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Assessing Oral Bioavailability of Metals in Soil Oral Bioavailability Oral Bioavailability Assessment Oral Lipid-Based Formulations The Prediction of Human Oral Bioavailability of Drugs Investigating the Oral Bioavailability of Glucosamine Using a Rat Model Particle Formulation for the Enhancement of Oral Bioavailability of Poorly Water-soluble Drugs Drug Bioavailability SNEDDS for Improved Oral Bioavailability of BCS ClassII Drug-IVIVC Determinants of Oral Bioavailability of Soil-borne Contaminants Development of a Novel Drug Delivery System to Enhance the Oral Bioavailability of Lactoferrin Biopharmaceutics Modeling and Simulations Smedds - a Tool to Explore Oral Bioavailability Problems Oral Absorption, Intestinal Metabolism and Human Oral Bioavailability Oral Bioavailability of Carbohydrate Mimetics Enhanced Oral Bioavailability of Lipophilic Drugs Using a Novel Delivery System Oral Drug Absorption Effects of formulations, surfactants, and solvents upon the oral bioavailability of poorly soluble drugs in the blood and lymph The Oral Bioavailability of Sodium Nitrite Investigated in Healthy Adult Volunteers Improvement of Oral Bioavailability of Ginsenosides Via Mechanism-based Biopharmaceutical Approaches Improvement of the Physicochemical Properties and Oral Bioavailability of Poorly Bioavailable Drugs by Cyclodextrin- and Prodrug-technology Pharmacokinetics and Oral Bioavailability of Trimethoprim-sulfamethoxazole in Alpacas Improving the Transport and Oral Bioavailability of Therapeutic Agents Via Modulation of the Paracellular Absorption by Delta G and Inhibition of P-glycoprotein Mediated Drug Efflux Report on Bioavailability of Oral Dosage Formulations, Not in Modified Release Form, of Drugs Used for Systemic Effects, Having Complicated Or Variable Pharmacokinetics Enhancing the Oral Biovavailability of Glutathione (GSH) Using Chemical Modification Approaches Estimating the Oral Bioavailability of Polycyclic Aromatic Hydrocarbons from Soil Oral Bioavailability of Nonpolar Organic Chemicals in Soil for Use in Human Health Risk Assessment Improvement of the Oral Bioavailability of 17B-estradiol by a Prodrug Approach. Stability Kinetics, Pharmacodynamic and Bioavailability Studies Revising Oral Pharmacokinetics, Bioavailability and Bioequivalence Based on the Finite Absorption Time Concept Influence of Dietary Fat on the Oral Bioavailability of the Flavonol Quercetin Mechanistic Understanding of Enhanced Human Oral Bioavailability of Fenofibric

Acid from Novel Lipid Carriers Using Semi- Physiologically Based Pharmacokinetic Model and Various Analytical Approaches Including Biorelevant Dissolution Testing Oral Bioavailability Improvement by P-Glycoprotein Modulation Pharmacokinetics and Bioavailability of Scopolamine in Man After Oral and Intravenous Administration Oral Bioavailability of Flavonoids and Their Effects on the Metabolic and Antioxidative Status in Neonatal Calves Role of P-glycoprotein in Oral Bioavailability of Its Substrates Recent trends in solubility and bioavailability enhancement for poorly water-soluble drugs Increased Oral Bioavailability of Artemisinin Via Inclusion Complexation with Cyclodextrins Effect of Dietary Fat on the Oral Bioavailability of Tepoxalin, a Novel Anti-inflammatory Agent Oral Drug Delivery for Modified Release Formulations 05 - INCREASING CLEARANCE WITH AGE AND GOOD ORAL BIOAVAILABILITY OF DOXAPRAM IN PRETERM BORN INFANTS: A POPULATION PHARMACOKINETIC MODEL.

A comprehensive introduction to using modeling and simulation programs in drug discovery and development Biopharmaceutical modeling has become integral to the design and development of new drugs. Influencing key aspects of the development process, including drug substance design, formulation design, and toxicological exposure assessment, biopharmaceutical modeling is now seen as the linchpin to a drug's future success. And while there are a number of commercially available software programs for drug modeling, there has not been a single resource guiding pharmaceutical professionals to the actual tools and practices needed to design and test safe drugs. A guide to the basics of modeling and simulation programs, Biopharmaceutics Modeling and Simulations offers pharmaceutical scientists the keys to understanding how they work and are applied in creating drugs with desired medicinal properties. Beginning with a focus on the oral absorption of drugs, the book discusses: The central dogma of oral drug absorption (the interplay of dissolution, solubility, and permeability of a drug), which forms the basis of the biopharmaceutical classification system (BCS) The concept of drug concentration How to simulate key drug absorption processes The physiological and drug property data used for biopharmaceutical modeling Reliable practices for reporting results With over 200 figures and illustrations and a peerless examination of all the key aspects of drug research—including running and interpreting models, validation, and compound and formulation selection—this reference seamlessly brings together the proven practical approaches essential to developing the safe and effective medicines of tomorrow. Specifically geared to personnel in the pharmaceutical and biotechnology industries, this book describes the basics and challenges of oral bioavailability – one of the most significant hurdles in drug discovery and development. • Describes approaches to assess pharmacokinetics and how drug efflux and uptake transporters impact oral bioavailability • Helps readers reduce the failure rate of drug candidates when transitioning from the bench to the clinic during development • Explains how preclinical animal models – used in preclinical testing – and in vitro tools translate to humans, which is an underappreciated and complicated area of drug development • Includes chapters about pharmacokinetic modelling, the Biopharmaceutics Drug Disposition Classification

System (BDDCS), and the Extended Clearance Classification System (ECCS) • Has tutorials for applying strategies to medicinal chemistry practices of drug discovery/development The peroral application (swallowing) of a medicine means that the body must first resorb the active substance before it can begin to take effect. The efficacy of drug uptake depends on the one hand on the chemical characteristics of the active substance, above all on its solubility and membrane permeability. On the other hand, it is determined by the organism's ability to absorb pharmaceuticals by way of specific transport proteins or to excrete them. Since many pharmacologically active substances are poorly suited for oral intake, a decisive criterion for the efficacy of a medicine is its so-called bioavailability. Written by an international team from academia and the pharmaceutical industry, this book covers all aspects of the oral bioavailability of medicines. The focus is placed on methods for determining the parameters relevant to bioavailability. These range from modern physicochemical techniques via biological studies in vitro and in vivo right up to computer-aided predictions. The authors specifically address possibilities for optimizing bioavailability during the early screening stage for the active substance. Its clear structure and comprehensive coverage make this book equally suitable for researchers and lecturers in industry and teaching. Oral Drug Absorption, Second Edition thoroughly examines the special equipment and methods used to test whether drugs are released adequately when administered orally. The contributors discuss methods for accurately establishing and validating in vitro/in vivo correlations for both MR and IR formulations, as well as alternative approaches for MR an Gegenstand dieser Dissertation war das Ermitteln der Verbesserung der peroralen Bioverfügbarkeit Fenofibrat (FFB) durch lipid-basierte Formulierung (LBF). Eine weitere Aufgabe bestand darin, verschiedene analytische Methoden zur Bewertung der Verbesserung der oralen Bioverfügbarkeit von Fenofibrat einzusetzen. Diese schlossen in vitro biorelevante Löslichkeits-, Dispersions-, Auflösungs- und Präzipitationstests ein. Auf Basis der analytischen Ergebnisse wurden dann PBPK-Modelle verwendet, um menschliche Plasmaprofile nach der Verabreichung der FFB-Formulierungen zu simulieren. Die daraus resultierenden in silico-Vorhersagen stimmten mit den in vivo-Beobachtungen überein. Durch Anwendung der Parametersensitivitätsanalyse war es weiterhin möglich, ein mechanistisches Verständnis der beteiligten geschwindigkeitsbegrenzenden Schritte zu erreichen. Formulierungen auf Lipidbasis können nach dem Pouton-Klassifizierungssytem eingeteilt werden. Typ I Formulierungen bestehen ausschließlich aus Ölen, während am anderen Ende der Skala die Typ IV Formulierung weitestgehend aus Tensiden ist. In dieser Arbeit wurden in erster Linie Lipidformulierungen Typ IIIA und Typ IIIB untersucht. Es wurde gezeigt, dass Dispersionstests an FFB-Lipidformulierungen am besten unter Verwendung der USP 3-Apparatur durchgeführt werden, da in diesem Apparat die GI-Motilität in vivo am besten reflektiert wird. Um die Hydrodynamik in verschiedenen Auflösungsapparaten zu vergleichen, wurde der Auflösungsversuch von LBF Nr. 1 - Nr. 4 von FFB auch unter Verwendung von USP 2 durchgeführt. Ungeachtet von kompendialen oder biorelevanten Medien führten die meisten dieser Lipidformulierungen zur Auflösung eines Großteils des beladenen Medikaments, im Gegensatz zum unformulierten Fenofibrat, das sich in nüchternem Zustand kaum auflöst. Weiter zeigten die Transfermodellexperimente an den Lipidformulierungen von FFB, dass

eine intestinale Präzipitation nach einer Magenauflösung unwahrscheinlich ist. Durch mathematische Transformation der Noyes-Whitney-Gleichung kann ein Excel-Toolkit zur Berechnung des z-Werts aus in-vitro-Auflösungsprofilen verwendet werden. Die z-Werte werden dann in physiologisch-basierte pharmakokinetische in silico Modelle, STELLA® und Simcyp®, eingesetzt. Anhand der erforderlichen post-absorptiven Parameter kann mithilfe dieser Modelle die Plasma-Arzneistoff-Konzentration nach oraler Verabreichung von verschiedenen Formulierungen vorhergesagt werden. Darüber hinaus ermöglicht der Simcyp®-Simulator eine Reihe von virtuellen Versuchen, die PK-Variabilität vom Wirkstoff in verschiedenen Bevölkerungsgruppen zu bestimmen. Um diese Möglichkeiten für LBF von Fenofibrat zu testen, wurde LBF Nr. 4 modelliert. Das Simulationsergebnis von Simcyp® entsprach dem aus der STELLA®-Software. Weiterhin wurden die Plasmafenofibrinsäure-Konzentrationsprofile von den Modellen genau vorhergesagt. Die Punktschätzwerte für Cmax und AUC, berechnet aus den In-silico und in vivo Plasmaprofilen, lagen sogar im Bereich von 0,8-1,25 für die SMEDDS Lösung und Kapselformulierungen. Diese Übereinstimmung von in vitro-in silico mit in vivo wurde weiterhin durch Berechnung der jeweiligen f2 Faktoren unterstützt. Basierend auf diesen Ergebnissen scheint es, dass der In-vitro-In-Silico-In-vivo-Ansatz ein nützliches Werkzeug zum Identifizieren und Vergleichen von Beschränkungen der oralen Absorption für Formulierungen auf Lipidbasis und zum Optimieren der Lipidformulierungsentwicklung von schlecht löslichen Arzneimitteln darstellt. Background and aims Doxapram is used in preterm infants for treatment of apnea of prematurity. Due to limited pharmacokinetic data, doxapram dosing in preterm neonates is based on bodyweight. This study describes the population pharmacokinetics of doxapram in preterm neonates.Methods Data from 75 neonates with median (range) gestational age (GA) 25.9 (23.9-29.4) weeks, bodyweight 0.95 (0.48-1.61) kg and postnatal age (PNA) 17 (1-52) days at start of continuous oral or intravenous doxapram therapy were included. A population pharmacokinetic model was developed using NONMEM VII. Results tBased on 302 plasma concentrations, a two-compartment model was identified for doxapram. Clearance (CL) was estimated to be 0.971 L/h for an individual of 1 kg, GA of 25.6 weeks and PNA of 29 days. CL increased with both PNA and GA with an exponent of 0.643 for PNA [in days] and an exponent of 6.05 for GA [in weeks], respectively. At PNA day 1 CL for a neonate with a GA of 25 weeks was estimated to be 15% of CL on day 30, and 82% on day 15. Oral bioavailability was estimated to be 74%. Conclusions Preterm infants with the lowest GA and PNA have a higher exposure to doxapram based on a relatively low CL compared to newborns at older ages when dosed per kg bodyweight. Doses should be increased with higher GA and increasing PNA. In case of switch to oral therapy a 33% increase in dose is required to reach similar plasma concentrations. Objective: The overall goal is to determine the major factors limiting oral bioavailability of ginsenosides and utilizing the knowledge gained to increase the oral bioavailability of ginsenosides via a machenism-based biopharmaceutical approach. The objectives of this project were 1) to determine the major reasons responsible for low oral bioavailability of ginsenosides using various ADME assays including presystemic stability, solubility, permeability and metabolism; 2) to delineate the absorption mechanism of various ginsenosides including the identification of responsible transporters;

3) to enhance oral bioavailability of ginsenosides based on the knowledge obtained in the first two aims. Method: For objective 1), saturated solubility measurement in different aqueous matrics, permeability in Caco-2 cells were determined along with stability in GI tract and in vitro metabolism in pooled intestinal/liver s9 fractions prepared from A/J mice. For objective 2), in vitro transcellular transport experiments employing Caco-2 and MDCK II cell monolayers were performed, together with in situ intestinal perfusion and in vivo pharmacokinetic experiments utilizing wild-type and MDR1 knockout mice. For objective 3), in vitro transcellular transport model using Caco-2 cell monolayers were used as a screening tool for identifing effective inhibitors or inhibitor combo using fourth generation P-glycoprotein inhibitors that are considered to be safe, and the most effective inhibitor combo was then used for the enhancement of bioavailability of ginsenosides in A/J mice. Results: 1) Poor solubility and permeability were identified to be the major reasons for low oral bioavailability of ginsenosides. Although CYP metabolism occurred at in vitro system, the phase I metabolite was not found in vivo. 2) Rh2 and C-K were found to be good substrates of P-gp and inhibition/knockout of P-gp can significantly enhance their oral bioavailability. A structure-transport mechanism study utilizing twenty-two ginsenosides demonstrated that P-glycoprotein-mediated efflux mechanism was responsible for the efflux of ginsenosides with one glucose attached to one or both OH groups of the aglycone. 3) A combination of fourth generation P-gp inhibitors (i.e., biochanin A, wogonin and piperine @ 50 ?M each) decreased efflux of ginsenosides Rh2s and C-K in Caco-2 cells. The effective and efficient inhibition of P-gp by the same inhibitor combo led to an increased oral bioavailability of Rh2s and C-K in A/J mice, primarily through increased volume of distribution. Conclusion: The poor solubility and slow permeation were the major reasons causing low oral bioavailability of ginsenosides. Systematic studies showed that P-gp is the exclusive efflux transporter for ginsenosides Rh2 and C-K and that structure-dependent P-gp-mediated ginsenoside efflux is mainly due to difference in the number of sugar moieties. In vitro and in vivo study demonstrated that inhibition of P-gp can significantly increase oral bioavailability of ginsenosides. A triple combination of biochanin A, wogonin and piperine led to an increased oral bioavailability of Rh2s and C-K in vivo. Oral lipid-based formulations are attracting considerable attention due to their capacity to facilitate gastrointestinal absorption and reduce or eliminate the effect of food on the absorption of poorly water-soluble, lipophilic drugs. Despite the obvious and demonstrated utility of these formulations for addressing a persistent and growing problem Modern drug discovery technique led to the consistent increase in the number of new pharmacologically active lipophilic compounds that are poorly water soluble. A great challenge facing the pharmaceutical scientist is making these molecules into orally administered medications with sufficient bioavailability. One of the most popular approaches to improve the oral bioavailability of these molecules is the utilization of a lipid based drug delivery system. Development and optimization of formulation is an integral part of manufacturing and marketing of any therapeutic agent which is indeed a time consuming and costly process. Optimization process may require alteration in formulation composition, manufacturing process, equipment and batch sizes. If these types of changes are applied to a formulation, studies in human healthy volunteers may be required

to prove that the new formulation is bioequivalent with the old one.IVIVC includes in vivo relevance to in vitro dissolution specifications and can serve as surrogate for in vivo bioavailability and to support biowaivers. This book provides the information about the use of biorelevant media as media an alternative for in vivo studies. Oral delivery of chemotherapeutic agents still remains a challenging area as most of the chemotherapeutic agents are either not bioavailable or have very low bioavailabilty due to their poor solubility, stability and permeability. It has been found that the orally administered anticancer drugs would be eliminated either by metabolic enzymes like cytochrome P450 or by the efflux transporters like P-gp. Hence the present study is aimed to develop a dual loaded microparticulate system (Drug and P-gp herbal modulator) to enhance the bioavailability of the selected anticancer agent by P-gp modulation. Oral Absorption, Intestinal Metabolism and Human Oral Bioavailability. ??? ??? ??? ? ??[??? ??? ?? ?? ????? ?? ????? ? 1. Silymarin-loaded solid nanoparticles with excellent hepatic protection: physicochemical characterization and in vivo evaluation. 2. The Influence of Bile Salt on the Chemotherapeutic Response of Docetaxel-loaded Thermosensitive Nanomicelles. 3. Enhanced oral bioavailability of fenofibrate using polymeric nanoparticulated systems: Physicochemical characterization and in vivo investigation. 4. Tumor-targeting. pH-sensitive nanoparticles for docetaxel delivery to drug-resistant cancer cells. 5. Comparative study on solid self-nanoemulsifying drug delivery and solid dispersion system for enhanced solubility and bioavailability of ezetimibe. 6. Novel electrosprayed nanospherules for enhanced aqueous solubility and oral bioavailability of poorly water-soluble fenofibrate. 7. Receptor-targeted. drug-loaded. functionalized graphene oxides for chemotherapy and photothermal therapy. 8. Progressive slowdown/prevention of cellular senescence by CD9-targeted delivery of rapamycin using lactose-wrapped calcium carbonate nanoparticles. 9. Optimization and physicochemical characterization of a cationic lipid-phosphatidylcholine mixed emulsion formulated as a highly efficient vehicle that facilitates adenoviral gene transfer. 10. Combination of NIR therapy and regulatory T cell modulation using layer-by-layer hybrid nanoparticles for effective cancer photoimmunotherapy. 11. Cyclic RGD-conjugated Pluronic® blending system for active. targeted drug delivery. 12. Transferrin-Conjugated Polymeric Nanoparticle for Receptor-Mediated Delivery of Doxorubicin in Doxorubicin-Resistant Breast Cancer Cells. 13. Self-microemulsifying drug delivery system (SMEDDS) for improved oral delivery and photostability of methotrexate. 14. Comparison of 1-palmitoyl-2-linoleoyl-3-acetyl-rac-glycerol-loaded self-emulsifying granule and solid self-nanoemulsifying drug delivery system: powder property. dissolution and oral bioavailability. 15. Liposomal Formulations for Nose-to-Brain Delivery: Recent Advances and Future Perspectives. 16. Development of folate-functionalized zein nanoparticles for ligand-directed delivery of paclitaxel. As a consequence of modern drug discovery techniques, there has been a steady increase in the number of new pharmacologically active lipophilic compounds that are poorly water-soluble. Approximately 40% of new drug candidates have poor water solubility and oral delivery of such drugs is frequently associated with implications of low bioavailability, high intra and inter subject variability and therapeutic failure. It is a great challenge to convert these molecules into orally administered formulations with sufficient bioavailability. Among the approaches to improve the

oral bioavailability of these molecules, the use of self-emulsified drug delivery systems (SEDDS) has been shown to be reasonably successful.SEDDS is ideally an isotropic mixture of oils and surfactants and sometimes co-solvents. Hydrophobic drugs can be dissolved in these systems, enabling them to be administered as a unit dosage form for per-oral administration. When such a system is released in the lumen of the gastrointestinal tract, under conditions of gentle agitation provided by digestive motility of stomach and intestine, it spontaneously disperses to form a fine relatively stable o/w emulsion (micro/nano). ORAL DRUG DELIVERY FOR MODIFIED RELEASE FORMULATIONS Provides pharmaceutical development scientists with a detailed reference guide for the development of MR formulations Oral Drug Delivery for Modified Release Formulations is an up-to-date review of the key aspects of oral absorption from modified-release (MR) dosage forms. This edited volume provides in-depth coverage of the physiological factors that influence drug release and of the design and evaluation of MR formulations. Divided into three sections, the book begins by describing the gastrointestinal tract (GIT) and detailing the conditions and absorption processes occurring in the GIT that determine a formulation’s oral bioavailability. The second section explores the design of modified release formulations, covering early drug substance testing, the biopharmaceutics classification system, an array of formulation technologies that can be used for MR dosage forms, and more. The final section focuses on in vitro, in silico, and in vivo evaluation and regulatory considerations for MR formulations. Topics include biorelevant dissolution testing, preclinical evaluation, and physiologically-based pharmacokinetic modelling (PBPK) of in vivo behaviour. Featuring contributions from leading researchers with expertise in the different aspects of MR formulations, this volume: Provides authoritative coverage of physiology, physicochemical determinants, and in-vitro in-vivo correlation (IVIVC) Explains the different types of MR formulations and defines the key terms used in the field Discusses the present status of MR technologies and identifies current gaps in research Includes a summary of regulatory guidelines from both the US and the EU Shares industrial experiences and perspectives on the evaluation of MR dosage formulations Oral Drug Delivery for Modified Release Formulations is an invaluable reference and guide for researchers, industrial scientists, and graduate students in general areas of drug delivery including pharmaceutics, pharmaceutical sciences, biomedical engineering, polymer and materials science, and chemical and biochemical engineering. The book also illustrates how bioavailability adjustments can be incorporated into risk assessments to generate risk-based cleanup values that are more site specific than those based on the default assumption of complete bioavailability. Although the book focuses on oral bioavailability of metals to human receptors, many of the basic principles described herein also can be applied to assessing bioavailability of organic compounds and for assessing bioavailability to ecological receptors."--BOOK JACKET. This report presents guidelines for complicated drugs marketed as unmodified formulations. The following categories have been identified and are discussed: drugs for which pharmacodynamic studies are appropriate alternatives to bioavailability and bioequivalence studies of oral dosage formulations; highly toxic drugs; drugs with non-linear kinetics; drugs products with an effective half-life; drugs for which an early time of onset or rapid rate of absorption is important; drugs with a narrow

therapeutic range; and, combination drug products. Understand and assess the design, delivery, and efficacy of orally administered drugs A practical guide to understanding oral bioavailability, one of the major hurdles in drug development and delivery, Oral Bioavailability: Basic Principles, Advanced Concepts, and Applications is designed to help chemists, biologists, life science researchers, pharmaceutical scientists, pharmacologists, clinicians, and graduate and students become familiar with the fundamentals and practices of the science of oral bioavailability. The difference in rate and extent between a drug taken orally and the actual amount of a drug reaching the circulatory system, oral bioavailability is an essential parameter for determining the efficacy and adverse effects of new and developing medications, as well as finding an optimal dosing regimen. This book provides a much-needed one-stop resource to help readers better understand and appreciate the many facets and complex problems of oral bioavailability, including the basic barriers to oral bioavailability, the methods used to determine relevant parameters, and the challenges of drug delivery. In addition, this comprehensive book discusses biological and physicochemical methods for improving bioavailability, integrates physicochemistry with physiology and molecular biology, and includes several state-of-the-art technologies and approaches—Caco-2 cell culture model, MDCK, and other related cell culture models—which are used to study the science of oral bioavailability. This book casts new light on the field of oral drug absorption. It outlines both the concept of the past and the novel concept of Finite Absorption Time (FAT). In addition, the authors explore the correlated need for re-definition of bioavailability, bioequivalence providing a plethora of experimental data. Accordingly, this book is intended for academics/students or scientists working in pharmaceutical industries, regulatory agencies, and contract research organizations. It can be used for teaching purposes in under- and post-graduate courses dealing with biopharmaceutics, pharmacokinetics and biomedical engineering.