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Current Status of Amorphous Formulation and Other Special Dosage Forms as Formulations for Early Clinical Phases

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Abstract

Although most chemists in the pharmaceutical industry have a good understanding on favourable physicochemical properties for drug candidates, formulators must still deal with many challenging candidates. On the other hand, formulators are not allowed to spend much time on formulation development for early phases of the clinical studies. Thus, it is basically difficult to apply special dosage form technologies to the candidates for the first-in-human formulations. Despite the availability of numerous reviews on oral special dosage forms, information on their applicability as the early phase formulation has been limited. This article describes quick review on the oral special dosage forms that may be applied to the early clinical formulations, followed by discussion focused on the amorphous formulations, which still has relatively many issues to be proved for the general use. The major problems that inhibit the use of the amorphous formulation are difficulty in the manufacturing and the poor chemical/ physical stability.

Keywords: Amorphous; Special Dosage Form; Early Clinical Phases; Developmental Strategy; Crystallization; Stability; Molecular Mobility

Introduction

Over the last decade, there has been much discussion on favourable physicochemical properties for drug candidates.1–4 Nevertheless, formulators must still deal with many challenging compounds, because such problematic compounds, notably poorly soluble compounds are often judged to be effective in high-throughput screening studies [1,2]. Reason for the increase of poorly soluble candidates is frequently explained in terms of improvements in synthesis technology, which have enabled the design of very complicated compounds, and a change in discovery strategy from a so-called phenotypic approach to a target-based approach, which occurred around 1990 [3].The phenotypic approach is the classical trial- and-error methodology in which candidate compounds are tested against cells, tissues, or whole bodies [4]. Thus, it takes into account various physicochemical and biological factors together that may influence the efficacy of various types of the oral special dosage forms including self-emulsifying drug delivery systems,

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solid dispersions, nano suspensions, and colloidal formulations have been actively developed by both academic and industrial researchers [6]. New administration routes such as pulmonary delivery are also being investigated with the aim of achieving efficient systematic delivery of poorly absorbable drugs [7,8]. This article describes quick review on their develop ability as the formulation technology [9] for the early clinical phases, for which the restriction in the developmental timelines is very strict, followed by focus on the current status of the amorphous technology, which still has relatively many issues to be proved for the general use[10]. The technical aspect of each formulation except the amorphous formulation will not be discussed in detail in this article, because several excellent have already been available on this topic.

Research Direction of the Amorphous Formulation

Current major problems that inhibit the use of the amorphous technology are difficulty in mass production and the poor physical/chemical stability. As for the mass production, although many technologies are already available, most of them including the hot melt extrusion require energy stress that may cause degradation [11]. This is inevitable as far as the crystalline state is used as the starting material. Another unfavourable aspect of the manufacture from the crystalline state is the low system homogeneity that may cause the scale-up problem. Therefore, solution seems to be a better starting material to produce amorphous formula [12].

Conclusions

The special dosage forms that may be integrated in the development strategy of new chemical entities are summarized with an emphasis on the use of the amorphous dosage form. Some formulations, such as the self-emulsifying drug delivery systems, may be developed within normal timeframes, despite their sophisticated formulation design, if the formulators have a good practice in the area. On the other hand, the special dosage forms, for which a protocol for assessing the physical stability has not yet been established, such as the amorphous formulations, are essentially not applicable within the normal developmental timeframes [8]. Some basic investigations including assessment of the molecular mobility are still required for overcoming problems of the amorphous formulations that inhibit their general use in the pharmaceutical industry.

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