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The ability of surfactants to enhance the solubility of poorly water-soluble compounds in an aqueous solution is widely known and used in many aspects of drug formulation development (Florence, 1981; Sweetana and Akers, 1996). For example, surfactants are used as wetting agents to improve tablet dissolution (Buckton et al., 1991; Efentakis et al., 1991; Chen and Zhang, 1993; Ruddy et al., 1999; Chen et al., 2015) and are commonly used in the media for dissolution testing to maintain sink conditions for the drug (Nagata et al., 1979; Crison et al., 1997; Rao et al., 1997; Desai et al., 2014; Deng et al., 2017). In addition, biologically relevant surfactants, bile salts as well as lecithin, can form mixed micelles that are responsible for solubilization and transport of fats and oils during digestion and likely facilitate dissolution and transport of poorly water-soluble drugs in the intestinal fluid (Humberstone et al., 1996; Kossena et al., 2003, 2004; Zhang et al., 2016).

Enhancement of the aqueous solubility by surfactants occurs as a result of the dual nature of the surfactant molecule. The term *surfactant* is derived from the concept of a surface-active agent. Surfactants typically contain discrete hydrophobic and hydrophilic regions, which allow them to orient at polar–nonpolar interfaces, such as water/air interfaces. Once the interface is saturated, the surfactants self-associate to form micelles and other aggregates, whereby their hydrophobic regions are minimized and shielded from aqueous contact by their hydrophilic regions. This creates a discrete hydrophobic environment suitable for solubilization of many hydrophobic compounds (Attwood and Florence, 1983; Li et al., 1999; Zhao et al., 1999).

Solubilization of drugs in micellar solutions has been investigated extensively. Excellent reviews on this topic can be found in the literature (Florence, 1981; Attwood and Florence, 1983; Ahmad et al., 2014). The benefits of micellar solutions as drug delivery vehicles arise mainly from the solubilization power of surfactants and thus the elimination of dissolution as a rate-limiting step in the process for absorption. They may also reduce toxicity caused by administering neat drug and improve stability of labile drugs. Nevertheless, there are disadvantages to formulating with surfactants, such as their own toxicity and low achievable drug load (Lawrence, 1994). Some of these deficiencies are being addressed by the development of amphiphilic block copolymers and other polymeric surfactants, which also form micellar aggregates consisting of a hydrophobic core surrounded by a hydrophilic corona (Kataoka et al., 1993, 1996; Kwon and Okano, 1996, 1999; Pasquali et al., 2005; Wei et al., 2013). In addition, less-toxic alternatives to the more common hydrocarbon surfactants have been developed (Meinert et al., 1992; Zuberi et al., 1997; Zuberi et al., 1999). The choice of a particular system for pharmaceutical development is largely dependent on the drug and the intended application (i.e., route of administration, solubilization, and/or absorption enhancement, stabilization of drug and toxicity buffering).

The scope of this chapter is to describe the use of surfactants to enhance aqueous solubility of water-insoluble drugs through micellar solubilization. In their book *Surfactant Systems*, Attwood