Preformulation

As the basic human needs, drugs are not administered to patients as pure compounds, they are formulated into drug products. However, recently an FDA review on the approval stats, states that most products fail in clinical studies at phase-II stage as well as phase-III stage possibly due to inefficacy of drug (B55%), toxicity issues (30%), commercial reasons (5%), operational hurdles (5%), and unknown errors (5%). Therefore, in order to save research costs and ensure the production of qualified dosage forms, before developing these main dosage forms, it is essential that certain fundamental, physical and chemical properties of the drug molecule and other properties of the drug powder are determined.

Preformulation is an investigation of physical and chemical properties of a drug substance when used alone or combined with excipients. Early prediction of these properties will generate information useful to the formulator in developing stable and safe dosage forms with good bioavailability.

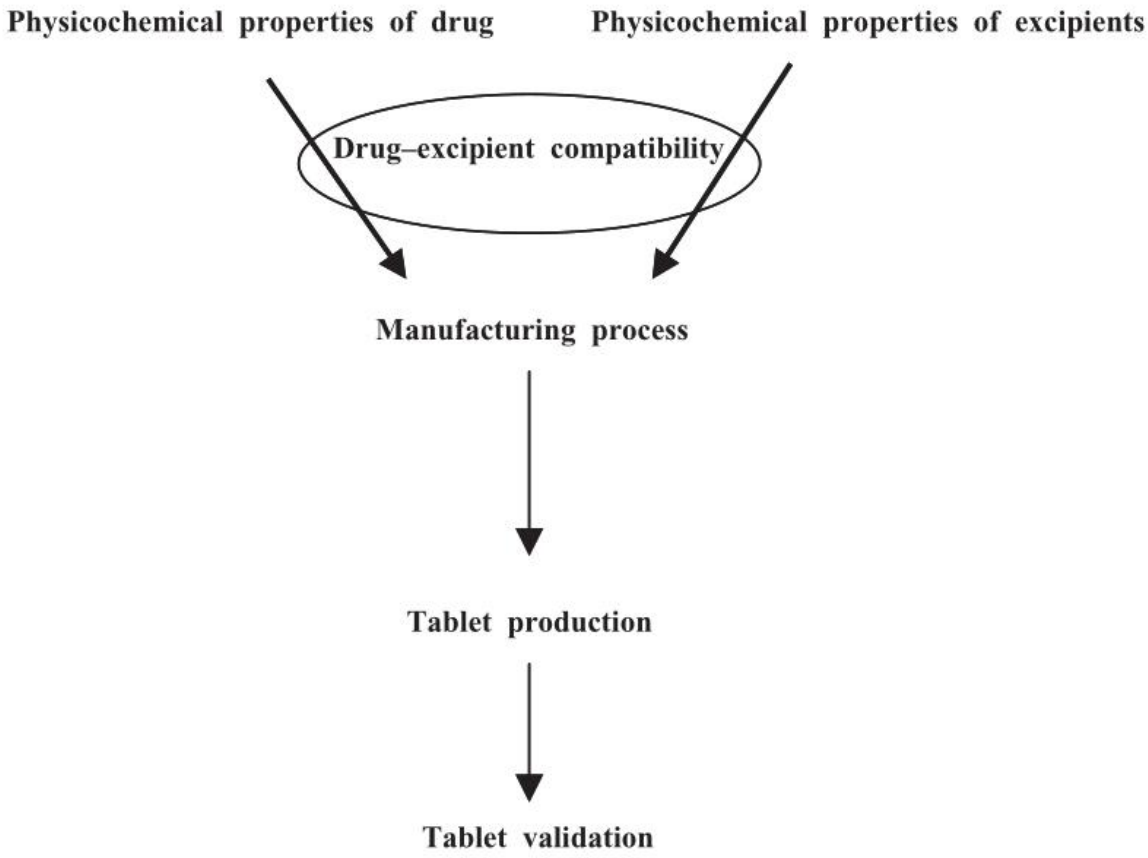

Fig.1 General preformulation approaches for tablet production. (Lee B J, 2010)

At **CD BioSciences** , our preformulation services are equipped with advanced instrumentation, and our research team not only have the expertise to handle the most complex formulations, but also have a depth of experience in the pharmaceutical industry and contract service organisations. **CD BioSciences** can offer tailored and rational preformulation development.

Typical Preformulation Studies of Pharmaceuticals Include:

- **Excipient Compatibility Studies** – These studies typically assess the stability of an API with individual and groups of commonly used pharmaceutical excipients in various accelerated stability

conditions. The exact choice of excipients depends on the known stability and solubility characteristics of the active pharmaceutical ingredient (API) and the type of dosage form planned.

- **Physical/Chemical Characterization** – These studies focus on the crystal structure of the API. The tests which are typically performed include powder x-ray diffraction (XRD), differential scanning calorimetry (DSC), thermogravimetric analysis (TGA), Fourier transform infrared spectroscopy (FTIR), microscopy, Raman spectroscopy, and Karl Fischer moisture analysis. Additional tests can be performed if requested.
- **Solubility** – Solubility of the API is determined as a function of pH in water, buffers and/or various solvents. The choice of solvents depends on the anticipated dosage form and the known solubility characteristics of the API or similar compounds.

References

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