Investigating to Optimal Ratio between Drug and Co-Former in Co-Amorphous Systems [†]

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Abstract: Most new low molecular weight chemical entities in pharmaceutical developments suffer from a low aqueous solubility, making oral delivery challenging. Despite the numerous formulation efforts that can be investigated, research especially on amorphous drugs and formulations appears to be a useful approach. Whilst few drugs can be converted to an amorphous form on their own, due to their physical stability, the use of amorphous solid dispersions, i.e., the dissolution of drug molecules into (amorphous) polymers is increasingly used. However, certain shortcomings of these polymers based amorphous solid dispersions, such as a low drug load and a usually high hygroscopicity, still necessitate the investigation of alternative approaches. One such approach is the use of co-amorphous systems, i.e., the combination of initially crystalline low molecular weight drugs and excipients. Usually, here, a 1:1 molar ratio is used, but this may not be the optimal mixing ratio. In this presentation, work on investigating the optimal ratio between drug and co-former will be presented and critically discussed.

Keywords: poor solubility; amorphous; co-amorphous

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