Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **36** (10): 1968-70 (2017)

Received: July 27, 2017
Accepted: August 3, 2017

Benzbromarone Showed Inhibition towards Drug-Metabolizing Enzymes (DMEs) Involved in the Metabolic Elimination of Diabetes Treatment Drugs

Bin WANG, Zhaohua DAI & Yang LIU *

The Second Affiliated Hospital of Xingtai Medical College, Xingtai 054000, Hebei Province, China

***SUMMARY*. Drug-metabolizing enzyme (DME) carboxylesterase 1 (CES1) plays an important role in the metabolic elimination of clinical anti-diabetic drugs. This study aims to investigate the inhibition of benzbromarone towards the activity of CES1, trying to indicate the potential drug-drug interaction (DDI) between benzbromarone and anti-diabetic drugs. *In vitro* human liver microsomes (HLMs)-catalyzed hydrolysis of 2-(2-benzoyl-3-methoxyphenyl) benzothiazole (BMBT) to form its metabolite 2-(2-hydroxy-3-methoxyphenyl) benzothiazole (HMBT) was used to phenotype the activity of CES1, and 100 μM of benzbromarone was used as the screening concentration; 100 μM benzbromarone inhibited approximately 80% activity of CES1 ($p < 0.001$). In conclusion, this study gave a short communication on the strong inhibition of benzbromarone on the activity of CES1.**

RESUMEN. La enzima metabolizadora de drogas (DME) carboxilesterasa 1 (CES1) juega un rol importante en la eliminación de drogas anti-diabéticas. Este estudio pretende investigar la inhibición de la benzbromarona sobre la actividad de CES1, tratando de indicar la potencial interacción droga-droga (DDI) entre la benzbromarona y medicamentos anti-diabéticos. Se ha utilizado la hidrólisis *in vitro* de 2-(2-benzoil-3-metoxifenil)benzotiazol (HMBT) catalizada por microsomas de hígado humano (HLMs) que forma el metabolito 2-(2-hydroxi-3-metho-xifenil) benzotiazol para evaluar la actividad de CES1 y se utilizó benzbromarona 100 μM para analizar el efecto de la concentración. Benzbromarona 100 μM inhibió aproximadamente el 80% de la actividad de CES1 ($p < 0.001$). En conclusión, este estudio ofrece información sobre la fuerte inhibición de la benzbromarona sobre la actividad de CES1.

KEY WORDS: benzbromarone, carboxylesterase 1 (CES1), diabetes, drug-drug interaction.

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

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