A large body of literature has shown that cell penetrating peptides (CPPs) are capable of carrying macromolecules across the plasma membrane. Cell penetrating peptides can penetrate a wide variety of tissue types and enable modulation of intracellular targets with molecules that, by themselves, are incapable of penetrating cells. As a result, CPPs are well recognized for their potential value in validating intracellular targets that could lead to drug discovery programs. The potential for CPP-drug conjugates to be used as human therapeutics has not been extensively explored and there is limited knowledge regarding characteristics of CPPs necessary for drug development. A better understanding of the properties of CPP’s as they relate to in vivo and in vitro pharmacology, pharmacokinetics, pharmacodynamics and safety will continue to inform and encourage novel drug development efforts using CPPs as therapeutics. Here we will discuss areas of interest for drug development of CPPs conjugated compounds.