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Short Communication

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Evaluation of the Inhibition Potential of Medroxyprogesterone Acetate (MPA) towards UDP-Glucuronosyltransferase (UGT) 1A3

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***SUMMARY*. The present study aims to investigate the inhibition of UDP-glucuronosyltransferase (UGT) 1A3 by medroxyprogesterone acetate (MPA), which is an important drug used for hormone therapy. Similar with previous study, the 4-methylumbelliferone (4-MU) and recombinant UGT1A3 were utilized to evaluate the MPA's inhibition towards UGT1A3. The results showed that MPA exerted dose-dependent inhibition towards UGT1A3-catalyzed 4-MU glucuronidation. Further data fitting with Dixon and Lineweaver-Burk plots demonstrated the competitive inhibition of MPA towards UGT1A3 activity. The second plot using the slopes obtained from Lineweaver-Burk plot versus MPA concentrations was used to determine the inhibition kinetic parameter (K_i) to be 7.8 μM. Given that UGT1A3 has been regarded as a key UGT isoform involved in the metabolism of many important endogenous compounds and xenobiotics, all these results remind us the potential risk of UGT inhibition-based drug-drug interaction induced by the inhibition of UGT1A3 by MPA.**

KEY WORDS: Drug-drug interaction, Medroxyprogesterone acetate (MPA), UDP-glucuronosyltransferase (UGT) 1A3.

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