Autonomously propelled/externally guided micromotors overcome current drug delivery challenges by providing (a) higher drug loading capacity, (b) localized delivery (less toxicity), (c) enhanced tissue penetration and (d) active maneuvering in vivo. These microscale drug delivery systems can exploit biological fluids as well as exogenous stimuli, like light-NIR, ultrasound and magnetic fields (or a combination of these) towards propulsion/drug release. Ability of these wireless drug carriers towards localized targeting and controlled drug release, makes them a lucrative candidate for drug administration in complex microenvironments (like solid tumors or gastrointestinal tract). In this report, we discuss these microscale drug delivery systems for their therapeutic benefits under in vivo setting and provide a design-application rationale towards greater clinical significance.