Scientific Symposium

mg to kg: discovering optimal solid forms of a drug substance

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Abstract

Small-molecule active pharmaceutical ingredients (APIs) are known to be capable of crystallizing in multiple lattice structures, a property known as polymorphism. An understanding of the physico chemical properties of these crystalline solid forms (including their solubility, hygroscopicity, solvation state, morphology, and stability) is pivotal to being able to select the optimal solid form for further development. We present an approach to optimizing the solid form of an API. The process starts on the milligram scale in high-throughput polymorphism, salt, and co-crystal screenings. The resulting leads are scaled-up to permit full characterization of each crystal form and to elucidate the interrelationships among polymorphs (including solvates and hydrates). Once a solid form with the desired properties has been identified, crystallization processes can be optimized on a 100-400-mL scale using process analytical tools to determine suitable solvent/antisolvent ratios, seeding temperatures, seed amounts, cooling ramps, and so on in order to obtain the chosen product with a high yield and high purity. The crystallization process can then be scaled-up to the kilogram scale according to the GMP quality standard to provide material for early clinical studies. Several case studies will be presented.

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Free

For inquiries or assistance with registration, please contact us at marketing@solvias.com.