

Preformulation

Sousa e Silva JP*

Laboratory of Pharmaceutical Technology, Faculty of Pharmacy, Department of Drug Sciences, University of Porto, Rua Jorge Viterbo Ferreira, 228 4050-313 Porto, Portugal

Preformulation consists essentially in the study of physicochemical properties of the drug as a first step in the pharmaceutical developing of a drug substance [1,2]. The main purpose of the preformulation is to generate useful information for the development of a pharmaceutical dosage form (formulation). Currently, the process of drug discovery involves the identification/test of active compounds against a target (hits), and the selection of leaders, which are molecularly modified to optimize their pharmacodynamic and pharmacokinetic properties in order to become a clinical candidate. Preformulation should, at least, begin at the optimization phase in order to get a drug that from the pharmaceutical point of view is more suited to formulation stage. Obtaining a new drug requires the cooperation of multidisciplinary teams. However, each field has its own criteria for optimizing a compound. The pharmaceutical scientist must focus on stability/compatibility, solubility and flow (in case of solid dosage forms) to obtain an active substance that can be formulated. The formation of salts or prodrugs should always be considered in the optimization process of the active compounds. The list of tests and determinations that may be done in the preformulation is long, but according to Steele preformulation should not be conducted on a “check –list” basis, but should be planned to obtain the adequate information [3].

There are several ways to systematize these tests, like the one proposed by Sousa Lobo in which it is possible to note pharmaceutical technologist’s focus, perhaps the most appropriate, particularly in the case of the development of solid oral dosage forms [2].

Based on the evaluation of properties at three levels: molecular, powder particle and bulk powder, Sousa Lobo conceives the following systematization:

Molecular or Chemical Characterization

- Identity, structure and purity of the compound (degree of crystallinity and polymorphism);
- Impurity profile;
- Moisture;
- Melting Point;

- Dissociation Constant;
- Partition Coefficient;
- Solubility;
- Stability (Solid State, pH-Stability Profile And Compatibility With Excipients).

Powder Particle or Physical Characterization

- Particle size/shape;
- Particle size distribution;
- Surface area;
- Density;
- Wettability;
- Dissolution (dissolution kinetics, pH profile).

Powder or Mechanical Characterization

- Cohesion;
- Adhesion;
- Flow;
- Apparent volume;
- Consolidation behavior;
- Electric charge.

References

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*Corresponding author: Sousa e Silva JP, Laboratory of Pharmaceutical Technology, Faculty of Pharmacy, Department of Drug Sciences, University of Porto, Rua Jorge Viterbo Ferreira, 228 4050-313 Porto, Portugal, E-mail: paulo.silva@ff.up.pt

Received January 22, 2013; Accepted January 24, 2013; Published January 25, 2013

Citation: Sousa e Silva JP (2013) Preformulation. Pharmaceut Anal Acta 4: e144. doi:10.4172/2153-2435.1000e144

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