

Figure S1 Incubation of IMM-H004G (1 μmol/L) in rat brain homogenate (2 mg/mL protein) for up to 4 h ($n=3$).

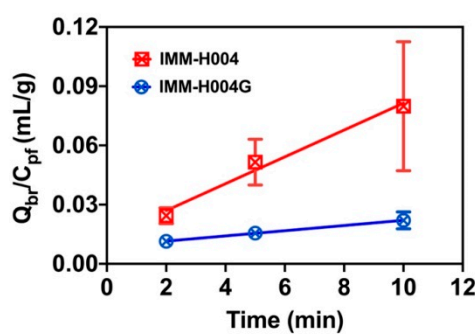


Figure S2 In situ brain perfusion of IMM-H004 and IMM-H004G (2 μmol/L) in rats for up to 10 min ($n=3$).

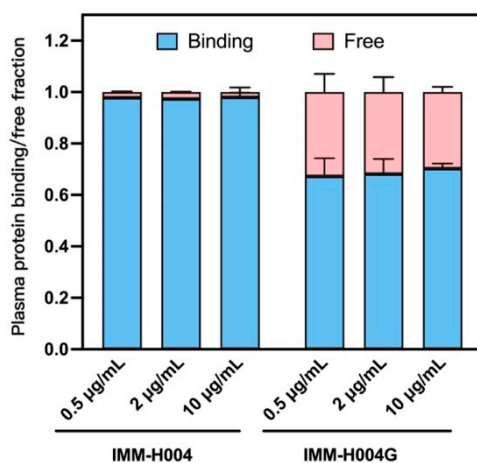


Figure S3 Rat plasma protein binding and free fractions (f_u values) of IMM-H004 and IMM-H004G (0.5-10 μg/mL, $n=3$).

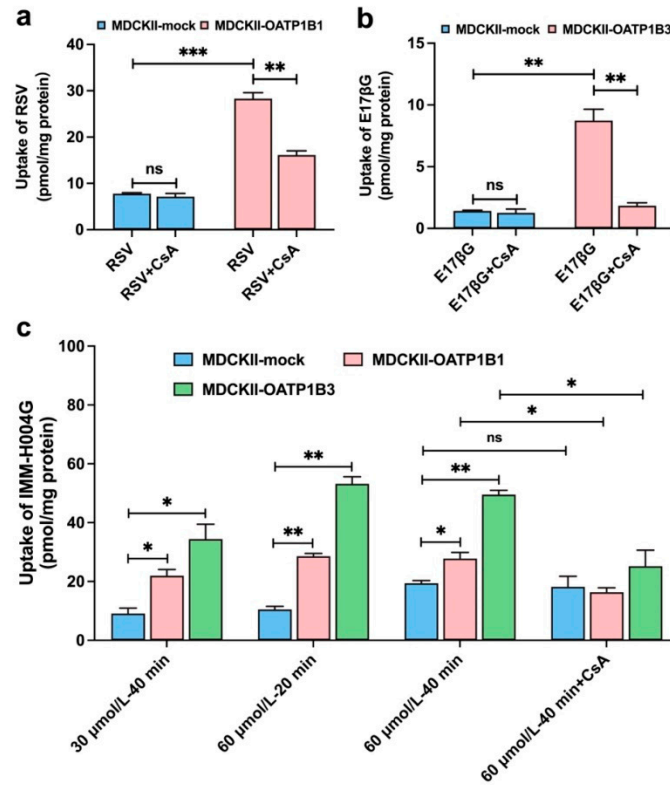


Figure S4 Uptake of IMM-H004G in MDCKII-OATP1B1 and MDCKII-OATP1B3 cells. (a) RSV (rosuvastatin, 30 μmol/L) is used as a positive group in MDCKII-OATP1B1 cells. (b) E17βG (estradiol-17β-glucuronide, 5 μmol/L) is used as a positive group in MDCKII-OATP1B3 cells. (c) IMM-H004G (30, 60 μmol/L) was incubated with MDCKII-OATP1B1 and MDCKII-OATP1B3 cells for 20 or 40 min. The OATP pan-inhibitor CsA (cyclosporin A) is 10 μmol/L. $n=3$ for positive substrates, and $n=2$ for IMM-H004G. *** $p < 0.001$, ** $p < 0.01$, * $p < 0.05$ vs. control group. ns, not significant.