Bergstrom, C. A. et al. (2014). "Early pharmaceutical profiling to predict oral drug absorption: Current status and unmet needs." *Eur J Pharm Sci* 57:173–199.

Preformulation measurements are used to estimate the fraction absorbed in vivo for orally administered compounds and thereby allow an early evaluation of the need for enabling formulations. As part of the Oral Biopharmaceutical Tools (OrBiTo) project, this review provides a summary of the pharmaceutical profiling methods available, with focus on in silico and in vitro models typically used to forecast active pharmaceutical ingredient's (APIs) in vivo performance after oral administration. An overview of the composition of human, animal and simulated gastrointestinal (GI) fluids is provided and state-of-the art methodologies to study API properties impacting on oral absorption are reviewed. Assays performed during early development, i.e. physicochemical characterization, dissolution profiles under physiological conditions, permeability assays and the impact of excipients on these properties are discussed in detail and future demands on pharmaceutical profiling are identified. It is expected that innovative computational and experimental methods that better describe molecular processes involved in vivo during dissolution and absorption of APIs will be developed in the OrBiTo. These methods will provide early insights into successful pathways (medicinal chemistry or formulation strategy) and are anticipated to increase the number of new APIs with good oral absorption being discovered.

Bharate, S. S. and R. A. Vishwakarma (2013). "Impact of preformulation on drug development." *Expert Opin Drug Deliv* 10(9):1239–1257.

INTRODUCTION: Preformulation assists scientists in screening lead candidates based on their physicochemical and biopharmaceutical properties. This data is useful for selection of new chemical entities (NCEs) for preclinical efficacy/toxicity studies which is a major section under investigational new drug application. A strong collaboration between discovery and formulation group is essential for selecting right NCEs in order to reduce attrition rate in the late stage development. AREAS COVERED: This article describes the significance of preformulation research in drug discovery and development. Various crucial preformulation parameters with case studies have been discussed. EXPERT OPINION: Physicochemical and biopharmaceutical characterization of NCEs is a decisive parameter during product development. Early prediction of these properties helps in selecting suitable physical form (salt, polymorph, etc.) of the candidate. Based on pharmacokinetic and efficacy/toxicity studies, suitable formulation for Phase I clinical studies can be developed. Overall these activities contribute in streamlining efficacy/toxicology evaluation, allowing pharmacologically effective and developable molecules to reach the clinic and eventually to the market. In this review, the magnitude of understanding preformulation properties of NCEs and their utility in product development has been elaborated with case studies.

Chadha, R. and S. Bhandari (2014). "Drug-excipient compatibility screening—Role of thermoanalytical and spectroscopic techniques." *J Pharm Biomed Anal* 87:82–97.

Estimation of drug-excipient interactions is a crucial step in preformulation studies of drug development to achieve consistent stability, bioavailability and manufacturability of solid dosage forms. The advent of thermoanalytical and spectroscopic methods like DSC, isothermal microcalorimetry, HSM, SEM, FT-IR, solid state NMR and