Human GLUT5 Specific Inhibitor Using Virtual Screening and In Vitro Transport Evaluation

Published date: March 17, 2016

Technology description

Researchers have discovered an inhibitor of a facilitative glucose transporter that is involved in transporting fructose, but does not affect the glucose transport activity.
More specifically, this inhibitor is over 3 orders of magnitude more potent on GLUT5 compared to fructose, and achieves complete blockade of GLUT5-mediated fructose transport, with no effect on transporters GLUT1, GLUT2, GLUT3 and GLUT4. This inhibitor of GLUT5 can serve as a chemical probe to explore novel therapeutic approaches against obesity, diabetes and cancer.

Background

Many individuals consume an extremely high amount of fructose daily as it is one of the most common dietary carbohydrates. Fructose consumption increased by almost 50% among US adults in the last 30 years. When high levels of fructose are consumed dyslipidemia can occur, levels of glucose homeostasis can be impaired, and there can be a drastic increase in insulin resistance. Some studies even show a link between a fructose rich diet and high blood pressure. Many individuals are now facing these medical threats.
Fructose is transported across various cell membranes by members of the facilitated glucose transporter family (GLUT). GLUT5 is fructose specific, and is expressed in the intestine, sperm, brain, fat, skeletal muscle and kidney cells. GLUT5 is linked with various pathologies including an overexpression rate in cancer cells, meaning GLUT5 can be used as a marker for cancer. The current problem lies in that there are currently no known inhibitors of GLUT5 that do not interfere with the transport of glucose. If GLUT5 specific inhibitors were discovered, they could have the potential to treat diabetes and cancer.

Technology Description

Researchers from the University of New Mexico and the Rosalind Franklin University have discovered an inhibitor of a facilitative glucose transporter that is involved in transporting fructose, but does not affect the glucose transport activity. More specifically, this inhibitor is over 3 orders of magnitude more potent on GLUT5 compared to fructose, and achieves complete blockade of GLUT5-mediated fructose transport, with no effect on transporters GLUT1, GLUT2, GLUT3 and GLUT4. This inhibitor of GLUT5 can

serve as a chemical probe to explore novel therapeutic approaches against obesity, diabetes and cancer.

Application area

Therapeutic potential in obesity, diabetes and cancer
Serves as chemical probe in cancer/diabetes by selectively and completely blocking GLUT5, a fructose-only uptake transporter
It lacks cytotoxicity and has no activity in a kinase panel assay.
Promotes new research centered around designing GLUT5 specific compounds

Institution

The University of New Mexico

Inventors

Jun-Yong Choe
Cristina Iancu
Tudor Oprea
Cristian-George Bologa
Oleg Ursu

叶先生

电 话：021-65679356

手 机：13414935137

邮 箱：yeyingsheng@zf-ym.com