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In Vitro Evidence of Danshen's Influence Towards the Therapeutic Index of Zidovudine (AZT)

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***SUMMARY*. Drug-metabolism enzymes (DMEs) inhibition-based herb-drug interaction has challenged the clinical utilization of danshen, which has been accepted as one of the most important herbs in China and the world. The present study aims to investigate the inhibition of zidovudine (AZT) glucuronidation by danshen, hoping to broad the herb-drug interaction profile of AZT and danshen. *In vitro* human liver microsomes (HLMs)-catalyzed AZT glucuronidation reaction system was used to evaluate the inhibition of AZT glucuronidation by danshen's major active component cryptotanshinone (CT). The results showed that CT dose-dependently inhibited the glucuronidation of AZT. Dixon plot and Lineweaver-Burk plot showed that CT competitively inhibited the glucuronidation of AZT. The inhibition kinetic parameter (K_i) was calculated to be 35.8 μM. In combination with *in vivo* maximum plasma concentration (C_{max}) of CT after administration of a mixture of danshen components for rats, *in vitro-in vivo* extrapolation (IVIVE) indicated that the possibility of danshen-AZT interaction is little. However, many complex factors might affect *in vivo* extrapolation results.**

KEY WORDS: Danshen, Herb-drug interaction, zidovudine (AZT).

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