Supporting Information

In-vitro 5-ASA release from the RS3 film-coated microparticles and the optimization of the coating process for colon-targeting. RS3 film-coated microparticle delivery system was obtained using 5-ASA as the model bioactive compound and the effects of RS content, plasticizer content, film coating thickness, and heat treatment time after coating on the 5-ASA release behavior from RS3 film-coated microparticles in the simulated human GI tract were investigated, and the results were presented in Figure 1S of the Supporting Information.

When native G50 starch (7.12% RS content) was used as the coating material, the cumulative release percentage of 5-ASA from the microparticles had already been 56.87% by 2 h and the 5-ASA was released completely when the microparticles reached SIF, suggesting the inability of colon targeting. In contrast, coating with RS3 film could significantly reduce the cumulative release percentage in the upper gastrointestinal tract. The cumulative release percentage of 5-ASA apparently decreased by increasing the digestion resistibility of the coated RS3 film (the RS content from 7.12% to 41.8%, Figure 1Sa). Coating with the RS3 film of 41.8% RS content, the cumulative release percentage of 5-ASA from the microparticles was 16.52% at 2 h and then was 28.26% at 8 h in the upper gastrointestinal tract (2h for the SGF and 6h for the SIF), and at last reached about 80% at 30 h which indicated that about 50% of the loaded 5-ASA was released in the SCF, suggesting that the RS3 film-coated microparticles had a potential colon-targeting and release property.

As the RS3 film quality might be influenced by the plasticizer content, the in-vitro 5-ASA release behavior from RS3 film-coated microparticles using different amounts (1–20%, w/w) of the plasticizer were evaluated, and the results are shown in Figure 1Sb. It can be seen that the cumulative release percentage was less than 30% within the first 8 h in SGF and SIF when the content of the plasticizer, 1,2-propanediol, was increased to 10%, indicating that RS3 film-coated microparticles could target 5-ASA to the colon. However, with a higher content

(15–20%) of 1,2-propanediol in the RS3 film, the cumulative release percentage increased above 60%, showing that the RS3 film with higher plasticizer cannot deliver the 5-ASA to the colon. Therefore, 10% 1,2-propanediol was suitable for the RS3 film coating.

The thickness of the coated RS3 film could decrease the cumulative release percentage of 5-ASA. Figure 1Sc shows that when the thickness of the coated RS3 film was 30% (w/w), the cumulative release percentage of 5-ASA was up to 28.26% within the first 8 h in the upper gastrointestinal tract and then was up to 90.0% within 30 h which shows that above 60% of the loaded 5-ASA was released in the SCF, suggesting that the microparticles had a good colon-targeting and release property.

From Figure 1Sd, it can be seen that the time of heat treatment after coating could prolong the period of 5-ASA release from the microparticles because it could enhance the integrity of the coated film. The results indicate that 8 h of heat treatment after coating was suitable for the preparation of RS3 film-coated microparticles targeting the colon.

In conclusion, the better coating process conditions for the RS3 film-coated microparticles with good colon-targeting and release property are as follows: using the RS3 film with RS content of 41.8% as the coating material, with the 1,2-propanediol content being 10%, the coating thickness being 30%, and the time of heat treatment after coating being 8 h.

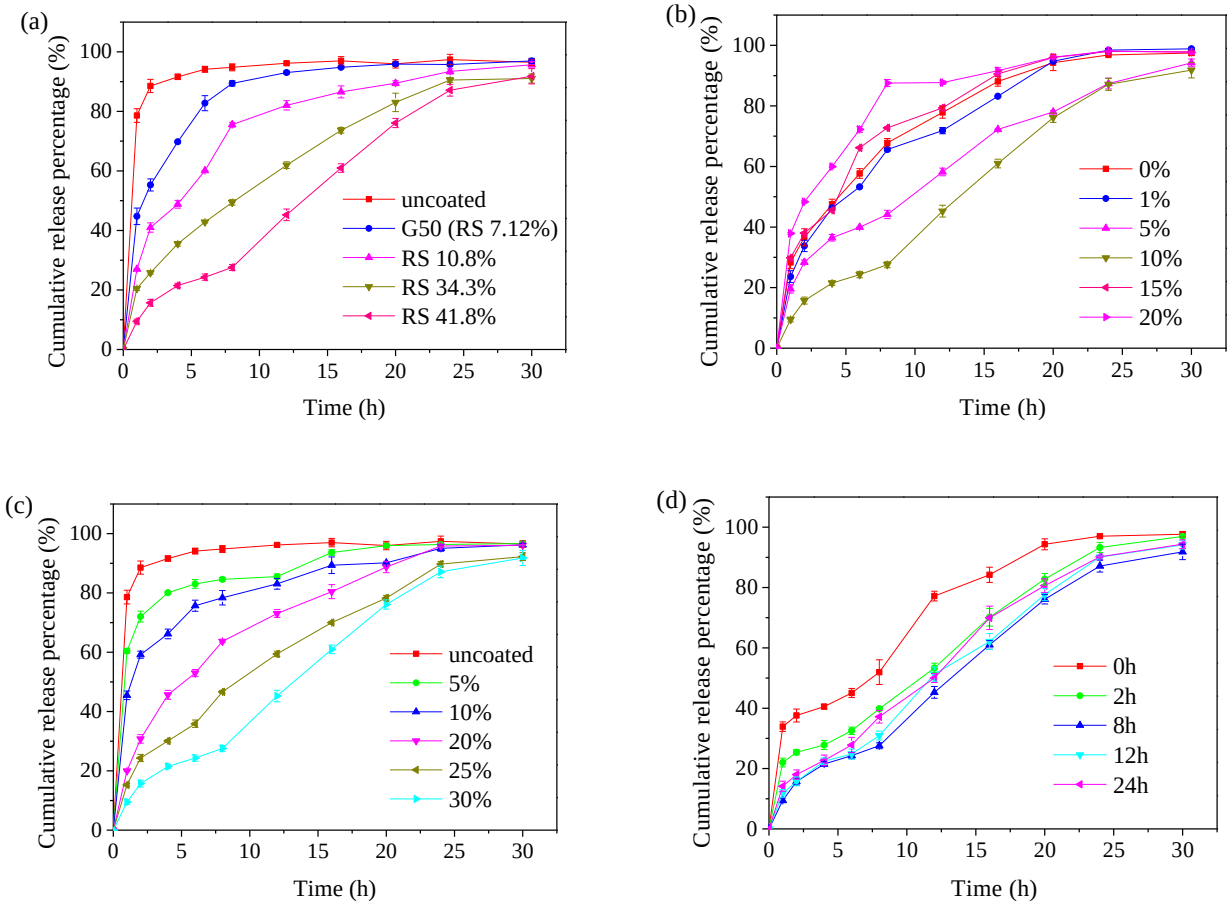

Figure. 1S. Effects of (a) RS content, (b) plasticizer content, (c) coating thickness and (d) heat treatment time after coating on the 5-ASA release from RS3 film coated microparticles under the coating conditions: 5-ASA load being 20%, using the RS3 film with RS content of 41.8% as the coating material, with 1,2-propanediol content being 10%, coating thickness being 30%, and the time of heat treatment after coating being 8 h. (when one of the parameters changed the others kept constant).