

10th World Congress on

NUTRITION & FOOD SCIENCES

May 29-31, 2017 Osaka, Japan

Study on bioavailability of 5-demethyl tangeretin and its acetylated derivative in PC-3 cells by HPLC with electrochemical detectorJia-Lin Guo¹, Yin-Bo Chen¹, Hui-Yun Tsai², Shiming Li², Chi-Tang Ho² and Yu-Kuo Chen¹¹National Pingtung University of Science and Technology, Taiwan²Rutgers University, USA

Tangeretin (TAN), a kind of polymethoxyflavones (PMFs) is found in citrus peels and has been proved to have many kinds of bioactivities including anti-inflammatory, anti-atherosclerosis and antitumor activities. Prostate cancer is universal malignant in male genital system. According to studies, 5-demethyltangeretin has hydroxyl group at the C5 position, and higher cytotoxicity than TAN for cancer cells, but the low solubility of 5-DTAN limits their availability in biological system. For the reason that we prepared 5-DTAN derivative (with acetyl group at the C5 position, 5-acetyltangeretin derivative; 5-ATAN), and hope to increase its solubility and bioavailability. The objective of this study is to investigate the anti-proliferation and cellular uptake of 5-ATAN in PC-3 human prostate cancer cells. Esterase present in the cells, therefore, 5-ATAN will be converted to 5-DTAN when 5-ATAN was uptaken into cells. We could use high-performance liquid chromatography lined electrochemical detector (ECD) to detect concentration of 5-DTAN within PC-3 cell. In MTT assay, it demonstrated that 5-ATAN significantly inhibit growth of PC-3 cells in dose- and time-depend manners. In condition of HPLC-ECD showed that 300 mV is the best volt, and retention time of 5-DTAN is 11.6 minutes, and linear regression is 0.1-10 μ M. Moreover, the quantification and detection limits (LOQ and LOQ) of 5-DTAN were 7.16 and 1.79 μ g/ml, respectively. In bioavailability test, intracellular concentration of 5-DTAN of 5-ATAN-treated group was significantly higher than those of 5-DTAN-treated group, which showed dose- and time-depend responses. Overall, the results indicate that 5-ATAN showed highest anti-proliferation activity than TAN & 5-DTAN in PC-3 cancer cells, and had greater bioavailability than 5-DTAN. 5-ATAN will be expected to have better oral bioavailability *in vivo*.

Biography

Jia Lin Guo is currently pursuing his Master's degree in Food Science from National Pingtung University of Science and Technology and has expertise in HPLC analysis of natural compounds.

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