Short Communication Received: March 8, 2011 Revised version: May 25, 2011 Accepted: May 27, 2011

Preparation, Crystal Structure and Prodrug Studies of Genistein Benzensulfonate

You PENG 1,2*, Li-Jun GAN 1 & Ze-yuan DENG 2

¹ Department of Chemistry and Engineering, Jiujiang University, Jiujiang 332005, People's Republic of China;

² State Key Laboratory of Food Science and Technology, Nanchang University, Nanchang 330047, People's Republic of China

SUMMARY. 4'-ethyl-7-phenylsulfonylgenistein (EPG, 3), a potential prodrug for genistein (1), was synthesized in high yield and its crystal structure was reported firstly. It possesses better physical and chemical properties such as solubility, lipid/water partition coefficient, LogP, and hydrolysis kinetics than its original form. The LogP value (2.07) and the half-life of the hydrolysis value (13.4 h) show that its oral bioavailability is possibly improved evidently compared with that of genistein. These results indicate that EPG can be considered a potential prodrug for genistein.

KEY WORDS: Crystal structure, Genistein benzensulfonate, Hydrolysis, Partition coefficient, Prodrug.

* Author to whom correspondence should be addressed. E-mail: trihydracid@126.com

ISSN 0326-2383