Abstract: Emetine is a natural product alkaloid with protein synthesis inhibitory activity. It is known to have a number of medicinal properties including anti-parasitic and anticancer activities. However, extreme toxicity including cardiotoxicity rendered it clinically undesirable as a therapeutic drug. In our efforts to develop clinically useful anticancer agents based on natural products scaffold, we find emetine to be an attractive scaffold for chemical transformation. Consequently, our research group has been involved in the development of emetine derivatives with the goal to obtain a therapeutically useful anticancer agent that eliminates or significantly reduce the undesirable toxic side effects associated with emetine. In addition, several small molecules containing the quinone moiety have been synthesized and evaluated for effect on prostate cancer lines. This talk will give an overview of the design, synthesis and biological evaluation of some quinonoid derivatives, and some emetine analogs and prodrugs under study in our prostate cancer drug development program.