Characterization of Salts of Drug Substances

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The properties of the solid state of drug substances are critical factors that determine the choice of an appropriate salt form for the development of the pharmaceutical formulation. The most relevant properties may affect the therapeutic efficacy, toxicity, bioavailability, pharmaceutical processing and stability. The salt form must fulfill the needs of the targeted formulation, be suitable for full-scale production and its solid state properties maintained batchwise as well as over time.
The parameters affected by the processing of the drug products are solvent, excipients, temperature, pressure and humidity.
Comparison of the solid state properties of different salt candidates may be quite complicated when the salt forms exist as different solid phases: polymorphs, solvates or amorphous forms.
Therefore the solid state properties such as solubility, dissolution, melting, density, morphology, hygroscopicity, processability, stability, compatibility have to be studied in the context of thermodynamic and kinetic viewpoints. Some examples will emphasize the characterization of the salt candidates for a proper selection.