UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE PATENT TRIAL AND APPEAL BOARD

SAWAI USA, INC. and SAWAI PHARMACEUTICAL CO., LTD.,
Petitioners,

v.

ASTELLAS PHARMA INC.,
Patent Owner

Case No. IPR__
Patent No. 6,346,532

DECLARATION OF ROBERT M. WILLIAMS, PH.D.

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I, Robert M. Williams, declare as follows:

I. QUALIFICATIONS

1. My name is Robert M. Williams. I am a tenured University Distinguished Professor of Chemistry at Colorado State University (CSU). I have been a member of the faculty of this university since 1980. I served as the Director for the Colorado Center for Drug Discovery from 2012-2016. I have served as co-Director (Experimental Therapeutics) for the Infectious Diseases Supercluster Initiative and as co-Director (Experimental Therapeutics) for the Cancer Supercluster Initiative at CSU.

2. I received a B.A. in Chemistry from Syracuse University in 1975, and did laboratory research in the field of synthetic organic chemistry under the guidance of the recent Nobel Laureate Professor Ei-ichi Negishi. In 1979, I received both a Master's degree and Ph.D. degree in Organic Chemistry from the Massachusetts Institute of Technology (MIT), under the direction of Professor William H. Rastetter. Upon graduating from MIT, I spent one year (1979-80) as a postdoctoral fellow at Harvard University in the laboratories of the Nobel Laureate, the late Professor Robert B. Woodward, whose laboratory was subsequently managed by Professor Yoshito Kishi following Professor Woodward's untimely passing in July of 1979.

3. Subsequent to my fellowship at Harvard, I served as an Assistant Professor at Colorado State University from 1980–84. I was tenured and promoted early, to the rank of Associate Professor in 1985, and in 1988 I was promoted to the rank of Full Professor. In 2002, I was named a University Distinguished Professor, which is my current position. University Distinguished Professor is the highest academic rank at Colorado State University, with a maximum of fifteen University Distinguished Professors at any given time out of a faculty of about 1,200. This is a lifetime appointment until retirement, whereupon Emeritus status is granted. In addition to my positions at Colorado State University, I was a Visiting Professor of

Chemistry at Harvard University from 1994–95, at which time I was sponsored by Professor Stuart L. Schreiber. I was also a Visiting Professor of Chemistry at the University of California at Berkeley in 1990 and worked in the laboratory of Professor Peter G. Schultz.

4. I have extensive experience in the field of synthetic organic chemistry and medicinal chemistry with an emphasis on biologically active compounds including anti-tumor agents, heterocycles, antibiotics, anti-fungal agents, anti-viral agents, immunomodulators, amino acids, peptides and alkaloids, among many other classes of biologically active organic substances. My organic chemistry research interests include the total synthesis of novel natural and synthetic products, heterocyclic chemistry, asymmetric synthesis, synthetic methodology, and reaction mechanisms. I have extensive experience in the synthesis, chemistry, conformation analysis, biochemical activity, and biological activity of a range of organic compounds.

5. I have expertise in the synthesis, stereochemistry, reactivity and conformation of phenethanolamines and beta-aminoalcohols generally. Several of my publications have dealt specifically with the synthesis of beta-aminoalcohols including: *J. Am. Chem. Soc.*, 1991, *113*, 6976~6981; *Tetrahedron Lett.*, 1994 *35*, 9371-74; *J. Org. Chem.*, 1995, *60*, 6791-97; *Angew. Chem. Int. Ed.* 2001, *40*, 1463-65; *Tetrahedron* 2001, *57*, 6505-09; *Tetrahedron Lett.* 2001, *42*, 4437-40; *Org. Lett.* 2001, *24*, 4287-89; *J. Org. Chem.* 2002, *67*, 6361-65; *Angew. Chem. Int. Ed.* 2004, *43*, 2930-33 *Tetrahedron* 2006, *62*, 4549-62; *Angew. Chem. Int. Ed.* 2005, *44*, 3879-81; *J. Am. Chem. Soc.* 2005, *127*, 12684-90; *Angew. Chem. Int. Ed.* 2007, *46*, 1517; *J. Org. Chem.* 2008, *73*, 9594-600; *Org. Lett.* 2006 *8*, 4051-54; and *Chem. Biol.*, 1995, *2*, 147-56.

6. I have extensive experience in medicinal chemistry with a focus on the synthesis of nitrogen-containing compounds including aromatic amines and phenols, heterocyclic compounds, aromatic compounds generally and alkaloids and have published numerous papers

on the preparation of amines, β-amino alcohols, substituted thiazoles, and related nitrogen-containing compounds as reflected in my list of publications.

7. My research laboratory at Colorado State University has worked extensively on the chemistry and biology of numerous heterocyclic drugs over my career, including Bicyclomycin, Quinocarcin (Quinocarmycin citrate), Tetrazomine, Bioxalomycin, Ecteinascidin 743 (Yondelis® or trabectidin), Renieramycin, Cribrostatin-4, Jorumycin, the Mitomycins, FR900482, FK973, FK317, FK228 (Romidepsin), Largazole, Stephacidins A and B, Avrainvillamide, Spirotryprostatins, TMC-95A/B, Rottlerin and Antimycin amongst many others. Amongst these natural compounds my laboratory has studied, many have nitrogen-substituted and/or oxygen-substituted aromatic rings.

8. I served as the Principal Investigator on a research grant from the National Cancer Institute entitled: *"Multiple Myeloma and Cancer Therapies via Largazole Analogs"* (September 1, 2010 – June 30, 2015) wherein a sub-contract to Professor James E. Bradner's laboratory at Harvard Medical School supported biochemical, cell biology, and pre-clinical studies on developing histone deacetylase inhibitors (HDACI's) is for treating multiple myeloma as both stand-alone and synergistic combination drug therapies.

9. I have an active and formal collaboration with Dr. Douglas H. Thamm, VMD and Dr. Daniel Gustafson, VMD of the Colorado State University Animal Cancer Center on several projects aimed at developing novel anti-cancer therapies for companion animals and humans. This collaborative work has involved formulation of new anti-cancer drugs for potential clinical use and formulations of drugs prepared in my laboratory have been used in animal studies directed by Dr. Thamm.

10. I held a special research grant from the Multiple Myeloma Research Foundation

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