Pharmaceutics

The science of dosage form design

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Tablets

TABLETS AS A DOSAGE FORM

In December 1843 a patent was granted to the Englishman, William Brockedon, for a machine to compress powders to form compacts. This very simple device consisted essentially of a hole (or die) bored through a piece of metal within which the powder was compressed between two cylindrical punches; one was inserted into the base of the die and at a fixed depth, the other was inserted at the top of the die and struck with a hammer. The invention was first used to produce compacts of potassium bicarbonate and caught the imagination of a number of pharmaceutical companies. Later, Wellcome in Britain was the first company to use the term tablet to describe this compressed dosage form. The *British Pharmacopoeia* defines tablets as being circular in shape with either flat or convex faces and prepared by compressing the medicament or mixture of medicaments, usually with added substances. Tablets are now

some 70% of all ethical pharmaceutical preparations produced. Indeed the importance of tablets as a form of drug administration can be seen from the fact that the *British Pharmacopoeia* (BP) in 1932 included only one tablet monograph (glyceryl trinitrate), which rapidly increased to 82 in the 1953 BP. By 1963 the BP had 183 tablet preparations, and in 1973 this figure had risen to 310 and to 384 in the 1980 edition.

Advantages of compressed tablets

The compressed tablet has a number of advantages as a dosage form. It enables an accurate dosage of medicament to be administered simply. It is easy to transport in bulk and carry by the patient. The tablet is a uniform final product as regards weight and appearance, and is usually more stable than liquid preparations. The release rate of the drug from a tablet can be tailored to meet pharmacological requirements. Finally, the major advantage of the compressed tablet as a dosage form is that tablets can be mass produced simply and quickly and the resultant manufacturing cost is therefore very much lower when compared with other dosage forms.

Types of tablet

Several categories of tablet can be distinguished dependent on the mode of use. The commonest type are those intended to be swallowed whole. A less common type of tablet is that formulated to allow dissolution or dispersion in water prior to administration. Many tablets are formulated to be effervescent and have become increasingly wider used in recent years because of their more rapid release of medicament and reduced chance of causing gastric irritation. Some tablets are designed to be chewed and used where buccal absorption is desired. Alternatively they may be intended to dissolve slowly in the mouth, e.g. lozenges or under the tongue (sublingual). There are now available many types of tablets which provide for the release of the drug to be delayed or allow a controlled, sustained rate of release. Many of these preparations are highly sophisticated and are referred to as 'complete drug delivery systems'. Tablets can also be coated so as to protect the drug against decomposition or to disguise or minimize the unpleasant taste of certain medicaments (see Chapter 40 for further details). Coating also enhances the appearance of tablets and makes them more readily identifiable. In addition, coatings can be applied which are resistant to gastric juices but which readily dissolve in the small intestine. These 'enteric' coatings can protect drugs against decomposition in the acid environment of the stomach. The coating process has traditionally involved the application of surface layers of sucrose so as to build up a thick sugar coat around the tablets. This process can take several days. For this reason the spray application of a film of material is now becoming more popular. This film coating technique can be carried out in a coating pan or alternatively in specialized fluidized bed equipment. Compressed coating around a tablet core has also been developed. These compression machines can produce multilayer tablets with different ingredients in each layer, so that potentially incompatible ingredients can be formulated in the same tablet.

Essential properties of tablets

The major advantage of tablets as a dosage form is that they provide an accurate dosage of medicament. Each tablet must contain a known amount of drug and this must be checked by content uniformity tests. Tablets must also be uniform in weight, appearance and diameter. Another prerequisite of tablets for oral use is that when they are swallowed whole they should readily disintegrate in the stomach. This property represents a great paradox in formulation, since tablets should be produced with sufficient strength to withstand the rigors of processing, coating and packing, yet be capable of rapid breakdown when administered in order to release the drug rapidly. This disintegration involves the bursting apart of the compact by aqueous fluids penetrating the fine residual pore structure of the tablet. These fluids come into contact with tablet components that either swell or release gases and so break apart the intact tablet. Perhaps the most significant property of tablets is that of dissolution rate. The active ingredient must be available pharmacologically

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