GOKULA KRISHNA COLLEGE OF PHARMACY

HEI CODE: C-26844 | NAAC DVV | CYCLE I

3 : RESEARCH, INNOVATIONS & EXTENSION

3.3 Research Publications and Awards

3.3.1 No. of Research Papers Published per Teacher during the last five years

3.3.1(2) Screenshots of Research Articles Published during the Assessment Period

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UGC Care Group I Journal
Vol-12 Issue-03 Aug 2023

Development and validation of an HPLC-UV method for analysis of methylphenidate hydrochloride and loxapine succinate in an activated carbon disposal system

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A B S T R A C T

Unauthorized persons run the risk of abusing unused pharmaceuticals, which may lead to significant injury. In order to keep people safe and keep the environment free of any dangers, the Food and Drug Administration (FDA) recommends that people properly dispose of any unwanted prescription medicine. Unfortunately, safety is an issue that is overlooked by many of the present disposal methods. Granular activated carbon, when added to a drug disposal pouch, provides a novel, easy, and safe way to dispose of unused or expired medicine. We examined the disposal system's deactivation effectiveness and developed a robust and verified technique for methylphenidate hydrochloride and loxapine succinate using high-performance liquid chromatography (HPLC). A C18 analytical column with the following dimensions: 250 mm × 4.60 mm and 100Å, was used to evaluate methylphenidate hydrochloride. The mobile phase consisted of acetonitrile-water with 0.05% (v/v) trifluoroacetic acid, and the flow rate was

1.5 mL/min, with a 15-minute run and a 7.8-minute retention period. Using a flow rate of 1.0 mL/min, loxapine

ramifications to the public health problem of the possibility of abuse and addiction to prescription pharmaceuticals, even those used to treat pain. Heroin addiction affected 591,000 people in 2015, and over 33,000 people died from opioid overdoses or drug misuse disorders associated with prescription opioid painkillers [1,2]. Medication is a lifesaver when it comes to alleviating acute and severe chronic pain, but it may have disastrous consequences when prescribed excessively or without proper safety measures. The National Survey on Drug usage and Health found that after five years of non-medical prescription painkiller usage, less than 4% of individuals began using heroin [1]. Therefore, it is important to dispose of prescription medicine correctly. The disposal of two psychoactive drugs, loxapine succinate and methylphenidate hydrochloride (MPH), was the primary focus of the current investigation.By activating the neurological system, the popular prescription medicine MPH influences the brain's dopamine balance, making it an effective treatment for attention-deficit hyperactivity disorder (ADHD) [3]. When administered intranasally, MPH has a pharmacological effect comparable to cocaine

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Magnetic-silk/polyethyleneimine core-shell nanoparticles for targeted gene delivery into human breast cancer cells

Dr.Balagani Pavan Kumar , Mr.M.Kalyan babu , Mr.N.Praveenkumar

A B S T R A C T

The lack of efficient and cost-effective methods for gene delivery has significantly hindered the applications of gene therapy. In this paper, a simple one step and cost effective salting-out method has been explored to fab- ricate silk-PEI nanoparticles (SPPs) and magnetic-silk/PEI core-shell nanoparticles (MSPPs) for targeted delivery of c-myc antisense oligodeoxynucleotides (ODNs) into MDA-MB-231 breast cancer cells. The size and zeta po- tential of the particles were controlled by adjusting the amount of silk fibroin in particle synthesis. Lower surface charges and reduced cytotoxicity were achieved for MSPPs compared with PEI coated magnetic nanoparticles (MPPs). Both SPPs and MSPPs were capable of delivering the ODNs into MDA-MB-231 cells and significantly inhibited the cell growth. Through magnetofection, high ODN uptake efficiencies (over 70%) were achieved within 20 min using MSPPs as carriers, exhibiting a significantly enhanced uptake effect compared to the same carriers via non-magnetofection. Both SPPs and MSPPs exhibited a significantly higher inhibition effect against MDA-MB-231 breast cancer cells compared to human dermal fibroblast (HDF) cells. Targeted ODN delivery was achieved using MSPPs with the help of a magnet, making them promising candidates for targeted gene therapy applications.

Keywords: Silk PEIMagnetic nanoparticles Gene deliveryCancer ODN Magnetofection

1. Introduction

Gene therapy has shown great potential for the treatment of many diseases (Zhao et al., 2007; Zhang et al., 2014; Zhang et al., 2016). Efficient gene therapy requires the delivery of genes to the cell nucleus or cytoplasm replacing or regulating the defective genes (Zhang et al., 2014). However, several intracellular barriers such as the cell mem- brane and endosome membrane have significantly reduced its effi- ciency (De Smedt et al., 2005; Pack et al., 2005). Therefore, carriers are needed to help the alternative method for gene therapy (Lungwitz et al., 2005; Zhang et al., 2014;

Zhang et al., 2016). The advantages of non-viral systems include low cost, ease of fabrication and modification, and high *in vivo* stability (Zhang et al., 2016). One of the most efficient and cost-effective agents is polyethyleneimine (PEI) (Lungwitz et al., 2005; Dey et al., 2011; Xiang et al., 2007; Forrest et al., 2003; Seow et al., 2013). Once mixed with DNAs, PEI is able to condense DNAs into nano complexes

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A STUDY ON SYNTHESIS AND CHARACTERISATION OF SOME NOVEL QUINAZOLINONES

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ABSTRACT

Heterocyclic chemistry comprises at least half of all organic chemistry research worldwide. In particular, heterocyclic structures form the basis of many pharmaceutical, agrochemical and veterinary products. The heterocyclic compounds are fundamentals of life, like haeme derivatives in blood & chlorophyll essential for photosynthesis in plants. Also the DNA & RNA are containing heterocycles. The study aims to synthesize simple derivatives of quinazoline by combining with aromatic primary amine, hydrazine hydrate and benzoxazine. The synthesized compounds were characterized by melting point analysis. Melting point was recorded and compared with the standard references. The characterization of compounds provided further scope in the research towards the discovery of new derivatives for several ailments. The biological evaluation could be beneficial for future studies.

KEYWORDS: Heterocyclic compounds, benzoxazine, quinazoline, primary amine, hydrazine hydrate and benzoxazine.

INTRODUCTION

Any of a class of organic compounds whose molecules contain one or more rings of atoms with at least one atom being an element other than carbon, most frequently

member simple aromatic rings- benzene & pyrimidine ring. It is a yellow colored compound, found usually in crystalline form. Medicinally it is used as ant malarial agent. It was first prepared by Gabriel in 1903 and first

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Indo-American Journal of Pharma and Bio Sciences

Pharmacokinetic Variability in Pediatrics and Intensive Care: Toward a Personalized Dosing Approach

Mrs D Kalyani , Ms A R Sridevi , Mrs P Sukanya , Mrs A Aksa anvija , Mr C G Bhaskar

ABSTRACT - Providing a safe and efficacious drug therapy for large and often heterogeneous populations is a challenging objective in clinical drug development and routine clinical practice. It has been known for years that the optimum dose required for many therapeutic agents among individuals is quite variable. A wide interindividual pharmacokinetic variability was described in clinically relevant populations such as pediatrics and critically ill patients. The aim of this article was to present the main individual factors influencing variability in these two populations and their applications. Growth and development are two specific features of children that are not observed in adults. And critically ill patients have a much higher level of sickness severity that is associated with profound pathophysiological changes. These particular features could lead to difficulties to attain therapeutic targets. Nonlinear mixed effects modeling is a common approach to identify unexplained population variability. This approach is often applied to evaluate and optimize drug therapy in particular populations. Numerous studies have been conducted in these two specific populations to characterize pharmacokinetic parameters and to identify individual factors influencing variability. Size, age and organ function appeared to be the main factors influencing pharmacokinetics in pediatrics. Factors influencing pharmacokinetics in critically ill patients were mainly cardiovascular system, organ dysfunction and organ support. Dosage individualization seems to be a key issue to optimize drug treatment in these specific populations. Clinically utility and safety of a model-based personalized drug therapy has been demonstrated for vancomycin in pediatrics. Many programs were available to optimize drug regimens, especially for antibiotic drugs in critically ill patients. This innovative personalized dosing approach is a promising way to optimize drug therapy in clinically relevant populations, such as pediatrics and critically ill patients.

INTRODUCTION

Providing a safe and efficacious drug therapy for large and often heterogeneous populations is a challenging objective in clinical drug development and routine clinical practice. On the one hand, a therapeutic effect of the drug is desired to be achieved for all patients; on the other hand too high concentrations have to be avoided to reduce pharmacokinetic variability was described in clinically relevant populations such as pediatrics and critically ill patients. Growth and development are two specific features of children that are not observed in adults. And critically ill patients have a much higher level of sickness severity that is associated with profound pathophysiological changes. These particular features could lead to difficulties to

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How Solvents Affect the Rate of Polymorphic Transformation in Polymorph Screening

Mrs D Kalyani , Mrs T Swathi , Mrs P Sukanya , Mrs CH Harika , Mrs K Vanitha Devi

Abstract

The most stable polymorph may be efficiently obtained via solvent-mediated polymorphic transformation. Form II's nucleation rate controls the rate of solvent-mediated polymorphic transformation of sulfamerazine at 24°C in different solvents and solvent combinations. In general, a greater solubility is caused by a faster transformation rate in a solvent, and a low solubility by a slower rate (8 mmol/L). Nucleation is prevented in these solvents due to a high interfacial energy, which causes the metastable zone to be broader than the solubility difference between two polymorphs. The solubility is only one factor in deciding the transformation rate; the intensity of the solvent-solute interactions is equally crucial. Sulfamerazine undergoes a slower transition in solvents that are more likely to accept hydrogen bonds. Because a solvent's solubility is proportional to its hydrogen bond acceptor propensity, the rate of polymorphic transformation is a function of both the solute's and the solvent's solubility and the strength of their hydrogen bonding interactions. Temperature and agitation level affect the crystallization kinetics of the stable polymorph, which in turn affects the pace of polymorphic transition. The American Pharmaceutical Association and Wiley-Liss, Inc. published this work in 2001. "Journal of Pharmaceutical Science" 90:1878–1890, 2001

Introduction

Polymorphism, crystallization, hydrogen bonding, solubility, solvatochromic parameters, solvent-mediated transformation, and sulfamerazine are some of the terms used to describe this process. Introduction: A chemical may exhibit polymorphism if it can exist in many form during storage, the more stable polymorphic form is often used in a commercial formulation. Bioavailability alterations, solid dosage form physical instability, precipitation from solutions, and other formulation issues might result from such a phase transition. Products like

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The Sensitive Method of Subvisible Particle Counting for the Detection and Quantification of Monoclonal Antibody Aggregation Caused by Freeze-Thawing: New Understanding of Particle Function in the Protein Aggregation Process

Mrs N Sukanya , Mrs P K Devibala , Ms.SK Zoofishaan , Mr.M R Pavan Kumar , Mr S Sivakoteswa Rao

Abstract

The purpose of this research was to measure the amount of subvisible particles formed throughout the freeze-thaw cycle of an IgG2 monoclonal antibody (mAb) using microflow imaging (MFI), a sensitive technique. Protein solutions in 20 mM histidine buffer (pH 5.5) were frozen and thawed three times before being examined using multiple-fraction isolation (MFI) and size-exclusion chromatography (SEC). While SEC could not identify aggregates, MFI demonstrated an increase in particle counts with each freeze-thaw cycle. Monitoring particle production enables the identification of protein aggregates containing just a tenth of a percent of the total protein mass, according to estimates of the total mass of particles generated. Even while SEC did not identify protein aggregation, variations in levels caused by various formulations or freeze-thaw protocols were addressed. The purpose of the freeze-thaw process in phosphate-buffered saline was to determine whether the total aggregate mass estimates derived from SEC and MFI were quantitatively compatible. This procedure reduced the monomer peak area in the chromatogram, which allowed SEC to identify insoluble aggregates at a detectable level. The amount of monomer lost as measured by SEC and the total mass of subvisible particles as measured by MFI were in excellent agreement. The following is a copyright notice from Wiley-Liss, Inc. and the American Pharmacists Association: J Pharm Sci 100:492-503, 2011Protein formulation, infrared spectroscopy, particle size, liquid chromatography, and protein aggregation are all relevant terms.

Introduction :

A decrease in product purity and quality and the possibility that aggregates may induce an quantified. evaluated using size-exclusion chromatography (SEC). One drawback of utilizing SEC to detect aggregates is that it

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Cytotoxic Compounds from *Kibatalia gitingensis* (Elm.) Woodson

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GOKULA KRISHNA COLLEGE OF PHARMACY

ABSTRACT

The cytotoxic activities of ursolic acid (1), squalene (2), a mixture of α-amyrin acetate (3a) and lupeol acetate (3b), and isoscopoletin (4), which were extracted from the dichloromethane extracts of Kibatalia gitingensis's leaves and twigs, were tested against three human cancer cell lines: MCF-7 for breast cancer, HT-29 and HCT-116 for colon cancer, and HDFn, a normal cell line, using the in vitro PrestoBlue® cell viability assay. The IC50 values for compounds 1-4 ranged from 0.6931 to 1.083 µg/mL, indicating high cytotoxic effects against HT-29 cells. In addition, the IC50 values for 1-4 ranged from 4.065 to 11.09 µg/mL, indicating significant cytotoxicity against HCT-116 cells.

The MCF-7 cells were least affected by these substances, with IC50 values varying between 8.642 and 25.87 µg/mL. On the one hand, 2, 4 and 1, respectively, are the most cytotoxic to HT-29 cells, HCT-116 cells, and MCF-7 cells.

Key words: Subfamily Apocynaceae, Kibatalia gitingensis Cytotoxicity, MCF-7, HCT-116, HT-29, HDFn, ursolic acid, squalene, α-amyrin acetate, Lupeol acetate,

alkaloid found in the plant eliminated serotonin-induced spasms and stimulated spontaneous movement in canines and mice.Paravallarine, N-methylparavallarine, and 20-epiparavallarine are among the several alkaloids extracted from K. gitingensis bark.Not only that, but lanitine (2α-hydroxy- N-methylparavallarine) and its 2β-isomer were found in the plant's stem bark, according to reports.11

Our ongoing investigation into the bioactivities and chemical compositions of native and indigenous Philippine plants includes this study. In a previous paper, we detailed the procedure for extracting and identifying ursolic acid (1), squalene (2), a combination of α-amyrin acetate (3a) and lupeol acetate (3b) from the leaves, and 1-3 and isoscopoletin.

MATERIALS AND METHODS

Sample Collection

Samples of leaves and twigs of *Kibatalia gitingensis*(Elm.) Woodson were collected from the De La Salle University–Science and Technology Complex (DLSU-STC) reforested area in February 2014. The samples were authenticated and deposited at the De La Salle University

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Development and Standardization of a Polyherbal Anti Urolithiatic Suspension

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AN INVESTIGATION OF EFFECTIVENESS OF ALUMINIUM CHLORIDE INDUCED ALZHEIMER'S DISEASE IN VARIOUS EXPERIMENTAL RATS

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ABSTRACT

The Neuroprotective against $AlCl_3$ induced toxicity. Enhanced learning and memory was allied to ingestion of extract in rats. Al overload, AChE hyperactivity are responsible for alzheimers disease which are neutralized or reduced with treatment of extract, which might be due to the synergistic action of its active constituents. However extensive research is needed to validate the anti-alzheimeric effect of extract active components against a variety of models of AD, prior to entering into the clinical trials.

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UV/VIS imaging-based PAT tool for drug particle size inspection in intact tablets supported by pattern recognition neural networks

Dr.Balagani Pavan Kumar,Ms.P Kavitha,Mr.C G Bhaskar ,Mrs.Y Swarupa,Mr.N Praveen Kumar

A B S T R A C T

The potential of machine vision systems has not currently been exploited for pharmaceutical applications, although expected to provide revolutionary solutions for in-process and final product testing. The presented paper aimed to analyze the particle size of meloxicam, a yellow model active pharmaceutical ingredient, in intact tablets by a digital UV/VIS imaging-based machine vision system. Two image processing algorithms were developed and coupled with pattern recognition neural networks for UV and VIS images for particle size-based classification of the prepared tablets. The developed method can identify tablets containing finer or larger particles than the target with more than 97% accuracy. Two algorithms were developed for UV and VIS images for particle size analysis of the prepared tablets. According to the applied statistical tests, the obtained particle size distributions were similar to the results of the laser diffraction-based reference method. Digital UV/VIS imaging combined with multivariate data analysis can provide a new non-destructive, rapid, in-line tool for particle size analysis in tablets.

Keywords: Image analysis Machine vision Tablet inspection Particle size distribution Particle size analysis Pattern recognition neural network

1. Introduction

Tablets represent a significant portion of the pharmaceutical dosage forms, due to their several advantageous properties, for example, convenient administration, stability, portability, and dosing accuracy (Gaikwad and Kshirsagar, 2020; Sayeed, 2015; Skelbæk-Pedersen et al., 2020). In 2015, the U.S Food and Drug Administration (FDA) approved the production monitoring and controlling, data collection, and process understanding (U.S. Food and Drug Administration, 2004; U.S. Food and Drug Administration, 2009). The initiative of PAT published by the U.S. FDA reinforces the in-line or on-line data-based process control (am Ende and am Ende, 2019; U.S. Food and Drug Administration, 2004). The

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Screening of Antidepressant Activity of *Punica granatum* in Mice

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ABSTRACT

Introduction: There are many different mental health issues, including depression, that may be alleviated with the use of the medicinal plants found in India. Acute and chronic administration of Punica granatum (pomegranate) whole fruit had an antidepressant effect on rats, which was the aim of this research. We employed an oral regimen of Punica granatum aqueous extract (250 and 500 mg/kg daily), imipramine (10 mg/kg), and gum acacia (10 ml/kg) as a carrier. Each of the four animal groupings consisted of six creatures. The acute study required the administration of medicines or vehicles 60 minutes before the experiments began. All medications and vehicles used in the long-term trial were given for a total of 14 days, with the last dosage given 60 minutes before the experiment on day 14. To evaluate the efficacy of antidepressants, researchers used the Forced Swim Test and the Tail Suspension Test. An analysis of variance (ANOVA) was performed on the data, with drug therapy being the independent variable. We used Dunnett's test to do post hoc comparisons. The results showed that the period of immobility was greatly decreased in the acute tail suspension test, chronic forced swim test, and acute swim test by the PG 500 mg/kg group, but not in the 250 mg/kg group. The groups treated with PG 250 mg/kg and 500 mg/kg showed a significant reduction in the duration of immobility in the chronic tail suspension test. At 500 mg/kg, the antidepressant effect was similar to that of 10 mg/kg of imipramine. In conclusion, this research provides further evidence that 500 mg/kg of aqueous

known as Punica granatum L. (PG), is a popular fruit and juice variety. The Punicaceae family includes it. The Himalayas in northern India are its natural habitat. From ancient times, it has been farmed all throughout the Mediterranean.2 Valuable chemicals are found in several portions of the pomegranate fruit, including the skin, seeds, and arils. The peel contains a myriad of compounds and minerals, including gallic acid, ellagic acid, catechin, epicatechin, epigallocatechin-3-gallate, quercetin, kaempferol, luteolin, rutin, kaempferol-3-O-glycoside, gallagyldilacton, pedunculagin, tellima-grandin, and many more. The seeds contain punicic acid, linoleic acid, oleic acid, palmitic acid, stigmasterol, β-sitosterol, dau-costerol, camesterol, cholesterol, estriol, estrone, estriol, estriol, tocopherols, ursolic acid, oleanolic acid, isoflavones, and phenyl aliphatic glycosides/lignins, among other major chemical components. The components found in the aril include sugars, pectin, polyphenols, anthocyanins, fatty acids, amino and organic acids, indoleamines, sterols, triterpenoids, and α-tocopherol.3,4

History of Medicine Studies

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How the Effectiveness of Aluminum Salt Adjuvants in a Model Lysozyme Vaccine Is Affected by Particle Size and Antigen Binding

Ms.P Kavitha , Mrs. C B Hanisha , Dr.M Soujanya , Mr N Praveen Kumar , Ms M Soumya

Abstract

The immunogenicity of vaccines made using aluminum salt adjuvants may be diminished if these particles aggregate during the freezing and drying processes, according to certain claims. We used lysozyme as a model antigen and evaluated this notion by looking at the immune response in a mouse model to several vaccine formulations—liquid, freeze-thawed, and lyophilized. Particle size distributions (PSDs) and degrees of antigen-adjuvant binding were shown to vary greatly due to the different processing procedures and excipient quantities. Vaccines adjuvanted with aluminum hydroxide or aluminum phosphate showed anti-lysozyme titers that were unaffected by the degree of antigen binding to the adjuvant and were independent of the PSD. Copyright 2008 by Wiley-Liss, Inc. and the American Pharmacists Association, Journal of Pharmaceutical Science, 97, 5252–5262, 2008. Plurality of particles, adjuvant, lysozyme, aluminum hydroxide, and aluminum phosphate

INTRODUCTION

In order to stimulate an adequate immune response, adjuvants are necessary for vaccines that include recombinant proteins.1, 2 The only adjuvants used in U.S.-approved vaccinations that are now available for purchase are aluminum hydroxide, aluminum phosphate, and molecular structure, aluminum hydroxide, also known as boehmite (AlOOH),3 is composed of needle-like particles with sizes of 2 nm. main particles in the 50 nm range and their phology.5 When combined in a solution, the two adjuvants produce stable porous aggregates with a diameter of 1–10 mm.4,5 Several factors are likely

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Indo-American Journal of Life Sciences and Biotechnology

The Developability Classification System: Application of Biopharmaceutics Concepts to Formulation Development

Dr.Balagani Pavan Kumar, Mrs.P K Devi Bala, Mrs P Madhavi ,Mrs M Sindhuri , Mrs.S K Lathifa

ABSTRACT: A revised classification system for oral drugs was developed using the biophar- maceutics classification system (BCS) as a starting point. The revised system is designed to have a greater focus on drug developability. Intestinal solubility, the compensatory nature of solubility and permeability in the small intestine and an estimate of the particle size needed to overcome dissolution rate limited absorption were all considered in the revised system. The system was then validated by comparison with literature on the *in vivo* performance of a number of test compounds. Observations on the test compounds were consistent with the revised classification, termed the developability classification system (DCS), showing it to be of greater value in predicting what factors are critical to *in vivo* performance than the widely used BCS.

INTRODUCTION

Following its introduction in the 1990s, the biopharmaceutics categorization system (BCS) had a significant impact on the creation of oral dosage forms with instant release (IR). This method replaced in vivo human trials with in vitro data to prove bioequivalence of low risk (BCS class I) chemicals.One, two Furthermore, good understanding of these when developing oral pharmaceutical items.3 Because of the heavy regulatory burden on the BCS, the classification scheme rightfully treads carefully when deciding which product properties, such solubility and/or dissolution rate, are most important for limiting oral absorption.

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Mr.Sivakumar Peta *et. al* International Journal of Pharmacetical Sciences Letters

The Synthesis of Diverse Annulated Pyridines with 6-Membered Functionalized Saturated Cycles for Medical Chemistry Research

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Abstract

The article describes a set of pyridines annulated with functionalized 6-membered saturated rings, which are attractive building blocks for the synthesis of diversified compound libraries in medical chemistry. A certain array of compounds includes pyridines with condensed cyclohexane, piperidine and tetrahydropyran cycles containing keto-, amino-, carboxylic groups, as well as fluorinated fragments. The synthesis of the compounds using the procedure previously developed by us *via* $CuCl_2$-catalyzed condensation of propargylamine with ketones was performed. The limits of application of this reaction were further expanded and determined in this work compared to our previous results. Condensed pyridines, which proved problematic or impossible to obtain by this method, were synthesized using other synthetic pathways. Thus, the study offers a number of new building blocks for use in drug discovery.

Keywords: organic synthesis; heterocyclic compounds; pyridines; building blocks; organofluorines; "magic methyl"; scaffold hopping

Introduction

Pyridines annulated to saturated cycles (PASCs) are widely used in drug discovery. Among the compounds containing this fragment there are substances demonstrating anti-HIV [1], antiresorptive [2, 3], antibacterial [4] and antimigraine
[5] activity (**Figure 1**).

Due to such a wide spectrum of the biological activity demonstrated, chemists need convenient and cost-effective methods for the synthesis of diverse functionalized PASCs in multigram and/oreven semi-industrial scales. In this research, wedemonstrate our strategy for solving this prob-lem and propose a synthetic strategy for produc- ing a set of bicyclic building blocks containing py- ridine and an annelated saturated core with va- rious substituents and functional groups. Accord- ing to the

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IJPSL/April. 2021/ Vol-11/Issue-2/1-11

Mrs T Swathi *et. al* International Journal of Pharmacetical Sciences Letters

A randomised, parallel, open-label clinical study comparing the effectiveness and safety of apremilast with methotrexate in individuals with moderate to severe palmoplantar psoriasis.

Mrs T Swathi , Mr.T Nagendra Kumar,Mr.M R Pavan Kumar,Mrs. Y R Anitha,Mrs.P Sukanya

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GOKULA KRISHNA COLLEGE OF PHARMACY

Abstract:

Various studies have revealed varying outcomes regarding the safety and effectiveness of apremilast in comparison to methotrexate. Therefore, more research into the function of Apremilast in palmoplantar psoriasis is required.Patients with moderate to severe palmoplantar psoriasis were the subjects of a randomized, prospective, parallel-group, open-label trial. For 16 weeks, they were randomly assigned to either the methotrexate group (n = 19) or the apremilast group (n = 22). Reduced scores on the modified palmoplantar psoriasis severity index (mPPPASI) from week 0 to week 16 served as the primary effectiveness metric. Additional metrics included the percentage of patients who achieved a Static Physician Global Assessment score of 0 (clear) or 1 (almost clear), the percentage of patients who achieved mPPPASI75 (75% reduction in mPPPASI score) by the end of 16 weeks, and the proportion of patients who demonstrated a dermatology life quality index decline of at least 5àpoints from the beginning.At 16 weeks, there was no statistically significant difference between the two groups in terms of m-PPPASI score drop, however there was a significant decline from week 0 to week 16 within the

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The Proteome of Filter-Grown Caco-2 Cells With a Focus on Proteins Involved in Drug Disposition

Ms. C B Hanisha , Mr.Sivakumar Peta ,Mr.S Bugga Reddy , Mr AVLS Ramakrishna , Ms M Sowmya

Abstract

Research on the physiology of intestinal cells and drug transport often makes use of Caco-2 cells. In this study, the total protein technique was used to quantify the global proteome of filter-grown Caco-2 cells. The results were compared with proteomes from the human colon and jejunum. There were a total of 8096 proteins found. Thorough examination of proteins that characterize enterocyte development, such as adherens and tight junctions, integrins, and brush-border hydrolases, provided almost exhaustive coverage of the anticipated proteins. Out of the 327 proteins that were found, 112 were solute carriers and 20 were ATP-binding cassette transporters; these proteins were involved in absorption, distribution, metabolism, and excretion. The levels of OATP2B1 were sixteen times more in Caco-2 cells compared to jejunum. At clinically relevant intestine concentrations, OATP2B1 accounted for 60%-70% of the uptake kinetics of pitavastatin, an OATP2B1 substrate, in Caco-2 monolayers. We aimed to understand how this discrepancy affected in vitro-in vivo extrapolations. Together, pitavastatin kinetics and transporter concentrations were used to simulate the role of active transport and membrane penetration in the jejunum. Pitavastatin absorption in vivo is mostly mediated via transmembrane diffusion, as shown by the much decreased transporter contribution (<5%) caused by the lower OATP2B1 expression in the jejunum. The first comprehensive measurement of the Caco-2 proteome produced in a filter has been presented here. To correctly interpret drug transport pathways in the human gut, we also show that transporter expression levels are very important.

Introduction

The human colon carcinoma Caco-2 cell

Borchardt and Wilson were the first to use Caco-2 cells to study active

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Highly Accurate and Reliable RP-HPLC Approach for the Measurement of Valethamate Bromide in Pharmaceutical Compounds

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ABSTRACT

The developed and confirmed RP-HPLC technique for the measurement of Valethamate bromide in pharmaceutical formulation is presented in this paper. The method is simple, reliable, sensitive, and robust. The mobile phase was composed of acetonitrile and water in a ratio of 20:80 % v/v. The chromatographic system included LC 2010cHT, Luna HPLC analytical C18 100 A°, 250 X 4.6 mm, 5 µm columns. At 200 nm, a PDA detector was used for detection. The half-life of valethamate bromide was 4.62 minutes. In the 5-30 µg/ml range, the method demonstrates a linear response (r2=0.9975).LOQ was 0.68 µg/ml and LOD was 0.22 µg/ml. Following the requirements laid forth by ICH Q2 (R1), the method was verified. Linearity, precision, specificity, accuracy, and robustness were the parameters that were validated. There was less than a 2% RSD for all of the metrics. The method's accuracy ranged from 99.67 to 100.66% after the typical addition of the medication. A research was conducted to assess robustness using a 23-1 factorial design. The described approach may be used to determine the concentration of Valethamate bromide in pharmaceutical formulations.

Keywords: *Factorial Design; Validation; RP-HPLC; ICH guideline; Valethamate bromide (VLB)*

INTRODUCTION

N, N-Diethyl-N-methyl-2-(3-methyl-I-oxo-2-phenylpenty1) oxyl ethanaminium bromide is the chemical name for valethamate bromide (VLB) (Fig.1).An antispasmodic medication called 1-3 VLB is used to induce labor.4 Valethamate bromide in medicinal dose form has only been HPTLC5 technique in the literature. This research used a complete factorial design to conduct a robustness analysis and validate the established technique according to the ICH Q2(R1) guideline6, and it used RP-HPLC as an alternate analytical approach for estimating valethamate bromide in both bulk

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Ms A R Sridevi *et. al* International Journal of Pharmacetical Sciences Letters

A tertiary care hospital's drug resistance profile in instances of gastrointestinal and postbiliary surgical-site infections

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Abstract:

Surgical-site infection (SSI)-associated bacteria in underdeveloped regions are showing signs of increasing medication resistance, which is leading to more severe complications and increased healthcare expenses. The pattern of medication resistance in our SSI-related isolates was our aim in this analysis. Wound swabs were treated using standard aerobic and anaerobic culture for 191 clinically confirmed SSIs (postbiliary tract and postgastrointestinal surgery) during a 2-year period. The Epsilometer was used to determine the minimum inhibitory concentration (MIC) of the antibiotic. According to the criteria, phenotypes of multidrug resistance were identified. There were 5.3% SSIs, mostly caused by Klebsiella, Staphylococcus, and Pseudomonas, with no anaerobes found. Nineteen percent of the Staphylococcus aureus bacteria were resistant to methicillin, and a third of those bacteria showed an elevated macrolide minimum inhibitory concentration (MIC). Out of all the Enterobacteriaceae isolates, about 58.2% were found to generate extended-spectrum beta-lactamases. We found isolates that had a higher meropenem MIC. The dangerously increasing proportion of antibiotic resistance in SSI patients is accompanied with MICs that are rapidly nearing resistance in susceptible isolates. Immediate remedial measures are required by law.

Search Terms:

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The Impact of Shear Stress on Compression-nduced Polymorphic Transformation in Tablets and the Creation of Strategies to Minimize It

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ABSTRACT

Our objective was to ascertain the effects of (i) hydrostatic pressure alone and (ii) hydrostatic pressure combined with shear stress during compaction on the polymorphic transformation (form C / A) of chlorpropamide, a generic drug. The powder was subjected to pressures ranging from 25 to 150 MPa using a combination of hydrostatic pressure in a pressure vessel and tablet pressing. The overall quantity of phase change was determined using powder X-ray diffractometry, and the distribution of phase composition in tablets was quantified using 2D-XRD. Due to the presence of shear stress during compaction, which was independent of pressure, the quantity of transformation that took place after compaction exceeded expectations based on hydrostatic pressure alone. When compressed to 25 MPa, the radical tablet surface and the core showed vastly different degrees of phase shift. This gradient became smaller with increasing compression pressure. To mitigate the effects of compression-induced phase change, four different approaches were considered: a cavity tablet, a ceramic-lined die, a site-specific lubricant, and a viscoelastic excipient. The ceramic-lined die and site-specific lubrication effectively decreased the amount of compression-induced phase shift.

Introduction

Product efficacy may be affected by the physical characteristics of an API in solid dosage form, including its polymorphic shape, solvation state, and degree of crystallinity.The most stable physical form of an API is chosen when bioavailability is not a concern since it is expected to experience minimal changes when scaling up, processing, and storage.2 The production process of a pharmaceutical drug may impact the formation of kinetically stable wet/dry granulation, compression, and coating are all part of these processes. In the course of these production processes, the API may come into contact with a wide range of solvents, including granulating fluid, coating solutions, and even water vapour pressure and very high temperatures. Metastable to stable polymorph states, phase transitions (from amorphous to crystalline, anhydrous to hydrate, and back again), and environmental variables all have a

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Mr.Sivakumar Peta *et. al* International Journal of Pharmacetical Sciences Letters

3-Thiocyanato- 1*H*- indoles as potential anticancer agents: Two dimensional quantitative structure activity relationship study

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Abstract

We conducted two-dimensional quantitative structure activity relationship (2D QSAR) research on a new series of 3-thiocyanato-1H-indoles in an effort to identify powerful anti-cancer drugs. variety of 3-thiocyanato-1H-indoles were subjected to 2D-QSAR using Vlife MDS 4.3.The k-nearest neighbors (kNN) approach, used to Vlife molecular design suites (MDS), yielded a statistically verified two-dimensional quantitative structure activity relationship model. Cytotoxicity activity against the HL60 human cancer cell line was associated with Model 3 statistical data (q2 = 0.8001, pred r2 = 0.4082). The LOO approach was used for validation.Final Thoughts: The model now includes three attributes that positively correlate with the cytotoxicity activity. There is hope that novel, more effective anticancer drugs could be developed using this proven 2D QSAR model.

Keywords: 2-dimensional quantum search for anticancer drugs using regression analysis; 3-thiocyanato-1H-indoles; HL60 cell line.

Introduction

The unique capacity of the compounds produced by heterocyclic chemistry to bind reversibly to proteins and imitate the structure of peptides makes it a very useful source of new molecules with various biological functions.(1) to four (3) Indole, also known as benzopyrrole, is a heterocyclic compound with one nitrogen atom (N) substituted for one carbon atom in the ring. As a privileged structure that binds to several receptors with high affinity, the indole moiety is widespread and ranks among the most prevalent hetrocycles among physiologically active natural compounds, medicines, and agrochemicals (5). The therapeutic implications of Indole have been highlighted in published publications as follows: anti-viral, anti-depressant, anti-hyperlipidemic, anti-inflammatory, anti-psychotic, anti-microbial, anti-oxidants, anti-HIV, immunomodulator, anti-leukemia, (19).(21-22) Natural substances with strong pharmacodynamic Indole nucleus activity include reserpine, bufotenine, tryptophan, serotonin, vinblastine, vincristine, tryptamine derivatives, and others.

As the second-biggest killer of humans, cancer poses a serious danger to human health.chapters 29–32) The World Health Organization (WHO) projects that 12 million people will lose their lives to cancer by the year 2030.(33) radiation and chemotherapy are two of the current cancer therapies, however the most remarkable pharmaceutical

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Analysis on fat-soluble components of sinapis seminafrom different habitats by GC-MS

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Abstract:

The fat-soluble components of sinapis semina were identified using a fast and easy gas chromatography/mass spectrometry (GC/MS) analytical technique. In order to test the efficacy of the procedure, four chemicals were selected as marker compounds. Following an analysis of many extraction methods, sonication extraction with diethyl ether proved to be the most effective. After checking the resolutions, tailing factors, and theoretical plate number of the marker chemicals, we were able to determine that the apparatus was suitable for the approach. We also checked that the accuracy and repeatability, measured as relative standard deviation (RSD), were within the allowed limits. Eight sinapis semina samples were tracked using the approach after being acquired from Xi'an markets. Hierarchical cluster analysis (HCA) similarity analysis was used to examine the fingerprints of those samples. A combination of fingerprint and HCA allowed for the analysis of sinapis semina from various habitats, according to

GC/MS has been used for the determination of

plant medicinal components that are fat-soluble, because of their superior capacity for isolation and identification.

To ensure the efficacy of herbal medicines, quality control is essential, and one aspect of this procedure is regularly monitoring the amounts of chemical ingredients [4,5]. Herbal remedies have a complicated chemical makeup, and the quantification of substances depends on factors such as harvest time, storage conditions, processing technique, and environmental factors. A lot of places have started growing Sinapis semina.

country. Sinapis semina's impact is associated with its fat-soluble components, which come from several places.

Quantitative extraction of fat-soluble components from herbal medicines has been accomplished using a variety of procedures, such as steam distillation, solvent immersion, and solid-phase extraction [6, 7,

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Comparative pharmacokinetics of chlorogenic acid after oral administration in rats

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Abstract

The present study was aimed at the comparison of the pharmacokinetics of pure chlorogenic acid and extract of *Solanum lyratum* Thunb. The animals were allocated to two groups, and were administered chlorogenic acid or extract of *S. lyratum* Thunb. at a dose of 50.0 mg/kg orally. Blood samples were collected up to 8 h post-dosing. Plasma chlorogenic acid analyses were performed using an HPLC method with UV detector. The pharmacokinetic parameters were evaluated using non-compartmental assessment. Significant differences existed in the two groups for AUC_{0-n}, AUC_{0-N} and CLz/F. The reliable HPLC method was successfully applied to the determination of chlorogenic acid in rat plasma at dosage of 50.0 mg/kg.

1. Introduction

Solanum lyratum Thunb (Solanaceae) is one of the most valued Chinese traditional medicines. It is well known as "*Hedrba Solani Lyrati*" in mainland of China, which has been used for regulating body immune function and ability [1–4]. It was also reported to have anticancer activity [5]. The plant is known to contain steroidal glucuronides, steroidal alkaloid glucosides, coumarin and phenolic ingredients in traditional Chinese medicine are usually low, so the studies of their pharmaco- kinetic behavior at small dose (50.0 mg/kg) are important and necessary. In addition, other components in *S. lyratum* may change the pharmacokinetics of chlorogenic acid, and there was no report related to this issue.

In this study, a reliable HPLC method was established to determine the concentration of chlorogenic acid in rat plasma. The pharmacokinetic behaviors between chlorogenic acid and extract of *S. lyratum* after oral administration were compared. It is important for understanding of the synergism of components among *S. lyratum* and designing rational dosage regimens.

2. Materials and methods

2.1. Chemicals and reagents

Chlorogenic acid (Fig. 1A) and the internal standard (IS), puerarin (Fig. 1B), were provided by the National Institute for the Control of Pharmaceutical and Biological Products (Beijing, China). *S. lyratum* was purchased from Xuhui Pharmaceutical Co. Ltd. (Shanghai, China) and was genuinely identified by Prof. Qi-Shi Sun (Shenyang Pharmaceutical University, China). Methanol (HPLC grade) and other analy- tical grade reagents were obtained from

Indo-American Journal of Life Sciences and Biotechnology

A Study on the Characterization and Stability Implications of Investigating Local Mobility in Amorphous Pharmaceuticals

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ABSTRACT: There has been a deluge of research on the relationship between molecular mobility and the physical and chemical stability of amorphous drugs in recent years. Glass transition and global mobility-related molecular movements have been the primary targets of these investigations. There were, however, a handful of cases where the volatility could not be explained by international migration. The idea that b-relaxations, which occur at local scales well below the glass transition temperature, may be impacting stability is gaining traction. One common method for determining an amorphous pharmaceutical's mobility below the glass transition temperature (Tg) is to extrapolate data collected above Tg. While not well-suited to pinpointing precise local mobility, this kind of investigation may provide data about mobility in general. Our main goal from a pharmacological standpoint is to prove that local movements are important in amorphous drugs, especially in the Johari-Goldstein relaxations. In order to highlight the possible influence of local mobility on the stability of amorphous phases, an assessment of the coupling model was carried out that linked local movements with global mobility. We took into account the effects of water and other additives when studying the local movements in an amorphous matrix present in molecular dispersions. In conclusion, we have offered a concise review, highlighting the advantages and disadvantages, of the most widely used instrumental methods for characterizing local movements. To this day, Wiley-Liss, Inc., the publisher, has all rights.

Keywords: Amorphous, solid dispersion, lyophilization, mobility, and crystallization

INTRODUCTION

Pharmaceutical companies often produce amorphous forms of certain APIs used in drug formulation.1 An increasingly well-known problem of this. Reduced chemical stability may also cause an intolerably short storage life. Thus, there is a lot of focus in the field right now on predicting

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Application of biorelevant saliva-based dissolution for optimisation of orallydisintegrating formulations of felodipine

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Ms P Madhavi

A B S T R A C T

The oral cavity is of great importance to the performance of orally retained formulations, including: orally disintegrating tablets, taste-masked formulations, and buccal/sublingual delivery systems. With regards to *in vitro* dissolution assessment of these dosage forms, human saliva should be represented by the dissolution media. Currently there is no general consensus regarding oral cavity dissolution. In this study pooled human saliva was characterised and utilised as dissolution media for biorelevant oral cavity dissolution studies and to assess drug release. Lipophilic drug felodipine with challenging biopharmaceutical properties was selected for assessment in oral cavity dissolution studies. These saliva dissolution studies investigated for the first time how biorelevant dissolution can be implemented as a screening tool to guide the formulation development process and to predict dosage form performance within the mouth. In this study a combination of three dissolution enhancement strategies (cryomilling, solid dispersion, and inclusion complexation) were employed to eventually increase the concentration of felodipine in saliva 150-fold. Using this successful formulation strategy orally disintegrating tablets of felodipine were produced. Interestingly, the percentage release of felodipine in compendial dissolution apparatus was shown to be over 80% after 10 min. On the other hand, saliva-based dissolution showed that percentage release of felodipine was only 0.2% after 10 min using the same formulation. This discrepancy in drug release between dissolution media highlights the need for biorelevant dissolution apparatus for the oral cavity to reliably assess performance of relevant dosage forms *in vitro*.

1. Introduction

The oral cavity is a site for drug dissolution that is such as tablets and capsules, orally retained formulations can be greatly im- pacted by the time

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Ms.A R Sridevi *et. al* International Journal of Pharmacetical Sciences Letters

A thorough analysis of Thymus serpyllum's traditional uses, phytochemistry, pharmacology, and toxicity

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Abstract:

The Lamiaceae family's understudied perennial plant Thymus serpyllum L. has a long history of use in the treatment of gastrointestinal and respiratory disorders in the higher foothills of India. Our present understanding of T. serpyllum's traditional applications, phytochemistry, and pharmacology is not well-rounded, and that is the goal of this review. Gathering up-to-date knowledge on this plant is our top priority, as is promoting more in vivo and in vitro studies to back up local claims. Due to its varied pharmacological qualities, such as antioxidative, antibacterial, anti-inflammatory, and anticancer activity, the essential oil extracted from T. serpyllum has garnered substantial interest as a plant-derived product. When it comes to creating novel medications to tackle a wide range of health sector issues, ethnomedicinal research has shown that T. serpyllum has a lot of potential. Pharmacological investigations alone are insufficient to support the widespread usage of T. serpyllum. In most cases, researchers use either in vitro or in vivo methods. To evaluate these medical assertions, more research is needed in the form of carefully orchestrated pharmacological trials. The findings of this evaluation will serve as a springboard for more studies. Despite T. serpyllum's extensive traditional usage, there has been a dearth of pharmacological research, with the majority of investigations conducted in either in vitro or in vivo settings. Important topics to explore include further chemical isolation, thorough pharmacological study, and potential culinary uses.

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A four-strain probiotic exerts positive immunomodulatory effects by enhancing colonic butyrate production in vitro

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ABSTRACT - The purpose of this contribution is to evaluate the cytotoxicity and apoptosis inducing ability of structurally diverse anthraquinones to establish a relationship between structure and toxicity. Besides the wide spread use of anthraquinones in pharmacological drugs for constipation and non-prescription dietary supplements for weight loss, extracts are still commercialized as crude extracts and long-term side effects are still relevant. In this work we developed a method to quantify the cascarosides isolated from *Rhamnus purshiana* (Cascara Sagrada) using LC-MS/MS and evaluated the effects of this extract and isolated compounds on cellular viability using NOK-SI, HeLa, and T98G cell lineages. Apoptosis inducing ability was also analyzed via evaluating key-proteins involved in apoptosis pathways. Using cascarosides isolated from bark extracts, we found that the presence of glucose moieties in the chemical structure reduced the toxicity. This communication reviewed the mechanisms of action, toxicity of anthraquinones and correlated the toxicity with chemical structures of cascarosides. Results indicate that cascarosides-enriched cascara extract, as well as glycosylated anthraquinones, may have some beneficial effects for laxative action of herbal medicines. Considering our results, a cascarosides-enrichment in cascara extract is recommended.

INTRODUCTION

Anthraquinones are extensively present in nature, found in plants, bacteria, fungi, and insects.They are widely used as pharmacological drugs for constipation and as non-prescription dietary supplements for weight loss. Currently, these compounds are used to treat a variety of conditions because of their wide ranging biological activities, including anti-inflammatory, antifungal, antibacterial, antiviral, and antiarthritic actions (1).

Due to the cytotoxic action of some anthraquinone components, as doxorubicin (natural), daunorubicin (natural) and valrubicin (semisynthetic), several medicines have been developed to treat cancer (1-3). One important mechanism of action for cytotoxic agents used in anthranoid-rich plants (4, 5). Despite effective laxative action of anthraquinone- rich plants, clinical studies demonstrated that 73.4% of patients who chronically used anthranoids laxatives had melanosis coli, showing a clear association between anthraquinones and colon darkening (6). Histological studies have shown that a large number of apoptotic bodies are not caused by natural renewal, but by laxative action, suggesting that melanic substances are formed by the action of anthraquinones (7). Chen *et al.* (8) proposed a melanosis-forming mechanism that correlated the accumulation of pigments to the long-term use of these natural compounds. When such compounds enter the colon, they produce a laxative effect and damage the

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Shifting Focus from Fundamentals to Systems Pharmacodynamic Models

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ABSTRACT: A number of PK/PD models have been established, building on various classical pharmacology foundations; these models are based on the principles of pharmacological action and the primary physiological processes that limit or turnover the drug's effectiveness. You can design better PK/PD or small system models by adding complexity to many fundamental models; tolerance is only one of many such additions. We demonstrate all of these concepts in our corticosteroid models, along with features of the horizontal and vertical integration of molecular to whole-body processes. The potential advantages and disadvantages of moving PK/PD towards systems models are outlined here. The paper "J Pharm Sci 102:2930-2940" was published in 2013 and was written by Wiley Periodicals, Inc. and the American Pharmacists Association. Words like "pharmacodynamics," "systems pharmacol-ogy," "mathematical models," "dosage response," and "indirect response models" are utilized.

INTRODUCTION

more complicated ones. This review will go