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Supplementary Materials

Synthesis, CYP24A1-Dependent Metabolism and Antiproliferative Potential against Colorectal Cancer Cells of 1,25-dihydroxyvitamin D_2 Derivatives Modified in the Side-Chain and in the A-Ring

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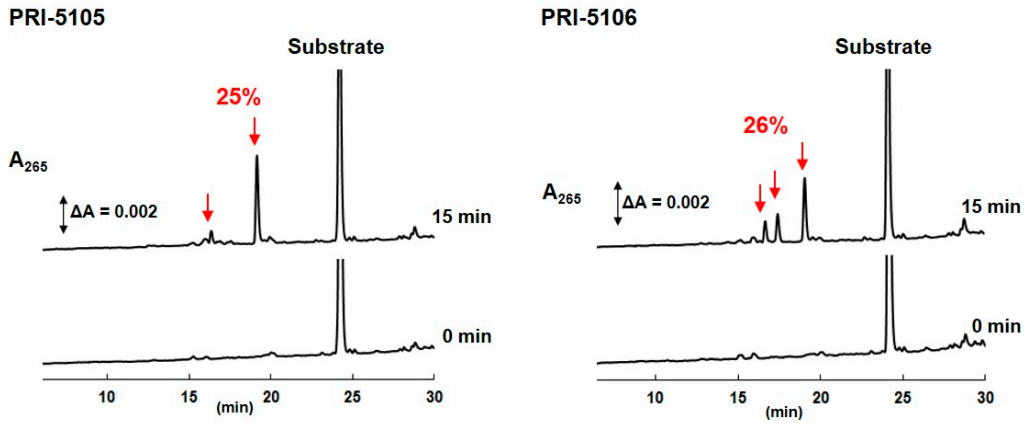

Figure S1. HPLC profiles of VDDs, PRI-5105 and PRI-5106, before and after incubation with *h*CYP24A1. The peaks marked with arrows indicate putative metabolites. ΔA indicates the absorbance difference at 265 nm. The upper chromatograms represent reaction mixture profiles following incubation with *h*CYP24A1 for 15 min. The lower chromatograms were obtained at the starting point.

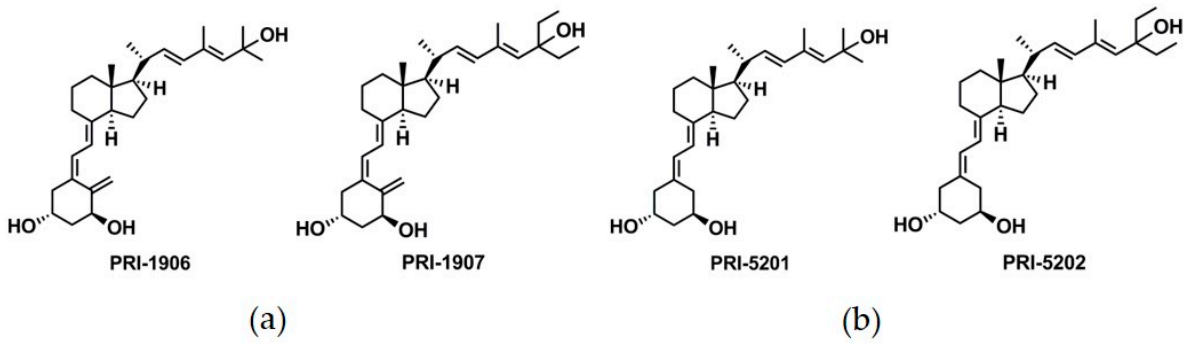

Figure S2. Chemical structures of VDDs of 1,25D2. (a) Single-point modified VDDs: PRI-1906, PRI-1907 (side-chain modified VDDs of 1,25D2); (b) Double-point modified VDDs: PRI-5201 and PRI-5202 (19-*nor* modification of PRI-1906 and PRI-1907, respectively).

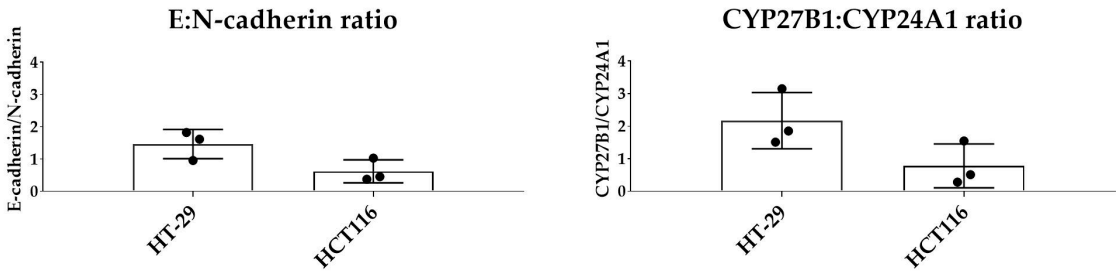

Figure S3. The ratio of the EMT markers (E- to N-cadherin) and the enzymes that catalyze the hydroxylation of vitamin D metabolites into its active or inactive form (CYP27B1 to CYP24A1, respectively) in HT-29 and HCT116 CRC cell lines. The basal expression of proteins was evaluated by western blot, then densitometric analysis of bands of protein of interest as a ratio to β-actin was performed. Data presented as mean with SD and with data of individual samples for 3 independent experiments.