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Evaluation of Drugs Pharmacokinetic Parameters Related to Enzymatic Effects of Capsaicin

Bei-bei XU [1], Shuang-li ZHENG [2] & Hui-dan ZHU [3]*

[1] *Wenzhou People's Hospital, Wenzhou 325000, China*
[2] *The Second Affiliated Hospital of Wenzhou Medical University, Wenzhou 325000, China*
[3] *The First Affiliated Hospital of Wenzhou Medical University, Wenzhou 325000, China*

***SUMMARY*. The purpose of this study was to find out whether capsaicin (*CAP*) influences the effect on rat cytochrome P450 (CYP) enzymes (CYP2B6, CYP2C9 and CYP3A4) by using a cocktail method *in vivo*. A cocktail solution at a dose of 5 mL/kg, which contained bupropion (10 mg/kg), tolbutamide (1 mg/kg) and midazolam (10 mg/kg), was orally administration to rats treated with 7 days oral administration of *CAP*. Blood samples were collected at a series of time-points and the concentrations of probe drugs in plasma were determined by HPLC-MS/MS. In the experiment, there was a statistically significant difference in the $t_{1/2}$, C_{max}, $AUC_{(0-\infty)}$ and CL for tolbutamide and midazolam, while there was no statistical pharmacokinetics difference for bupropion. The results show that treatment with multiple doses of *CAP* had no effects on rat CYP2B6. However, *CAP* had significant induction effect on CYP2C9 and CYP3A4 enzyme activities after multiple doses of *CAP* treatment. Therefore, caution is needed when *CAP* is co-administration with some CYP substrates in clinic, which may result in drug-*CAP* interactions.**

RESUMEN. El propósito de este estudio fue averiguar si la capsaicina (*CAP*) influye en el efecto sobre las enzimas del citocromo P450 (CYP) de rata (CYP2B6, CYP2C9 y CYP3A4) mediante el uso de un método de cóctel *in vivo*. Una solución cóctel en una dosis de 5 mL/ kg conteniendo bupropión (10 mg/kg) , tolbutamida (1 mg/kg) y midazolam (10 mg/kg), se administró por vía oral a ratas tratadas durate 7 días con *CAP*. Las muestras de sangre se recogieron a distintos tiempos y las concentraciones de los fármacos en el plasma se determinaron mediante HPLC-MS/MS. En el experimento hubo una diferencia estadísticamente significativa en $t_{1/2}$, C_{max}, $AUC_{(0-\infty)}$ y CL para tolbutamida y midazolam, en tanto que no hubo diferencia farmacocinética estadística para bupropión. Los resultados muestran que el tratamiento con dosis múltiples de *CAP* no tienen efectos sobre el CYP2B6 de rata. Sin embargo, *CAP* tuvo un efecto significativo en la inducción de las actividades enzimáticas de CYP2C9 y CYP3A4 después de múltiples dosis de tratamiento con *CAP*. Por lo tanto, es necesario tener precaución en clínica médica cuando *CAP* es co-administrada con algunos sustratos de CYP, que pueden dar lugar a interacciones fármaco-*CAP*.

KEY WORDS: Capsaicin, Cocktail, Cytochrome P450, Interaction.

* Author to whom correspondence should be addressed. *E-mail :* nepiazhu@163.com