
9 Solubilization Using Cosolvent Approach

Jay S. Trivedi and Zhanguo Yue

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INTRODUCTION

As the structural complexity of new compounds increases, typically solubility of the molecule is reduced dramatically. One of the first steps in the drug absorption process is the disintegration of a dosage form (e.g., tablet or capsule) followed by dissolution of the drug before any absorption can take place. Thus, dissolution is the rate-limiting step in the entire drug absorption process. At an early stage of the development (e.g., hit to lead or lead optimization), when physicochemical properties information is limited (e.g., crystallinity, solubility, dissolution, etc.), a solubilized formulation is highly desired to minimize dissolution limited absorption as well as to minimize interstudy variability. Often, these early stage formulations become the backbone for the later stage commercial formulations. Hence, the selection of an appropriate solubilizing technique is a tremendous challenge for a scientist.

Scientists have used numerous techniques, from pH manipulations to complexations with cyclodextrin to emulsions and microemulsions. All of these techniques are well described by our fellow authors in this book.

COSOLVENT

When the aqueous solubility of a drug is well below its therapeutic dose, a mixture of solvents is added to achieve sufficiently high solubility. Thus, a cosolvent is a water-miscible organic solvent that is used to increase the solubility of a poor water-soluble compound or to increase the chemical stability of a drug.