

## Supplementary Materials

Table S1 Mean pharmacokinetic parameters of GA and its cocrystals in rats after oral administration ( $n = 6$ ,  $\bar{x} \pm s$ )

| Drugs                      | $C_{max}$<br>( $mg \cdot L^{-1}$ ) | $AUC_{0-t}$<br>( $mg \cdot h \cdot L^{-1}$ ) | $AUC_{0-\infty}$<br>( $mg \cdot h \cdot L^{-1}$ ) |
|----------------------------|------------------------------------|--|---|
| GA                         | $1.5 \pm 0.5$                      | $4.1 \pm 1.2$                                | $4.2 \pm 1.2$                                     |
| GA-glutaric acid cocrystal | $2.7 \pm 0.6^*$                    | $7.7 \pm 1.0^*$                              | $7.7 \pm 1.0^*$                                   |
| GA-succinimide cocrystal   | $2.2 \pm 0.7^{ns}$                 | $10.6 \pm 3.6^*$                             | $10.8 \pm 3.7^*$                                  |

Note: \*  $p < 0.05$ , ns = no significance compared with GA.

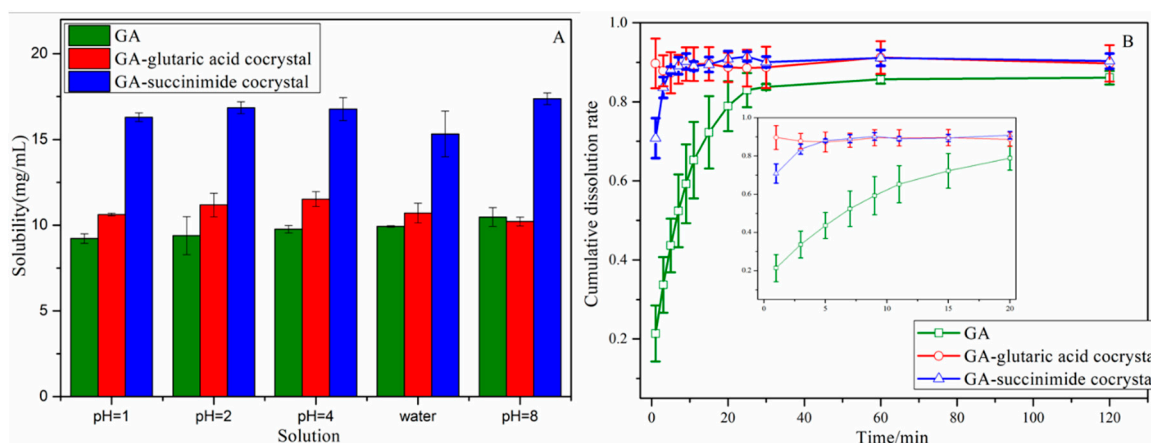


Fig.S1 The solubility (A) and dissolution rate (B) of GA and its cocrystals

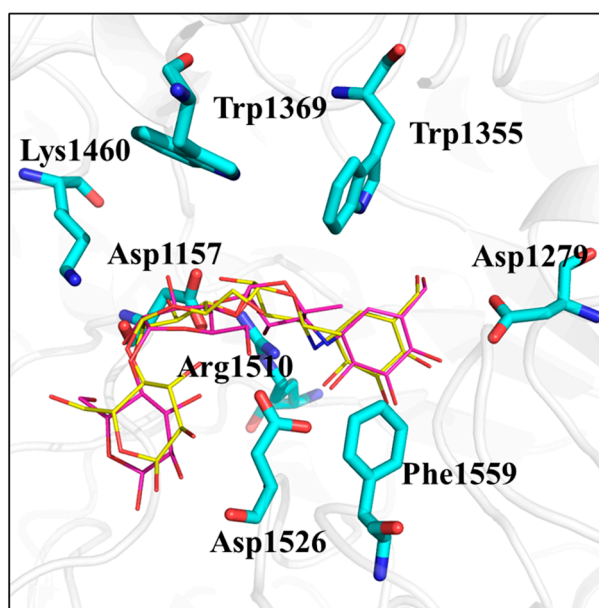


Fig. S2. The re-docking conformations. The docked conformation (pink line) was compared with the crystal structure conformation (yellow line) of acarbose in 3TOP.

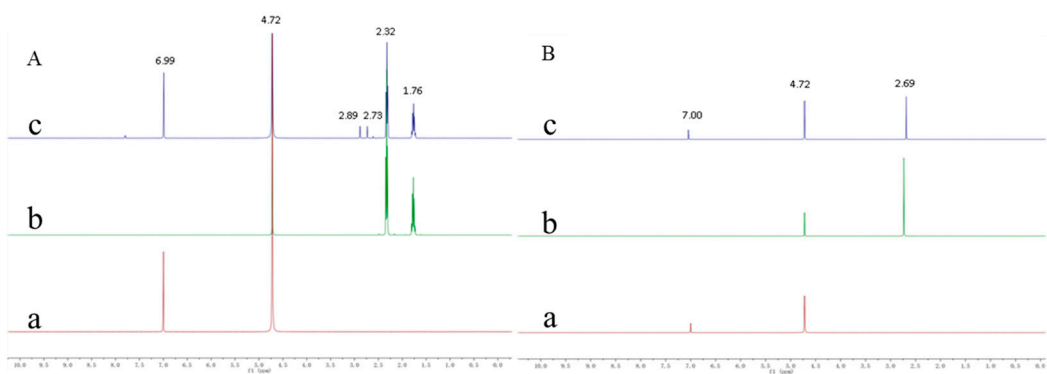


Figure S3  $^1\text{H}$  NMR for GA, CCFs and cocrystals (a) GA; (b) CCF (glutaric acid in A and succinimide in B); (c) cocrystal