

Represented pictures were shown: C (control), TXT (docetaxel), G(-) ((-)-gossypol), TXT+G(-) (docetaxel + (-)-gossypol), All photos are x400 original. **D.** Quantification of TUNEL staining positive cells. Positive cells were counted under 400× magnification at 8 different fields, and the average numbers were calculated and plotted. \*\*\* $P < 0.001$  compared with docetaxel alone, *t*-test.

**Figure S1.** Mitochondria transmembrane potential decreases after incubation with (-)-gossypol. PC-3 cells incubated with or without (-)-gossypol 20  $\mu$ M for 6 hours, then stained with MitoCapture Mitochondrial Apoptosis Detection Kit. Pictures were taken under a confocal microscope. MitoCapture, a cationic dye, accumulates in the mitochondria, giving off a bright red-to-yellow fluorescence (Ex/Em = 488/590). In apoptotic cells MitoCapture cannot aggregate in the mitochondria due to the altered mitochondrial transmembrane potential, and thus the MitoCapture remains in the cytoplasm in its monomer form, fluorescing green (Ex/Em = 488/530).

**Figure S2.** (-)-Gossypol potentiates docetaxel in inhibiting tumor growth and inducing apoptosis in xenograft model of human prostate cancer PC-3. **A.** PC-3 xenograft tumor growth curves. PC-3 cells ( $5 \times 10^6$ ) were s.c. injected into the flanks on both sides of each mouse. When the tumors reached 50mm<sup>3</sup>, the mice were randomized into 5 to 8 mice per group and treated with (-)-gossypol 10mg/kg; docetaxel 7.5 mg/kg; or combination of the both. (-)-Gossypol was administrated p.o., q.d.; Docetaxel was administrated once a week for 3 weeks. The average tumor sizes were shown (n =10–16). **B.** Mice body weights during treatment were plotted. **C.** Apoptosis-related protein expression in xenografts tissues treated with docetaxel and (-)-gossypol. 1, control; 2, docetaxel 7.5 mg/kg; 3, (-)-gossypol 10mg/kg; 4, docetaxel 7.5 mg/kg + (-)-gossypol 10mg/kg; 5, (-)-gossypol 20mg/kg; 6, docetaxel 7.5 mg/kg + (-)-gossypol 20mg/kg.