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Solubility strategies in pharmaceutical research and development

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The ideal drug attributes allow easy formulation into common and already registered dosage forms. Solubility into conventional oral (per os) and intravenous formulations as well as good absorption in the gastrointestinal tract always facilitate achieving desired therapeutic goals as well as demonstrating acceptable pharmacokinetic profiles. However, during drug discovery optimization phase, the low solubility of drug candidates has become one of the most frequent issues that prevent these attributes from being fulfilled. Low solubility impacts the intravenous formulation feasibility and the oral bioavailability. In parallel, safety and toxicity concerns have to be anticipated, thus challenging clinical development. This lecture describes comprehensive strategies towards these ends, at the interface between research and development, to alleviate solubility deficit in order to realize essential animal studies. The main enabling formulations to tackle solubility will be described through several unpublished case studies. Some undisclosed formulations for low water soluble molecules will be presented. A focus on nanotechnology, enabling formulation to deliver drug substance via an intravenous administration will be discussed, including feedback from the FDA for incrementally modified drug (IMD) submission. However, to avoid labor intense and resource-consuming pharmaceutical development, approaches to design solubilizing prodrugs or salts compatible with standard drug formulation technologies will be considered. Finally, these approaches either based on enabling formulation or molecule design will be compared to allow making strategic choices as early as possible in the discovery phase to ensure fast and smooth pharmaceutical development.

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