Telmisartan is an angiotensin II receptor antagonist which is used for the treatment of hypertension. Telmisartan has an anionic moiety and it is selectively distributed to the liver. In the present study, we have characterized the contribution of OATP isoforms to the hepatic uptake of telmisartan by isolated rat hepatocytes, human cryopreserved hepatocytes and human transporter-expressing cells. Because it is difficult to evaluate the transport activity of telmisartan due to extensive adsorption, we performed an uptake study in the presence of human serum albumin (HSA). The uptake of telmisartan into isolated rat hepatocytes was saturable and totally Na\(^+\)-independent. Its apparent Km and Vmax values in the presence of 1\% HSA were 22.4 ± 3.2 \(\mu\)M and 380 ± 42 pmol/min/10^6 cells, respectively. The uptake of telmisartan was inhibited by taurocholate, pravastatin and digoxin, substrates of OATP isoforms, in a concentration-dependent manner. These results indicate that telmisartan is transported into rat hepatocytes by OATP isoforms. We also observed time-dependent saturable uptake in human cryopreserved hepatocytes. In addition, significant uptake of telmisartan was observed in OATP8-expressed HEK293 cells, but not in OATP2-expressed cells, suggesting that OATP8 is one of the candidate transporters responsible for the hepatic uptake of telmisartan. We are now characterizing the quantitative contribution of each transporter to the overall hepatic uptake of telmisartan in human hepatocytes and expression systems using the uptake clearance of selective substrates and the relative expression level of each transporter. We are also investigating the involvement of hepatic transporters in the disposition and elimination of telmisartan glucuronide, which is the major circulating metabolite in animals and humans.