

Figure S1. Synthesis of galeterone metabolites. Related to Figure 1.

Scheme of the synthesis of **A**. The three 5 α -reduced galeterone metabolites: 3 β -OH-5 α -galeterone **5**, 3-keto-5 α -galeterone **6**, and 3 α -OH-5 α -galeterone **8**. **B**. The three 5 β -reduced galeterone metabolites: 3 α -OH-5 β -galeterone **13**, 3-keto-5 β -galeterone **14**, and 3 β -OH-5 β -galeterone **16**. **C**. LC-MS/MS Chromatogram of Galeterone and its seven steroidal metabolites. (i) POCl₃-DMF, CHCl₃, reflux; (ii) benzimidazole, K₂CO₃, DMF, 25°C; (iii) 10% Pd/C, PhCN, reflux; (iv) 10% methanolic KOH, rt.; (v) Chromic acid, Acetone; (vi) PPh₃, DIAD, Benzoic acid, THF (vii) 10% KOH in methanol, 80°C.

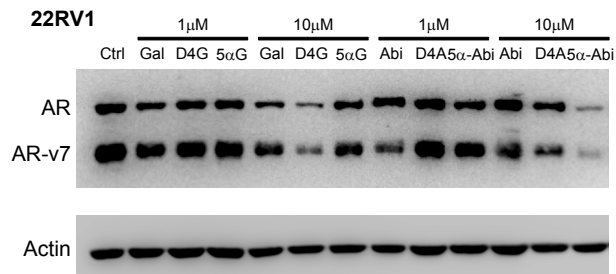


Figure S2. Effects of Gal and abiraterone metabolites on expression of an AR variant. Related to Figure 4.

The 22RV1 prostate cancer cell line was serum starved for 48h and treated with indicated drugs for 24h. Full length and variant AR protein was detected by western blot. Experiments were performed at least three times.