

New 'Heroes of Chemistry' invented medicines that help millions of people

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The script for two research teams inducted today into the American Chemical Society (ACS) scientific "hall of fame" — the ACS Heroes of Chemistry — is a tale of two pills. One team invented a medication that helps people with diabetes control their blood sugar levels. The second team invented a medicine that helps people stop smoking, an addiction that experts regard as today's single greatest preventable cause of death worldwide.

The first team of Heroes — Nancy Thornberry, Ann Weber, Ph.D., and Joseph D. Armstrong III, Ph.D. — played key roles in discovering and developing JANUVIA® (sitagliptin), a diabetes medicine that enhances the body's own ability to regulate blood sugar levels. JANUVIA treats type 2 diabetes, the most common form of diabetes, affecting more than 24 million people in the United States and hundreds of millions worldwide. The trio of scientists work for Merck & Co., Inc., maker of JANUVIA.

The second team of Heroes — Jotham Coe, Ph.D., and Brian O'Neill, Ph.D. — discovered CHANTIX® (varenicline), which is a prescription aid to smoking cessation for adults 18 and over. CHANTIX® is the first product specifically designed for smoking cessation, and at the time of its approval by the U.S. Food and Drug Administration (FDA) it was the first <u>smoking cessation</u> product to enter the market in almost 10 years. CHANTIX is a non-nicotine medication that helps address the physical side of quitting smoking by reducing the urge to smoke. In clinical trials, 44 percent of smokers who took CHANTIX quit smoking versus 18



percent taking a placebo during weeks 9 through 12 of treatment. Patients in these trials also received counseling.

CHANTIX is an important treatment option that has helped many smokers who want to quit. Smoking is the leading preventable cause of premature death. Coe and O'Neill work for Pfizer Inc., which makes CHANTIX.

"The scientists behind today's life-saving medicines and all the other products that sustain everyday life, are largely invisible to the public," noted ACS President Joseph S. Francisco, Ph.D. "Heroes of Chemistry puts these individuals in the spotlight. It showcases the human face of chemistry, and how the hard work and creativity of these scientists transforms society, making life healthier and happier for millions of people around the world."

The U.S. Food and Drug Administration (FDA) approved JANUVIA in October 2006. It was the first of a family of oral medications that inhibit an enzyme, dipeptidyl peptidase 4 (DPP-4), that plays a major role in the body's ability to use glucose, the sugar found in blood. In April 2007, the FDA approved JANUMET, a combination of sitagliptin with metformin.

"Merck is committed to discovering and developing novel medicines and vaccines to address significant unmet medical needs. We are extraordinarily proud of these scientists for their role with JANUVIA," said Peter S. Kim, Ph.D., who nominated Thornberry, Weber, and Armstrong. Kim is president of Merck Research Laboratories.

The FDA approved CHANTIX in May 2006. CHANTIX was modeled after a natural substance called cytisine that occurs in legumes and other plant substances. CHANTIX binds to the same receptor subtype as nicotine, but causes the release of lower levels of the neurotransmitter dopamine. Dopamine is believed to be responsible for the pleasurable



effects of smoking. At the same time, CHANTIX also blocks nicotine from binding. Patients on CHANTIX experienced less urge to smoke cigarettes. The Pfizer team discovered a way to merge two compound classes into a single agent that is now available to help large numbers of smokers.

"Through May 2009, this medication has been approved in 86 countries and more than 7 million people have been prescribed CHANTIX in the United States alone," Rod MacKenzie, Ph.D., noted in nominating Coe and O'Neill. MacKenzie is Senior Vice President of Pfizer Inc. and Head of Worldwide Research for PharmaTherapeutics R&D. Worldwide sales in 2009 totaled \$700 million, he said.

"The annual healthcare burden for smoking related cancers, cardiovascular disease, and respiratory disorders in the U.S. is estimated at \$167 billion," MacKenzie said. "Varenicline provides a targeted, safe and effective method to positively impact this unnecessary burden on society."

The 2010 Heroes of Chemistry will be honored on Aug. 22 in Boston during the 240th National Meeting of the ACS, the world's largest scientific society. The awards ceremony takes place at the Liberty Hotel, 215 Charles Street, Boston, Mass., 02114.

Provided by American Chemical Society

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