Formulation Screen

Background: Pharmacokinetics, in vivo pharmacology/efficacy and short-term toxicology are the typical animal studies crucial for prioritization of lead candidates in the early stages of drug discovery process. Selection of proper compound formulations meeting a variety of requirements, related to intrinsic physico-chemical properties of the compound, routes of delivery, stability, toxic side-effects, interference with bioanalytical methods etc., can have a substantial effect on the outcome of such studies. This issue is aggravated by the commonplace occurrence of poorly soluble NCEs in drug discovery.

Service Details: We will test solubilization of the test articles in 10-20 different formulation vehicles containing a variety of excipients commonly used in early preclinical formulations. Exact number of vehicles tested depends upon the properties of the compound and its behavior in the consecutive solubilization tests. Typically, 2 selected concentration levels can be tested through a dilution step. All formulations will be checked for full solubilization of the test article as well as the phase stability of each formulation over 24 hour period. This is accomplished by the visual observation using white-light transilluminator. Follow-up studies, such as longer-term chemical stability of the test article in the selected formulation, can be done for an additional fee.

Deliverable: Report containing compositions of the tested formulation vehicles, preparation of the formulations, solubilization and stability is provided.

Sample Submission: A minimal accurately weighted aliquot of dry compound (~1 mg) is required for each formulation recipe to be tested. We do not need to know the structures of the molecules for this test. However, some knowledge of the chemical nature of the tested compounds may facilitate the choice of most suitable formulation vehicles.