particular dosage form will vary depending on its role in the formulation. In a solid dosage form it may be added at levels <0.1% to aid wetting of the drug substance and thus increase the dissolution rate. In liquid and semisolid dosage forms, the surfactant levels in self-emulsifying drug delivery systems or microemulsions can vary from 10% to 40%, and in addition to its role as a solvent for the drug, the surfactant also serves as absorption enhancer. Thus, both drug dissolution and oral absorption can be improved using surfactant(s) in liquid and semisolid dosage forms. In parenterals, the surfactant in a dosing solution may be an emulsion stabilizer (1%–2%) or a dispersant (<1%). In some cases, its role is to solubilize the drug (5%–20%). Finally, in topicals the surfactant is present usually at levels between 2% and 10% to help in the formation of the microstructure (gel, cream, emulsion) and improve transmucosal absorption. In many cases, the role of a surfactant is in fact multifunctional.

Strickley (2004) has compiled a comprehensive review of solubilizing excipients, including surfactants, in various oral and injectable formulations.

Solid Dosage Forms

Several marketed products of lipophilic drugs incorporate various surfactants to aid drug dissolution and oral absorption. An interesting method has been reported on the preparation of solid dosage forms of lipophilic drugs, primarily in a powder form using solid micelle dispersion (Hwang et al., 1996; Kim et al., 1996). According to this method, the drug is first solubilized in solvent-surfactant(s) mixtures. Subsequently the resulting mixture is adsorbed onto porous dextrin while the solvent is removed to generate a drug powder that subsequently can be filled into hard gelatin capsules for oral administration. Improved oral absorption of cyclosporine from a solid micelle dispersion incorporating a nonionic hydrophilic surfactant and a porous carrier was obtained when compared to that obtained with the commercial Sandimmune formulation. The solid micelle dispersion had less intersubject variation compared with Sandimmune (Kim et al., 1996; Shin et al., 1996). Applicability of this method to other lipophilic drugs needs to be determined.

Semisolid Oral Dosage Forms

There is increasing interest in the use of semisolid formulations to solubilize lipophilic drugs for oral administration in a hard gelatin capsule. These formulations incorporate solvents (ethanol, propylene glycol, PEGs) along with surfactants and glyceride bases. On dilution with aqueous media or biological fluids, they form micellar solutions/dispersions or microemulsions (oil-in-water). It has been shown that absorption of cyclosporine from these formulations is fast with more consistent pharmacokinetic profiles than the reference formulation (Sandimmune). This improvement in drug absorption has been attributed to improved dissolution and thus instantaneous absorption (Ritschell, 1996).

Liquid/Parenteral Formulations

For parenteral uses, drug products can be formulated as parenteral solutions or concentrates. Before administration, the parenteral concentrates, such as intravenous infusion, micelles are formed on dilution with diluents. Examples of these drug formulations can be found in several marketed products, such as Taxol® (paclitaxel) Injection, Sandimmune Injection (cyclosporin for injection concentrate), and Vumon (teniposide) for Injection Concentrate. Taxol is used here as a case study to illustrate the use of micellar solubilization in a liquid/parenteral dosage form (PDR® 1997).

Taxol Injection, a product by Bristol-Myers Squibb, consists of 6 mg paclitaxel, 527 mg of Cremophor EL (polyoxyethylated castor oil) and 49.7% (v/v) dehydrated alcohol, USP in 1 mL parenteral concentrate. Paclitaxel is highly lipophilic and insoluble in water with a melting point of 216°C–217°C and a molecular weight of 854. It has to be in a soluble form to prepare an intravenous