

Grapefruit Juice Inhibits CYP1A2 Activity Metabolizing Caffeine in Koreans

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The effect of drinking grapefruit juice on the caffeine metabolism was studied 47 Korean volunteers. As the caffeine(^{137}X) contained in coffee is metabolized to 1, 7-dimethylxanthine(^{17}X) and 1, 7-dimethylurate(^{17}U) by CYP1A2 activity and excreted in urine, the urinary ratio of $(^{17}\text{X})+(^{17}\text{U})/(^{137}\text{X})$ has been used as an index of the in vivo CYP1A2 activity. After drinking a cup of coffee (110mg of caffeine), the one hour urine samples (between 4 and 5 hr) were collected. The next day, volunteers were given 500ml of commercial grapefruit juice at 1hr before, 1hr after, and then again at 3hr after the coffee administration and their urine samples were collected and analyzed for the inhibition of CYP1A2 activity. The CYP1A2 activity was significantly decreased by the grapefruit juice (Wilcoxon signed rank test: $p < 0.0001$). The degree of inhibitions produced by grapefruit juice in the subjects with high CYP1A2 activity and those with low CYP1A2 activity were not significantly different. As the CYP1A2 is known to catalyze the metabolic activation of carcinogens like aromatic amines and heterocyclic amines, people with high CYP1A2 activity are considered to be more susceptible to cancers caused by these carcinogens. Therefore, it is plausible that inhibition of the CYP1A2 activity by drinking grapefruit juice may lead to cancer prevention.