

Human BioMolecular



Research Institute

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For Immediate Release

Novel Tertiary Sulfonamides as Potent Anti-Cancer Agents

Small molecule potently decreases breast cancer, featured in *Bioorganic and Medicinal Chemistry*

San Diego, Calif., July 28, 2018 – Researchers at the Human BioMolecular Research Institute, Stanford University and ChemRegen, Inc., have created a small molecule that potently inhibits breast cancer. Writing July 26, 2018 in the journal *Bioorganic and Medicinal Chemistry*, the team describes how they synthesized and tested HBRI-1, a synthetic, drug-like compound that can be used to decrease breast cancer.

“In the United States, because breast cancer is the most prevalent form of cancer and second most prevalent cause of death for adult women, we need to develop effective medications to treat breast cancer” said Karl J. Okolotowicz, Ph.D., lead author of the study. “Using a non-toxic small molecule to decrease breast cancer is very attractive.”

Medicinal chemistry leads to safe anti-cancer drug

In an earlier study, the team screened a large collection of small molecules to find one that might one day become a drug therapy to treat cancer. Now, a team of medicinal chemists at the Human BioMolecular Research Institute, led by John Cashman, Ph.D., refined the lead compound with dynamic medicinal chemistry to produce a molecule called HBRI-1. They used chemical synthesis to optimize HBRI-1. When added to breast cancer cells, HBRI-1 potently inhibited breast cancer cell proliferation. When HBRI-1 was added to breast cancer cells with Doxorubicin, a standard of care, HBRI-1 made Doxorubicin much more effective.

“In the future, this molecule could be used alone or with other chemotherapy albeit at lower doses, as a new therapeutic drug to combat breast cancer. This may lead to

much less toxicity to the patient,” explained Jiongjia Cheng, Ph.D., a researcher in Cashman’s lab and co-author of the paper.

Okolotowicz, Cheng, Mercola, and Cashman are now working with San Diego biotech company ChemRegen, Inc., to further develop HBRI-1 into a therapeutic drug.

How HBRI-1 works

Developing new medications for breast cancer and other cancers is important. For adult women in the United States, breast cancer is the most prevalent form of cancer. For breast cancer, like other cancers, the challenging part is figuring out the cellular pathways that direct cancer growth and how these pathways can be interrupted and halted. A non-toxic chemical that inhibits key cancer-promoting pathways could be used as a very promising strategy.

In breast cancer, HBRI-1 works by affecting a cellular process known as apoptosis. Apoptosis is involved in cancer cell proliferation. Apoptosis is a process that tells the cell when to stop dividing and it influences other cell behaviors, such as proliferation and differentiation. With apoptosis signaling is turned on, cancer cells are set on a course toward destruction and removal. HBRI-1 activates an apoptosis protein in mitochondria and chokes cell proliferation, ultimately altering cellular behavior - in this case decreasing cancer cell growth.

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Media contacts: To arrange on-site, phone, or Skype interviews with the researchers involved in this study, please contact John Cashman at (858) 458-9305 / JCashman@hbri.org.

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The study was co-authored by Karl Okolotowicz, Human BioMolecular Research Institute and ChemRegen Inc., Mary Dwyer, Human BioMolecular Research Institute; Daniel Ryan, Human BioMolecular Research Institute; Jiongjia Cheng, Human BioMolecular Research Institute; Emily Cashman, Human BioMolecular Research Institute; Stephanie Moore, Human BioMolecular Research Institute; Mark Mercola, Stanford University and John Cashman, Human BioMolecular Research Institute.

About Human BioMolecular Research Institute

The Human BioMolecular Research Institute is a non-profit research institute conducting basic research focused on unlocking biological and chemical principles related to diseases of the human brain, cardiovascular disease and cancer. The Institute conducts fundamental studies of central nervous system disorders, heart disease and cancer

including stem cell approaches and translates findings into new drug development to address human illness. In addition, the Institute promotes scientific learning through community service and public access by disseminating information and sharing research with collaborators, colleagues and the public. For more information, visit us at www.HBRI.org.

About Stanford University

Stanford University is a leading teaching and research institution. The University is organized around three traditional schools consisting of 40 academic departments at the undergraduate and graduate level and four professional schools that focus on graduate programs in Law, Medicine, Education and Business. It is one of only 45 National Cancer Institute-designated comprehensive cancer centers in the country, a rare honor distinguishing exceptionally high achievement in research, clinical care, education and community outreach and partnerships. For more information, visit med.stanford.edu.

About ChemRegen Inc.

ChemRegen is a for-profit company doing research directed at identifying small molecules of use for addressing human diseases. The approach is to develop regenerative medicines to work in conjunction with human embryonic stem cells to cure major human diseases including heart disease, cancer and other diseases. For more information, visit www.ChemRegen.com.