Figure S1: Blood concentrations of cyclosporine A (CsA) were at pseudo-steady-state from the administration of the second [^{11}C]rosuvastatin (RSV) bolus dose to the end of the positron emission tomography (PET) scan. Data points represent the mean±SD of 4 subjects.

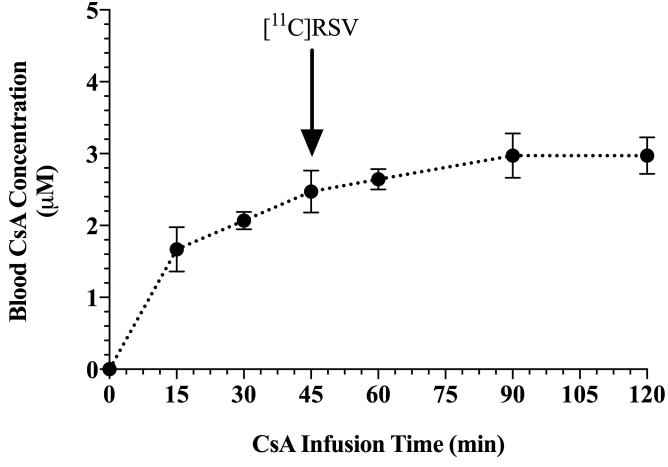

Figure S2: [^{11}C]rosuvastatin (RSV) radioactivity in arterial and venous blood samples and the hepatic input blood concentration in a representative subject (A). The hepatic input blood concentrations of [^{11}C]RSV were estimated using Eq. 3. Shown inset is the arterial, venous and hepatic concentrations of [^{11}C]RSV radioactivity over 4 minutes, when the difference in the arterial-venous concentration ratio was greatest. The mean arterial-venous concentration ratio of [^{11}C]RSV radioactivity (B). As expected, the arterial venous concentration difference was largest at earlier time points (open circles) and became negligible at 4 minutes (filled circles). Statistical significance was determined by a one-sample t-test, * indicates $p<0.05$. Data points represent the mean±SD of 5 independent measurements in 3 subjects (3 RSV only, 2 RSV + cyclosporine A (CsA)). [^{11}C]RSV as a percentage of total [^{11}C]radioactivity in plasma in the absence (n=4) and presence of CsA (n=3) (C). Plasma concentrations of [^{11}C]rosuvastatin-lactone and polar [^{11}C]metabolites were negligible up to 45 min, therefore, blood, plasma and tissue radioactivity was attributed to [^{11}C]RSV. Data points represent the mean±SD.

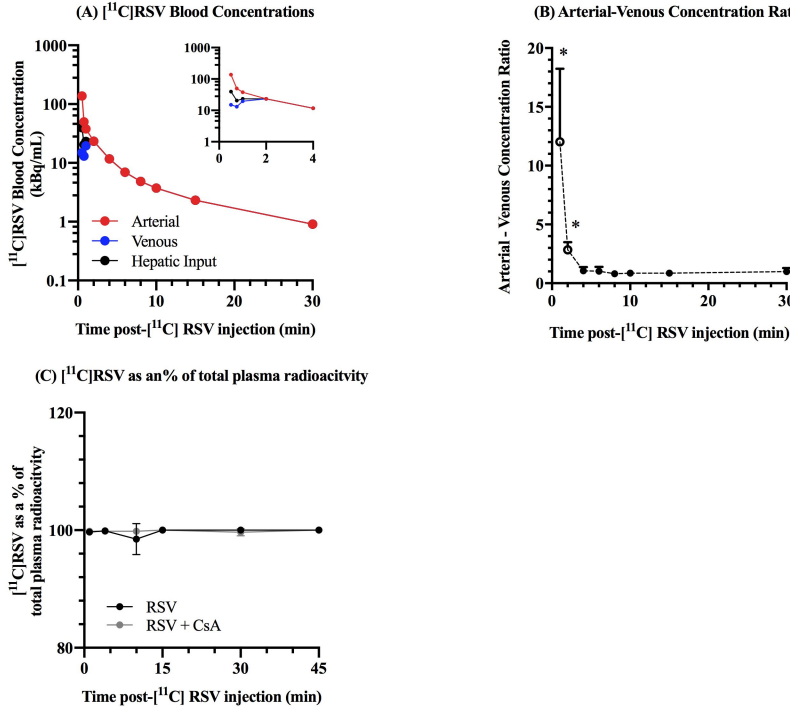

Figure S3: Radioactivity concentration-versus-time profiles in the liver (A-E), gallbladder (F-J) in the remaining 5 subjects in the absence and presence of CsA. Data are not dose normalised. A two-compartment pharmacokinetic model was used to fit the liver and gallbladder [^{11}C]rosuvastatin (RSV) radioactivity-versus-time profiles in the absence and presence of cyclosporine A (CsA). The solid lines represent the model fit to the liver and gallbladder concentrations. Note, subjects 1 and 3 did not participate in the RSV +CsA study.

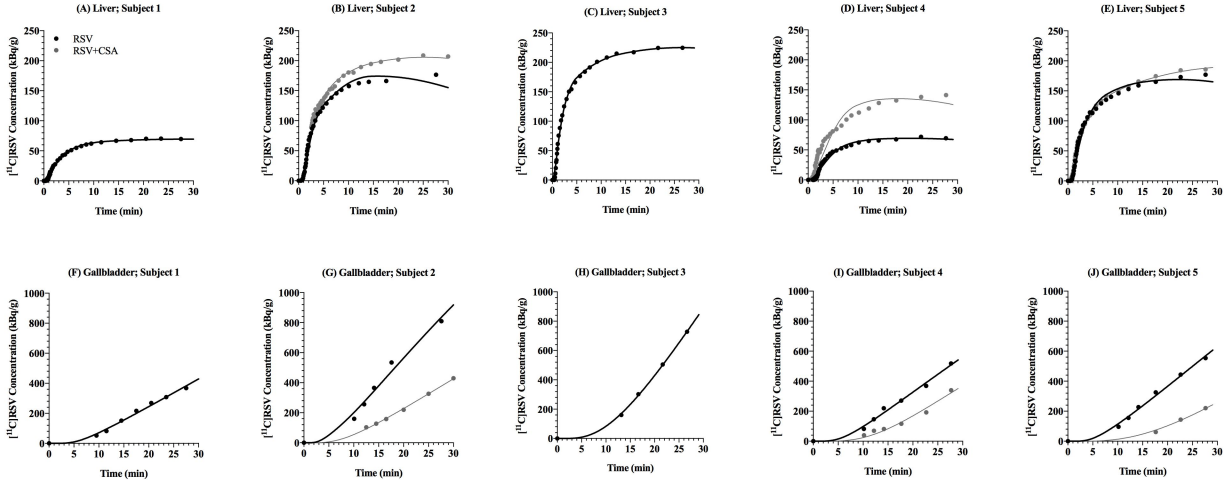

Table S1: Demographic information of the six healthy subjects enrolled in the study*.

Sex	2 Male, 4 Female
Age (years)	26.17 ± 1.72
Height (cm)	172.3 ± 7.94
Weight (kg)	70.58 ± 9.06
Ethnicity	5 Caucasian, 1 Hispanic
Creatinine (mg/dL)	0.80 ± 0.14
Albumin (g/dL)	4.62 ± 0.31
Total Bilirubin (mg/dL)	0.70 ± 0.19
Aspartate Aminotransferase (U/L)	18.0 ± 4.15
Alkaline Phosphatase (U/L)	60.17 ± 11.18
Alanine Aminotransferase (U/L)	12.50 ± 4.09
Prothrombin INR	1.05 ± 0.05
White Blood Cells (x 10^3/μL)	5.64 ± 1.42
Red Blood Cells (x 10^6/μL)	4.56 ± 0.36
Hemoglobin (g/dL)	14.30 ± 1.04
Hematocrit	0.42 ± 0.03
Mean Corpuscular Volume (fL)	92.5 ± 2.17
Mean Cell Hemoglobin (pg)	31.40 ± 1.15
Mean Cell Hemoglobin Concentration (g/dL)	33.93 ± 0.67
Platelets (x 10^3/μL)	233.83 ± 31.84
% CV Red Blood Cell Distribution Width	12.35 ± 0.26
Medication	Finasteride, Melatonin, Benadryl, Levothyroxine

Footnote: **Subjects were required to be without a history of chronic medical conditions and have hepatic and have liver, renal and prothrombin time scores within normal limits. No systemic medication was allowed for at least 24 hours before the study or for at least 3 half-lives of the drug before the study.*