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Influence of Anti-Tumor Drugs Praeruptorins A and B Towards Intestinal UDP-Glucuronosyltransferase (UGT) 1A7

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***SUMMARY*. Cancers remain to threaten the health of humans, and four kinds of technologies have been used to treat cancers, including surgery, radiotherapy, chemotherapy, and tumor interventional therapy. Praeruptorins A (PA) and B (PB) are isolated from the dry root extract of *Peucedanum praeruptorum,* and have been reported to exhibit multiple pharmacological activities, including the anti-tumor utilization. Recombinant intestinal UGT1A7-catalyzed 4-MU glucuronidation was used as the probe reaction to screen the inhibition potential of PA and PB on the activity of UGT1A7. PA 100 μM significantly inhibited the activity of UGT1A7; however, no significant influence of PB towards UGT1A7 was observed. Concentration-dependent inhibition of PA on the activity of UGT1A7 was observed. The intersection point was located in the second quadrant and the verticle axis in the Dixon plot and Lineweaver-Burk plot, respectively, indicating the competitive inhibition of PA on UGT1A7. The inhibition kinetic parameter (K_i) was calculated to be 10 μM. In conclusion, the inhibition of PA and PB on the activity of intestinal UGT1A7 was investigated in the present study, guiding the clinical utilization of PA and PB.**

RESUMEN. El cáncer sigue siendo una amenaza para la salud de los seres humanos; cuatro tipos de tecnologías se han utilizado para el tratamiento de los distintos tipos de cáncer, incluyendo cirugía, radioterapia, quimioterapia y terapia de intervención del tumor. Las praeruptorinas A (PA) y B (PB) se aislaron a partir del extracto de la raíz seca de *Peucedanum praeruptorum,* y se ha informado que exhiben múltiples actividades farmacológicas, incluyendo su utilización como anti-tumorales. La glucuronidación de 4-MU catalizada por UGT1A7 intestinal recombinante se utilizó como sonda para evaluar el potencial de inhibición de PA y PB en la actividad de UGT1A7. PA 100 μM inhibió significativamente la actividad de UGT1A7, pero no hubo ninguna influencia significativa de PB hacia UGT1A7. Se observó una inhibición dependiente de la concentración de PA sobre la actividad de UGT1A7. El punto de intersección se encuentra en el segundo cuadrante y el eje vertical en el gráfico de Dixon y en la representación de Lineweaver-Burk, respectivamente, lo que indica la inhibición competitiva de la PA sobre UGT1A7. El parámetro cinético de inhibición (K_i) se calculó en 10 μM. En conclusión, en el presente estudio se investigó la inhibición de PA y PB sobre la actividad de UGT1A7 intestinal, guiando la utilización clínica de PA y PB.

KEY WORDS: drug-drug interaction, praeruptorin A (PA), praeruptorin B (PB), UDP-glucuronosyltransferase (UGT) 1A7.

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