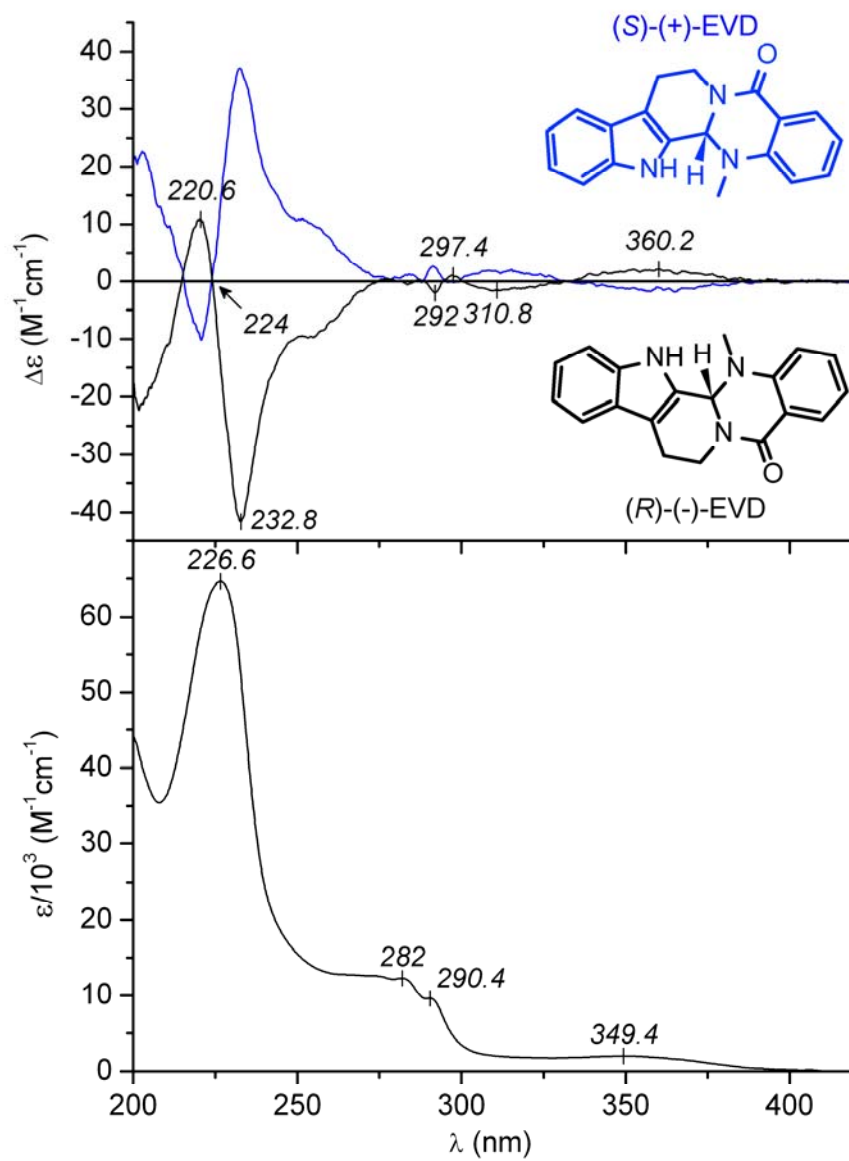


Sample	V_e (mL)	nK_a (M^{-1})
Solvent	6	-
(<i>R</i>)-Oxazepam acetate	10	1.0×10^4
(<i>S</i>)-Oxazepam acetate	32	8.0×10^4
Diazepam	83	1.8×10^5
(±)-EVD	38	1.0×10^5
	88	2.0×10^5
RTC	>> 200	1.0×10^6

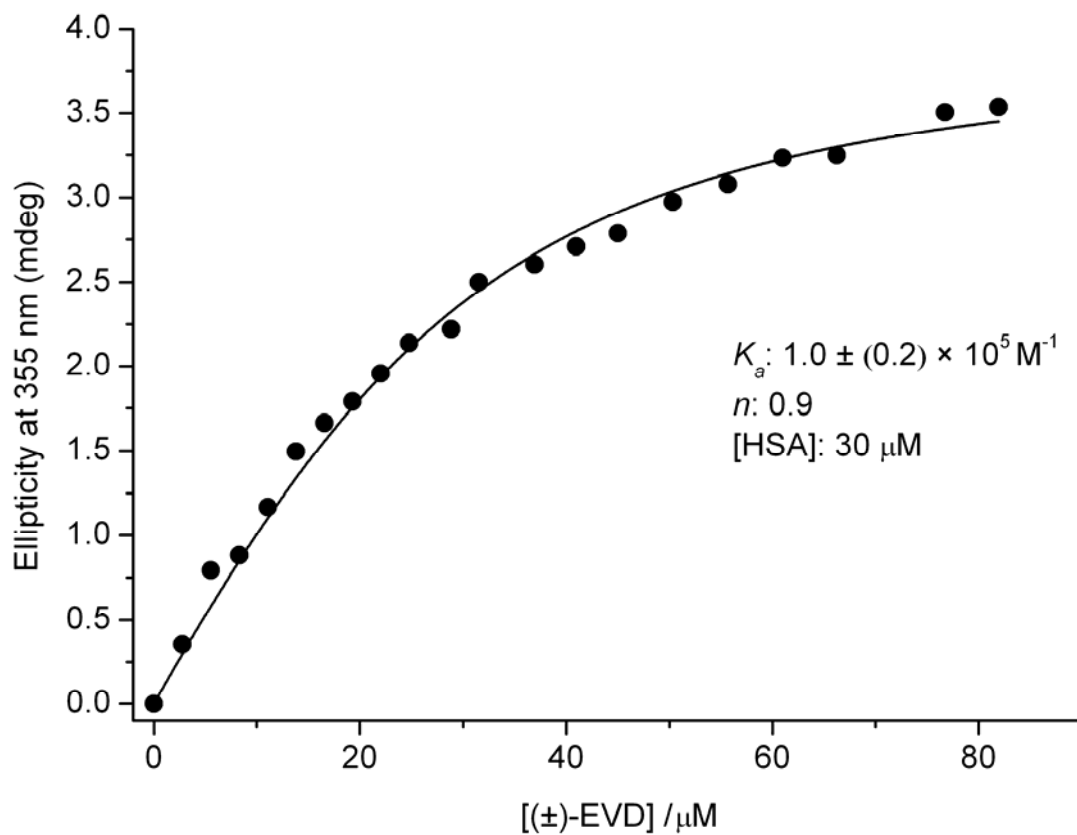
Supplementary Table 1

Elution volumes (V_e) and corresponding binding parameters obtained on a HSA-Sepharose column. Eluent: Ringer buffer, pH 7.4.



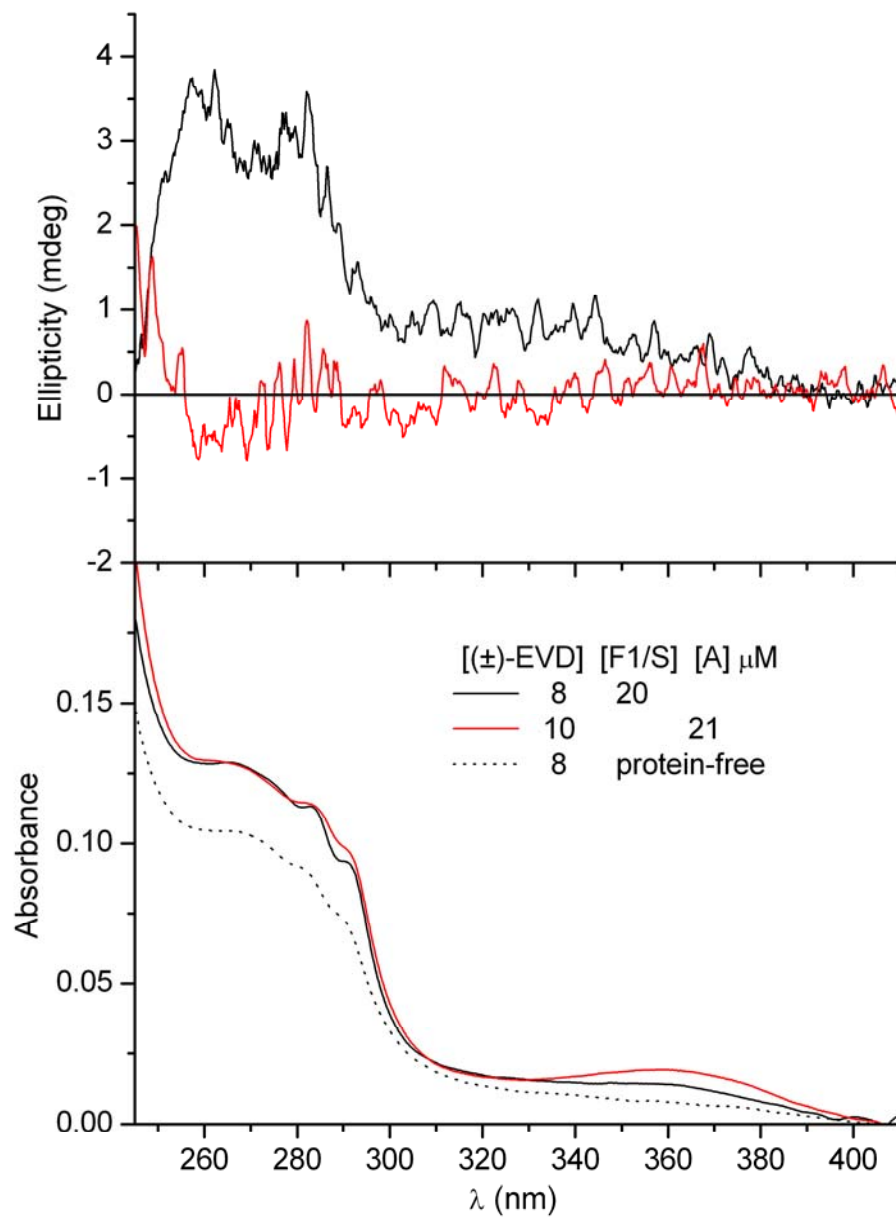
Supplementary Figure 1

CD and UV absorption spectra of EVD enantiomers measured in AcN.



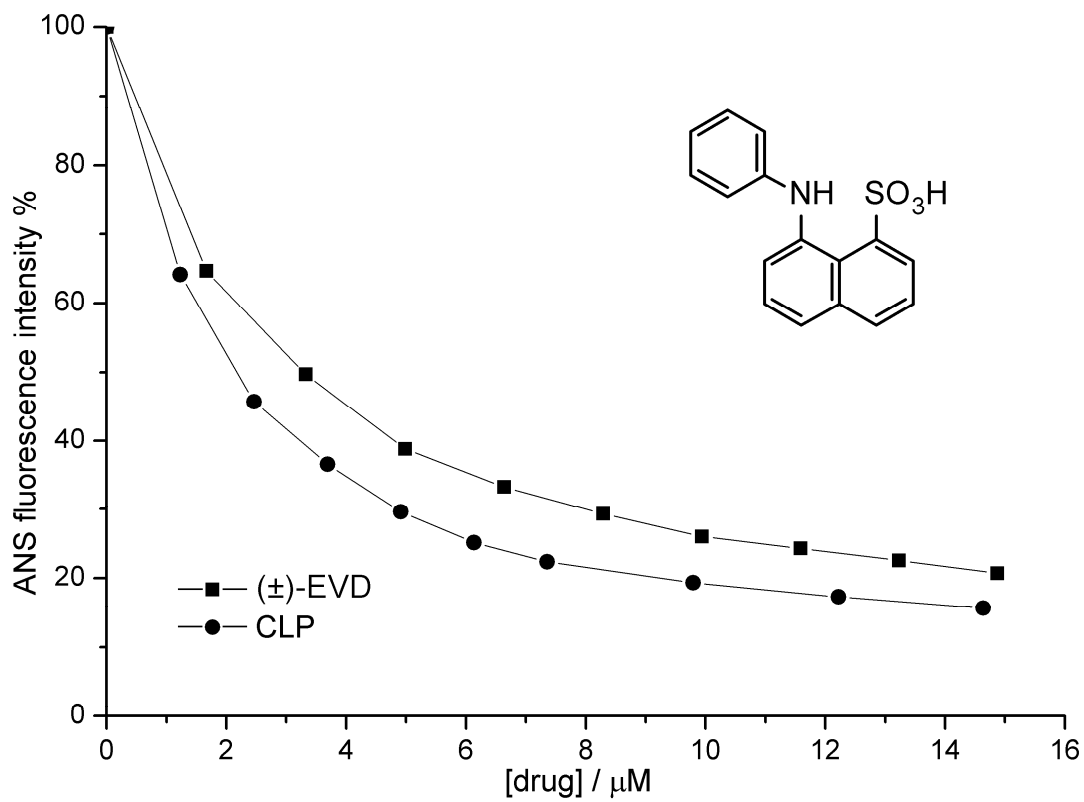
Supplementary Figure 2

CD titration data of HSA with (±)-EVD for estimation the binding parameters (non-linear curve fitting analysis, solid line).



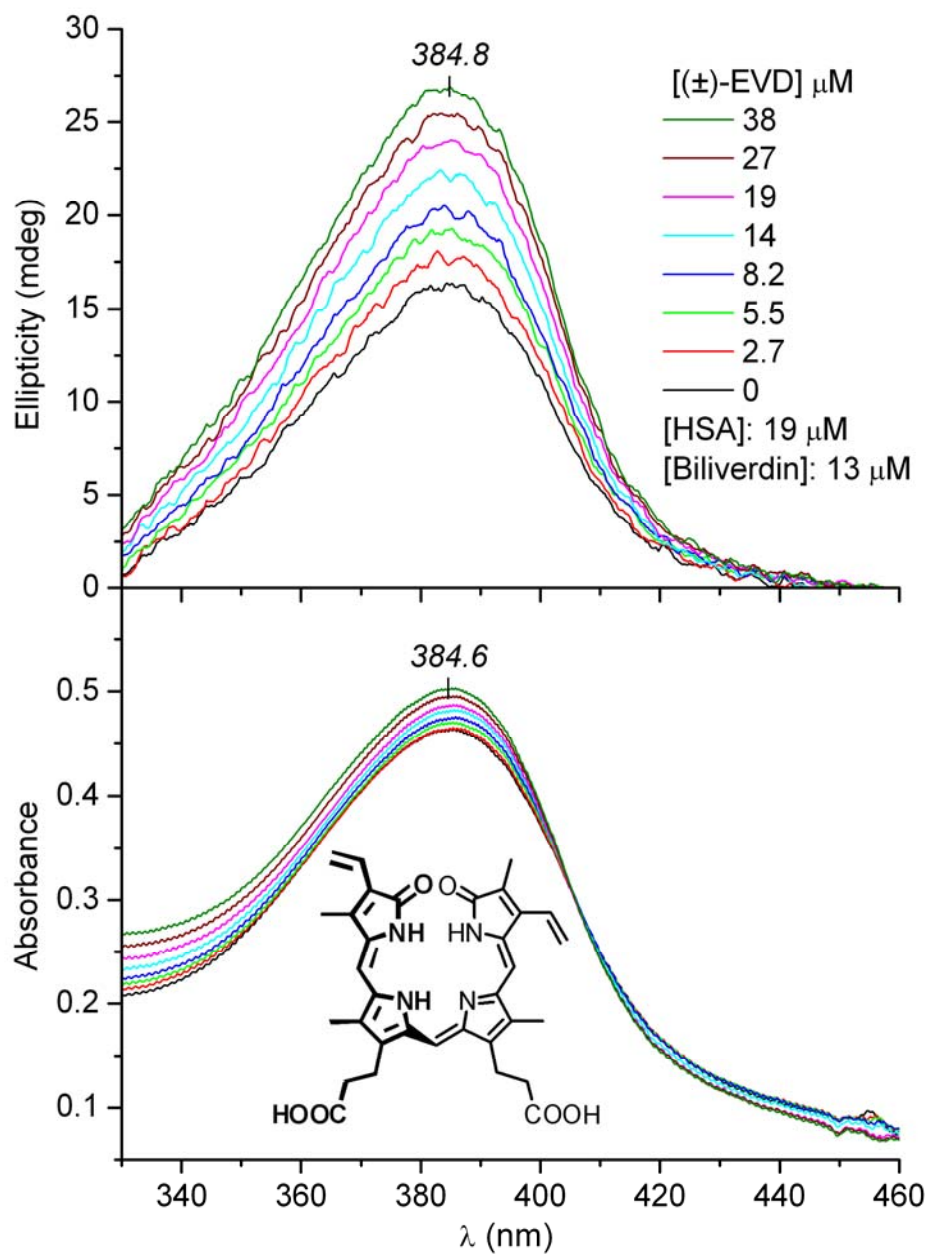
Supplementary Figure 3

Difference CD and UV absorption spectra of (\pm)-EVD measured with the main genetic variants of AAG (Ringer buffer, 25 °C). Dotted line denotes the absorption spectrum of (\pm)-EVD recorded in protein-free buffer solution.



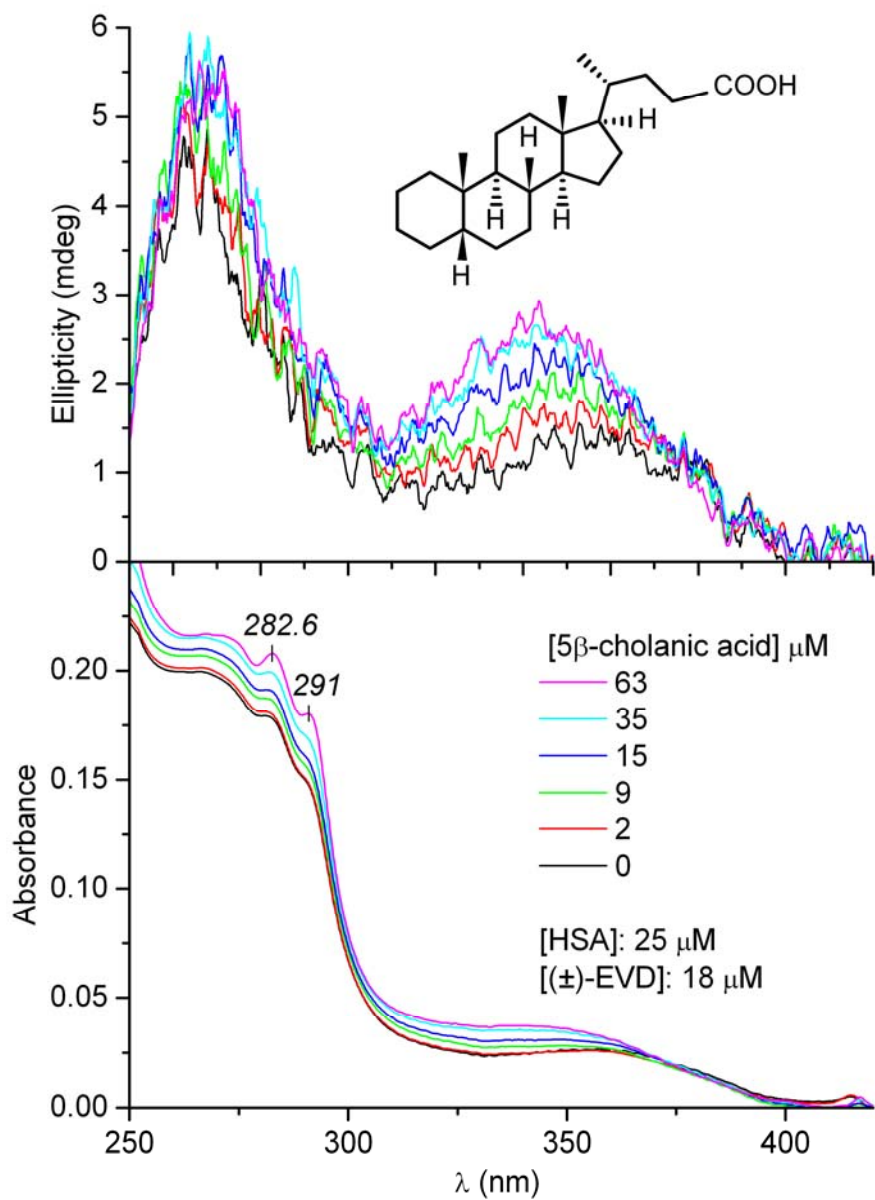
Supplementary Figure 4

Quenching of fluorescence of 2 μM ANS in 5 μM AAG solution by (\pm)-EVD and chlorpromazine (CLP). Excitation and emission wavelengths were 420 and 476 nm, respectively. Chemical structure of ANS is shown.



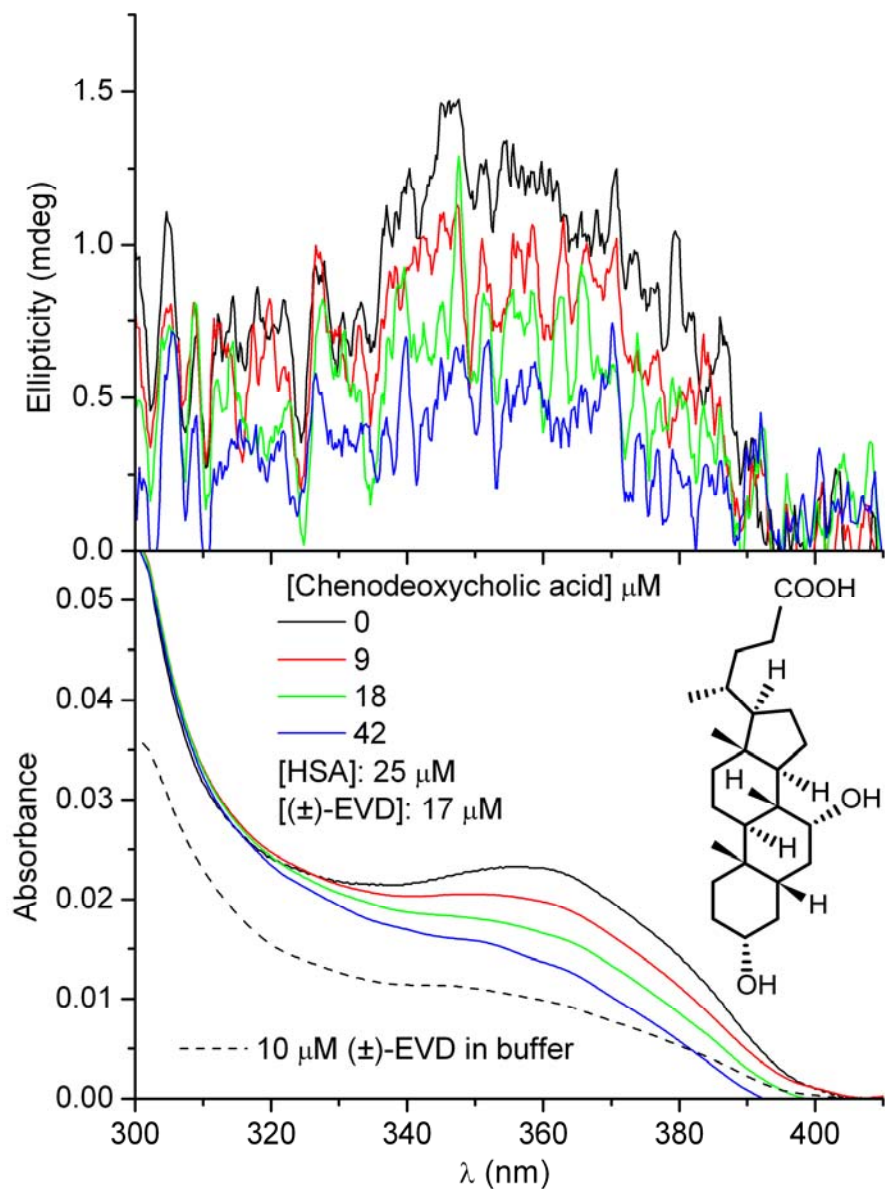
Supplementary Figure 5

CD and absorption spectral changes of HSA bound biliverdin upon stepwise addition of (\pm) -EVD into the sample solution (Ringer buffer, 25 °C). *M*-helical conformation of biliverdin is shown.



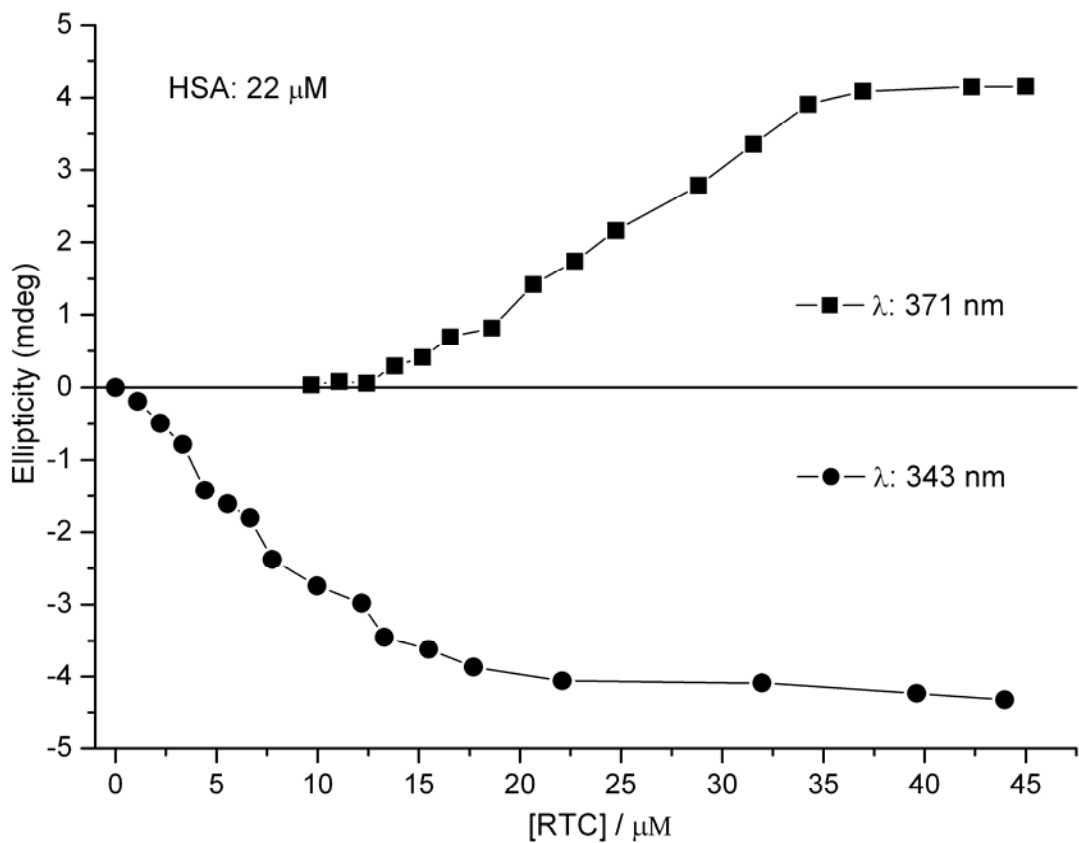
Supplementary Figure 6

CD and absorption spectral changes of HSA bound (\pm)-EVD provoked upon stepwise addition of 5 β -cholanic acid into the sample solution (Ringer buffer, 25 $^{\circ}$ C).



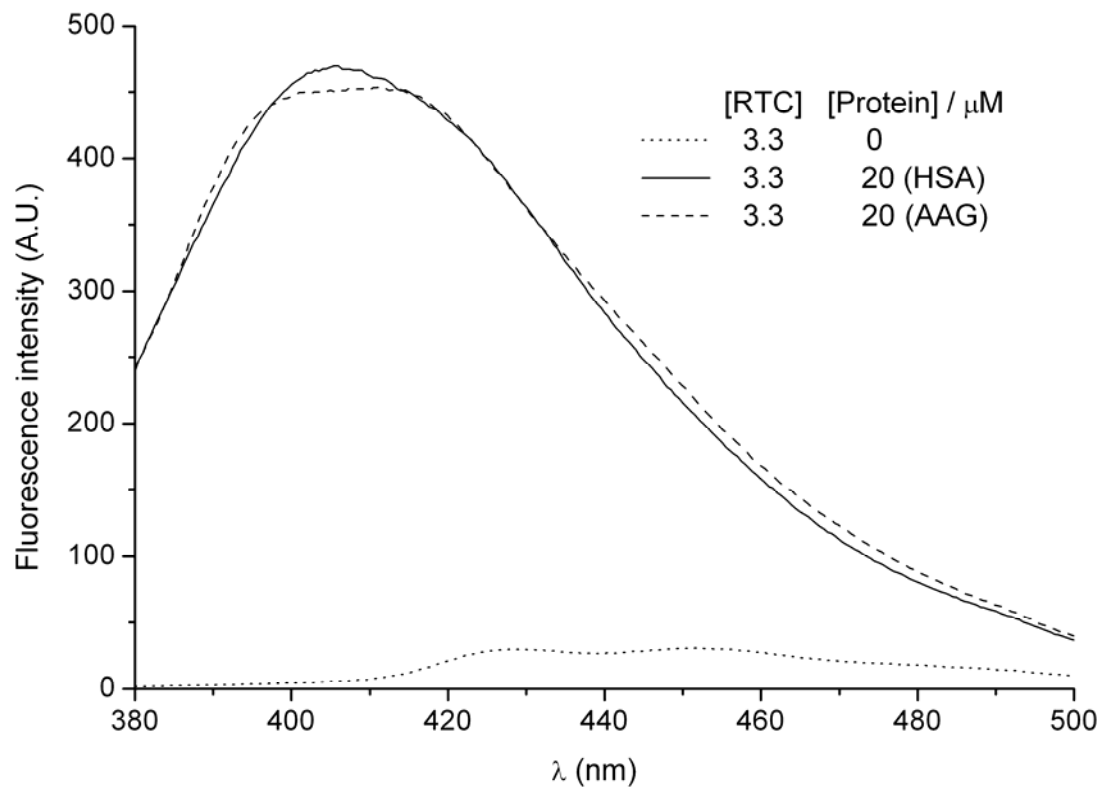
Supplementary Figure 7

Changes of the ICD and UV spectra of HSA bound EVD upon stepwise addition of chenodeoxycholic acid (Ringer buffer, 25 °C). The absorption curve of free EVD is also displayed.



Supplementary Figure 8

Plots of the induced ellipticity changes of RTC measured at two wavelengths during titration of HSA solution (Ringer buffer, 25 °C).



Supplementary Figure 9

Fluorescence emission spectra of RTC in buffer, as well as in the presence of HSA and AAG.

Excitation was made at 360 nm.