Glucuronidation of the antiretroviral drug efavirenz (EFV) by UGT2B7 and an in vitro investigation of drug-drug interaction with zidovudine (AZT).

LEGENDS OF SUPPLEMENTARY FIGURES

Supplementary Figure 1

Formation of EFV and AZT glucuronide derivative by microsomal proteins isolated from HEK293 cells stably expressing human UGT proteins. Top, EFV and AZT glucuronidation activity at a 0.2 mM substrate concentration (see Materials and Methods). Bottom, relative UGT content was assessed by Western blot for each isoform using anti-UGT1A and anti-UGT2B antibodies. (UGT1A1 microsomes and UGT2B7 microsomes were arbitrarily set to 1.0 for UGT1A and UGT2B family members, respectively).

Supplementary Figure 2

Kinetic profiles for the glucuronidation of EFV and AZT by HLM and UGT2B7. Microsomal fractions from HLM, UGT2B7*1- and UGT2B7*2-HEK293 cells were incubated in the presence of increasing concentrations of EFV (1 to 80 µM) or AZT (100 to 5000 µM) for 1 h. Absolute glucuronidation activities determined by LC-MS/MS were divided by the content of UGT protein assessed by Western blot and expressed as relative glucuronidation activities in picomoles per minute per milligram.

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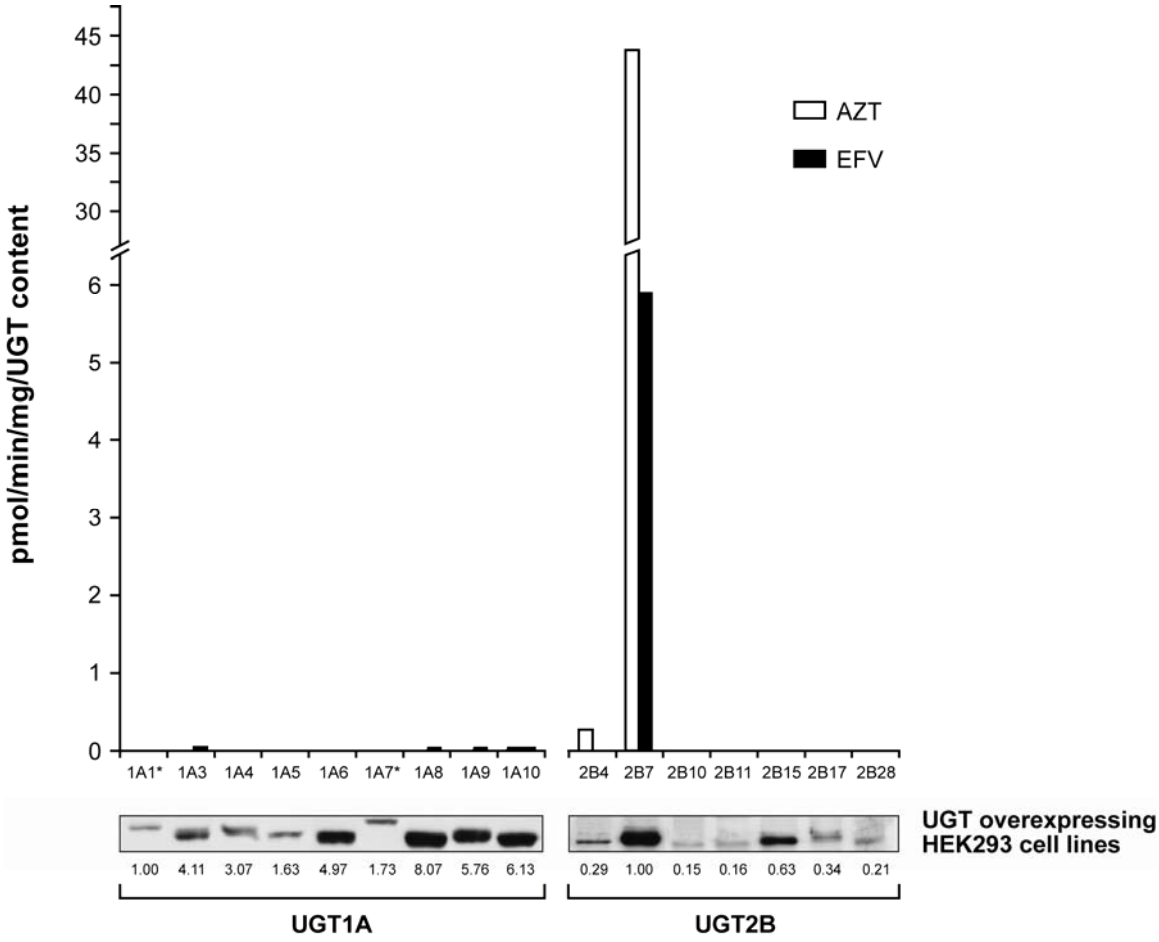
Supplementary Figure 1



Glucuronidation of the antiretroviral drug efavirenz (EFV) by UGT2B7 and an in vitro investigation of drug-drug interaction with zidovudine (AZT).

Supplementary Figure 2