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The Need for Restructuring the Disordered Science of Amorphous Drug Formulations (Review) (Open Access)

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Abstract

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The alarming numbers of poorly soluble discovery compounds have centered the efforts towards finding strategies to improve the solubility. One of the attractive approaches to enhance solubility is via amorphization despite the stability issue associated with it. Although the number of amorphous-based research reports has increased tremendously after year 2000, little is known on the current research practice in designing amorphous formulation and how it has changed after the concept of solid dispersion was first introduced decades ago. In this review we try to answer the following questions: What model compounds and excipients have been used in amorphous-based research? How were these two components selected and prepared? What methods have been used to assess the performance of amorphous formulation? What methodology have evolved and/or been standardized since amorphous-based formulation was first introduced and to what extent have we embraced on new methods? Is the extent of research mirrored in the number of marketed amorphous drug products? We have summarized the history and evolution of amorphous formulation and discuss the current status of amorphous formulation-related research practice. We also explore the potential uses of old experimental methods and how they can be used in tandem with computational tools in designing amorphous formulation more efficiently than the traditional trial-and-error approach. © 2017, The Author(s).

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