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Short Communication

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Co-Administration of Celastrol Can Induce Possible Elevation of Plasma Concentration of Zidovudin (AZT)

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***SUMMARY*. Celastrol is an important bioactive ingredient from *Tripterygium wilfordii,* and exhibits multiple pharmacological activities. During the R&D process of celastrol, evaluation of drug-drug interaction with other clinical drugs is very important. In the present study, the inhibitory potential of celastrol towards human liver microsomes (HLMs)-catalyzed zidovudine (AZT) glucuronidation was performed, trying to predict whether the co-administration of celastrol can increase the exposure of AZT. The dose-dependent inhibition behaviour of celastrol towards AZT glucuronidation was found, and this kind of inhibition was demonstrated to be best fit to the competitive inhibition through Dixon and Lineweaver-Burk data fitting. The second plot (slopes from the Lineweaver-Burk plot versus celastrol's concentrations) was employed to determine the inhibition kinetic parameter (K_i) to be 8.6 μM. Using the anti-tumor therapeutic dose (1-10 μM), the AUC of AZT was predicted to increase by 12-120 %. Taken together, the possible elevation of plasma concentration of AZT due to the co-administration of celastrol was indicated using the *in vitro* methods.**

KEY WORDS: Celastrol, Exposure dose, Zidovudine (AZT).

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