Reactive. *J. Am. Chem. Soc.* **1988**, *110* (22), 7538-9. <https://doi.org/10.1021/ja00230a045>
- 136 Bolm, C.; Sharpless, K. B., Synthesis of a C<sub>3</sub>-Symmetric Phospha[2.2.2]Cyclophane. *Tetrahedron Lett.* **1988**, *29* (40), 5101-4. [https://doi.org/10.1016/S0040-4039\(00\)80690-5](https://doi.org/10.1016/S0040-4039(00)80690-5)
- 135 Caron, M.; Carlier, P. R.; Sharpless, K. B., Regioselective Azide Opening of 2,3-Epoxy Alcohols by [Ti(O-*i*-Pr)<sub>2</sub>(N<sub>3</sub>)<sub>2</sub>]: Synthesis of  $\alpha$ -Amino Acids. *J. Org. Chem.* **1988**, *53* (21), 5185-7. <https://doi.org/10.1021/jo00256a063>
- 134 Gao, Y.; Sharpless, K. B., Asymmetric Synthesis of Both Enantiomers of Tomoxetine and Fluoxetine. Selective Reduction of 2,3-Epoxycinnamyl Alcohol with Red-Al. *J. Org. Chem.* **1988**, *53* (17), 4081-4. <https://doi.org/10.1021/jo00252a036>
- 133 Gao, Y.; Sharpless, K. B., Titanium Isopropoxide Mediated Formation of 2,3-Epithio Alcohols from 2,3-Epoxy Alcohols. *J. Org. Chem.* **1988**, *53* (17), 4114-16. <https://doi.org/10.1021/jo00252a046>

- 132 Bolm, C.; Davis, W. M.; Halterman, R. L.; Sharpless, K. B., Synthesis and Crystal Structure of a Chiral C<sub>3</sub>-Symmetric Monophosphane. *Angewandte Chemie International Edition in English* **1988**, 27 (6), 835-837. <https://doi.org/10.1002/anie.198808351>
- 131 Carlier, P. R.; Mungall, W. S.; Schroder, G.; Sharpless, K. B., Enhanced Kinetic Resolution and Enzyme-Like Shape Selectivity. *J. Am. Chem. Soc.* **1988**, 110 (9), 2978-9. <https://doi.org/10.1021/ja00217a052>
- 130 Sharpless, K. B., Catalytic Asymmetric Epoxidation. *Janssen Chim. Acta* **1988**, 6 (1), 3-6.
- 129 Jacobsen, E. N.; Marko, I.; Mungall, W. S.; Schroeder, G.; Sharpless, K. B., Asymmetric Dihydroxylation Via Ligand-Accelerated Catalysis. *J. Am. Chem. Soc.* **1988**, 110 (6), 1968-70. <https://doi.org/10.1021/ja00214a053>
- 128 Hawkins, J. M.; Sharpless, K. B., Asymmetric Epoxidation Models: An Alkyl Hydroperoxide Dependent Change in Mechanism. *Tetrahedron Letters* **1987**, 28 (25), 2825-2828. [https://doi.org/10.1016/S0040-4039\(00\)96219-1](https://doi.org/10.1016/S0040-4039(00)96219-1)
- 127 Klunder, J. M.; Sharpless, K. B., Convenient Synthesis of Sulfinic Esters from Sulfonyl Chlorides. *J. Org. Chem.* **1987**, 52 (12), 2598-602. <https://doi.org/10.1021/jo00388a051>
- 126 Pedersen, S. F.; Dewan, J. C.; Eckman, R. R.; Sharpless, K. B., Unexpected Diversity in the Coordination Chemistry of Tartrate Esters with Titanium(IV). *J. Am. Chem. Soc.* **1987**, 109 (4), 1279-82. <https://doi.org/10.1021/ja00238a065>
- 125 Elbein, A. D.; Szumilo, T.; Sanford, B. A.; Sharpless, K. B.; Adams, C., Effect of Isomers of Swainsonine on Glycosidase Activity and Glycoprotein Processing. *Biochemistry* **1987**, 26 (9), 2502-10. <https://doi.org/10.1021/bi00383a015>
- 124 Ko, S. Y.; Masamune, H.; Sharpless, K. B., P-Nitrobenzoate Esters of Epoxy Alcohols: Convenient Synthons for Water-Soluble Epoxy Alcohols. *J. Org. Chem.* **1987**, 52 (4), 667-71. <https://doi.org/10.1021/jo00380a032>
- 123 Sharpless, K. B., Architecturally Interesting Metal Complexes with Thermodynamically Dictated Structures. *Chem. Scr.* **1987**, 27 (4), 521-4.
- 122 Ko, S. Y.; Sharpless, K. B., In Situ Opening of Epoxy Alcohols: A Convenient Alternative to the Isolation of Unstable Epoxy Alcohols. *J. Org. Chem.* **1986**, 51 (26), 5413-15. <https://doi.org/10.1021/jo00376a068>
- 121 Gao, Y.; Klunder, J. M.; Hanson, R. M.; Masamune, H.; Ko, S. Y.; Sharpless, K. B., Catalytic Asymmetric Epoxidation and Kinetic Resolution: Modified Procedures Including in Situ Derivatization. *J. Am. Chem. Soc.* **1987**, 109 (19), 5765-80. <https://doi.org/10.1021/ja00253a032>
- 120 Kirshenbaum, K. S.; Sharpless, K. B., Regioselective Nucleophilic Opening of Erythro- and Threo-2,3-Epoxy Alcohols. *Chem. Lett.* **1987**, (1), 11-14. <https://doi.org/10.1246/cl.1987.11>
- 119 Klunder, J. M.; Ko, S. Y.; Sharpless, K. B., Asymmetric Epoxidation of Allyl Alcohol: Efficient Routes to Homochiral B-Adrenergic Blocking Agents. *J. Org. Chem.* **1986**, 51 (19), 3710-12. <https://doi.org/10.1021/jo00369a032>
- 118 Bartmann, W.; Sharpless, K. B.; Editors, *Stereochemistry of Organic and Bioorganic Transformations. [Proceedings of the 17th Workshop Conference Hoechst, Schloss Reinsburg, 8-11 October 1986]*. VCH: 1987; p 330 pp
- 117 K.B. Sharpless and R.A. Johnson, Metal-Mediated Halohydrin Formation, U. S. Patent 4,560,811, 1985, assigned to the Massachusetts Institute of Technology.
- 116 Hanson, R. M.; Sharpless, K. B., Procedure for the Catalytic Asymmetric Epoxidation of Allylic Alcohols in the Presence of Molecular Sieves. *J. Org. Chem.* **1986**, 51 (10), 1922-5. <https://doi.org/10.1021/jo00360a058>
- 115 Sharpless, K. B., The Discovery of the Asymmetric Epoxidation. *Chem. Br.* **1986**, 22 (1), 38-40, 43-4.



- 114 Hawkins, J. M.; Dewan, J. C.; Sharpless, K. B., Dioxomolybdenum(VI)-Substituted 2,6-Pyridinedimethanol Complexes: New Five-Coordinate Species. *Inorg. Chem.* **1986**, *25* (9), 1501-3. <https://doi.org/10.1021/ic00229a041>
- 113 Hill, J. G.; Sharpless, K. B.; Exon, C. M.; Regenye, R., Enantioselective Epoxidation of Allylic Alcohols: (2s,3s)-3-Propyloxiranemethanol (Oxiranemethanol, 3-Propyl-, (2s,3s)-). *Org. Synth.* **1985**, *63*, 66-78. <https://doi.org/10.15227/orgsyn.063.0066>
- 112 Sharpless, K. B., The Discovery of Titanium-Catalyzed Asymmetric Epoxidation. *CHEMTECH* **1985**, *15* (11), 692-700.
- 111 T. Katsuki and K.B. Sharpless, Method for Asymmetric Epoxidation, U.S. Patent 4,471,130, 1984, assigned to Stanford University.
- 110 Behrens, C. H.; Sharpless, K. B., Selective Transformations of 2,3-Epoxy Alcohols and Related Derivatives. Strategies for Nucleophilic Attack at Carbon-3 or Carbon-2. *J. Org. Chem.* **1985**, *50* (26), 5696-704. <https://doi.org/10.1021/jo00350a051>
- 109 Behrens, C. H.; Ko, S. Y.; Sharpless, K. B.; Walker, F. J., Selective Transformation of 2,3-Epoxy Alcohols and Related Derivatives. Strategies for Nucleophilic Attack at Carbon-1. *J. Org. Chem.* **1985**, *50* (26), 5687-96. <https://doi.org/10.1021/jo00350a050>
- 108 Chong, J. M.; Sharpless, K. B., Regioselective Openings of 2,3-Epoxy Acids with Organocuprates. *Tetrahedron Lett.* **1985**, *26* (39), 4683-6. [https://doi.org/10.1016/S0040-4039\(00\)94923-2](https://doi.org/10.1016/S0040-4039(00)94923-2)
- 107 Miyano, S.; Lu, L. D. L.; Viti, S. M.; Sharpless, K. B., Kinetic Resolution of Racemic B-Hydroxy Amines by Enantioselective N-Oxide Formation. *J. Org. Chem.* **1985**, *50* (22), 4350-60. <https://doi.org/10.1021/jo00222a030>
- 106 Chong, J. M.; Sharpless, K. B., Nucleophilic Opening of 2,3-Epoxy Acids and Amides Mediated by Titanium Isopropoxide. Highly Enhanced C-3 Selectivity. *J. Org. Chem.* **1985**, *50* (9), 1560-3. <https://doi.org/10.1021/jo00209a048>
- 105 Caron, M.; Sharpless, K. B., Titanium Isopropoxide-Mediated Nucleophilic Openings of 2,3-Epoxy Alcohols. A Mild Procedure for Regioselective Ring-Opening. *J. Org. Chem.* **1985**, *50* (9), 1557-60. <https://doi.org/10.1021/jo00209a047>
- 104 Schweiter, M. J.; Sharpless, K. B., The Asymmetric Epoxidation of Tert-Butyl Substituted Allylic Alcohols. *Tetrahedron Lett.* **1985**, *26* (21), 2543-6. [https://doi.org/10.1016/S0040-4039\(00\)98832-4](https://doi.org/10.1016/S0040-4039(00)98832-4)
- 103 Kirshenbaum, K. S.; Sharpless, K. B., Improved Procedure for the Tungstate-Catalyzed Epoxidation of A,B-Unsaturated Acids. *J. Org. Chem.* **1985**, *50* (11), 1979-82. <https://doi.org/10.1021/jo00211a040>
- 102 Klunder, J. M.; Caron, M.; Uchiyama, M.; Sharpless, K. B., Chlorohydroxylation of Olefins with Peroxides and Titanium Tetrachloride. *J. Org. Chem.* **1985**, *50* (6), 912-15. <https://doi.org/10.1021/jo00206a048>
- 101 Finn, M. G.; Sharpless, K. B. In *On the Mechanism of Asymmetric Epoxidation with Titanium-Tartrate Catalysts*, Academic: 1985; pp 247-308.
- 100 Adams, C. E.; Walker, F. J.; Sharpless, K. B., Enantioselective Synthesis of Swainsonine, a Trihydroxylated Indolizidine Alkaloid. *J. Org. Chem.* **1985**, *50* (3), 420-2. <https://doi.org/10.1021/jo00203a039>
- 99 Williams, I. D.; Pedersen, S. F.; Sharpless, K. B.; Lippard, S. J., Crystal Structures of Two Titanium Tartrate Asymmetric Epoxidation Catalysts. *J. Am. Chem. Soc.* **1984**, *106* (21), 6430-1. <https://doi.org/10.1021/ja00333a060>
- 98 Hawkins, J. M.; Sharpless, K. B., Threo-N,N'-Bis(A-Methylbenzyl)Sulfamide: A Readily Available Chiral Ligand for Asymmetric Lithium Aluminum Hydride Reductions. *J. Org. Chem.* **1984**, *49* (20), 3861-2. <https://doi.org/10.1021/jo00194a048>

- 97 Rossiter, B. E.; Sharpless, K. B., Asymmetric Epoxidation of Homoallylic Alcohols. Synthesis of (-)- $\Gamma$ -Amino-B-(R)-Hydroxybutyric Acid (Gabob). *J. Org. Chem.* **1984**, *49* (20), 3707-11. <https://doi.org/10.1021/jo00194a007>
- 96 Sharpless, K. B., Discovery of the Titanium-Catalyzed Asymmetric Epoxidation - a Personal Account. *Proc. Robert A. Welch Found. Conf. Chem. Res.* **1984**, *27*, 59-89.
- 95 Lu, L. D. L.; Johnson, R. A.; Finn, M. G.; Sharpless, K. B., Two New Asymmetric Epoxidation Catalysts. Unusual Stoichiometry and Inverse Enantiofacial Selection. *J. Org. Chem.* **1984**, *49* (4), 728-31. <https://doi.org/10.1021/jo00178a039>
- 94 Behrens, C. H.; Sharpless, K. B., New Transformations of 2,3-Epoxy Alcohols and Related Derivatives. Easy Routes to Homochiral Substances. *Aldrichimica Acta* **1983**, *16* (4), 67-80.
- 93 Sharpless, K. B.; Woodard, S. S.; Finn, M. G., On the Mechanism of Titanium-Tartrate Catalyzed Asymmetric Epoxidation. *Pure Appl. Chem.* **1983**, *55* (11), 1823-36. <https://doi.org/10.1351/pac198355111823>
- 92 Miyano, S.; Lu, L. D. L.; Viti, S. M.; Sharpless, K. B., Kinetic Resolution of Racemic B-Hydroxy Amines by Enantioselective N-Oxide Formation. *J. Org. Chem.* **1983**, *48* (20), 3608-11. <https://doi.org/10.1021/jo00168a064>
- 91 Hill, J. G.; Rossiter, B. E.; Sharpless, K. B., Anhydrous Tert-Butyl Hydroperoxide in Toluene: The Preferred Reagent for Applications Requiring Dry Tbh<sub>p</sub>. *J. Org. Chem.* **1983**, *48* (20), 3607-8. <https://doi.org/10.1021/jo00168a063>
- 90 Ko, S. Y.; Lee, A. W. M.; Masamune, S.; Reed, L. A., III; Sharpless, K. B.; Walker, F. J., Total Synthesis of the L-Hexoses. *Science (Washington, D. C., 1883-)* **1983**, *220* (4600), 949-51. <https://doi.org/10.1126/science.220.4600.949>
- 89 Herranz, E.; Sharpless, K. B., Osmium-Catalyzed Vicinal Oxyamination of Olefins by N-Chloro-N-Argentocarbamates: Ethyl Threo-[1-(2-Hydroxy-1,2-Diphenylethyl)]Carbamate. [Carbamic Acid, (2-Hydroxy-1,2-Diphenylethyl)-, Ethyl Ester, (R\*R\*)-]. *Org. Synth.* **1983**, *61*, 93. <https://doi.org/10.15227/orgsyn.061.0093>
- 88 Herranz, E.; Sharpless, K. B., Osmium-Catalyzed Vicinal Hydroxyamination of Olefins by Chloramine-T: Cis-2-(P-Toluenesulfonamido)Cyclohexanol and 2-Methyl-3-(P-Toluenesulfonamido)-2-Pentanol. [Benzenesulfonamide, N-(2-Hydroxycyclohexyl)-4-Methyl-, Cis-]. *Org. Synth.* **1983**, *61*, 85-93. <https://doi.org/10.15227/orgsyn.061.0085>
- 87 Reed, L. A., III; Ito, Y.; Masamune, S.; Sharpless, K. B., Synthesis of Saccharides and Related Polyhydroxylated Natural Products. 4. A-D- and B-D-C-Glycopyranosides (2,6-Dialkyl-Substituted Tetrahydropyrans). *J. Am. Chem. Soc.* **1982**, *104* (23), 6468-70. <https://doi.org/10.1021/ja00387a062>
- 86 Sharpless, K. B.; Behrens, C. H.; Katsuki, T.; Lee, A. W. M.; Martin, V. S.; Takatani, M.; Viti, S. M.; Walker, F. J.; Woodard, S. S., Stereo and Regioselective Openings of Chiral 2,3-Epoxy Alcohols. Versatile Routes to Optically Pure Natural Products and Drugs. Unusual Kinetic Resolutions. *Pure Appl. Chem.* **1983**, *55* (4), 589-604. <https://doi.org/10.1351/pac198855040589>
- 85 Gonnella, N. C.; Nakanishi, K.; Martin, V. S.; Sharpless, K. B., General Method for Determining Absolute Configuration of Acyclic Allylic Alcohols. *J. Am. Chem. Soc.* **1982**, *104* (13), 3775-6. <https://doi.org/10.1021/ja00377a063>
- 84 Warpehoski, M. A.; Chabaud, B.; Sharpless, K. B., Selenium Dioxide Oxidation of Endocyclic Olefins. Evidence for a Dissociation-Recombination Pathway. *J. Org. Chem.* **1982**, *47* (15), 2897-900. <https://doi.org/10.1021/jo00136a017>
- 83 Lee, A. W. M.; Martin, V. S.; Masamune, S.; Sharpless, K. B.; Walker, F. J., Synthesis of Saccharides and Related Polyhydroxylated Natural Products. 3. Efficient Conversion of 2,3-Erythro-Aldoses to 2,3-Threo-Aldoses. *J. Am. Chem. Soc.* **1982**, *104* (12), 3515-16. <https://doi.org/10.1021/ja00376a050>

- 82 Ma, P.; Martin, V. S.; Masamune, S.; Sharpless, K. B.; Viti, S. M., Synthesis of Saccharides and Related Polyhydroxylated Natural Products. 2. Simple Deoxyalditols. *J. Org. Chem.* **1982**, *47* (7), 1378-80. <https://doi.org/10.1021/jo00346a052>
- 81 Katsuki, T.; Lee, A. W. M.; Ma, P.; Martin, V. S.; Masamune, S.; Sharpless, K. B.; Tuddenham, D.; Walker, F. J., Synthesis of Saccharides and Related Polyhydroxylated Natural Products. 1. Simple Alditols. *J. Org. Chem.* **1982**, *47* (7), 1373-8. <https://doi.org/10.1021/jo00346a051>
- 80 Martin, V. S.; Woodard, S. S.; Katsuki, T.; Yamada, Y.; Ikeda, M.; Sharpless, K. B., Kinetic Resolution of Racemic Allylic Alcohols by Enantioselective Epoxidation. A Route to Substances of Absolute Enantiomeric Purity? *J. Am. Chem. Soc.* **1981**, *103* (20), 6237-40. <https://doi.org/10.1021/ja00410a053>
- 79 Umbreit, M. A.; Sharpless, K. B., Deoxygenation of Epoxides with Lower Valent Tungsten Halides: Trans-Cyclododecene. *Org. Synth.* **1981**, *60*, 29-34. <https://doi.org/10.15227/orgsyn.060.0029>
- 78 Carlsen, P. H. J.; Katsuki, T.; Martin, V. S.; Sharpless, K. B., A Greatly Improved Procedure for Ruthenium Tetroxide Catalyzed Oxidations of Organic Compounds. *J. Org. Chem.* **1981**, *46* (19), 3936-8. <https://doi.org/10.1021/jo00332a045>
- 77 Morgans, D. J., Jr.; Sharpless, K. B.; Traynor, S. G., Epoxy Alcohol Rearrangements: Hydroxyl-Mediated Delivery of Lewis Acid Promoters. *J. Am. Chem. Soc.* **1981**, *103* (2), 462-4. <https://doi.org/10.1021/ja00392a037>
- 76 Rossiter, B. E.; Katsuki, T.; Sharpless, K. B., Asymmetric Epoxidation Provides Shortest Routes to Four Chiral Epoxy Alcohols Which Are Key Intermediates in Syntheses of Methymycin, Erythromycin, Leukotriene C-1, and Disparlure. *J. Am. Chem. Soc.* **1981**, *103* (2), 464-5. <https://doi.org/10.1021/ja00392a038>
- 75 Katsuki, T.; Sharpless, K. B., The First Practical Method for Asymmetric Epoxidation. *J. Am. Chem. Soc.* **1980**, *102* (18), 5974-6. <https://doi.org/10.1021/ja00538a077>
- 74 Hentges, S. G.; Sharpless, K. B., Improved Procedure for the Oxyamination of Olefins with Trioxo(Tert-Butylimido)Osmium(VIII). *J. Org. Chem.* **1980**, *45* (11), 2257-9. <https://doi.org/10.1021/jo01299a045>
- 73 Hentges, S. G.; Sharpless, K. B., Asymmetric Induction in the Reaction of Osmium Tetroxide with Olefins. *J. Am. Chem. Soc.* **1980**, *102* (12), 4263-5. <https://doi.org/10.1021/ja00532a050>
- 72 Herranz, E.; Sharpless, K. B., Osmium-Catalyzed Vicinal Oxyamination of Olefins by N-Chloro-N-Metallo carbamates. *J. Org. Chem.* **1980**, *45* (13), 2710-13. <https://doi.org/10.1021/jo01301a033>
- 71 Sharpless, K. B.; Verhoeven, T. R., Metal-Catalyzed, Highly Selective Oxygenations of Olefins and Acetylenes with Tert-Butyl Hydroperoxide. Practical Considerations and Mechanisms. *Aldrichimica Acta* **1979**, *12* (4), 63-74.
- 70 Rossiter, B. E.; Verhoeven, T. R.; Sharpless, K. B., Stereoselective Epoxidation of Acyclic Allylic Alcohols. A Correction of Our Previous Work. *Tetrahedron Lett.* **1979**, (49), 4733-6. [https://doi.org/10.1016/S0040-4039\(01\)86696-X](https://doi.org/10.1016/S0040-4039(01)86696-X)
- 69 Chabaud, B.; Sharpless, K. B., Oxidation of Acetylenes with Tert-Butyl Hydroperoxide Catalyzed by Selenium Dioxide. A,A'-Dioxygenation of Internal Alkynes. *J. Org. Chem.* **1979**, *44* (23), 4202-4. <https://doi.org/10.1021/jo01337a045>
- 68 Hori, T.; Sharpless, K. B., Selenium-Catalyzed Nonradical Chlorination of Olefins with N-Chlorosuccinimide. *J. Org. Chem.* **1979**, *44* (23), 4204-8. <https://doi.org/10.1021/jo01337a046>
- 67 Hori, T.; Sharpless, K. B., Conversion of Allylic Phenylselenides to the Rearranged Allylic Chlorides by N-Chlorosuccinimide. Mechanism of Selenium-Catalyzed Allylic Chlorination of B-Pinene. *J. Org. Chem.* **1979**, *44* (23), 4208-10. <https://doi.org/10.1021/jo01337a047>

- 66 Baeckvall, J. E.; Oshima, K.; Palermo, R. E.; Sharpless, K. B., Some Reactions of N-(2-Hydroxyalkyl)-P-Toluenesulfonamides and N-Allyl-P-Toluenesulfonamides. *J. Org. Chem.* **1979**, *44* (12), 1953-7. <https://doi.org/10.1021/jo01326a013>
- 65 Current, S.; Sharpless, K. B., Carbonyl Participation in the Addition of P-Chlorophenylselenenyl Bromide to (E)-4-Hexenal: A New Route to 2,6-Dideoxyglycosides. *Tetrahedron Lett.* **1978**, (51), 5075-8. [https://doi.org/10.1016/S0040-4039\(01\)85816-0](https://doi.org/10.1016/S0040-4039(01)85816-0)
- 64 Liebeskind, L. S.; Sharpless, K. B.; Wilson, R. D.; Ibers, J. A., The First D0 Metallooxaziridines. Amination of Olefins. *J. Am. Chem. Soc.* **1978**, *100* (22), 7061-3. <https://doi.org/10.1021/ja00490a047>
- 63 Herranz, E.; Biller, S. A.; Sharpless, K. B., Osmium-Catalyzed Vicinal Oxyamination of Olefins by N-Chloro-N-Argentocarbamates. *J. Am. Chem. Soc.* **1978**, *100* (11), 3596-8. <https://doi.org/10.1021/ja00479a051>
- 62 Herranz, E.; Sharpless, K. B., Improvements in the Osmium-Catalyzed Oxyamination of Olefins by Chloramine-T. *J. Org. Chem.* **1978**, *43* (12), 2544-8. <https://doi.org/10.1021/jo00406a057>
- 61 Frejd, T.; Sharpless, K. B., A New Rearrangement of N-Arylhydroxamic Acids Catalyzed by Seleninic Acids and Phenylselenenyl Chloride. *Tetrahedron Lett.* **1978**, (26), 2239-42. [https://doi.org/10.1016/S0040-4039\(01\)91501-1](https://doi.org/10.1016/S0040-4039(01)91501-1)
- 60 Patrick, D. W.; Truesdale, L. K.; Biller, S. A.; Sharpless, K. B., Stereospecific Vicinal Oxyamination of Olefins by Alkylimidoosmium Compounds. *J. Org. Chem.* **1978**, *43* (13), 2628-38. <https://doi.org/10.1021/jo00407a016>
- 59 Akashi, K.; Palermo, R. E.; Sharpless, K. B., A Major Improvement in the Osmium Catalyzed Vicinal Hydroxylation of Olefins by Tert-Butyl Hydroperoxide. *J. Org. Chem.* **1978**, *43* (10), 2063-6. <https://doi.org/10.1021/jo00404a052>
- 58 Hori, T.; Sharpless, K. B., Synthetic Applications of Arylselenenic and Arylseleninic Acids. Conversion of Olefins to Allylic Alcohols and Epoxides. *J. Org. Chem.* **1978**, *43* (9), 1689-97. <https://doi.org/10.1021/jo00403a015>
- 57 Singer, S. P.; Sharpless, K. B., Synthesis of DI-Gabaculine Utilizing Direct Allylic Amination as the Key Step. *J. Org. Chem.* **1978**, *43* (7), 1448-55. <https://doi.org/10.1021/jo00401a034>
- 56 Hori, T.; Singer, S. P.; Sharpless, K. B., Allylic Deuteration and Tritiation of Olefins with N-Sulfinylsulfonamides. *J. Org. Chem.* **1978**, *43* (7), 1456-9. <https://doi.org/10.1021/jo00401a035>
- 55 Baeckvall, J. E.; Young, M. W.; Sharpless, K. B., Vicinal Acetoxychlorination of Olefins by Chromyl Chloride in Acetyl Chloride. *Tetrahedron Lett.* **1977**, (40), 3523-6. [https://doi.org/10.1016/S0040-4039\(01\)83282-2](https://doi.org/10.1016/S0040-4039(01)83282-2)
- 54 Umbreit, M. A.; Sharpless, K. B., Allylic Oxidation of Olefins by Catalytic and Stoichiometric Selenium Dioxide with Tert-Butyl Hydroperoxide. *J. Am. Chem. Soc.* **1977**, *99* (16), 5526-8. <https://doi.org/10.1021/ja00458a072>
- 53 Chong, A. O.; Oshima, K.; Sharpless, K. B., Synthesis of Dioxobis(Tert-Alkylimido)Osmium(VIII) and Oxotris(Tert-Alkylimido)Osmium(VIII) Complexes. Stereospecific Vicinal Diamination of Olefins. *J. Am. Chem. Soc.* **1977**, *99* (10), 3420-6. <https://doi.org/10.1021/ja00452a039>
- 52 Sharpless, K. B.; Teranishi, A. Y.; Backvall, J. E., Chromyl Chloride Oxidations of Olefins. Possible Role of Organometallic Intermediates in the Oxidations of Olefins by Oxo Transition Metal Species. *J. Am. Chem. Soc.* **1977**, *99* (9), 3120-8. <https://doi.org/10.1021/ja00451a043>
- 51 Chong, A. O.; Sharpless, K. B., Mechanism of the Molybdenum and Vanadium Catalyzed Epoxidation of Olefins by Alkyl Hydroperoxides. *J. Org. Chem.* **1977**, *42* (9), 1587-90. <https://doi.org/10.1021/jo00429a024>

- 50 Michaelson, R. C.; Palermo, R. E.; Sharpless, K. B., Chiral Hydroxamic Acids as Ligands in the Vanadium Catalyzed Asymmetric Epoxidation of Allylic Alcohols by Tert-Butyl Hydroperoxide. *J. Am. Chem. Soc.* **1977**, *99* (6), 1990-2. <https://doi.org/10.1021/ja00448a059>
- 49 Sharpless, K. B.; Singer, S. P., 1,2-Diamination of 1,3-Dienes by Imido Selenium Compounds. *J. Org. Chem.* **1976**, *41* (14), 2504-6. <https://doi.org/10.1021/jo00876a040>
- 48 Sharpless, K. B.; Akashi, K.; Oshima, K., Ruthenium-Catalyzed Oxidation of Alcohols to Aldehydes and Ketones by Amine-N-Oxides. *Tetrahedron Lett.* **1976**, (29), 2503-6. [https://doi.org/10.1016/S0040-4039\(00\)78130-5](https://doi.org/10.1016/S0040-4039(00)78130-5)
- 47 Sharpless, K. B.; Akashi, K., Osmium Catalyzed Vicinal Hydroxylation of Olefins by Tert-Butyl Hydroperoxide under Alkaline Conditions. *J. Am. Chem. Soc.* **1976**, *98* (7), 1986-7. <https://doi.org/10.1021/ja00423a067>
- 46 Sharpless, K. B.; Chong, A. O.; Oshima, K., Osmium-Catalyzed Vicinal Oxyamination of Olefins by Chloramine-T. *J. Org. Chem.* **1976**, *41* (1), 177-9. <https://doi.org/10.1021/jo00863a052>
- 45 Sharpless, K. B.; Hori, T., Allylic Amination of Olefins and Acetylenes by Imido Sulfur Compounds. *J. Org. Chem.* **1976**, *41* (1), 176-7. <https://doi.org/10.1021/jo00863a051>
- 44 Sharpless, K. B.; Gordon, K. M., Selenium Dioxide Oxidation of Ketones and Aldehydes. Evidence for the Intermediacy of B-Ketoseleninic. *J. Am. Chem. Soc.* **1976**, *98* (1), 300-1. <https://doi.org/10.1021/ja00417a083>
- 43 Sharpless, K. B.; Hori, T.; Truesdale, L. K.; Dietrich, C. O., Allylic Amination of Olefins and Acetylenes by Imido Selenium Compounds. *J. Am. Chem. Soc.* **1976**, *98* (1), 269-71. <https://doi.org/10.1021/ja00417a062>
- 42 Sharpless, K. B.; Akashi, K., Oxidation of Alcohols to Aldehydes by Reagents Derived from Chromyl Chloride. *J. Am. Chem. Soc.* **1975**, *97* (20), 5927-8. <https://doi.org/10.1021/ja00853a055>
- 41 Sharpless, K. B.; Gordon, K. M.; Lauer, R. F.; Patrick, D. W.; Singer, S. P.; Young, M. W., The Utility of Selenium Reagents in Organic Synthesis. *Chem. Scr.* **1975**, *8A*, 9-13.
- 40 Nelson, J. A.; Kahn, S.; Spencer, T. A.; Sharpless, K. B.; Clayton, R. B., Some Aspects of Substrate Specificity in Biological Demethylation at C4 of Steroids. *Bioorg. Chem.* **1975**, *4* (4), 363-76. [https://doi.org/10.1016/0045-2068\(75\)90047-4](https://doi.org/10.1016/0045-2068(75)90047-4)
- 39 Sharpless, K. B.; Williams, D. R., Reactions of Olefins with Permanganate, Ruthenium Tetroxide, and Osmium Tetroxide. Dependence of Rate on Degree of Substitution. *Tetrahedron Lett.* **1975**, (35), 3045-6. [https://doi.org/10.1016/S0040-4039\(00\)75068-4](https://doi.org/10.1016/S0040-4039(00)75068-4)
- 38 Sharpless, K. B.; Chong, A. O.; Scott, J. A., Rapid Separation of Organic Mixtures by Formation of Metal Complexes. *J. Org. Chem.* **1975**, *40* (9), 1252-7. <https://doi.org/10.1021/jo00897a015>
- 37 Sharpless, K. B.; Patrick, D. W.; Truesdale, L. K.; Biller, S. A., New Reaction. Stereospecific Vicinal Oxyamination of Olefins by Alkyl Imido Osmium Compounds. *J. Am. Chem. Soc.* **1975**, *97* (8), 2305-7. <https://doi.org/10.1021/ja00841a071>
- 36 Sharpless, K. B.; Young, M. W., Olefin Synthesis. Rate Enhancement of the Elimination of Alkyl Aryl Selenoxides by Electron-Withdrawing Substituents. *J. Org. Chem.* **1975**, *40* (7), 947-9. <https://doi.org/10.1021/jo00895a030>
- 35 Jensen, H. P.; Sharpless, K. B., Selenium Dioxide Oxidation of D-Limonene. Reinvestigation. *J. Org. Chem.* **1975**, *40* (2), 264-5. <https://doi.org/10.1021/jo00890a030>
- 34 Jensen, H. P.; Sharpless, K. B., Improved Procedure for the Direct Oxidation of Olefins to  $\alpha$ -Diketones by Potassium Permanganate in Acetic Anhydride. *J. Org. Chem.* **1974**, *39* (15), 2314. <https://doi.org/10.1021/jo00929a050>
- 33 Sharpless, K. B.; Jensen, H. P., Synthesis of a Novel Pentacoordinate Glyoxime-Based Ligand and Preparation of Its Chlorocobalt(III) Complex. *Inorg. Chem.* **1974**, *13* (11), 2617-20. <https://doi.org/10.1021/ic50141a017>

- 32 Tanaka, S.; Yamamoto, H.; Nozaki, H.; Sharpless, K. B.; Michaelson, R. C.; Cutting, J. D., Stereoselective Epoxidations of Acyclic Allylic Alcohols by Transition Metal-Hydroperoxide Reagents. Synthesis of DI-C18 Cecropia Juvenile Hormone from Farnesol. *J. Am. Chem. Soc.* **1974**, *96* (16), 5254-5. <https://doi.org/10.1021/ja00823a042>
- 31 Sharpless, K. B.; Lauer, R. F., Electrophilic Organoselenium Reagents. New Route to Allylic Acetates and Ethers. *J. Org. Chem.* **1974**, *39* (3), 429-30. <https://doi.org/10.1021/jo00917a038>
- 30 Arigoni, D.; Vasella, A.; Sharpless, K. B.; Jensen, H. P., Selenium Dioxide Oxidations of Olefins. Trapping of the Allylic Seleninic Acid Intermediate as a Seleninolactone. *J. Amer. Chem. Soc.* **1973**, *95* (23), 7917-19. <https://doi.org/10.1021/ja00804a087>
- 29 Sharpless, K. B.; Lauer, R. F.; Teranishi, A. Y., Electrophilic and Nucleophilic Organoselenium Reagents. New Routes to A,B-Unsaturated Carbonyl Compounds. *J. Amer. Chem. Soc.* **1973**, *95* (18), 6137-9. <https://doi.org/10.1021/ja00799a062>
- 28 Sharpless, K. B.; Michaelson, R. C., High Stereo- and Regioselectivities in the Transition Metal Catalyzed Epoxidations of Olefinic Alcohols by Tert-Butyl Hydroperoxide. *J. Amer. Chem. Soc.* **1973**, *95* (18), 6136-7. <https://doi.org/10.1021/ja00799a061>
- 27 Sharpless, K. B.; Young, M. W.; Lauer, R. F., Reactions of Selenoxides. Thermal Syn-Elimination and Oxygen-18 Labeled Water Exchange. *Tetrahedron Lett.* **1973**, (22), 1979-82. [https://doi.org/10.1016/S0040-4039\(01\)96098-8](https://doi.org/10.1016/S0040-4039(01)96098-8)
- 26 Sharpless, K. B.; Lauer, R. F., Mild Procedure for the Conversion of Epoxides to Allylic Alcohols. First Organoselenium Reagent. *J. Amer. Chem. Soc.* **1973**, *95* (8), 2697-9. <https://doi.org/10.1021/ja00789a055>
- 25 Sharpless, K. B.; Teranishi, A. Y., Chromyl Chloride in Acetone. A-Chloro Ketones and Ketones Directly from Olefins. *J. Org. Chem.* **1973**, *38* (1), 185-6. <https://doi.org/10.1021/jo00941a054>
- 24 Sharpless, K. B.; Lauer, R. F., Facile Thermal Rearrangements of Allyl Selenides and Diselenides. [1,3] and [2,3] Shifts. *J. Org. Chem.* **1972**, *37* (24), 3973-4. <https://doi.org/10.1021/jo00797a058>
- 23 Sharpless, K. B.; Lauer, R. F., Selenium Dioxide Oxidation of Olefins. Evidence for the Intermediacy of Allylseleninic Acids. *J. Amer. Chem. Soc.* **1972**, *94* (20), 7154-5. <https://doi.org/10.1021/ja00775a050>
- 22 Townsend, J. M.; Sharpless, K. B., Mechanism of Decomposition of Sulfonium Betaines to Epoxides. *Tetrahedron Lett.* **1972**, (32), 3313-16. [https://doi.org/10.1016/S0040-4039\(01\)94031-6](https://doi.org/10.1016/S0040-4039(01)94031-6)
- 21 Sharpless, K. B.; Umbreit, M. A.; Nieh, M. T.; Flood, T. C., Lower Valent Tungsten Halides. New Class of Reagents for Deoxygenation of Organic Molecules. *J. Amer. Chem. Soc.* **1972**, *94* (18), 6538-40. <https://doi.org/10.1021/ja00773a045>
- 20 Sharpless, K. B.; Flood, T. C., Direct Deoxygenation of Vicinal Diols with Tungsten(IV). New Olefin Synthesis. *J. Chem. Soc., Chem. Commun.* **1972**, (7), 370-1. <https://doi.org/10.1039/c39720000370>
- 19 Sharpless, K. B.; Townsend, J. M.; Williams, D. R., Mechanism of Epoxidation of Olefins by Covalent Peroxides of Molybdenum(VI). *J. Amer. Chem. Soc.* **1972**, *94* (1), 295-6. <https://doi.org/10.1021/ja00756a062>
- 18 Sharpless, K. B.; Lauer, R. F.; Repic, O.; Teranishi, A. Y.; Williams, D. R., Permanganate in Acetic Anhydride. A-Diketones Directly from Olefins. *J. Amer. Chem. Soc.* **1971**, *93* (13), 3303-4. <https://doi.org/10.1021/ja00742a045>
- 17 Sharpless, K. B.; Flood, T. C., Oxotransition Metal Oxidants as Mimics for the Action of Mixed-Function Oxygenases. "Nih Shift" with Chromyl Reagents. *J. Amer. Chem. Soc.* **1971**, *93* (9), 2316-18. <https://doi.org/10.1021/ja00738a039>
- 16 Sharpless, K. B., D,1-Malabaricanediol. First Cyclic Natural Product Derived from Squalene in a Nonenzymic Process. *J. Amer. Chem. Soc.* **1970**, *92* (23), 6999-7001. <https://doi.org/10.1021/ja00726a064>

- 15 Sharpless, K. B., Synthesis of Erythro-18,19-Dihydroxysqualene 2,3-Oxide and Other Internally Oxidized Squalene Derivatives. *J. Chem. Soc. D* **1970**, (21), 1450-1. <https://doi.org/10.1039/c29700001450>
- 14 Rahman, R.; Sharpless, K. B.; Spencer, T. A.; Clayton, R. B., Removal of the 4,4-Dimethyl Carbons in the Enzymic Conversion of Lanosterol to Cholesterol: Initial Loss of the 4 $\alpha$ -Methyl Group. *Journal of Biological Chemistry* **1970**, *245* (10), 2667-2671. [https://doi.org/10.1016/S0021-9258\(18\)63121-7](https://doi.org/10.1016/S0021-9258(18)63121-7)
- 13 Sharpless, K. B.; Snyder, T. E.; Spencer, T. A.; Maheshwari, K. K.; Nelson, J. A.; Clayton, R. B., Biological Demethylation of 4,4-Dimethyl Sterols. Evidence for Enzymic Epimerization of the 4 $\beta$ -Methyl Group Prior to Its Oxidative Removal. *J. Amer. Chem. Soc.* **1969**, *91* (12), 3394-6. <https://doi.org/10.1021/ja01040a065>
- 12 Anderson, R. J.; Hanzlik, R. P.; Sharpless, K. B.; van Tamelen, E. E.; Clayton, R. B., Enzymic Transformation of an Acyclic Sesterterpene Terminal Epoxide into a Lanosterol Analogue. *Journal of the Chemical Society D: Chemical Communications* **1969**, (2), 53-54. <https://doi.org/10.1039/C29690000053>
- 11 Van Tamelen, E. E.; Akermark, B.; Sharpless, K. B., Mechanism of the Titanium-Promoted Deoxygenative Coupling of Allyl and Benzyl Alcohols to Hydrocarbons. *J. Amer. Chem. Soc.* **1969**, *91* (6), 1552-4. <https://doi.org/10.1021/ja01034a058>
- 10 Sharpless, K. B.; Van Tamelen, E. E., Terpene Terminal Epoxides. Skeletal Rearrangement Accompanying Bicyclization of Squalene 2,3-Oxide. *J. Amer. Chem. Soc.* **1969**, *91* (7), 1848-9. <https://doi.org/10.1021/ja01035a043>
- 9 Sharpless, K. B.; Snyder, T. E.; Spencer, T. A.; Maheshwari, K. K.; Guhn, G.; Clayton, R. B., Biological Demethylation of 4,4-Dimethyl Sterols. Initial Removal of the 4 $\alpha$ -Methyl Group. *J. Amer. Chem. Soc.* **1968**, *90* (24), 6874-5. <https://doi.org/10.1021/ja01026a073>
- 8 Sharpless, K. B. Studies of the Mechanism of Action of 2,3-Oxidosqualene-Lanosterol Cyclase: Featuring Enzymic Cyclization of Modified Squalene Oxide. Ph.D. Dissertation, Stanford University **1968**.
- 7 Van Tamelen, E. E.; Hanzlik, R. P.; Sharpless, K. B.; Clayton, R. B.; Richter, W. J.; Burlingame, A. L., Enzymic Cyclization of 15-Norsqualene 2,3-Oxide. *J. Amer. Chem. Soc.* **1968**, *90* (12), 3284-6. <https://doi.org/10.1021/ja01014a081>
- 6 Van Tamelen, E. E.; Sharpless, K. B.; Hanzlik, R. P.; Clayton, R. B.; Burlingame, A. L.; Wszolek, P. C., Enzymic Cyclization of Trans,Trans,Trans-18,19-Dehydrosqualene 2,3-Oxide. *J. Amer. Chem. Soc.* **1967**, *89* (26), 7150-1. <https://doi.org/10.1021/ja01002a077>
- 5 Sharpless, K. B.; Hanzlik, R. P.; Van Tamelen, E. E., One-Step Synthesis of 1,5-Dienes Involving Reductive Coupling of Allyl Alcohols. *J. Am. Chem. Soc.* **1968**, *90* (1), 209-10. <https://doi.org/10.1021/ja01003a037>
- 4 Van Tamelen, E. E.; Sharpless, K. B.; Willett, J. D.; Clayton, R. B.; Burlingame, A. L., Biological Activities of Some Terminally Modified Squalene and Squalene 2,3-Oxide Analogs. *J. Am. Chem. Soc.* **1967**, *89* (15), 3920-2. <https://doi.org/10.1021/ja00991a055>
- 3 Willett, J. D.; Sharpless, K. B.; Lord, K. E.; Van Tamelen, E. E.; Clayton, R. B., Squalene-2,3-Oxide, an Intermediate in the Enzymic Conversion of Squalene to Lanosterol and Cholesterol. *J. Biol. Chem.* **1967**, *242* (18), 4182-91.
- 2 Van Tamelen, E. E.; Sharpless, K. B., Positional Selectivity During Controlled Oxidation of Polyolefins. *Tetrahedron Lett.* **1967**, (28), 2655-9. [https://doi.org/10.1016/S0040-4039\(01\)89969-X](https://doi.org/10.1016/S0040-4039(01)89969-X)
- 1 Spencer, T. A.; Schwartz, M. A.; Sharpless, K. B., Synthesis of Resin Acid Intermediates. 8 $\alpha$ ,10 $\beta$ -Dimethyl-8 $\beta$ -Carbomethoxy- $\Delta$ 1,9;3,4-Hexahydronaphthal-2-One and 8 $\alpha$ ,10 $\beta$ -Dimethyl-8 $\beta$ -Carbomethoxy- $\Delta$ 1,9-Octal-2-One. *J. Org. Chem.* **1964**, *29* (4), 782-6. <https://doi.org/10.1021/jo01027a003>