Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **36** (10): 1933-5 (2017)

Received: July 19, 2017
Accepted: July 29, 2017

The Influence of Ticagrelor Towards the Metabolic Elimination of Orthopedics Medication *Fructus Psoraleae*

Gang LI * & Ke-Yu LIU

The Second Department of Orthopaedics, The First Affiliated Hospital of Shihezi University Medical College, Shihezi, Xinjiang

***SUMMARY. Fructus psoraleae* is an orthopedics medication and has been demonstrated to be an important substrate of human carboxylesterase (CES) 1, which is an important phase I drug-metabolizing enzyme (DME). This study aims to evaluate the inhibition of ticagrelor towards the activity of CES1, trying to indicate the herb-drug interaction between ticagrelor and *fructus psoraleae*. *In vitro* human liver microsomes (HLMs)-catalyzed hydrolysis metabolism of 2-(2-benzoyl-3-methoxyphenyl) benzothiazole (BMBT) to form its metabolite 2-(2-hydroxy-3-methoxyphenyl) benzothiazole (HMBT) was used as the probe reaction of CES1. The results showed that 100 μM of ticagrelor inhibited approximately 40% activity of CES1. Given that CES1 plays a key role in the metabolic elimination of many clinical drugs and endogenous substances (e.g., triglyceride (TG), cholesterol ester (CE), etc.), the disruption of ticagrelor towards CES1-catalyzed metabolism of clinical drugs and endogenous substances should be paid much attention.**

RESUMEN. *Fructus Psoraleae* es una medicación ortopédica, y se ha demostrado que es un importante sustrato de la carboxilesesterasa humana (CES) 1, que es una importante enzima metabolizadora de fármacos de fase I (DME). Este estudio tiene como objetivo evaluar la inhibición del ticagrelor hacia la actividad del CES1, tratando de indicar la interacción hierba-fármaco entre ticagrelor y *fructus psoraleae*. La reacción de hidrólisis de 2-(2-benzoil-3-metoxifenil) benzotiazol (BMBT) para formar su metabolito 2-(2-hidroxi-3-metoxifenil) benzotiazol (HMBT) catalizada por microsomas de hígado humano *in vitro* (HLMs) se utilizó como sonda de CES1. Los resultados mostraron que 100 μM de ticagrelor inhibían aproximadamente el 40% de actividad de CES1. Dado que CES1 desempeña un papel clave en la eliminación metabólica de muchos fármacos clínicos y sustancias endógenas tal como triglicéridos (TG), ésteres de colesterol (CE), etc., se debe prestar mucha atención a la acción del ticagrelor sobre el metabolismo de fármacos clínicos y endógenos catalizado por CES1.

KEY WORDS: carboxylesterase (CES) 1, drug-drug interaction (DDI), *fructus psoraleae*, orthopedics medication.

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

ISSN 0326 2383 (printed ed.)
ISSN 2362-3853 (on line ed.)