INTRODUCTION

The chapter examines the issues related to the in vitro characterization of solid oral dosage forms. The importance and utility of in vitro characterization are discussed in relation to the factors influencing in vitro drug release, including those intrinsic to the drug substance, the drug product and manufacturing process, and the relevant dissolution test methodology. A discussion is also provided on practical issues that may be faced during the conduct and evaluation of in vitro dissolution testing and the application of in vitro drug product performance testing.

IMPORTANCE OF IN VITRO DRUG PRODUCT CHARACTERIZATION

Modern solid oral dosage forms are expected to be of high quality and exhibit reliable performance characteristics. This is achieved by careful selection and quality control of various ingredients and a well-defined manufacturing process, giving careful thought to different variables that may influence product appearance, potency, uniformity, purity, stability, and dissolution. In modern pharmaceutics, as the complexity of materials, instruments, equipment and techniques have increased, it has become imperative to apply up-to-date research methods, techniques, and tools to manufacture and monitor these dosage forms. In vitro characterization of solid oral dosage forms is important from the perspective that it provides us with information regarding the rate at which the active ingredient is released from the dosage form. This characterization is vital for formulation development, comparability assessment, and product performance.

In vitro testing to characterize the potency, uniformity, and release rate of the active ingredient(s) in solid oral dosage forms is based on the monographs and general chapters in the United States Pharmacopoeia (USP)/National Formulary [1] and on various guidance of the U.S. Food and Drug Administration (FDA) [2–4]. Tests and requirements for content and consistency of the dosage form include assay or potency of the active ingredient(s) and content uniformity/weight variation of dosage units. Tests for in vitro release of active ingredient(s) from the dosage form include dissolution and disintegration.

After oral administration of a solid oral dosage form, the critical elements of drug absorption are (a) disintegration and dissolution and (b) permeation across the membranes of the gastrointestinal tract. Due to the critical nature of the first of these steps, in vitro dissolution is often relevant to the prediction of in vivo drug product performance. This is particularly true for low solubility drugs and for modified-release (MR) dosage forms, for which dissolution/drug release is usually the rate-limiting step in the in vivo absorption.

TYPES OF SOLID ORAL DOSAGE FORMS

Among the different types of solid oral dosage forms available, tablets and capsules are the most popular and constitute a major share of the market. Tablets are often variously categorized as regular (oral), effervescent, chewable, orally disintegrating, etc. Capsules may be of either the soft or hard gelatin variety. Examples of less