Renal drug excretion is decreased in the patients with renal diseases. In our previous study, elimination rate of anionic antibiotic cefazolin was weakly, but significantly correlated with the mRNA level of human organic anion transporter (hOAT) 3 in the kidney. However, renal lesion in the histological observation and the alteration of renal functions are different among various nephropathies. The aim of this study is to reevaluate the correlation between expression levels of renal transporters and the rate of renal drug excretion in the patients with mesangial proliferative glomerulonephritis (GN), which is one of the most common types of GN. The patients were divided into two groups; Group I was biopsy-proven mesangial proliferative GN and Group II was other renal diseases. The mRNA levels of hOATs in renal biopsy specimen were quantified by real-time polymerase chain reaction, and the elimination rate of cefazolin was examined. In Group I, clearance of cefazolin and values of phenolsulfonphthalein test were significantly correlated with hOAT3 mRNA levels rather than creatinine clearance. On the other hand, in Group II, there were no correlations between mRNA levels of hOATs and the rate of anionic drug excretion. These results suggest that the correlation of hOAT3 expression level with the anionic drug excretion is different between these two groups, and that in patients with mesangial proliferative GN, hOAT3 expression level is important to determine the rate of anionic drug excretion.