Research Publications in the Year 2017-2018

S. No.	Title of paper	Name of the author/s	Department of the teacher	Name of the Journal	Year
1	Formulation and Evaluation of Doxofylline Lozenges	Shravan Kumar Yamsani	Pharmaceutics	Current Trends in Biotechnology and Pharmacy	2018
2	Retrospective Study on Consanguineous Marriage Birth Deffects Among Patients Attending Pediatric Ward In Tertiary Care Hospital, South India	Balram B, Sudhakar Ajmeera,Amrutha Keerthi Pogula, Divya Mitukula, Nagesh Adla	Pharm D	Journal of Dental and Medical Sciences	2018
3	New validated method development for the estimation of sulphamethoxazole and Trimethopprime in bulk form by visible spectroscopy	K.Shirisha, K.Praveen,G. Swetha	Pharmaceutical Analysis	International journal of Pharmacy and Pharmaceutical sciences	2018
4	Effect of Momordica charantia and syzygium Cumini cztract on serum electrolytes in Alloxan induced Diabetic rats	Y.Shirisha, K.Shirisha,P. Girija	Pharmaceutical Analysis	International journal of Pharmacy and Pharmaceutical sciences	2018
5	Development and Validation of a new UFLC method for the estimation of Chlorhexidinein bulk drug	D.Kumaraswamy	Pharmaceutical Analysis	Journal of Chemical and Pharmaceutical sciencesS	2018
6	New RP-HPLC method for the	D.Kumaraswamy	Pharmaceutical Analysis	International Research Journal of Pharmacy	2018

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	estimation of Paracetamol and Tramadol hydrochloride in bulk and tablet dosage form				
7	Formulation and Evaluation of Etodolac Oral Disintegrating Tablets	Thalla Sushma, Arsham Priyadarshini, Shravan Kumar Yamsani	Pharmaceutics	Current Trends in Biotechnology and Pharmacy	2018
8	Formulation and Evaluation of Doxofylline Lozenges	Kothagattu Nandini	Pharmaceutics	Current Trends in Biotechnology and Pharmacy	2018
9	Design and In Vivo Evaluation of Quinapril Fast Dissolving Oral Films	P. Vamsee Kumar, Y. Shravan Kumar	Pharmaceutics	American Journal of PharmaceuticalTechnology and Research	2018
10	Preparation and in vivo evaluation of oral films containing benazepril	P. Vamsee Kumar, Y. Shravan Kumar	Pharmaceutics	International Journal. of Pharmacy and Analytical Research	2018
11	Neuroprotective and nootropic activity of Carica papaya seeds on Diabetes induced cognitive decline in rats	Sharavana Bhava B S, Srilatha K	Pharm D	Iranian Journal of Pharmaceutical Science	2018
12	Efficacy of Epalrestat and Pregabalin in Patients with Diabetic Peripheral Neuropathy	Sharavana Bhava BS	Pharm D	Research in Medical and Engineering Sciences	2018
13	Efficacy of azilsartan and telmisartan in patients with type 2 diabetes and	Vinay Kumar K, Sharvana Bhava B S	Pharm D	Advances in Obesity, Weight Management and Control	2018

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	hypertension				
14	Comparison of Teneligliptin and Atorvastatin on Lipid Profile in Patients with Type 2 Diabetes Mellitus	Supriya T, Venkateshwarlu E	Pharm D	Current Research in Diabetes and Obesity Journal	2018
15	Formulation and evaluation of bioadhesive buccal tablet of Enalapril maleate	Pavani	Pharmaceutics	International journal of emerging technologies and innovative research	2018
16	Formulation and Evaluation of Acyclovir Microspheres	Pavani S, Mounika K, Naresh K	Pharmaceutics	Iraqi Journal of Pharmaceutical Sciences	2018
17	Multidrug resistance reversal of some new DihydroPyridines studied by *In Situ* Single pass intestinal perfusion method in Rats	K.Shirisha, G.Achiah, N.Prasad, S.Bhasker	Pharmaceutical chemistry	Pharmaceutical Chemistry Journal	2018
18	Efficacy of Epalrestat and Pregabalin in Patients with Diabetic Peripheral Neuropathy	Venkateshwarlu E	Pharm D	Research in Medical and Engineering Sciences	2018
19	Neuroprotective and nootropic activity of Carica papaya seeds on Diabetes induced cognitive decline in rats	Venkateshwarlu E, Srilatha K	Pharm D	Iranian Journal of Pharmaceutical Science	2018
20	Comparison of Teneligliptin and Atorvastatin on Lipid Profile in Patients with Type 2 Diabetes Mellitus	Vyshnavi V, Sharvana Bhava B S	Pharm D	Current Research in Diabetes and Obesity Journal	2018
21	Efficacy of azilsartan and telmisartan in patients with type 2	Venkateshwarlu E,	Pharm D	Advances in Obesity, Weight Management and Control	2018

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	diabetes and hypertension				
22	Design and In Vitro Evaluation of Gastro Retentive Sustained Release Tablets of Ketorolac Tromethamine,	D.Adukondalu	Pharmaceutics	Journal of pharma science	2017
23	Iontophoretic Delivery of Nebivolol Hydrochloride: Effects of Current Density, Chemical Enhancers, pH, Polymer Concentration	Sriram Pavani, Y.Madhusudan Rao,	Pharmaceutics	Journal of Chemical and Pharmaceutical Research	2017
24	Formulation and Evaluation of Salbutamol Sulphate Sublingual Films.	M. Mounika	Pharmaceutics	International Journal of Pharmaceutical Sciences and nanotechnology	2017
25	Comparison of Efficacy of Telmisartan and Enalapril in Patients with Diabetic Nephropathy	Suresh T, Snehapriya V, Rajendra Prasad A, Siva Subrahmanyam B, Sharvana Bhava B S, Venkateshwarlu E	Pharm D	World Journal of Medical Sciences	2017
26	Design and In Vitro Evaluation of Gastro Retentive Sustained Release Tablets of Ketorolac Tromethamine,	Naresh K, P. Deepika Pavani S	Pharmaceutics	Journal of pharma science	2017
27	Iontophoretic Delivery of Nebivolol Hydrochloride: Effects of Current Density, Chemical Enhancers, pH, Polymer	Y.Shravan Kumar, Y.Madhusudan Rao,	Pharmaceutics	Journal of Chemical and Pharmaceutical Research	2017

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	Concentration				
28	Formulation development and evaluation of taste masked oral disintegrating films of atenolol	Pavani S, Goutham P	Pharmaceutics	Innovat International Journal Of Medical & Pharmaceutical Sciences	2017
29	Formulation and Evaluation of Salbutamol Sulphate Sublingual Films.	Y. Shravan Kumar, B. Deepthi, M. Mounika	Pharmaceutics	International Journal of Pharmaceutical Sciences and nanotechnology	2017
30	Method development and Validation of Ramipril and Hydrochlorothiazide by Chemometric assisted UV-Spectrophotometry in Bulk and Tablet dosage	D.Kumaraswamy	Pharmaceutical Analysis	International Journal of Pharmaceutical Technology	2017
31	Analytical method development and Validation for Simultaneous estimation of Sitagliptin and Simvastatin in Combined dosage form by RP – UPLC method	D.Kumaraswamy	Pharmaceutical Analysis	International Journal of Pharmaceutical Technology	2017
32	Simultaneous estimation of Metoprolol succinate and Hydrochlorothiazide inBulk and tablet form by using UV-Spectroscopy	D.Kumaraswamy	Pharmaceutical Analysis	International Journal of Pharmaceutical Technology	2017
33	Synthesis and Evaluation of Pyrazoline derivatives as antibacterial agents	D.Kumaraswamy	Pharmaceutical Analysis	International Journal of Pharmacy and biological Sciences	2017
34	Anti Obesity effect	Anusha	Pharmacognos	Journal of Global Trends	2017

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	of Abhrka Bhasma in high fat diet induced Rats	Palle, Srinivas Reddy Challa	y	in Pharmaceutical Sciences	
35	Study of Vrsya property (Testicular regenerative potential) of Abhrka Bhasma in obesity induced Rats	Anusha Palle, Srinivas Reddy Challa	Pharmacognosy	Journal of Global Trends in Pharmaceutical Sciences	2017
36	Iontophoretic Delivery of Nebivolol Hydrochloride: Effects of Current Density, Chemical Enhancers, pH, Polymer Concentration	S.Pavani,Y. Madhusudan Rao	Pharmaceutics	Journal of Chemical and Pharmaceutical Research	2017
37	Formulation and Evaluation of Salbutamol Sulphate Sublingual Films.	Y.Shravan Kumar,	Pharmaceutics	International Journal of Pharmaceutical Sciences and nanotechnology	2017
38	Design and evaluation of Colon Specific Drug Delivery Systems containing Anti-inflammatory drug for the treatment of Inflammatory Bowel Disease	Y.Shravan Kumar,	Pharmaceutics	International Journal of Innovative Pharmaceutical Sciences and Research	2017
39	Prparation and Evaluation of Gastroretentive Floating tablets of Enlapril Malcate	Adukondalu, P.Anusha	Pharmaceutics	International Journal of Pharmacy and biological Sciences	2017
40	Evaluation of fertility potential of Abhraka Bhasma In obesity induced rats	Anusha Palle, Srinivas Reddy Challa	Pharmacognosy	European Journal of Biomedical and Pharmaceutical Sciences	2017
41	Formulation and In-Vitro Evaluation of effervescent floating tablets of Mebendazole	Sandhya.A, D. Adukondalu	Pharmaceutics	European Journal of Biomedical and Pharmaceutical Sciences	2017
42	Formulation and	Sandhya.A,	Pharmaceutics	World Journal of	2017

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	evaluation of Sustained release tablets of Metformin Hydrocloride	D. Adukondalu		Pharmaceutical Research	

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Current Trends in Biotechnology and Pharmacy
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5. Formulation and Evaluation of Doxofylline Lozenges

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Abstract

Doxofylline was formulated as lozenges to provide slow release medicament for the management of asthma for cough and itchy throat. The present investigation has been taken up to design, prepare and evaluate hard candy lozenges to meet the need of improved bioavailability. The benefits of these prepared lozenges showed increase in bioavailability, reduction in gastric irritation by passing of first pass metabolism and increase in onset of action. The lozenges were prepared using sucrose as base; liquid glucose in the formulation made the lozenges transparent and smooth; hydroxypropyl methylcellulose (HPMC) and hydroxyethyl cellulose (HEC) are used as polymers. Aspartame and saccharin are used as artificial sweeteners. Sweeteners along with flavours are used to mask the bitter taste of drug. All the formulations prepared were subjected to various physicochemical parameters like hardness, content uniformity, friability, weight variation, moisture content etc. The prepared formulations have a hardness of 8-11 Kg/cm², non-gritty and pleasant mouth feel. Some selected formulations were tested for drug excipients interactions subjecting to infrared (IR) Spectral analysis. *In vitro* drug dissolution studies showed least of 82.7% for FL7 and maximum of 98.8% for FL6 release following zero order release in 30 minutes.

Keywords: Hard candy lozenges, Doxofylline, anti-asthma, polymers.

Introduction

The word "Lozenge" is derived from French word "Losenge", which means a diamond shaped geometry having four equal sides. Development of lozenges dates back to 20th century and is still in commercial production (1). Lozenges are solid preparations that contain one or more medicaments, usually in a flavoured, sweetened base, and are intended to dissolve slowly in the mouth. In short, lozenge is a small medicated candy intended to be dissolved slowly in the mouth to lubricate and soothe irritated tissues of throat. Most of the lozenge preparations are available as over-the-counter medications. They are intended to be dissolved on the back surface of the tongue to provide drug delivery locally to the mouth, tongue, throat, etc. to minimize systemic and maximize local drug activity. The dosage form can be adopted for local as well as systemic therapy and a wide range of activities can be incorporated in them. They can deliver drug multi- directionally into the oral cavity or to the mucosal surface (2). Lozenges currently available in market are of four types: caramel based medicated lozenges, soft lozenges, hard candy lozenges and compressed tablet lozenges. Hard candy lozenges are prepared by moulding. Moulded lozenges are sometimes referred to as pastilles, whereas compressed lozenges prepared on tablet compression machine, may be referred to as troches (3).

Lozenges are placed in oral cavity. Since the sublingual lozenges may be impractical due to their size, buccal lozenges are formulated and have been extensively used and are intended to be placed between the cheek and the gums. Though the lozenge dissolution time is about 30 minutes, it also depends on the patient, as patient

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Retrospective Study on Consanguineous Marriage Birth Deffects Among Patients Attending Pediatric Ward In Tertiary Care Hospital, South India

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Abstract: *Consanguinity is the quality of being descended from the same ancestor as another person. Consanguinity is prevalent in many middle eastern and Arab cultures and societies. Genetic disorders and congenital abnormalities occur in about 2%-5% of all live births, account for up to 30% of paediatric hospital admissions and cause about 50% of childhood deaths in industrialised countries. To determine the prevalence of consanguineous marriages, type of consanguinity and to determine the role of consanguinity on congenital malformations so as to create awareness. Retrospective hospital based study with consecutive sampling of 1552 babies in Mahatma Gandhi Memorial Hospital over a period of 12 months from January to December 2015.Out of 1552 babies 61 babies were having congenital malformations. Malformed babies were noted in 8 % of consanguineous marriages versus 1 % in non-consanguineous marriages, with P value of 0.04 which is statistically significant. In conclusion, congenital malformations are more in consanguineous marriages i.e., consanguinity may play important role in high rates of malformations in children. In order to prevent, genetic counselling before marriage must be applied for all couples because they may have family history of genetic disorders and especially consanguineous couples.*

Keywords: *Consanguineous marriages, congenital anomalies, genetic counselling.*

Date of Submission: 25-10-2018 Date of acceptance: 06-11-2018

I. Introduction

The word consanguinity comes from Latin words, *con* meaning shared and *sanguis* meaning blood. In clinical genetics, consanguineous marriage is defined as a union between two individuals who are related or second cousins or closer.

However, the most common form of consanguineous marriage worldwide is between first cousins, who on average have co-inherited 1/8 of their genes from one or more common ancestors. First cousin offspring will therefore be homozygous at 1/16 of all loci, which is consanguinity expressed as a coefficient of inbreeding [f] of 0.0625[1]

The preferred types of consanguineous marriage vary according to tradition, so that in Arab society's first cousin marriage between a man and his father's brother's daughter is most common.

Population stratification may therefore be a major influence in the measurement of consanguinity associated morbidity and mortality, with straight forward comparison between the progeny of first cousins and unrelated parents genetically invalid unless both sets of parents are known to be members of same caste, tribe [2].

Consanguinity is prevalent in many Middle Eastern and Arab cultures and societies [3], some studies have shown significant differences in genetic disorders between children born to consanguineous marriage partners and those born to non-consanguineous parents [4] while others have found no significant differences [5]. Genetic disorders and congenital abnormalities occur in about 2%-5% of all live births, account for up to 30% of paediatric hospital admissions and cause about 50% of childhood deaths in industrialised countries [6].

Mental disturbances are defined as structural defects of the body and/or organs that impair viability and require intervention. Minor morphogenetic errors are small structural developmental disturbances that do not impair viability and do not need to be treated. Preventive public health measures administered through pre- and peri-conception and prenatal health care services decrease the frequency of certain congenital anomalies including those due to consanguineous marriages.

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Original Article

NEW VALIDATED METHOD DEVELOPMENT FOR THE ESTIMATION OF SULFAMETHOXAZOLE AND TRIMETHOPRIM IN BULK FORM BY VISIBLE SPECTROSCOPY

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ABSTRACT

Objective: To develop three novel, sensitive, simple validated visible spectrophotometric methods for the quantitative estimation of sulfamethoxazole (SMZ) and trimethoprim (TMP) in bulk form.

Methods: Methods were based on coupling the diazotized aromatic primary amino group of the studied drugs with *o*-phenylenediamine (OPD) in an acidic medium. The first two methods have been proposed for estimation of SMZ and rest for TMP. The resulting products were measured by spectrophotometric (method I, II and III) tools. The methods were validated as per ICH guidelines.

Results: In method I, the absorbance was measured at 482 and 457 nm with linearity ranges of 4.0-40.0 and 5.0-45.0 µg/ml for SMZ. On the other hand, method III was devoted to estimate TMP spectrophotometrically at 457 nm with linearity range of 5-30 µg/ml. The r^2 value for all methods were found to be 0.99. The percentage recoveries of SMZ and TMP were found to be 97.98%, 97.56% and 97.55% respectively. The developed methods were subjected to detailed validation procedure in their pure forms.

Conclusion: The study concludes that visible spectrophotometric validation methods can be very efficient and economically promising technique for the quantitative analysis of SMZ and TMP in bulk form. The statistical analysis of data indicates that the developed methods were reproducible and specific. It was found that there is a good agreement between the obtained results and those obtained by the reported methods; moreover they can be used for the routine estimations of SMZ and TMP in bulk form.

Keywords: Sulfamethoxazole, Trimethoprim, Diazotization, Visible spectrophotometry, Validation

DOI: http://dx.doi.org/10.22159/ijpps.2018v10i12.26650

INTRODUCTION

Sulfonamides are extensively used for the treatment of different bacterial infections in human and veterinary practice [1]. Sulfonamides of pharmaceutical products usually consist, of one sulfonamide mixed with another drug that increases the power of the sulfonamide, e.g. the SMZ and TMP binary mixture. The synergistic antibacterial effect of TMP in combination with sulfonamide is well known both in the *in vitro* and *in vivo* situations [2].

SMZ is chemically 4-amino-N-(5-methylisoxazol-3-yl)-benzene sulfonamide (fig. 1) is a structural analog of para-aminobenzoic acid. Inhibiting the production of dihydrofolate intermediate binding through dihydropteroate synthetase interferes with the normal bacterial synthesis of folic acid, which inhibits the folate-dependent metabolic process for bacterial growth [3].

TMP is designated chemically as 5-(3,4,5-trimethoxy benzyl) pyrimidine-2,4-diamine (fig. 2) which binds dihydrofolate reductase and decrease the levels of tetrahydrofolic acid which an essential precursor in the thymidine synthesis pathway, inhibits bacterial DNA synthesis. TMP affinity for bacterial dihydrofolate reductase is several thousand times greater than its affinity for human dihydrofolate reductase [4].

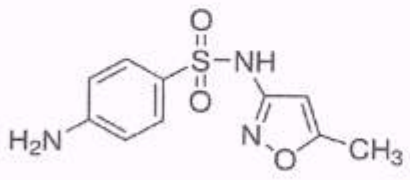

Fig. 1: Structure of SMZ

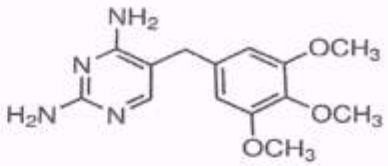

Fig. 2: Structure of TMP

Among the various methods available for the estimation of these drugs in literature survey, such as charge transfer complexation [5], uv-visible spectrophotometry [6-16], square wave voltametry [17], rapid UPLC [18], HPLC [19], chemical evaluation [20], spectrofluorimetry [21] and flow injection system/HPLC with potentiometry [22], colorimetric sensors have attracted increasing considerations for their convenience of visual observation and simple operations in recent years [23-25]. OPD and its derivatives have been widely used in the estimation of enzymes and drugs [26, 27].

From literature, hitherto there are no visible spectrophotometric methods reported for the estimation of SMZ and TMP using OPD through diazotization followed by coupling reaction which encouraged us to develop these methods. Hence, for the first time, we describe few simple, sensitive, cost-effective, novel methods using OPD to assay these drugs in bulk samples.

MATERIALS AND METHODS

Apparatus

The visible spectra of drug solutions were recorded on a Shimadzu 1800 UV/Vis spectrophotometer at room temperature in 1 cm quartz cell. The wavelength range was from 200 to 800 nm. For spectral data acquisition and processing UV probe software was used.

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Original Article

EFFECT OF *MOMORDICA CHARANTIA* AND *SYZYGIUM CUMINI* EXTRACT ON SERUM ELECTROLYTES IN ALLOXAN INDUCED DIABETIC RATS

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ABSTRACT

Objective: Diabetes is a group of disorders characterized by high blood glucose levels. Disturbances in serum electrolytes like sodium (Na^+) and potassium (K^+) are found in diabetes. The purpose of the study was to investigate the disturbances in concentrations of serum electrolytes in hyperglycemic crisis and the effect of *syzygium cumini* and *momordica charantia* standardized aqueous extracts on serum electrolytes ($Na^+$and K^+) in normal and diabetic rats.

Methods: Diabetes is induced by intraperitoneal injection of alloxan at a dose of 120 mg/kg b. w in rats. Rats were divided into 5 groups (normal control, disease control, metformin, test 1 and test 2). In test groups 1 and 2, SASESC (standardized aqueous seed extract of *syzygium cumini*) and SAFEMC (standardized aqueous fruit extract of *momordica charantia*) were respectively administered orally to alloxan induced diabetic rats, and their serum electrolyte levels were observed at 1st, 4th, 7th and 14th days.

Results: By the 14thday, the Na^+ and K^+ levels in groups 4 and 5 were almost normal. However, in group 3 (standard), Na^+ levels were relatively lower and K^+ levels were relatively higher than groups 4 and 5 (test). In group 2 (disease control) as compared to group 1 (normal control), a decrease in Na^+ and increase in K^+ levels was observed even on day 14.

Conclusion: Treatment with anti diabetic drugs like metformin, *syzygium cumini* (test-1), *momordica charantia* (test-2) restored the electrolyte levels almost back to normal over a period of study (14 d). There was significant (**P<0.01, *P<0.05) normalization of electrolyte levels in diabetic rats. It was concluded that *syzygium cumini* and *momordica charantia* showed better efficiency in restoring the electrolyte imbalance as compared to metformin during our study.

Keywords: *Syzygium cumini, Momordica charantia,* Metformin, Diabetes, Electrolyte, Alloxan

DOI: http://dx.doi.org/10.22159/ijpps.2018v10i11.24963

INTRODUCTION

Diabetes mellitus (DM) is a group of metabolic diseases characterized by high blood glucose level (hyperglycemia) resulting from defects in insulin secretion, insulin action, or both. The chronic hyperglycemia of diabetes is associated with long-term damage, dysfunction, and failure of various organs like the eyes, kidneys, nerves, heart, and blood vessels [1, 2]. Electrolytes are salts in the body that conduct electricity and are found in fluid, tissue and blood. A proper balance of electrolytes such as sodium (Na^+), potassium (K^+), calcium (Ca^{2+}), magnesium (Mg^{2+}) and others are essential for overall health. They have a pivotal role in the maintenance of homeostasis inside the body, regulation of heart and brain function, body fluid balance, ventilation, pH etc [3]. Deficiency or imbalance of electrolytes can lead to serious conditions. DM is amongst those diseases which show frequent disturbances of electrolytes and acid-base relations, especially in patients with deranged renal function and other end-organ injury, mal-absorption syndromes, acid-base imbalances and multiple drug regimens and medications for DM management. The knowledge and insight of the disease process and its management would create the way for 'pathophysiology-directed therapy', leading to prevention of the several adverse effects associated with acid-base and electrolyte disorders and their management [4-8].

Alterations of ionized Na^+, K^+, and Mg^+ in the serum have been reported in DM subjects, both as causes and consequences. There is also increasing evidence that electrolyte imbalances are early biochemical events responsible for long-term diabetic complications. Considerable variations in the electrolyte metabolism may exist in populations depending on the genetic constitution, nutritional status, and environmental situation. It has been suggested that alterations in Na^+, K^+, Ca^{2+} and other biologically relevant elements might occur due to malfunction of Na^+-K^+ pumps. There is increasing evidence that these alterations of electrolytes across the cell may play a vital role in the mechanism of cellular injury leading to retinopathy, nephropathy, and neuropathy in DM subjects [9]. The present study was chosen to investigate the serum levels of Na^+ and K^+ in alloxan-induced diabetic rats without any complications.

Drugs used to treat DM like metformin and sulfonylureas along with tricyclic antidepressants (used to treat neuropathy) can also cause electrolyte and acid-base disturbances. In modern medicine, no satisfactory effective therapy is available to control DM along with electrolyte imbalance. The literature survey reveals that anti-diabetic herbs have the capacity to cure electrolyte imbalance along with DM [10-13]. In this regard, an herbal anti-diabetic drug used traditionally viz., *momordica charantia* and *syzygium cumini* were chosen for the present study to investigate a possible effect of the standardized aqueous fruit extract of *momordica charantia* (SAFEMC) and standardized aqueous seed extract of *syzygium cumini* (SASESC) on the serum electrolytes in alloxan-induced diabetic rats.

MATERIALS AND METHODS

Drugs and chemicals

SAFEMC and SASESC were procured from navachethana kendra Pvt. Ltd, Delhi and Shree narnarayan ayurvedic pharmacy, Ahmedabad, Gujarat, India respectively. Alloxan monohydrate was purchased from Chemit Labaratories, Hyderabad, India. Metformin was purchased from nice chemical Pvt. Ltd, Cochin, and India. The glucose estimation kit was purchased from Vijaya diagnostics; Hanamkonda, India and all other chemicals used in this study were obtained commercially and were of analytical grade.

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Journal of Chemical and Pharmaceutical Sciences

Development and Validation of a new UFLC method for the estimation of Chlorhexidine in bulk drug

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ABSTRACT

A simple, sensitive and specific UFLC method was developed to estimate Chlorhexidine in bulk drug. Acetonitrile and Water were used in 60:40 v/v ratio as mobile phase. The flow rate of eluent was fixed at 0.8 mL/min. Absorbance was monitored at λmax of 235 nm. A reverse phase column C18, (250mm x 4.6mm i.d., 5µm) was used as stationary phase. The retention time was found to be 2.99 minutes. The linearity range of Chlorhexidine was found to be 1-6 µg/ml at 235nm wavelength.

KEY WORDS: UFLC, Chlorhexidine, Retention time, Linearity.

1. INTRODUCTION

Chlorhexidine is a biguanide antiseptic. Its chemical name is N, N^1-1, 6-Hexanediylbis [N^1-(4-chlorophenyl) imidodicarbonimidic diamide] and its molecular formula is $C_{22}H_{30}Cl_2N_{10}$ (Figure.1.) (Jeffery, 1989). It has a broad spectrum of activity against different microorganisms. Hence it is widely used in dentistry, human and veterinary medicine (Fiorentino, 2010). Antimicrobial effects of Chlorhexidine are associated with the attraction between the drugs and bacterial cells bearing negative charge, thus disrupting the cell membrane integrity.

Literature survey reveals that several reports have been published on the spectroscopic (UV) (Gurdeep, 1991; Paresh, 2014; Rushikesh, 2016; Tarig, 2017) or chromatographic (HPLC) (Bagdanovska, 2014; Liljana, 2014; Zhesu, 2013; Dave, 2012; Zhang, 2012; Soyseven, 2012; Marco, 2011; Beckett, 2002; Snyder, 1997; Skoog, 1980) estimation of chlorhexidine. However, majority of the reports on HPLC revealed the usage of mobile phase containing buffers and longer retention times. Hence, it is felt worthwhile to develop and validate a new, simple, faster UFLC method to estimate chlorhexidine.

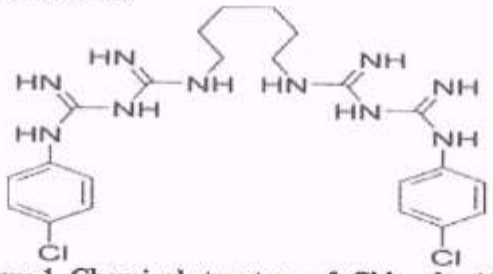

Figure.1. Chemical structure of Chlorohexidine

2. MATERIALS AND METHODS

Instrumentation: UFLC SPD-20A (SHIMADZU), UV-VIS spectrophotometer, UV-1800 (SHIMADZU), Analytical balance AY220 (SHIMADZU), pH meter MK V1 (DIGITAL), Ultra SonicatorPCi (BIOTECHNICS).

Chemicals and reagents: Analytically pure Chlorohexidine was gifted by MSN laboratories. HPLC grade Methanol (HIMEDIA), Acetonitrile (SIGMA ALDRICH), Triethylamine, Ortho phosphoric acid (FINAR) were purchased. Millipore water of HPLC grade was used.

Chromatographic conditions: Glassware used were thoroughly washed using chromic acid cleansing mixture, rinsed with water and dried. Acetonitrile and Water were used in ratio of 60:40 v/v as mobile phase. 0.8 mL/min was fixed as flow rate to deliver the eluent, the run time was 10 minutes and the injection volume was 20µL. Absorbance was monitored at λmax of 235 nm.

Preparation of mobile phase: A mixture of about 400 mL water and 600 mL Acetonitrile (HPLC grade) were mixed and degassed in an ultrasonicator for 5 min. 0.45 µ filter was used to filter the final solution under vacuum. The mobile phase thus prepared was also used as diluent.

Standard Solution Preparation: Standard stock solution of Chlorhexidine was obtained by dissolving 10mg of Chlorhexidine bulk drug in 10ml of methanol to give 1mg/ml of solution (Stock solution). Further dilutions were prepared from the standard stock solution to obtain 1, 2, 3, 4, 5, 6 µg/ml of the solutions.

3. RESULTS AND DISCUSSION

Determination of absorption maxima (λ_{max}): 10µg/ml standard solution of Chlorhexidine was prepared using methanol and scanned in UV Spectrophotometer from 200-400 nm.

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Research Article

NEW RP-HPLC METHOD FOR THE SIMULTANEOUS ESTIMATION OF PARACETAMOL AND TRAMADOL HYDROCHLORIDE IN BULK AND TABLET DOSAGE FORM

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ABSTRACT

The present work was focused on developing a new RP-HPLC method for the simultaneous estimation of paracetamol and tramadol hydrochloride in bulk and tablet dosage form and to validate it as per ICH and USP guidelines. The method involves use of water and acetonitrile in 9:1 ratio as mobile phase pumped at a rate of 1ml/min. The optimum wavelength selected for monitoring was 268nm. C_{18} column (4.6mm ×250mm) of 5µ particle size was used as stationary phase. The method was finally validated, and parameters were reported. The system suitability parameters passed in which the asymmetric factors for Paracetamol and Tramadol were 1.54 and 1.09 respectively. Linearity ranges were found to be 20 to 100µg/ml with a correlation coefficient of 0.998. Accuracy studies reported a mean recovery of 98.7% for both the drugs. Faster retention times (1.1min and 4.1min) make the method simple and economic. Thus a validated and sensitive RP-HPLC method was developed for simultaneous estimation of Paracetamol and tramadol in bulk and tablet dosage form.

KEY WORDS: HPLC, Method, Paracetamol, Tramadol hydrochloride, Validation.

INTRODUCTION

Pain is an unpleasant sensation which can lead to distress and discomfort[1]. Pain can be acute or chronic. Drugs used to treat pain are called pain killers or analgesics. Paracetamol and Tramadol are commonly used analgesics. Paracetamol (Figure 1) is chemically N-(4-Hydroxyphenyl)ethanamide or N-(4-Hydroxyphenyl)acetamide. It is a cyclooxygenase-2 (Cox-2) inhibitor and it is used to treat fever and pain. Tramadol (Figure 2) is chemically trans-2-(Dimethylaminomethyl)-1-(m-methoxyphenyl)cyclohexanol. It is an Opioid receptor agonist, 5-HT inhibitor and it is used to treat mild to severe pain, depression. Both paracetamol and tramadol are practically freely soluble in water and methanol[2,3].

Literature survey reveals that much work is documented on the chromatographic (HPLC & HPTLC) estimation of these two drugs in combined pharmaceutical dosage forms[4-17]. However, they are tedious, time consuming and costly. Hence there is a need for the development of a relatively simple, precise, accurate, reproducible and cost effective HPLC method for the estimation of paracetamol and tramadol in tablets and to validate the developed method as per ICH and USP guidelines.

MATERIALS AND METHODS

Instrumentation

The analysis was carried out on a HPLC system (SPINCO BIOTECH) equipped with UV detector. Other apparatus and instruments used were electronic balance (Keroy). Digital pH meter (Systronics). Magnetic stirrer (Remi). Millipore (Direct Q UV3). Ultra sonicator (Pci). Micro pipette (Physio care).

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8. Formulation and Evaluation of Etodolac Oral Disintegrating Tablets

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Abstract

Etodolac is a nonsteroidal anti-inflammatory drug, which result in inhibition of the enzyme cyclooxygenase (COX). The aim of this study is to formulate and evaluate oral disintegrating tablets (ODTs) of etodolac to achieve rapid dissolution, absorption and further improving the bioavailability of the drug. The oral disintegrating tablets were prepared by using Croscarmellose sodium, Sodium starch glycolate and Crospovidone by direct compression method. Taste masking was done by flavouring agents. Drug-polymer complex was then formulated into orally disintegrating tablets by direct compression by using different concentrations of superdisintegrants. Tablets were evaluated for weight variation, thickness, hardness, friability, drug content, *in vitro* disintegration time, wetting time, water absorption ratio, and *in vitro* dissolution studies. Total nine formulations were prepared (i.e. F1 to F9), out of which tablets with F9 formulation containing 9% crospovidone showed faster disintegration within 15.05 seconds.

Keywords: Superdisintegrants, etodolac, anti-inflammatory, and flavouring agents.

Introduction

Among the available pharmaceutical dosage forms, tablets are the most widely used dosage form because of their convenience in terms of self-medication, ease of administration, accurate dosage, compactness, good stability and ease of manufacturing. The elderly people would experience deterioration of their physiological and physical abilities like dysphagia (difficulty in swallowing). Pediatric patients may suffer from ingestion problems of their underdeveloped muscular and nervous system (1). In order to overcome this problem, a new drug delivery system has been developed known as Orally Disintegrating Tablets (ODTs). Orally Disintegrating Tablets are solid dosage form containing medicinal substances which disintegrates/dissolves rapidly upon contact with saliva. When these tablets are placed in the oral cavity, saliva penetrates into the pores causing rapid disintegration. These tablets are beneficial for the patients suffering from nausea and vomiting, those with mental disorders, bedridden and those who do not have easy access to water.

The U.S. Food and Drug Administration Center for Drug Evaluation and Research (CDER) defines, in the 'Orange Book', an ODT as "a solid dosage form containing medicinal substances, which disintegrates rapidly, usually within a matter of seconds, when placed upon the tongue".

Recently, European Pharmacopoeia used the term 'Orodispersible tablet' as a tablet that is to be placed in the mouth where it disperses rapidly before swallowing.

Orally disintegrating tablets are also called as mouth-dissolving tablets, fast disintegrating tablets, fast dissolving tablets, orodispersible tablets, rapi-melts, porous tablets, and quick dissolving tablet (2).

Materials and methodology

Materials

Etodolac was received as a gift sample from IPCA Laboratories Ltd., Mumbai. Sodium starch glycolate was procured from LOBA Chemie

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Design and In Vivo Evaluation of Quinapril Fast Dissolving Oral Films

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ABSTRACT

In current investigation an attempt has been made to formulate and evaluate Quinapril mouth dissolving films using HPMC 50cps, E5, E15 and in combination of Pullulan by Solvent evaporation method. Sodium starch glycolate acts as a super disintegrating agent and it is shown that as the concentration of the super disintegrates increases the disintegration time decreases. The films were evaluated for weight variation, surface pH, folding endurance, drug content, dissolving time, disintegration time, and in-vitro dissolution studies. Based on the evaluation parameters F17 was to be optimized formulation. The optimized film (F17) showed the more drug release i.e 99.40±5.30% within 7 min, lowest in vitro disintegration time 10 sec. FTIR studies proved no drug polymer interaction takes place. From in vivo bioavailability studies, C_{max} of the optimized formulation F17 was 72.43±0.3ng /ml, was significantly higher as compared to pure drug suspension, i.e., 42.32±0.1ng/ml. T_{max} of optimized formulation was decreased significantly when compared with pure drug (1.00±0.05hr, 2.00±0.1hr), $AUC_{0-\infty}$ and AUC_{0-t} for optimized films was significantly higher ($p<0.05$) as compared to marketed product. These results revealed that fast dissolving films of Quinapril could be formulated for quick onset of action which is required in the efficient management of hypertension.

Keywords: Quinapril, Mouth dissolving films, Hypertension, Bioavailability studies

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Research article Open Access

Preparation and in vivo evaluation of oral films containing benazepril

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ABSTRACT

Mouth dissolving film (MDF) is a better alternate to oral disintegrating tablets due to its novelty, ease of use, and the consequent patient compliance. The present investigation highlights the formulation and evaluation of mouth dissolving films of Benazepril. It was prepared by solvent casting method using HPMC and maltodextrin as film forming polymer. The prepared films were subjected for *in vitro* evaluation tests like thickness, folding endurance, surface pH, morphological properties, moisture content, %Drug content and content uniformity, tensile strength, percent elongation, *In vitro* disintegration time, in vitro dissolution studies and stability studies. The in vitro disintegration time and dissolution time of the optimized formulation (F15) was found to be 9 seconds and 99.45 % within 7 min respectively. FTIR studies showed no drug polymer interaction takes place. From in vivo bioavailability studies, C_{max} of the optimized formulation F15 was 105±0.4ng /ml, was significantly higher as compared to pure drug suspension, i.e., 82±0.1ng/ml. T_{max} of optimized formulation was decreased significantly when compared with pure drug (1.00±0.2hr, 2.00±0.3hr), AUC_{0-c} and AUC_{0-t} for optimized solid dispersion formulation was significantly higher ($p<0.05$) as compared to marketed product. These results revealed that fast dissolving films of Benazepril could be formulated for quick onset of action which is required in the efficient management of hypertension.

Keywords: Benazepril, HPMC, Hypertension, Mouth dissolving films, Pharmacokinetics.

INTRODUCTION

Fast dissolving drug delivery systems such as Mouth dissolving films are novel dosage forms that disintegrate or dissolve within the oral cavity. They have emerged as a convenient way of dosing medications, not only to special population groups with swallowing difficulties such as children and the elderly, but to all age group people. (Kulkarni PK et., al 2011). These systems may offer superior clinical profiles with potential oral mucosal absorption, thus increasing the drug bioavailability with respect to oral administration. The rapid disintegration system of these FDOF's is mainly because of formulation modifications i.e. by the use of super-disintegrant and sugar-based ingredients.(Aggarwal J et., al 2011) [2, 10]. Owing to large surface area of the film formulation, there is greater

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Original Article

Neuroprotective and Nootropic Activity of *Carica Papaya* Seeds on Diabetes induced Cognitive Decline in Rats

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Abstract

The aim of present study is to investigate neuroprotective and nootropic activity of Petroleum Ether Extract of *Carica papaya* seeds (PEECPS) on diabetic induced cognitive decline rats. Rectangular maze and morris water maze models were used to evaluate nootropic activity and neuroprotective effects were studied by estimating acetyl cholinesterase (AchE), malondialdehyde (MDA), superoxide dismutase (SOD), nitric oxide (NO), catalase (CAT) and glutathione (GSH) levels in the brains of diabetic rats. In rectangular maze and morris water maze models, 400 mg/kg of PECPS were shown the significant effect compared with diabetic control on day 75. Significant decrease in AchE ($P<0.001$), MDA ($P<0.01$), NO ($P<0.05$) and significantly ($P<0.01$) increased levels of SOD, CAT and GSH with PECPS (200 and 400 mg/kg) compared with diabetic control. There is a need of further studies on *Carica papaya* seeds as it showed protection against diabetes induced cognitive decline to reveal its mode of action.

Key words: *Carica papaya* seeds, morris water maze, neuroprotective, nootropic, radial arm maze, cognitive, diabetes.

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1. Introduction

Diabetes mellitus (DM) is a worldwide health problem afflicting millions in both developed and developing countries. DM is a chronic metabolic disorder characterized by hyperglycemia resulting from either low level or resistance to insulin. DM causes chronic kidney failure, blindness, high blood pressure, and premature coronary artery diseases. The complications of DM such as cognitive

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Research Article

Efficacy of Epalrestat and Pregabalin in Patients with Diabetic Peripheral Neuropathy

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Abstract

Diabetic Peripheral neuropathy (DPN) is a major long term problem associated with diabetes that can cause serious disability and mortality. It is caused by damage to any nerve in the peripheral nervous system. Fifty to seventy five percent of all lower extremity and non traumatic amputations are a consequence of diabetic neuropathy. Epalrestat and Pregabalin are widely used to overcome neuronal damage. This study was designed to evaluate the efficacy of these two drug regimens.

Material and methods: Patients included in this study were experiencing pain because of diabetic neuropathy for at least 6 months to 2 years.

Results: From 256 subjects with diabetic neuropathy included in the study, 229 patients were included final analysis. 27 patients dropped from the study (17 and 10 patients in the pregabalin and epalrestat respectively). Mean pain score was reduced from 5.0±0.82 (severe pain) at last visit to 1.47±0.93 (moderate pain) in the epalrestat group, from 6.42±1.03 (severe pain) at last visit to 2.52±0.99 (mild pain) in the pregabalin group.

Conclusion: We conclude that weight management is significantly more effective than epalrestat in the treatment of painful DPN patients.

Keywords: Diabetic peripheral neuropathy; Epalrestat and pregabalin

Background and Aims

Diabetic neuropathy (DPN) encompasses a wide, heterogeneous group of clinical and subclinical syndromes [1]. It is a major long term problem allied with diabetes that can cause serious disability and also death [2]. 50 to 75% of all lower limb and non traumatic amputations are a consequence of diabetic neuropathy, and cause more hospitalizations than all other diabetic complications [3]. DM affects the nervous system and causes extensive damage. Neurologic complications are not reserved for specific type of diabetes but occur equally in type 1 and type 2 [4]. Diabetic peripheral neuropathy (DPN) is often painful and debilitating condition that is caused by damage to any nerve in the peripheral nervous system.

It is a family of nerve disorders that are directly caused by diabetic complications [5]. Poor diabetic control, obesity, high blood pressure, high cholesterol and triglycerides are risk factors for developing neuropathy [6]. It affects somatic and autonomous nervous systems and is different from peripheral arterial disease which affects the blood vessels rather than the nerves and sensory neurons [7]. Many physicians misinterpret symptoms related to neuropathy in diabetic patients. Treatment is directed towards preventing neuropathy progression, reducing symptoms and implementing measures to prevent complications of lower extremities [8].

The aim of this study was to analyze the effect of monotherapy of two widely used drugs epalrestat and Pregabalin.

Material and Methods

This was a prospective observational study carried out at Sri Bhadrakali Diabetes Care, Warangal. Hanumakonda, Telangana. Human Ethics committee endorsement was received and obtained before commencement of the trial (MC/VCOP/78/AEMD/V/007/2015). Selection of subjects was done according to the following inclusion exclusion criteria.

Inclusion criteria

Male and female (18-75 years age). Patients with (Type 1 or Type 2). Experiencing pain because of diabetic neuropathy for at least 6 months to 2 years. Neuropathic pain must be present in the lower extremities

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Advances in Obesity Weight Management & Control

Review Article

Efficacy of azilsartan and telmisartan in patients with type 2 diabetes and hypertension

Abstract

Hypertension is defined as high blood pressure or a long term medical condition in which the arterial blood pressure is continuously elevated. It is also explained as sustained diastolic BP more than 90mmHg accompanied by the elevated systolic BP more than 140mmHg. Diabetes mellitus is a disorder related with a wide variety of disorders in metabolism [illegible] insulin action. [illegible] diabetic patients. [illegible] and telmisartan.

Material and methods: [illegible] Type 2 diabetes mellitus and hypertension [illegible]

Results: [illegible] 152 patients received Azilsartan and 153 patients were prescribed with Telmisartan.

Conclusion: [illegible] efficacy than Telmisartan 40mg.

Keywords: type 2 diabetes, azilsartan, telmisartan, T2DM, BP, RAAS

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Introduction

Hypertension is defined as high blood pressure or a long term medical condition in which the arterial blood pressure is continuously elevated.[1] It is also explained as sustained diastolic BP more than 90mmHg accompanied by the elevated systolic BP more than 140mmHg.[2] Diabetes mellitus is a disorder related with a wide variety of disorders in metabolism, the principal feature is hyperglycaemia caused by inadequate insulin action.[3] Most deaths 43% of fall out below 70 years of age. 422million people across the globe in 2014 had diabetes with a 8.5% prevalence in adults.1.5million deaths in 2012 occurred due to diabetes. In 2012 among both genders it is the eighth major cause of death and fifth prime cause of death in woman. About 2,82,000 intensive care room visits for adult population aged 18 years and above encountered hypoglycaemia as an initial diagnosis and diabetes as secondary diagnosis in 2012.[4] In the past 30 years Diabetes prevalence consistently inclining and is increasing most rapidly in nations with low and middle income. Increasing concomitant risk factors like being overweight or obese are seen. Diabetes mellitus is a main reason for blindness and kidney failure, legs amputation and other chronic consequences that affect primarily on quality of life.[5]

Relationship between hypertension and diabetes

In nephropathy, ECF or extra cellular fluid volume and whole body sodium (Na+) levels are increased. The action of the Renin Angiotensin Aldosterone System (RAAS) is decreased in these patients, and the high blood pressure is volume dependent, identical to other nephropathies. Other factors must play a vital role in the occurrence of high blood pressure in the non-existence of diabetic nephropathy. Both genetic and acquired factors are seen. Increased whole body sodium levels along with low or actual activity of the RAAS had been reported. People with high blood pressure have found with elevated insulin levels secondary to insulin resistance and lowered insulin clearance. Elevated insulin levels may possibly be related with inclined renal sodium resorption and hyperactivity of sympathetic nervous system making way to hypertension in people with obesity and other insulin resistant conditions, such as type 2 diabetes. Insulin resistance is also linked to a decreased response of vasodilators to insulin and an elevated response for vasoconstrictors in various vasopressors. However the action of insulin resistance in the etiology and pathogenesis related to hypertension is not clearly understood.[6]

The aim of our work is to compare Azilsartan and Telmisartan among Type 2 diabetes and hypertensive patients.

Material and methods

Our citation was an observational research work carried out prospectively in Sri Bhavishya Diabetic Clinic located at Hanamkonda, Warangal. Before initiation of our research, endorsement was seeked and received from Institutional human ethics committee (IHEC) as (VCOP/PHARM.D/[illegible]/2018/01). Study population were selected by following inclusion and exclusion criteria.

Criteria for inclusion

All subjects diagnosed with Diabetes mellitus type II and Hypertension with at least age greater than or equal to 18yrs.

Criteria for exclusion

Patient who were diagnosed with

i. Secondary Hypertension due to an underlying cause

ii. Stage IV chronic kidney disease (eGFR<30ml/min)

iii. Type1 Diabetes mellitus

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Curr Res Diabetes & Obes J

Comparison of Teneligliptin and Atorvastatin on Lipid Profile in Patients with Type 2 Diabetes Mellitus

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Abstract

Diabetes mellitus is a chronic metabolic disease characterized by hyperglycemia resulting from defects in insulin secretion, insulin action or both which results in long term damage, dysfunction and failure of various organs especially eyes, kidneys, nerves, heart and blood vessels. The efficacy of Teneligliptin and Atorvastatin on lipid profiles in patients with type 2 Diabetes mellitus were compared. This study was designed to evaluate the efficacy of these two drug regimens.

Material and methods: Males and females of 25-90 years diagnosed with type 2 Diabetes mellitus are included in our study.

Results: 275 patients were recruited for our study. 47 were excluded because of their inability to attend or participate and did not meet inclusion criteria. 229 T2 DM patients who were taking Teneligliptin 20mg once daily or Atorvastatin 20mg once daily completed the study. There were significant decrease in the levels of TC, HDL, LDL, TG, VLDL in Teneligliptin and Atorvastatin are 35.1, 4.1, 14.6, 37, 7.5[mg/dl] and 35.1, 4, 14.6, 37.1, 7.6[mg/dl] respectively.

Conclusion: From this study we conclude that Teneligliptin 20mg and Atorvastatin 20mg have proved to have similar efficacy on the lipid profiles. Hence we conclude that Teneligliptin is an efficacious drug for T2 DM patients in management of glycemic control and lowering lipid profiles.

Background and Aim

Diabetes mellitus is a group of metabolic diseases which challenges the global population. Thus, there is an increasing need to conduct research in this field [1,2]. The aim of the study is to compare the efficacy of Teneligliptin and Atorvastatin on lipid profile of patients with T2 DM. Comparative evidence is required to guide appropriate therapy to attain lipid control and prevent complications of diabetes [3,4].

Material and Methods

It is a prospective, observational, comparative study conducted in patients from "Sri Bhadrakali Diabetic Clinic" located at Nalmasgar, Hanamkonda. Patients were explained about the study & informed consent forms were seeked by explaining them in their local language [5,6]. Institutional Human Ethical Committee Endorsement was obtained after submission of protocol and IHEC No. is MGM/VCOP/PHARMD/V/017/2017.

Inclusion criteria

Males and females of 25-90 years diagnosed with type 2 Diabetes mellitus will be included in our study.

Exclusion criteria

Pregnant and lactating females, patients on insulin therapy, history of type1 Diabetes mellitus, signs of diabetic complications (neuropathy, nephropathy and retinopathy) are to be excluded [7]. Patients with clinical signs and symptoms of acute myocardial infarction, liver failure, chronic heart failure, and hypertension are to be excluded.

Study design

It is a prospective, observational, comparative study design, and the patients who were taking Teneligliptin 20mg and Atorvastatin 20mg were included [8].

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FORMULATION AND EVALUATION OF BIOADHESIVE BUCCAL TABLET OF ENALAPRIL MALEATE

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ABSTRACT

The purpose of the work was to establish bioadhesive buccal drug delivery of Enalapril maleate as tablets to avoid it from the first-pass metabolism. Preformulation studies were performed and it shown the compatibility of active pharmaceutical ingredient and polymer. Enalapril maleate was produced by wet granulation method by means of bioadhesive polymers solely and their combinations. They were assessed for percent of swelling, in vitro drug release and permeation through ex vivo studies. The formulation F15 exhibited supreme drug release in 8 hr. The formulation F15 displayed Koresmeyer Peppas mechanism indicating that it follows a non-fickian drug release design.

KEYWORDS: Buccal tablets, Xanthan gum, bioadhesive, Locust bean gum, in vitro release.

1. INTRODUCTION

Buccal drug delivery offers a substitute to the oral drug administration, mainly in overcoming degradation of drug in gastro intestinal tract which can be evaded by managing the active form through buccal delivery [1]. Buccal mucosa marks a supplementary suitable adoption of the site if extended administration is desired as the buccal site is less pervious than that of sublingual [2]. Besides, this is tremendous acceptable localized and can be removed easily at any time of the treatment [3]. Prolonged drug release and enhance bioavailability have a significant decrease in the dose linked side effects [3]. Henceforth in the current report, a challenge was created to develop bioadhesive tablets of Enalapril maleate with different compositions of polymers so that the drug releases unidirectional in buccal cavity for an extended period to circumvent the hepatic metabolism for enhancement in bioavailability, reduction in dosing frequency and to progress patient compliance [5, 6]. The present study aimed to design bioadhesive tablets in the treatment of hypertension and angina pectoris.

Formulation and Evaluation of Acyclovir Microspheres

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Abstract

The present study is to formulate and evaluate Acyclovir (ACV) microspheres using natural polymers like chitosan and sodium alginate. ACV is a DNA polymerase inhibitor used in treating herpes simplex virus infection and zoster varicella infections. Acyclovir is a suitable candidate for sustained-release (SR) administration as a result of its dosage regimen twice or thrice a day and relatively short plasma half-life (approximately 2 to 4 hours). Microspheres of ACV were prepared by an ionic dilution method using chitosan and sodium alginate as polymers.

The prepared ACV microspheres were then subjected to FTIR, SEM, particle size, % yield, entrapment efficiency, *in vitro* dissolution studies and release kinetics mechanism. The FTIR spectra's revealed that, there was no interaction between polymer and ACV. ACV microspheres were spherical in nature, which was confirmed by SEM. The particle size of microspheres was in the range of 23.8µm to 39.4µm. 72.9% drug entrapment efficiency was obtained in the formulation F3 (1:3 ratio) with a high concentration of calcium chloride (4% w/v).

The *in vitro* performance of ACV microspheres showed sustained release depending on the polymer concentration and concentration of calcium chloride. The release data was best fitted with zero order kinetics and Korsemeyer-Peppas release mechanism and diffusion exponent 'n' value of was found to be Non-Fickian.

Keywords: Acyclovir, Microspheres, Chitosan, Sodium alginate.

Introduction

Acyclovir is a guanosine analog that acts as an antimetabolite. Acyclovir is converted by viral thymidine kinase to acyclovir mono phosphate, which is then converted by host cell kinases to acyclovir tri phosphate (ACV-TP).ACV-TP, in turn, competitively inhibits and inactivates HSV-specified DNA polymerases preventing further viral DNA synthesis without affecting the normal cellular processes. Acyclovir, BCS class III drug is widely used in the treatment of herpes simplex virus infection as well as varicella zoster infection. ACV is a guanosine analogue antiviral drug. It is the one of the most commonly used antiviral drug [1]. It has short biological half-life (2-4 hours) and is usually administered orally3-4 times a day. In this work, the ionic gelation technique [2] was used due to its simplicity, reproducibility, avoidance of organic solvents and heat. Sodium alginate and Chitosan were employed as biodegradable polymers [3, 4].

Materials and Methods

Materials

Acyclovir as a gift sample was procured from Maithri Laboratories Pvt. Ltd. Chitosan was obtained from Panvo organic Pvt. Ltd, sodium alginate was Loba Chemi, Mumbai, Glacial acetic acid from TriveniInterchem Pvt. Ltd. and Calcium chloride from TKM PharmaIndia, Secunderabad.

Methods

Preformulation studies

Preformulation testing is the first step in the rationale development of dosage forms of a drug substance. It can be defined as an investigation of physical and chemical properties of a drug substance alone and when combined with excipient. The main objective of preformulation testing is to generate useful information to the formulator in developing stable and bioavailable dosage forms.

Calibration curve for Acyclovir in 0.1 N HCl

100 mg of Acyclovir was dissolved in small amount of 0.1 N HCl and shaken vigorously, then volume was made up to 100 ml with 0.1 N HCl to obtain the primary stock solution. The necessary dilutions were made by using 0.1 N HCl to obtain the different concentrations of Acyclovir (10 to 100µg/ml).As the first step the solution is scanned on a UV scanner between 200 to 400 nm and the maxima peak obtained was considered as λ_{max}. The diluted solutions prepared for calibration curve were checked for their absorbance using UV-VIS spectrophotometer at 252 nm against buffer as blank. Standard graph was plotted between the concentration on X- axis and absorbance on Y-axis.

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SEARCH FOR NEW DRUGS

MULTIDRUG RESISTANCE REVERSAL ACTIVITY OF SOME NEW DIHYDROPYRIDINES STUDIED BY *IN SITU* SINGLE-PASS INTESTINAL PERFUSION (SPIP) METHOD IN RAT

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P-glycoprotein (P-gp) mediated efflux affects the pharmacokinetics of several drugs. By analogy to verapamil, 1,4-dihydropyridines (DHPs) have been widely studied as P-gp inhibitors. Previously, we have reported on two new DHPs: IA_1(A) and IIA_5(B) as inhibitors of human MRP1, an efflux protein closely related to P-gp. The aim of the present study was to investigate the inhibitory effects of these two compounds on intestinal P-gp using the method of *in situ* single-pass intestinal perfusion (SPIP) in rat. According to this, the intestinal absorption of zidovudine (a P-gp substrate) was studied in anaesthetized rat jejunum in the absence and presence of DHPs IA_1(A) and IIA_5(B) (2 mg/kg). Verapamil (0.8 mg/kg), a well-known P-gp inhibitor, was employed as a standard. Zidovudine solution (200 ig/mL) in phosphate buffer (pH 7.4) was perfused through the jejunal segment, the perfusate concentrations were quantified by HPLC, and the permeability coefficient (P_{eff}) and fraction absorbed (F_{abs}) were calculated. Phenol red was used as a non-absorbable marker to correct water flux through the segment. In rats pretreated with compounds IA_1 and IIA_5, P_{eff} and F_{abs} of zidovudine were found to be 0.1669 ± 0.12 cm/sec, 0.2035 ± 0.18 and 0.2798 ± 0.12 cm/sec, 0.3015 ± 0.14, respectively, and were comparable to those of the standard ($P_{eff} = 0.462713 \pm 0.3$ cm/sec, $F_{abs} = 0.511835 \pm 0.14$). The differences between IA_1, IIA_5 and the standard were evaluated using ANOVA and found to be statistically significant ($P < 0.05$). Compounds IA_1 and IIA_5 have a modulating effect on intestinal P-gp. Compound IIA_5 was relatively more potent P-gp inhibitor and, quite interestingly, the results were in agreement with our earlier *in silico* and *in vitro* studies.

Keywords: P-glycoprotein; multidrug resistance, 1,4-dihydropyridines; *in situ* SPIP.

1. INTRODUCTION

Multiple drug (multidrug) resistance (MDR) phenomenon is one of the main therapeutic obstacles in the chemotherapy of cancer and microbial infections [1]. MDR can be defined as the intrinsic or acquired resistance of microorganisms and cancer cells to multiple classes of structurally and mechanistically unrelated drugs [2]. Initially responsive diseases often develop a drug-resistant phenotype after repeated cycles of chemotherapy. The acquisition of MDR is a serious impediment to improved healthcare. MDR occurs at the cellular level and is multi-factor in nature [3, 4]. This is a condition enabling a disease-causing organism to resist distinct drugs or chemicals of a wide variety of structures and functions targeted at eradicating it. Circumvention of MDR is a new field of investigation in chemotherapy, and safe and potent MDR inhibitors are needed for clinical use.

The 1,4-dihydropyridine derivatives (DHPs) are among the chemical classes widely studied as MDR inhibitors for their analogy to verapamil [5, 6]. Some members of this group of calcium channel blockers, such as nifedipine and nimodipine, were identified as potent MDR antagonists

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Research Article

Efficacy of Epalrestat and Pregabalin in Patients with Diabetic Peripheral Neuropathy

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Abstract

Diabetic Peripheral neuropathy (DPN) is a major long term problem allied with diabetes that can cause serious disability and also death. It is caused by damage to any nerve in the peripheral nervous system. Fifty to seventy five percent of all ulcerations and non trauma amputations are a consequence of diabetic neuropathy. Epalrestat and Pregabalin are widely used to overcome neuronal damage. This study was designed to evaluate the efficacy of these two drug regimens.

Material and methods: Patients included in this study were experiencing pain because of diabetic neuropathy for at least 6months to 2 years.

Results: From 256 subjects with diabetic neuropathy included in the study, 229 patients concluded final analysis. 27 patients dropped from the study (17and 10 patients from pregabalin and epalrestat respectively). Mean pain score was reduced from 5.00±0.02 (severe pain) at first visit to 3.4.1±0.93 (moderate pain) in the epalrestat group, from 6.423 ± 1.01 (severe pain) at first visit to 2.571±0.59 (mild pain) in the pregabalin group.

Conclusion: We conclude that pregabalin was significantly more effective than epalrestat in controlling pain in DPN patients.

Key words: Diabetic peripheral neuropathy; Epalrestat and pregabalin

Background and Aims

Diabetic neuropathy (DPN) encompasses a wide, heterogeneous group of clinical and subclinical syndromes [1]. It is a major long term problem allied with diabetes that can cause serious disability and also death [2]. 50 to 75% of all ulceration and non-traumatic amputations are a consequence of diabetic neuropathy, and cause more hospitalizations than all other diabetic complications [3]. DN affects the nervous system and causes extensive damage. Neurologic complications are not reserved for specific type of diabetes but occur equally in type 1 and type 2 [4]. Diabetic peripheral neuropathy (DPN) is often painful and debilitating condition that is caused by damage to any nerve in the peripheral nervous system.

It is a family of nerve disorders that are directly caused by diabetic complications [5]. Poor diabetic control, obesity, high blood pressure, high cholesterol and triglycerides are risk factors for developing neuropathy [6]. It affects somatic and autonomous nervous systems and is different from peripheral arterial disease which affects the blood vessels rather than the nerves and vasa nervorum [7]. Many physicians misinterpret symptoms related to neuropathy in diabetic patients. Treatment is directed towards preventing neuropathy progression, reducing symptoms and implementing measures to prevent complications of lower-extremities [8].

The aim of this study was to analyze the effect on neuropathic pain of two widely used drugs epalrestat and Pregabalin.

Material and Methods

This was a prospective observational study carried out in Sri Bhadrikali Diabetic Clinic, Narsimagar, Hanamkonda. Institutional Human Ethics committee endorsement was sought and obtained before conduct of the trial (MCM/VCOP/PHARMD/V/007/2017). Selection of subjects was done according to the following inclusion exclusion criteria.

Inclusion criteria

Males and females 18-75 years age Diabetes mellitus (Type 1 or Type2). Experiencing pain due to diabetic neuropathy for at least 6 months to 2 years. Neuropathic pain must begin in the feet with

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Original Article

Neuroprotective and Nootropic Activity of *Carica Papaya* Seeds on Diabetes induced Cognitive Decline in Rats

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Abstract

The aim of present study is to investigate neuroprotective and nootropic activity of Petroleum Ether Extract of *Carica papaya* seeds (PEECPS) on diabetic induced cognitive decline rats. Rectangular maze and morris water maze models were used to evaluate nootropic activity and neuroprotective effects were studied by estimating acetyl cholinesterase (AchE), malondialdehyde (MDA), superoxide dismutase (SOD), nitric oxide (NO), catalase (CAT) and glutathione (GSH) levels in the brains of diabetic rats. In rectangular maze and morris water maze models, 400 mg/kg of PECPS were shown the significant effect compared with diabetic control on day 75. Significant decrease in AchE ($P<0.001$), MDA ($P<0.01$), NO ($P<0.05$) and significantly ($P<0.01$) increased levels of SOD, CAT and GSH with PECPS (200 and 400 mg/kg) compared with diabetic control. There is a need of further studies on *Carica papaya* seeds as it showed protection against diabetes induced cognitive decline to reveal its mode of action.

Key words: *Carica papaya* seeds, morris water maze, neuroprotective, nootropic, radial arm maze, cognitive, diabetes.

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1. Introduction

Diabetes mellitus (DM) is a worldwide health problem afflicting millions in both developed and developing countries. DM is a chronic metabolic disorder characterized by hyperglycemia resulting from either low level or resistance to insulin. DM causes chronic kidney failure, blindness, high blood pressure, and premature coronary artery diseases. The complications of DM such as cognitive

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Curr Res Diabetes & Obes J

Comparison of Teneligliptin and Atorvastatin on Lipid Profile in Patients with Type 2 Diabetes Mellitus

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Abstract

Diabetes mellitus is a chronic metabolic disease characterized by hyperglycemia resulting from defects in insulin secretion, insulin action or both which results in long term damage, dysfunction and failure of various organs especially eyes, kidneys, nerves, heart and blood vessels. The efficacy of Teneligliptin and Atorvastatin on lipid profiles in patients with type 2 diabetes mellitus were compared. The study was designed to evaluate the efficacy of these two drug regimens.

Material and methods: Males and females of 25-90 years diagnosed with type 2 Diabetes mellitus were included in our study.

Results: 275 patients were recruited for our study 47 were excluded because of their inability to attend or participate and 228 not meet inclusion criteria. 229 T2 DM patients who were taking Teneligliptin 20mg once daily or atorvastatin 20mg once daily completed the study. There were significant decrease in the levels of TC, HDL, LDL, TG, VLDL in Teneligliptin and Atorvastatin are 95.1, 4.1, 14.9, 37, 7.5(mg/dl) and 95.1, 4, 11.6, 37.1, 7.6(mg/dl) respectively.

Conclusion: From this study we conclude that Teneligliptin 20mg and Atorvastatin 20mg have potential to have similar efficacy on the lipid profiles. Hence we conclude that Teneligliptin is an efficacious drug for T2 DM patients in the management of glycemic control and lowering lipid profiles.

Background and Aim

Diabetes mellitus is a group of metabolic diseases which challenges the global population. Thus, there is an increasing need to conduct research in this field [1,2]. The aim of the study is to compare the efficacy of Teneligliptin and Atorvastatin on lipid profile of patients with T2 DM. Comparative evidence is required to guide appropriate therapy to attain lipid control and prevent complications of diabetes [3,4].

Material and Methods

It is a prospective, observational, comparative study conducted in patients from "Sri Shashidhar Diabetic Clinic" located at Subhanagar, Hanamkonda. Patients were explained about the study & informed consent forms were seeked by explaining them in their local language [5,6]. Institutional Human Ethical Committee Endorsement was obtained after submission of protocol and IHEC No. is IHEC/VCOP/PHARMD/V/2017/29 [7].

Inclusion criteria

Males and females of 25-90 years diagnosed with type 2 Diabetes mellitus will be included in our study.

Exclusion criteria

Pregnant and lactating females, patients on insulin therapy, history of type I Diabetes mellitus, signs of diabetic complications (neuropathy, nephropathy and retinopathy) are to be excluded [7]. Patients with clinical signs and symptoms of acute myocardial infarction, liver failure, chronic heart failure and hypertension are to be excluded.

Study design

It is a prospective observational, comparative study design, and the patients who were taking Teneligliptin 20mg and Atorvastatin 20mg were included [8].

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Review Article

Efficacy of azilsartan and telmisartan in patients with type 2 diabetes and hypertension

Abstract

Hypertension is defined as high blood pressure or a long term medical condition in which the arterial blood pressure is continuously elevated. It is also explained as sustained diastolic BP more than 90mmHG accompanied by the elevated systolic BP more than 140mmHg. Diabetes mellitus is a disorder related with a wide variety of disorders in metabolism, the principal feature is hyperglycaemia caused by inadequate insulin action. Azilsartan and Telmisartan are widely used to control hypertension in diabetics patients. This dissertation was designed to assess the efficacy of Azilsartan and Telmisartan.

Material and methods: Patients included in this study were diagnosed with Type 2 diabetes mellitus and Hypertension at least age of greater than or equal to 18yrs.

Results: From 305 subjects with diabetes hypertensive patients included in the study 152 patients received Azilsartan and 153 patients were prescribed with Telmisartan.

Conclusions: Azilsartan 40mg and Telmisartan 80mg are proved to be effectives in the patients with hypertension and T2DM, but Azilsartan 40mg has shown more efficacy than Telmisartan 80mg.

Keywords: type 2 diabetes, azilsartan, telmisartan, T2DM, BP, RAAS

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Introduction

Hypertension is defined as high blood pressure or a long term medical condition in which the arterial blood pressure is continuously elevated.[1] It is also explained as sustained diastolic BP more than 90mmHG accompanied by the elevated systolic BP more than 140mmHg.[2] Diabetes mellitus is a disorder related with a wide variety of disorders in metabolism, the principal feature is hyperglycaemia caused by inadequate insulin action.[3] Most deaths(43%) fall out below 70 years of age. 422million people across the globe in 2014 had diabetes with a 8.5% prevalence in adults.1.3million deaths in 2012 occurred due to diabetes. In 2012 among both genders it is the eighth major cause of death and fifth prime cause of death in woman. About 2,82,000 intensive care room visits for adult population aged 18 years and above encountered hypoglycaemia as an initial diagnoses and diabetes as secondary diagnosis in 2012.[4] In the past 30 years Diabetes prevalence consistently increasing and is increasing most rapidly in nations with low and middle income. Increasing concomitant risk factors like being overweight or obese are seen. Diabetes mellitus is a main reason for blindness and kidney failure, legs amputation and other chronic consequences that affect primarily on quality of life.[5]

Relationship between hypertension and diabetes

In nephropathy, ECF or extracellular fluid volume and whole body sodium (Na+) levels are increased. The action of the Renin Angiotensin Aldosterone System (RAAS) is decreased in these patients, and the high blood pressure is volume dependent, identical to other nephropathies. Other factors must play a vital role in the occurrence of high blood pressure in the co-existence of diabetic nephropathy. Both genetic and acquired factors are seen. Increased whole body sodium levels along with low or actual activity of the RAAS had been reported. People with high blood pressure have found with elevated insulin levels secondary to insulin resistance and lowered insulin clearance. Elevated insulin levels may possibly be related with inclined renal sodium resorption and hyperactivity of sympathetic nervous system making way to hypertension in people with obesity and other insulin resistant conditions, such as type 2 diabetes. Insulin resistance is also linked to a decreased response of vasodilators to insulin and an elevated response for vasoconstrictors to various vasopressors. However, the action of insulin resistance in the etiology and pathogenesis related to hypertension is not clearly understood.[6]

The aim of our work is to compare Azilsartan and Telmisartan among Type 2 diabetes and hypertensive patients.

Material and methods

Our citation was an observational research work carried out prospectively in Sri Bhadrakali Diabetic Clinic, located at Hanamkonda, Warangal. Before initiation of our research, endorsement was seeked and received from Institutional human ethics committee (IHEC) as (VCOP/PHARM/IV/2018/015). Study population were selected by following inclusion and exclusion criteria's.

Criteria for inclusion

All subjects diagnosed with Diabetes mellitus Type II and Hypertension with at least age greater than or equal to 18yrs.

Criteria for exclusion

Patient who were diagnosed with

i. Secondary Hypertension due to an underlying cause

ii. Stage IV chronic kidney disease (GFR<30ml/min)

iii. Type1 Diabetes mellitus

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Design and *In Vitro* Evaluation of Gastro Retentive Sustained Release Tablets of Ketorolac Tromethamine

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ABSTRACT

Gastro retentive sustained release tablets of ketorolac tromethamine (KT) were prepared by using hydrophilic polymers with direct compression on floating matrix technology and evaluated. KT is freely soluble in water. so it is suitable to develop it as gastro retentive sustained release tablets using hydrophilic polymers. The developed formulation is equivalent to calculated theoretical drug profile in view of its *in vitro* release. Technique has easily amenable to mass production using conventional tablet machines. KT floating tablet formulations were optimized with different polymers for floating. The effect of formulation variables like polymers, HPMC, (Hydroxy propyl Methyl Cellulose) ethyl cellulose, xanthan gum, guar-gum, with different grades of polymers, (HPMC K4M, K15M, K100M) concentration of polymer, and different excipients were studied on *in vitro* drug release. Drug release was inversely proportional to the polymer concentration and also dependent on the agitation intensity and hardness of tablet. The swelling of the polymers used in optimized formula FXV could be determined by water uptake study. The study revealed that the tablet remained in the beaker for 24hr, which indicates the increase in the gastric residence time for the effective localized action All polymer and excipients used in optimized formula were found to be compatible with the drug and it was confirmed by FT-IR and DSC studies. KT release from the developed floating formulation followed zero-order with R^2= 0.941 and Fickian diffusion where n value is < 0.5 and found to be the main mechanism of drug release. The manufacturing procedure was found to be reproducible and formulations were stable after one month of accelerated stability studies.

Keywords: Gastro retentive, ketorolac tromethamine, DSC, stability studies, zero-order, Fickian diffusion.

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Research Article

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Iontophoretic Delivery of Nebivolol Hydrochloride: Effects of Current Density, Chemical Enhancers, pH, Polymer Concentration

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ABSTRACT

The purpose of the present study was to assess the feasibility of iontophoretic transdermal delivery of Nebivolol hydrochloride, an agent used in the treatment of hypertension with iontophoresis using Ag/AgCl electrodes. The effect of process variables and formulation variables like current intensity (0.05-0.5 mA/cm^2), pH, concentration of polymer (Eudragit L100, HPMC E15) and permeation enhancers (D-limonene and Tween 80) on the skin permeability were examined in in vitro skin permeation studies using rat abdominal skin as the membrane. Transdermal patch was formulated and subjected for in vitro studies and cumulative amount of drug permeated and flux across the rat abdominal were calculated. The results conclude that the flux increased with the current (44.46 µg/h/cm^2, R^2 0.8732) and the combination of chemical enhancers with iontophoresis provided a synergistic effect on skin permeation. The results suggest that iontophoresis can be used as transdermal drug delivery of Nebivolol hydrochloride using patches with acceptable levels of current intensity.

Keywords: Iontophoresis; Transdermal drug delivery; Nebivolol hydrochloride; Hypertension; Chemical enhances

INTRODUCTION

Nebivolol Hydrochloride is a ß1-receptor selective antagonist with vasodilatory property used in the management of the hypertension. Clinically oral administration is preferable, but the bioavailability of Nebivolol is 12%, mainly due to extensive hepatic metabolism and transdermal administration of Nebivolol is a possible solution to overcome this problem, however, there are no reports on iontophoretic delivery of Nebivolol [1].Transdermal delivery technologies are divided into active methods (physical and chemical) and passive methods [2]. For a drug to be delivered passively *via* the skin, it must have a molecular weight <500 and adequate lipophilicity [3, 4], because of low molecular weight and lipophilicity Nebivolol made a suitable candidate for transdermal drug delivery. However, the stratum corneum forms an effective barrier for the permeation of drugs, especially the poorly penetrating drugs must be modified while administrating with the help of penetration enhancers and iontophoresis. Iontophoresis defined as the facilitation of active therapeutic agents through the skin by applying low-level electric current (0.05 mA/cm^2) [5].The aim of the present study was to assess the possibility of transdermal delivery of Nebivolol using iontophoresis by examining the effect of polymer concentration, pH, current intensity and permeation enhancer on the permeability of Nebivolol across the rat abdominal skin as the membrane [6, 7, 8].

MATERIALS AND METHODS

Nebivolol Hydrochloride was a gift sample from Aurobindo pharmaceuticals, Hyderabad, India. HPMC E15 and Eudragit L100 from Qualikems fine chemicals Ltd, Delhi, India, sodium hydroxide, phosphate buffer from Finar Chemicals, Ahmedabad, India. All other chemicals used were pure analytical grade.

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Research Paper

Formulation and Evaluation of Salbutamol Sulphate Sublingual Films

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ABSTRACT

Salbutamol is a short-acting, selective beta-2-adrenergic receptor agonist used in treatment of asthma and COPD. In the present work, sublingual films of Salbutamol sulphate were developed with a view to enhance the patient compliance and provide quick onset of action. Salbutamol has a bioavailability of 53 - 60%. The goal of the study was to formulate sublingual films of Salbutamol sulphate to achieve a better dissolution rate and further improving the bioavailability of the drug. Sublingual films prepared by solvent casting method using film forming polymers HPMC-E5, HPMC-E15 and Maltodextrin in different ratios. The prepared batches of films were evaluated for the drug content, weight variation, film thickness, disintegration time and *in vitro* dissolution studies. Among all, the formulation B1 containing HPMC-E15 with a drug: polymer ratio (1:6) was found to be the best formulation which showed 98.36% of the drug release within 15 minutes and disintegration time 18 sec. This study shows the viability of developing sublingual films of salbutamol.

KEYWORDS: Sublingual Films; Salbutamol Sulphate; HPMC; Maltodextrin.

Introduction

Due to increased life expectancy, the elderly constitute a major portion of the world population today. Due to a decline in swallowing ability with age, many elderly patients complain that it is difficult for them to take some currently used dosage forms such as tablets, capsules or powders (Fusco et al., 2016). Oral disintegrating dosage forms are gaining prominence as new drug delivery system. These dosage forms dissolve or disintegrate in the oral cavity within a matter of seconds without the need of water or chewing .These are useful for pediatric, geriatric and dysphasia patients leading to improved patient compliance. They are also suitable for the mentally ill, the bedridden, and patients who do not have easy access to water.

Ease of administration, accurate dosing, no water required for swallowing made this dosage form more advantageous. Thin films ability to dissolve rapidly without the need for water provides an alternative to patients with swallowing disorders, and to patients suffering from nausea, such as those patients receiving chemotherapy. Thin film drug delivery is a process of delivering drugs of the systemic circulation via thin films that dissolves when in contact with liquid, often refered to as dissolving films or strips and dissolve within 1 minute when placed in mouth without drinking or chewing. (Nagaraju et al., 2013).

Salbutamol sulphate has a wide usage over treatment of asthma to children and also adults. (Briggs et al., 2006; Szefler et al., 2016). Polymers commonly used are HPMC E5, HPMC E15 and Maltodextrin. Plasticizer used in film formation is PEG 400, which imparts flexibility. Sublingual films are developed by using film forming polymers from the regulatory perspectives; all excipients used in formulation should be generally regarded as safe and should be approved for use in oral pharmaceutical dosage forms. (Dixit and Puthli, 2009).

The main goal of this study was to design sublingual film of salbutamol sulphate that disintegrate within few seconds.

Materials and Methods

Drugs and Chemicals

Salbutamol sulphate was a gift from New American Therapeutics, Inc. (Roseland, New Jersey). HPMC (all grades) Qualikems PvtLtd, Vadodara, PEG400 from Finar chemicals Ltd. (Ahmedabad, India). All the chemicals used were of analytical grade.

Methods

Determination of dose of Sulbutamol sulphate: Amount of drug required per film = 8mg of Sulbutamol sulphate.

Therefore, 4 films require 32 mg of drug

Area of the petridish (m^2) = 3.14 × 4.5 × 4.5 = 63.5 cm^2

6 films of 4 cm^2 each i.e (2cm × 2cm) can be obtained freely per petridish.

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Comparison of Efficacy of Telmisartan and Enalapril in Patients with Diabetic Nephropathy

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Abstract: Diabetic nephropathy is the leading cause of end – stage renal disease. It is characterised by Hypertension and persistent proteinuria. If ineffectively controlled, a progressive decline in renal function can result in end – stage renal disease. The main objective of this study was to evaluate the efficacy of Telmisartan vs Enalapril on Diabetic Nephropathy in patients with type 2 diabetes. Patients included in this study were patients who had type 2 diabetes treated by diet and/or oral hypoglycaemics. Patients treated with insulin, if they were diagnosed as being diabetic at the age of > 40 years, had been in receipt of oral hypoglycaemics for > 1 year before being treated with insulin and had a body mass index of >25kg/m^2; patients who have mild to moderate hypertension (resting systolic / diastolic blood pressures < 180/95 mmHg) while receiving an ACE Inhibitor for > 3 months before entering the study. From 344 subjects with diabetic nephropathy included in the study, 328 patients were included in the final analysis. 16 patients were dropped from the study (15, 01 patients from Telmisartan and Enalapril groups respectively). At the end of the study the reduction in urine albumin was more with Enalapril (Mean difference 43.75 ± 4.003) when compared with Telmisartan (Mean difference 36.49 ± 3.23). The p values were < 0.05 for both groups and it was found that reduction of diabetic nephropathy in Enalapril treatment group at the end of the study is statistically differs than the Telmisartan treatment group. We concluded that Enalapril confers strong renal protection in patients with type 2diabetes and nephropathy. Telmisartan is not inferior to Enalapril in providing Reno protection in subjects with Type 2 Diabetes and early nephropathy. This result is consistent with emerging data that support the clinical equivalence of angiotensin II- receptor blockers and ACE inhibitors in various conditions associated with high cardiovascular risk.

Key words: Diabetic Nephropathy · End – Stage Renal Disease · Renin – Angiotensin Aldosterone System · Telmisartan · Enalapril

INTRODUCTION

Diabetes Mellitus (DM) is the most frequent cause of chronic kidney failure in both developed and developing countries [1]. Diabetic nephropathy, also known as Kimmelstiel – Wilson syndrome or nodular diabetic glomerulosclerosis / intercapillary glomerulonephritis, is a clinical syndrome characterized by albuminuria (>300 mg/day or >200 mcg/min) confirmed on at least two occasions 3-6 months apart, permanent and irreversible decrease in glomerular filtration rate (GFR) and arterial hypertension [2]. The syndrome was first described by a British physician Clifford Wilson (1996-1997) and American physician Paul Kimmelstiel (1900-1970) in 1936 [3].

Diabetic nephropathy is a chronic condition developing over many years characterized by Gradual increasing urinary albumin excretion (UAE), High blood pressure, Declining GFR, Absence of other renal / renal tract disease, Presence of diabetic retinopathy.

The aim of this study was to analyse the effect of two widely used drugs Telmisartan and Enalapril on urinary albumin.

MATERIALS AND METHODS

This was a prospective observational study carried out in Sri Bhadrakali Diabetic Clinic, Kishanpura, Hanamkonda, Telangaana, India. Institutional Human Ethics committee endorsement was seek and obtained

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Design and *In Vitro* Evaluation of Gastro Retentive Sustained Release Tablets of Ketorolac Tromethamine

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ABSTRACT

Gastro retentive sustained release tablets of ketorolac tromethamine (KT) were prepared by using hydrophilic polymers with direct compression on floating matrix technology and evaluated. KT is freely soluble in water, so it is suitable to develop it as gastro retentive sustained release tablets using hydrophilic polymers. The developed formulation is equivalent to calculated theoretical drug profile in view of its *in vitro* release. Technique has easily amenable to mass production using conventional tablet machines. KT floating tablet formulations were optimized with different polymers for floating. The effect of formulation variables like polymers, HPMC, (Hydroxy propyl Methyl Cellulose) ethyl cellulose, xanthan gum, guar-gum, with different grades of polymers, (HPMC K4M, K15M, K100M) concentration of polymer, and different excipients were studied on *in vitro* drug release. Drug release was inversely proportional to the polymer concentration and also dependent on the agitation intensity and hardness of tablet. The swelling of the polymers used in optimized formula FXV could be determined by water uptake study. The study revealed that the tablet remained in the beaker for 24hr, which indicates the increase in the gastric residence time for the effective localized action All polymer and excipients used in optimized formula were found to be compatible with the drug and it was confirmed by FT-IR and DSC studies. KT release from the developed floating formulation followed zero-order with R^2= 0.941 and Fickian diffusion where n value is < 0.5 and found to be the main mechanism of drug release. The manufacturing procedure was found to be reproducible and formulations were stable after one month of accelerated stability studies.

Keywords: Gastro retentive, ketorolac tromethamine, DSC, stability studies, zero-order, Fickian diffusion.

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Research Article

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Iontophoretic Delivery of Nebivolol Hydrochloride: Effects of Current Density, Chemical Enhancers, pH, Polymer Concentration

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ABSTRACT

The purpose of the present study was to assess the feasibility of iontophoretic transdermal delivery of Nebivolol hydrochloride, an agent used in the treatment of hypertension with iontophoresis using Ag/AgCl electrodes. The effect of process variables and formulation variables like current intensity (0.05-0.5 mA/cm^2), pH, concentration of polymer (Eudragit L100, HPMC E15) and permeation enhancers (D-limonene and Tween 80) on the skin permeability were examined in in vitro skin permeation studies using rat abdominal skin as the membrane. Transdermal patch was formulated and subjected for in vitro studies and cumulative amount of drug permeated and flux across the rat abdominal were calculated. The results conclude that the flux increased with the current (44.46 µg/h/cm^2, R^2 0.8732) and the combination of chemical enhancers with iontophoresis provided a synergistic effect on skin permeation. The results suggest that iontophoresis can be used as transdermal drug delivery of Nebivolol hydrochloride using patches with acceptable levels of current intensity.

Keywords: Iontophoresis; Transdermal drug delivery; Nebivolol hydrochloride; Hypertension; Chemical enhances

INTRODUCTION

Nebivolol Hydrochloride is a ß1-receptor selective antagonist with vasodilatory property used in the management of the hypertension. Clinically oral administration is preferable, but the bioavailability of Nebivolol is 12%, mainly due to extensive hepatic metabolism and transdermal administration of Nebivolol is a possible solution to overcome this problem, however, there are no reports on iontophoretic delivery of Nebivolol [1].Transdermal delivery technologies are divided into active methods (physical and chemical) and passive methods [2]. For a drug to be delivered passively *via* the skin, it must have a molecular weight <500 and adequate lipophilicity [3, 4], because of low molecular weight and lipophilicity Nebivolol made a suitable candidate for transdermal drug delivery. However, the stratum corneum forms an effective barrier for the permeation of drugs, especially the poorly penetrating drugs must be modified while administrating with the help of penetration enhancers and iontophoresis. Iontophoresis defined as the facilitation of active therapeutic agents through the skin by applying low-level electric current (0.05 mA/cm^2) [5].The aim of the present study was to assess the possibility of transdermal delivery of Nebivolol using iontophoresis by examining the effect of polymer concentration, pH, current intensity and permeation enhancer on the permeability of Nebivolol across the rat abdominal skin as the membrane [6, 7, 8].

MATERIALS AND METHODS

Nebivolol Hydrochloride was a gift sample from Aurobindo pharmaceuticals, Hyderabad, India. HPMC E15 and Eudragit L100 from Qualikems fine chemicals Ltd, Delhi, India, sodium hydroxide, phosphate buffer from Finar Chemicals, Ahmedabad, India. All other chemicals used were pure analytical grade.

INNOVATE PUBLISHER

FORMULATION DEVELOPMENT AND EVALUATION OF TASTE MASKED ORAL DISINTEGRATING FILMS OF ATENOLOL

Research Article

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Abstract

Objective: Atenolol is β1-selective adrenergic blocking agent and widely used in the treatment of hypertension and angina pectoris. It has a bioavailability of 40-50%. The main objective of the study was to formulate taste masked oral disintegrating films of Atenolol to achieve a better dissolution rate by improving the bioavailability of the drug and providing quick onset of action there by enhancing patient compliance.**Method:**Oral disintegrating films prepared by solvent casting method using film forming polymer HPMC E15 in different ratios. **Results:** The prepared films were evaluated for the drug content, weight variation, film thickness, disintegration time and *in vitro* dissolution studies and taste mask studies on healthy human volunteers. **Conclusion:**Among all, the formulation F3 containing HPMC E15 (drug: polymer of 1:2) was found to be best formulation which releases 99.89% of the drug within 20 min and disintegration time is 15.3 sec.

Key word: Angina, HPMC-E15, Hypertension, taste masking.

INTRODUCTION

Oral administration of bitter drugs is not acceptable by the patients[Sohi, 2004]. Fast dissolving oral films are most advanced form of solid dosage form due to more flexibility and comfort. It improves the efficacy of active pharmaceutical ingredient dissolving within minute in oral cavity after the contact with less saliva as compared to fast dissolving tablet without chewing and no need of water for administration. It gives quick absorption and instant bioavailability of drug due to high blood flow and permeability of oral mucosa which is 4-1000 times greater than that of skin. Fast dissolving oral films are useful in patients such as pediatric, geriatric, bedridden, emetic patients, diarrhea and sudden episode of allergic attacks who have an active life style. It is also useful where local action desired such as local anesthetic for toothaches, oral ulcers, cold sores or teething. This fast dissolving drug delivery system is suited for the drugs which undergo high first pass metabolism for improving bioavailability by reducing dosing frequency which in turn minimize adverse/side effects and make cost effective[Revathi, 2007]. Atenolol is β1-selective adrenergic blocking agent widely used in the treatment of hypertension and angina pectoris[Tripathi, 2003]. Due to its bitter taste, slightly solubility in water, low bioavailability made it a suitable candidate for formulating as oral disintegrating films (ODF) by masking bitter taste.

MATERIALS AND METHODS

Materials

Atenolol was obtained as gift sample from Natco Pharma, Hyderabad, India. HPMC E15 was procured from Qualikems fine chem. Pvt Ltd Vadodhara. PEG400 was obtained from S.D fine chemicals limited, Mumbai. All the chemicals used in this work were of analytical grade.

Methods

Drug-Excipient Compatibility Studies

Fourier Transform-Infrared spectroscopic studies (FTIR): A Fourier Transform Infra-Red spectrophotometer was used to study the non-thermal analysis of drug- excipient (binary mixture of drug: excipient 1:1 ratio) compatibility. The spectrum of each sample was recorded over the 450-4000cm^{-1}. Pure drug of Atenolol, Atenolol with physical mixture (excipients) compatibility studies were performed.

Formulation of Atenolol ODF: Oral disintegrating films were prepared by solvent casting technique [Raghuraman, 2002]. Known quantity of HPMC E15 Cps was kept for swelling in distilled water for 3 to 4 hours. Care should be taken to prevent the formation of lumps. After swelling, solution of Atenolol and sweetening agent were added to the polymer solution and mixed well. Finally plasticizer was added, vortexed to form a homogenous mixture. The mixture is kept aside to exclude any entrapped air and transferred to a previously cleaned anumbra petriplate and allowed for drying. The film was carefully removed from the petriplate, checked for the imperfections and cut to the required size and wrapped in aluminum foil. Film samples with air bubbles, cuts, or imperfections were excluded from the study. Oral disintegrating films were prepared with different polymer ratios by maintaining same concentration of flavoring agent, sweetening agent and plasticizer. (Table1)

Evaluation

All ODF formulations are tested for quality control by various evaluation parameters.

Weight variation test: Twenty films were randomly selected from each formulation and their average weight was calculated using digital balance [Kumar GV, 2005].

Thickness measurement: Randomly ten films were taken from each formulation and their thickness was measured using a digital screw gauge. The individual film was placed between two anvils of the screw gauge and sliding knob was rotated until the film was tightly fitted.

Surface pH: The oral disintegrating films to be tested were placed in a petridish and moistened with 0.5 mL of distilled water. The pH of average three were recorded.

Drug content uniformity: Five films (each 4 cm^2) were randomly selected, weighed and transferred to a flask containing water and placed on a sonicator for 30 min. An aliquot of solution was centrifuged and supernatant was filtered through a 0.22 μ filter. Absorbance of the resulted supernatant solution was measured using UV Visible spectrophotometer against blank at 280 nm and drug content was calculated [Mona nagar, 2012].

Folding endurance: Number of times the film is folded repeatedly at same place until it breaks gives the Folding endurance. This also gives an indication of brittleness of the film. The values were reported. (Table 2)

***In vitro* disintegration studies:** For this study, the film as per the dimensions required for dose delivery was placed in a petridish containing 10 mL of distilled water. The time required for the film to disperse was noted as *in vitro* disintegration time [Prabhu B, 2011].

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Research Paper

Formulation and Evaluation of Salbutamol Sulphate Sublingual Films

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ABSTRACT

Salbutamol is a short-acting, selective beta-2-adrenergic receptor agonist used in treatment of asthma and COPD. In the present work, sublingual films of Salbutamol sulphate were developed with a view to enhance the patient compliance and provide quick onset of action. Salbutamol has a bioavailability of 53 - 60%. The goal of the study was to formulate sublingual films of Salbutamol sulphate to achieve a better dissolution rate and further improving the bioavailability of the drug. Sublingual films prepared by solvent casting method using film forming polymers HPMC-E5, HPMC-E15 and Maltodextrin in different ratios. The prepared batches of films were evaluated for the drug content, weight variation, film thickness, disintegration time and *in vitro* dissolution studies. Among all, the formulation B1 containing HPMC-E15 with a drug: polymer ratio (1:6) was found to be the best formulation which showed 98.36% of the drug release within 15 minutes and disintegration time 18 sec. This study shows the viability of developing sublingual films of salbutamol.

KEYWORDS: Sublingual Films; Salbutamol Sulphate; HPMC; Maltodextrin.

Introduction

Due to increased life expectancy, the elderly constitute a major portion of the world population today. Due to a decline in swallowing ability with age, many elderly patients complain that it is difficult for them to take some currently used dosage forms such as tablets, capsules or powders (Fusco et al., 2016). Oral disintegrating dosage forms are gaining prominence as new drug delivery system. These dosage forms dissolve or disintegrate in the oral cavity within a matter of seconds without the need of water or chewing .These are useful for pediatric, geriatric and dysphasia patients leading to improved patient compliance. They are also suitable for the mentally ill, the bedridden, and patients who do not have easy access to water.

Ease of administration, accurate dosing, no water required for swallowing made this dosage form more advantageous. Thin films ability to dissolve rapidly without the need for water provides an alternative to patients with swallowing disorders, and to patients suffering from nausea, such as those patients receiving chemotherapy. Thin film drug delivery is a process of delivering drugs of the systemic circulation via thin films that dissolves when in contact with liquid, often refered to as dissolving films or strips and dissolve within 1 minute when placed in mouth without drinking or chewing. (Nagaraju et al., 2013).

Salbutamol sulphate has a wide usage over treatment of asthma to children and also adults. (Briggs et al., 2006; Szefler et al., 2016). Polymers commonly used are HPMC E5, HPMC E15 and Maltodextrin. Plasticizer used in film formation is PEG 400, which imparts flexibility. Sublingual films are developed by using film forming polymers from the regulatory perspectives; all excipients used in formulation should be generally regarded as safe and should be approved for use in oral pharmaceutical dosage forms. (Dixit and Puthli, 2009).

The main goal of this study was to design sublingual film of salbutamol sulphate that disintegrate within few seconds.

Materials and Methods

Drugs and Chemicals

Salbutamol sulphate was a gift from New American Therapeutics, Inc. (Roseland, New Jersey). HPMC (all grades) Qualikems PvtLtd, Vadodara, PEG400 from Finar chemicals Ltd. (Ahmedabad, India). All the chemicals used were of analytical grade.

Methods

Determination of dose of Sulbutamol sulphate: Amount of drug required per film = 8mg of Sulbutamol sulphate.

Therefore, 4 films require 32 mg of drug

Area of the petridish (πr^2) = 3.14 × 4.5 × 4.5 = 63.5 cm^2

6 films of 4 cm^2 each i.e (2cm × 2cm) can be obtained freely per petridish.

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JGTPS

ANTI-OBESITY EFFECT OF ABHRAKA BHASMA IN HIGH FAT DIET INDUCED RATS

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ARTICLE INFO

Key Words

Anti obesity, Body mass index, Anova, Sahastraputi

ABSTRACT

Aims: This study was conducted to evaluate anti obesity effect of Abhraka Bhasma in high fat diet induced wistar rats. **Methods:** The current experiment was carried out on 24 healthy young albino wistar rats divided in to 4 groups. Sahastraputi abhraka bhasma was used as the test drug with honey as a vehicle orally. G1 group were fed with normal diet for 5 weeks and honey for next 3 weeks served as normal control. G2 group were given high fat diet for 5weeks and honey for next 3 weeks served as disease control. G3 group were given normal diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment control. G4 group received high fat diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment. **Statistical Analysis** Used: One –way ANOVA was used to take out the significance of the data and graph pad prism to obtain descriptive statistics. **Results:** On sacrificing animals after 50 days of experimentation it was observed that control animals (G1) had normal BMI. G2 group rats are obese prevented with increase in BMI. In G3 group has slight decrease in BMI than normal increased. Where as in G4 group due to the anti obesity effect of test drug obesity is decreased compared to disease control (G2) with decrease in BMI. **Conclusion:** In conclusion, Abhraka Bhasma due to its body mass index (BMI) decreasing property has anti obesity effect. This study confirms that abhraka bhasma is important tool in solving the problem of obesity in males.

INTRODUCTION:

Abhraka bhasma is a herbo mineral formulation of Ayurveda constituting mica nano particles. Abhraka bhasma is like supreme ambrosia, it destroys vata(air), pitta(fire), and disease ksaya(pthisis). It is a nervine tonic and increases tone of tissue, benefits in azoospermia, erectile dysfunction, haematinic.

It also acts as hepatoprotective agent. Normal BMI is necessary for leading normal life without any co morbidities. Obesity which may be due to physical, genetic and hormonal disorders cause erectile dysfunction or altered seminal parameters which results in infertility. The goal of this study was set to prove that this abhraka bhasma is highly beneficial in the treatment of obesity. It brings forth that abhraka bhasma has anti obesity effect in high fat diet fed obese rats by altering the body mass index.

MATERIALS AND METHODS

Animals: The experiment was carried out on healthy 24 albino wistar rats (45 – 60gm) of 4 weeks old were obtained from Prem:

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Journal of Global Trends in Pharmaceutical Sciences

STUDY OF VRSYA PROPERTY (TESTICULAR REGENERATIVE POTENTIAL) OF ABHRAKA BHASMA IN OBESITY INDUCED RATS

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ARTICLE INFO

Key Words

Vrsya,
Anova,
Sahastraputi,
Hypertrophy,
Sukra

ABSTRACT

Aims: This study was conducted to evaluate vrsya property of Abhraka Bhasma in obesity induced male wistar rats. **Methods:** The current experiment was carried out on 24 healthy young male albino wistar rats divided in to 4 groups. Sahastraputi abhraka bhasma was used as the test drug with honey as a vehicle orally. G1 group were fed with normal diet for 5 weeks and honey for next 3 weeks served as normal control. G2 group were given high fat diet for 5weeks and honey for next 3 weeks served as disease control. G3 group were given normal diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment control. G4 group received high fat diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment. **Statistical Analysis Used:** One –way ANOVA was used to take out the significance of the data and graph pad prism to obtain descriptive statistics. **Results:** On sacrificing animals after 50 days of experimentation it was observed that control animals (G1) had normal spermatogenesis with normal BMI. G2 group rats prevented spermatogenic profile in 50% obese rats and resulted in degenerated tubules with increase in BMI. In G3 group spermatogenic activity increased. Where as in G4 group due to the effect of test drug it contained 60% hyperactive tubules and 35% in recovery stage which are damaged due to effect of high fat diet. **Conclusion:** In conclusion, Abhraka Bhasma due to its body mass index (BMI) decreasing property has vrsya property. This study confirms that abhraka bhasma is important tool in solving the problem of infertility in obese males.

INTRODUCTION:

Abhraka bhasma is a herbo mineral formulation of Ayurveda constituting mica nano particles. Abhraka bhasma is like supreme ambrosia, it destroys vata(air), pitta(fire), and disease ksaya(pthisis). It is a nervine tonic and increases tone of tissue. benefits in azoospermia, erectile dysfunction, haematinic. It also acts as hepatoprotective agent. According to a new study led by Babita *et al (2012)*, demonstrated that abhraka bhasma reduces testicular damage induced by heat stress in heat stroke rats. It indicates that prolonged administration will ameliorate fertility in males, especially those who are exposed to heat. Normal BMI is necessary for normal spermatogenesis and fertility in mammals. Obesity which may be due to physical, genetic and hormonal disorders cause erectile dysfunction or altered seminal parameters which results in infertility. The goals of this study was set to prove that this abhraka bhasma is highly beneficial in the treatment of infertility especially in obese

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EVALUATION OF FERTILITY POTENTIAL OF ABHRAKA BHASMA IN OBESITY INDUCED RATES

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ABSTRACT

Aims: This study was conducted to evaluate the fertility potential of Abhraka Bhasma in obesity induced male wistar rats. **Methods:** The current experiment was carried out on 24 healthy young male albino wistar rats divided in to 4 groups. Sahastraputi abhraka bhasma was used as the test drug with honey as a vehicle orally. G1 group were fed with normal diet for 5 weeks and honey for next 3 weeks served as normal control. G2 group were given high fat diet for 5weeks and honey for next 3 weeks served as disease control. G3 group were given normal diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment control. G4 group received high fat diet for 5 weeks and abhraka bhasma of 1.08mg/200gm of rat for next 3 weeks serves as treatment. **Statistical Analysis** Used: One –way ANOVA was used to take out the significance of the data and graph pad prism to obtain descriptive statistics. **Results:** On sacrificing animals after 50 days of experimentation it was observed that control animals (G1) had normal spermatogenesis with normal BMI. G2 group rats prevented spermatogenic profile in 50% obese rats and resulted in degenerated tubules with increase in BMI. In G3 group spermatogenic activity increased. Where as in G4 group due to the effect of test drug it contained 60% hyperactive tubules and 35% in recovery stage which are damaged due to effect of high fat diet. **Conclusion:** In conclusion, Abhraka Bhasma due to its body mass index (BMI) decreasing property has spermatogenic enhancing properties. This study confirms that abhraka bhasma is important tool in solving the problem of infertility in obese males.

KEYWORDS: Anova, Sahastraputi, Hypertrophy, *Sukra*.

INTRODUCTION

Abhraka bhasma is a herbo mineral formulation of Ayurveda constituting mica nano particles. Abhraka bhasma is like supreme ambrosia, it destroys vata(air), pitta(fire), and disease ksaya(pthisis). It is a nervine tonic and increases tone of tissue, benefits in azoospermia, erectile dysfunction, haematinic. It also acts as hepatoprotective agent.

According to a new study led by Babita *et al (2012)*, demonstrated that abhraka bhasma reduces testicular damage induced by heat stress in heat stroke rats. It indicates that prolonged administration will ameliorate fertility in males, especially those who are exposed to heat.

Normal BMI is necessary for normal spermatogenesis and fertility in mammals. Obesity which may be due to physical, genetic and hormonal disorders cause erectile dysfunction or altered seminal parameters which results in infertility.

The goals of this study was set to prove that this abhraka bhasma is highly beneficial in the treatment of infertility especially in obese individuals. It brings forth that abhraka bhasma enhances the fertility in high fat diet fed obese rats by altering the body mass index and obviously improving the spermatogenic activity.

MATERIALS AND METHODS

Animals: The experiment was carried out on healthy 24 Male albino wistar rats (45 – 60gm) of 4 weeks old were obtained from Teena Biolabs Pvt ltd., Reg no 189/42/CPCSEA, Bachupally, Hyderabad. Animals are housed in cages in an air conditioned laboratory of the animal house. Animals were maintained under controlled standard conditions, with free access to pellet diet, high fat diet and water ad libitum. Before conducting the experiment, the animal ethical clearance was obtained from Institutional Animals Ethics Committee (IAEC) approved by Committee for the purpose of control and supervision of experiment on animals (CPCSEA).

Abhraka Bhasma (Test drug): Sahasra puti abhraka bhasma was used as the test drug. This is marketed

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SIMULTANEOUS ESTIMATION OF CIPROFLOXACIN AND METRONIDAZOLE IN BULK AND TABLET FORMULATION BY UV SPECTROPHOTOMETRY

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Keywords:

UV, Simultaneous equation method, Q-absorbance ratio method, Ciprofloxacin, Metronidazole

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ABSTRACT: Three simple and economical UV-spectrophotometric methods have been developed and validated for simultaneous estimation of ciprofloxacin (CIP) and metronidazole (MET) in a tablet dosage form using distilled water as a green solvent. The proposed methods were; simultaneous equation method (method A), Q-absorbance ratio method (method B), and area under curve method (method C). λ_{max} of CIP & MET in distilled water were found to be 271 nm and 320 nm, respectively. The isoabsorptive point was observed at 290 nm. The linearity was obtained in the concentration range of 1-9 µg/ml, and 2-18 µg/ml for CIP and MET respectively by methods A, B & C. Validation parameters were carried out. All three methods were found to be linear, accurate, precise, and specific. Good results were achieved using distilled water as solvent due to its greater solubility, reproducible readings with maximum absorbance. Among the three methods, method C was found to be the most sensitive. Hence, this method can be recommended for the routine analysis of this drug combination.

INTRODUCTION: Ciprofloxacin (CIP) is chemically 1-cyclopropyl-6-fluoro-1, 4-dihydro-4-oxo-7-(1-piperazinyl)-3-quinoline carboxylic acid **Fig. 1**. It is a fluoroquinolone antibiotic useful for the treatment of various infections caused by Gram-positive, Gram-negative organisms and against *Mycobacterium tuberculosis*. The bactericidal action of CIP results from inhibition of the enzymes topisomerase 2 (DNA gyrase) and topisomerase 4, which are required for bacterial DNA replication, transcription repair, and recombination [1, 2]. Metronidazole (MET) is designated chemically as 2-(2-methyl-5-nitro-1*H*-imidazole-1-yl) ethan-1-ol **Fig. 2**.

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It is a prodrug unionized and the most useful antiprotozoal nitroimidazole derivative. It has been found to possess efficacy against obligate anaerobic bacteria due to their ability to intracellularly reduce MET to its active form, which then covalently binds to DNA, disrupts its helical structure, inhibiting the bacterial nucleic acid synthesis and results in bacterial cell death [3, 4].

A survey of literature has revealed several analytical methods for the determination of CIP in pharmaceutical dosage form and biological fluids, including spectrophotometry [5-9], spectrofluorimetry [10], HPLC [11-13], potentiometry [14], electrical micro-titration [15], and HPTLC [16]. CIP in admixtures with MET [17] and ampicillin has been determined by NMR [18]. HPLC methods either with fluorescence detection or coupled with mass spectrometry (LC/MS) for determination of CIP in human plasma [19, 20], and by SPE-UHPLC-PDA [21] have also been published. MET has been determined by several methods involving spectrophotometry [22]

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Original Research Article – Biological Sciences

SYNTHESIS AND EVALUATION OF PYRAZOLINE DERIVATIVES AS ANTIBACTERIAL AGENTS

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ABSTRACT

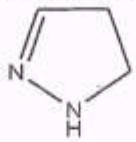
Pyrazoline derivatives were found to exhibit broad spectrum of biological activity. Among all the pyrazolines, 2-pyrazoline has gained attraction and reported to possess wide range biological activities including antitumor, antibacterial, antifungal, antiviral, antiparasitic, anti-tubercular, anti-inflammatory, anti-diabetic, anesthetic, analgesic, insecticidal and potent selective activity such as nitric oxide synthase (NOS) inhibitors and cannaboid CB1 receptor antagonistic activity. Due to its wide range of biological activity, pyrazolines have received a considerable interest in the field of medicinal chemistry and drug discovery.

KEY WORDS

Pyrazoline derivatives, Antiparasitic, anti-tubercular.

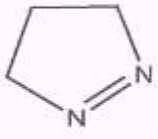
INTRODUCTION

The Dihydro derivative of pyrazole is known as pyrazoline. It is having two adjacent nitrogen atoms, one endocyclic bond within the ring and basic in nature. The aromatic nature arises from the four electrons and the unshared pair of electrons on the –NH nitrogen. Pyrazolines are play important role in medicinal chemistry and also used as useful synthones in the field of organic, pharmaceutical and medicinal chemistry.

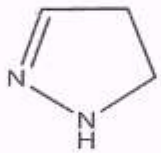


4,5-dihydro-2*H*-pyrazole

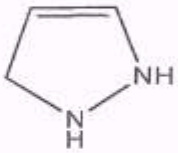
Three of them are possible structures depending on the position of double bond. These are 1-pyrazoline, 2-pyrazoline, 1, 3-pyrazoline out of these structures 1, 3-pyrazoline is most common.



1-pyrazoline



2-pyrazoline



1, 3-pyrazoline

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DESIGN AND EVALUATION OF COLON SPECIFIC DRUG DELIVERY SYSTEMS CONTAINING ANTI-INFLAMMATORY DRUG FOR THE TREATMENT OF INFLAMMATORY BOWEL DISEASES

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Abstract

The purpose of the present study was to formulate and evaluate pH dependent polymer coating tablets for Non steroidal anti-inflammatory drug Naproxen. pH dependent polymer coating tablets were prepared by wet granulation technique and core tablets are coated with various percentages of coating solutions. The prepared formulation blend were evaluated for angle of repose, bulk density, tapped density, compressibility index and Hausner's ratio. All the formulations showed good flow properties. The compressed tablets evaluated for the hardness, uniformity of weight, friability, drug content and *in vitro* dissolution studies. All the formulations showed good compliance with the FTIR & showed no interaction between drug, polymer and other excipients. It was confirmed by FTIR studies. F3 formulation showed higher drug release up to 24 hrs. Hence (F3) considered to be optimized formulation. The release of Naproxen from tablet is triggered by pH in the colon.

Keywords: NSAID: Naproxen, pH dependent polymer : Eudragit L 100, MCC 101, PVP K 90 etc.

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Research Paper

Formulation and Evaluation of Salbutamol Sulphate Sublingual Films

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ABSTRACT

Salbutamol is a short-acting, selective beta-2-adrenergic receptor agonist used in treatment of asthma and COPD. In the present work, sublingual films of Salbutamol sulphate were developed with a view to enhance the patient compliance and provide quick onset of action. Salbutamol has a bioavailability of 53 - 60%. The goal of the study was to formulate sublingual films of Salbutamol sulphate to achieve a better dissolution rate and further improving the bioavailability of the drug. Sublingual films prepared by solvent casting method using film forming polymers HPMC-E5, HPMC-E15 and Maltodextrin in different ratios. The prepared batches of films were evaluated for the drug content, weight variation, film thickness, disintegration time and *in vitro* dissolution studies. Among all, the formulation B1 containing HPMC-E15 with a drug: polymer ratio (1:6) was found to be the best formulation which showed 98.36% of the drug release within 15 minutes and disintegration time 18 sec. This study shows the viability of developing sublingual films of salbutamol.

KEYWORDS: Sublingual Films; Salbutamol Sulphate; HPMC; Maltodextrin.

Introduction

Due to increased life expectancy, the elderly constitute a major portion of the world population today. Due to a decline in swallowing ability with age, many elderly patients complain that it is difficult for them to take some currently used dosage forms such as tablets, capsules or powders (Fusco et al., 2016). Oral disintegrating dosage forms are gaining prominence as new drug delivery system. These dosage forms dissolve or disintegrate in the oral cavity within a matter of seconds without the need of water or chewing .These are useful for pediatric, geriatric and dysphasia patients leading to improved patient compliance. They are also suitable for the mentally ill, the bedridden, and patients who do not have easy access to water.

Ease of administration, accurate dosing, no water required for swallowing made this dosage form more advantageous. Thin films ability to dissolve rapidly without the need for water provides an alternative to patients with swallowing disorders, and to patients suffering from nausea, such as those patients receiving chemotherapy. Thin film drug delivery is a process of delivering drugs of the systemic circulation via thin films that dissolves when in contact with liquid, often refered to as dissolving films or strips and dissolve within 1 minute when placed in mouth without drinking or chewing. (Nagaraju et al., 2013).

Salbutamol sulphate has a wide usage over treatment of asthma to children and also adults. (Briggs et al., 2006; Szefler et al., 2016). Polymers commonly used are HPMC E5, HPMC E15 and Maltodextrin. Plasticizer used in film formation is PEG 400, which imparts flexibility. Sublingual films are developed by using film forming polymers from the regulatory perspectives; all excipients used in formulation should be generally regarded as safe and should be approved for use in oral pharmaceutical dosage forms. (Dixit and Puthli, 2009).

The main goal of this study was to design sublingual film of salbutamol sulphate that disintegrate within few seconds.

Materials and Methods

Drugs and Chemicals

Salbutamol sulphate was a gift from New American Therapeutics, Inc. (Roseland, New Jersey). HPMC (all grades) Qualikems PvtLtd, Vadodara, PEG400 from Finar chemicals Ltd. (Ahmedabad, India). All the chemicals used were of analytical grade.

Methods

Determination of dose of Sulbutamol sulphate: Amount of drug required per film = 8mg of Sulbutamol sulphate.

Therefore, 4 films require 32 mg of drug

Area of the petridish (πr^2) = 3.14 × 4.5 × 4.5 = 63.5 cm^2

6 films of 4 cm^2 each i.e (2cm × 2cm) can be obtained freely per petridish.

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DESIGN AND EVALUATION OF COLON SPECIFIC DRUG DELIVERY SYSTEMS CONTAINING ANTI-INFLAMMATORY DRUG FOR THE TREATMENT OF INFLAMMATORY BOWEL DISEASES

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Abstract:

The purpose of the present study was to formulate and evaluate pH dependent polymer coating tablets for Non-steroidal anti-inflammatory drug Naproxen. pH dependent polymer coating tablets were prepared by wet granulation technique and core tablets are coated with various percentages of coating solutions. The prepared formulation blend were evaluated for angle of repose, bulk density, tapped density, compressibility index and Hausner's ratio. All the formulations showed good flow properties. The compressed tablets evaluated for the hardness, uniformity of weight, friability, drug content and *in vitro* dissolution studies. All the formulations showed good compliance with the FTIR & showed no interaction between drug, polymer and other excipients. It was confirmed by FTIR studies. F3 formulation showed higher drug release up to 24 hrs. Hence (F3) considered to be optimized formulation. The release of Naproxen from tablet is triggered by pH in the colon.

Keywords: NSAID, Naproxen, pH dependent polymer, Eudragit L100, MCC-101, PVPK 90 etc.

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PREPARATION AND EVALUATION OF GASTRORETENTIVE FLOATING TABLETS OF ENALAPRIL MALEATE

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ABSTRACT

The purpose of this research was to develop a novel gastro retentive floating tablets of Enalapril Maleate. The main objective is to increase bioavailability and increase gastric residence time. There are 12 formulations were prepared by using different ratios of natural gums & synthetic polymers. Xanthum gum is used for floating property so as to target the delivery of drug to a specific region in the GIT. HPMC K 100 is used as hydrophilic polymer. sodiumbicarbonate, citric acid is used as gas generating agent, Lactose is used as adsorbent, suspending agent. F11was the optimized formulation having floating time more than 20 hrs.

KEY WORDS

Enalapril maleate, gastric floating tablet, floating drug delivery, controlled release, HPMC K100

INTRODUCTION

Enalapril maleate is oral long acting non-sulphydryl ACE inhibitor. It is class I antihypertensive drug. Oral dosage forms are most convenient route of drug delivery. The formulations are developed to improve the great patient compliance and clinical efficacy of drug. It has short biological half-life. The oral bioavailability of enalapril maleate is 40-60% due to narrow absorption window and is absorbed in upper part of small intestine. In the present investigation, the gastro retentive tablet dosage forms are prepared by using enalapril maleate as drug candidate and evaluating the prepared tablets for physicochemical properties, buoyancy lag time[1].

MATERIALS AND METHODS

Materials

Enalapril Maleate was obtained as a gift sample from Cipla laboratory, Bangalore. Xanthan gum, Xanthum gum was received from FINAR labs, Hyderabad, India. HPMC K100M was obtained from Signet chemicals corporation, Mumbai. Citric acid, sodium bicarbonate, talc, magnesium stearate and lactose were purchased from S.D. chemicals, Mumbai.

Methods

Formulation of Floating tablets of Enalapril Maleate were prepared by direct compression method according to the formula given in Table 1. Enalapril Maleate (200 mg) was mixed with the required quantity of polymer using Xanthan gum, HPMC K 100 M, sodium bicarbonate (20 mg), citric acid (10 mg), lactose is taken in a mortar and pestle for 15 min. The powder blend was then lubricated with talc (5 mg) and magnesium stearate (5 mg) for additional 3min prior to the compression. The powder was then compressed into tablets.

Preparation of standard curve of Enalapril maleate

The samples of different concentration were analyzed at 216nm using UV-Spectrophotometer against 0.1N Hcl buffer as blank.

Compatibility Studies: [1,2]

The compatibility of drug and polymers under experimental condition is important prerequisite before formulation. Incompatibility between drugs and excipients can alter stability and bioavailability of drugs, thereby, affecting its safety and/or efficacy.

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FORMULATION AND IN VITRO EVALUATION OF EFFERVESCENT FLOATING TABLETS OF MEBENDAZOLE

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ABSTARCT
In the present research work the gastro retentive floating matrix formulation of Mebendazole by using various hydrophilic polymers. Initially analytical method development was done for the drug molecule. Absorption maxima was determined based on that calibration curve was developed by using different concentrations. Gas generating agent sodium bicarbonate concentration was optimized. Then the formulation was developed by using different concentrations of polymers of various natural polymers. The formulation blend was subjected to various preformualation studies. flow properties and all the formulations were found to be good indicating that the powder blend has good flow properties. Among all the formulations the formulations prepared by using Sodium CMC were unable to produce desired drug release, they were unable to retard drug release up to 12 hours. The formulations prepared with Chitosan retarded the drug release up to 12 hours in the concentration of 200 mg (F6).The formulations prepared with Guar gum were also retarded the drug release for more than 12 hours. Hence they were not considered. The optimized formulation dissolution data was subjected to release kinetics, from the release kinetics data it was evident that the formulation followed Higuchi mechanism of drug release.

KEYWORDS: Mebendazole, Guar gum, Sodium CMC, Chitosan, Floating tablets.

INTRODUCTION
Oral delivery of drugs is the most preferable route of drug delivery. Oral route is considered most natural, uncomplicated, convenient and safe due to its ease of administration, patient compliance and flexibility in formulation and cost effective manufacturing process.[1] Many of the drug delivery systems, available in the market are oral drug delivery type systems Pharmaceutical products designed for oral delivery are mainly immediate release type or conventional drug delivery systems, which are designed for immediate release of drug for rapid absorption. These immediate release dosage forms have some limitations such as.

1. Drugs with short half-life require frequent administration, which increases chances of missing dose of drug leading to poor patient compliance.
2. A typical peak-valley plasma concentration-time profile is obtained which makes attainment of steady state condition difficult.
3. The unavoidable fluctuations in the drug concentration may lead to under medication or overmedication as the C_{ss} values fall or rise beyond the therapeutic range.
4. The fluctuating drug levels may lead to precipitation of adverse effects especially of a drug with small therapeutic index, whenever overmedication occurs.[2]

In order to overcome the drawbacks of conventional drug delivery systems, several technical advancements have led to the development of controlled drug delivery system that could revolutionize method of medication and provide a number of therapeutic benefits.[3]

Controlled Drug Delivery Systems
Controlled drug delivery systems have been developed which are capable of controlling the rate of drug delivery, sustaining the duration of therapeutic activity and/or targeting the delivery of drug to a tissue.[4]

Controlled drug delivery or modified drug delivery systems are divided into four categories.

1. Delayed release.
2. Sustained release.
3. Site-specific targeting.
4. Receptor targeting.

More precisely, controlled delivery can be defined as

1. Sustained drug action at a predetermined rate by maintaining a relatively constant, effective drug level in the body with concomitant minimization of undesirable side effects.
2. Localized drug action by spatial placement of a controlled release system adjacent to or in the diseased tissue.

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FORMULATION AND EVALUATION OF SUSTAINED RELEASE TABLETS OF MEFORMIN HYDROCHLORIDE

Sandhya Adimulka* and Adukondalu Devandla

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ABSTRACT

In this research project, we are assigned a topic to study on the designing of sustained r elease dosage forms and in vitro evaluation of Metformin tablets. The main focus of this research is to develop a better sustained release tablets and conduct all preformulation studies, pre compression and post compression evaluation tests including dissolution test on the tablets to determine the compliance of patient. Dissolution testing is a method for evaluating physiological availability that depends upon having the drug in a dissolved state. The release profiles obtained from in vitro dissolution tests can be used for predicting release kinetics to predict mechanism of drug transport. The effectiveness of such dosage forms relies on the drug dissolving in the fluids of the gastrointestinal tract prior to absorption into the systemic circulation. The rate of dissolution of the tablet is therefore crucial. In this research, our aim s to determine the best formulation among the designed and prepared sustained release dosage form by using an in vitro test method simulating physiological conditions in the GI tract. The dissolution media used closely resembles the GI fluid in the stomach. By conducting various evaluation studies confirmed the best dosage form for sustained release dosage for reduce dosing frequency and improve patient compliance.

KEYWORDS: In-vitro; dissolution test, relese kinetics and Metformin.

INTRODUCTION

An alternative to administering another dose is to use a dosage form that will provide sustained drug release and therefore maintain plasma drug concentrations, beyond what is typically seen using immediate-release dosage forms. In recent years, various modified-release drug products have been developed to control the release rate of the drug and/or the

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Original Article

EFFECT OF *MOMORDICA CHARANTIA* AND *SYZYGIUM CUMINI* EXTRACT ON SERUM ELECTROLYTES IN ALLOXAN INDUCED DIABETIC RATS

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ABSTRACT

Objective: Diabetes is a group of disorders characterized by high blood glucose levels. Disturbances in serum electrolytes like sodium (Na^+) and potassium (K^+) are found in diabetes. The purpose of the study was to investigate the disturbances in concentrations of serum electrolytes in hyperglycemic crisis and the effect of *syzygium cumini* and *momordica charantia* standardized aqueous extracts on serum electrolytes ($Na^+$and K^+) in normal and diabetic rats.

Methods: Diabetes is induced by intraperitoneal injection of alloxan at a dose of 120 mg/kg b. w in rats. Rats were divided into 5 groups (normal control, disease control, metformin, test 1 and test 2). In test groups 1 and 2, SASESC (standardized aqueous seed extract of *syzygium cumini*) and SAFEMC (standardized aqueous fruit extract of *momordica charantia*) were respectively administered orally to alloxan induced diabetic rats, and their serum electrolyte levels were observed at 1st, 4th, 7th and 14th days.

Results: By the 14thday, the Na^+ and K^+ levels in groups 4 and 5 were almost normal. However, in group 3 (standard), Na^+ levels were relatively lower and K^+ levels were relatively higher than groups 4 and 5 (test). In group 2 (disease control) as compared to group 1 (normal control), a decrease in Na^+ and increase in K^+ levels was observed even on day 14.

Conclusion: Treatment with anti diabetic drugs like metformin, *syzygium cumini* (test-1), *momordica charantia* (test-2) restored the electrolyte levels almost back to normal over a period of study (14 d). There was significant (**P<0.01, *P<0.05) normalization of electrolyte levels in diabetic rats. It was concluded that *syzygium cumini* and *momordica charantia* showed better efficiency in restoring the electrolyte imbalance as compared to metformin during our study.

Keywords: *Syzygium cumini, Momordica charantia,* Metformin, Diabetes, Electrolyte, Alloxan

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INTRODUCTION

Diabetes mellitus (DM) is a group of metabolic diseases characterized by high blood glucose level (hyperglycemia) resulting from defects in insulin secretion, insulin action, or both. The chronic hyperglycemia of diabetes is associated with long-term damage, dysfunction, and failure of various organs like the eyes, kidneys, nerves, heart, and blood vessels [1, 2]. Electrolytes are salts in the body that conduct electricity and are found in fluid, tissue and blood. A proper balance of electrolytes such as sodium (Na^+), potassium (K^+), calcium (Ca^{2+}), magnesium (Mg^{2+}) and others are essential for overall health. They have a pivotal role in the maintenance of homeostasis inside the body, regulation of heart and brain function, body fluid balance, ventilation, pH etc [3]. Deficiency or imbalance of electrolytes can lead to serious conditions. DM is amongst those diseases which show frequent disturbances of electrolytes and acid-base relations, especially in patients with deranged renal function and other end-organ injury, mal-absorption syndromes, acid-base imbalances and multiple drug regimens and medications for DM management. The knowledge and insight of the disease process and its management would create the way for 'pathophysiology-directed therapy', leading to prevention of the several adverse effects associated with acid-base and electrolyte disorders and their management [4-8].

Alterations of ionized Na^+, K^+, and Mg^+ in the serum have been reported in DM subjects, both as causes and consequences. There is also increasing evidence that electrolyte imbalances are early biochemical events responsible for long-term diabetic complications. Considerable variations in the electrolyte metabolism may exist in populations depending on the genetic constitution, nutritional status, and environmental situation. It has been suggested that alterations in Na^+, K^+, Ca^{2+} and other biologically relevant elements might occur due to malfunction of Na^+-K^+ pumps. There is increasing evidence that these alterations of electrolytes across the cell may play a vital role in the mechanism of cellular injury leading to retinopathy, nephropathy, and neuropathy in DM subjects [9]. The present study was chosen to investigate the serum levels of Na^+ and K^+ in alloxan-induced diabetic rats without any complications.

Drugs used to treat DM like metformin and sulfonylureas along with tricyclic antidepressants (used to treat neuropathy) can also cause electrolyte and acid-base disturbances. In modern medicine, no satisfactory effective therapy is available to control DM along with electrolyte imbalance. The literature survey reveals that anti-diabetic herbs have the capacity to cure electrolyte imbalance along with DM [10-13]. In this regard, an herbal anti-diabetic drug used traditionally viz., *momordica charantia* and *syzygium cumini* were chosen for the present study to investigate a possible effect of the standardized aqueous fruit extract of *momordica charantia (SAFEMC)* and standardized aqueous seed extract of *syzygium cumini* (SASESC) on the serum electrolytes in alloxan-induced diabetic rats.

MATERIALS AND METHODS

Drugs and chemicals

SAFEMC and SASESC were procured from navachethana kendra Pvt. Ltd, Delhi and Shree narnarayan ayurvedic pharmacy, Ahmedabad, Gujarat, India respectively. Alloxan monohydrate was purchased from Chemit Labaratories, Hyderabad, India. Metformin was purchased from nice chemical Pvt. Ltd, Cochin, and India. The glucose estimation kit was purchased from Vijaya diagnostics, Hanamkonda, India and all other chemicals used in this study were obtained commercially and were of analytical grade.

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INTRODUCTION

Diabetes mellitus (DM) is a group of metabolic diseases characterized by high blood glucose level (hyperglycemia) resulting from defects in insulin secretion, insulin action, or both. The chronic hyperglycemia of diabetes is associated with long-term damage, dysfunction, and failure of various organs like the eyes, kidneys, nerves, heart, and blood vessels [1, 2]. Electrolytes are salts in the body that conduct electricity and are found in fluid, tissue and blood. A proper balance of electrolytes such as sodium (Na^+), potassium (K^+), calcium (Ca^{2+}), magnesium (Mg^{2+}) and others are essential for overall health. They have a pivotal role in the maintenance of homeostasis inside the body, regulation of heart and brain function, body fluid balance, ventilation, pH etc [3]. Deficiency or imbalance of electrolytes can lead to serious conditions. DM is amongst those diseases which show frequent disturbances of electrolytes and acid-base relations, especially in patients with deranged renal function and other end-organ injury, mal-absorption syndromes, acid-base imbalances and multiple drug regimens and medications for DM management. The knowledge and insight of the disease process and its management would create the way for 'pathophysiology-directed therapy', leading to prevention of the several adverse effects associated with acid-base and electrolyte disorders and their management [4-8].

Alterations of ionized Na^+, K^+, and Mg^+ in the serum have been reported in DM subjects, both as causes and consequences. There is also increasing evidence that electrolyte imbalances are early biochemical events responsible for long-term diabetic complications. Considerable variations in the electrolyte metabolism may exist in populations depending on the genetic constitution, nutritional status, and environmental situation. It has been suggested that alterations in Na^+, K^+, Ca^{2+} and other biologically relevant elements might occur due to malfunction of Na^+-K^+ pumps. There is increasing evidence that these alterations of electrolytes across the cell may play a vital role in the mechanism of cellular injury leading to retinopathy, nephropathy, and neuropathy in DM subjects [9]. The present study was chosen to investigate the serum levels of Na^+ and K^+ in alloxan-induced diabetic rats without any complications.

Drugs used to treat DM like metformin and sulfonylureas along with tricyclic antidepressants (used to treat neuropathy) can also cause electrolyte and acid-base disturbances. In modern medicine, no satisfactory effective therapy is available to control DM along with electrolyte imbalance. The literature survey reveals that anti-diabetic herbs have the capacity to cure electrolyte imbalance along with DM [10-13]. In this regard, an herbal anti-diabetic drug used traditionally viz., *momordica charantia* and *syzygium cumini* were chosen for the present study to investigate a possible effect of the standardized aqueous fruit extract of *momordica charantia* (SAFEMC) and standardized aqueous seed extract of *syzygium cumini* (SASESC) on the serum electrolytes in alloxan-induced diabetic rats.

MATERIALS AND METHODS

Drugs and chemicals

SAFEMC and SASESC were procured from navachethana kendra Pvt. Ltd, Delhi and Shree narnarayan ayurvedic pharmacy, Ahmedabad, Gujarat, India respectively. Alloxan monohydrate was purchased from Chemit Labaratories, Hyderabad, India. Metformin was purchased from nice chemical Pvt. Ltd, Cochin, and India. The glucose estimation kit was purchased from Vijaya diagnostics, Hanamkonda, India and all other chemicals used in this study were obtained commercially and were of analytical grade.

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RESEARCH Open Access

Synthesis, characterization, and pharmacological evaluation of some metal complexes of quercetin as P-gp inhibitors

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Abstract

Background: Six different metal complexes of quercetin (Cu, Zn, Co, Vd, Mo, Ni) were synthesized, purified, and characterized by their physical and spectral (UV, IR) data. They were evaluated for their P-gp (permeability glycoprotein) inhibitory activity by in vitro everted sac method in rats. The apparent permeability of atorvastatin (P-gp substrate) from everted sac of the rat intestine was determined in control, standard (verapamil), and groups treated with quercetin-metal complexes. The drug contents were analyzed by validated RP-HPLC method using a mixture of acetonitrile and water (60:40 v/v) adjusted to pH 2.8 with phosphate buffer as mobile phase.

Results: In vitro studies revealed that the apparent permeability of atorvastatin (P-gp substrate) across the small intestine is much affected by the treatment with Cu/Co/Ni complexes of quercetin. The mean ± SD and apparent permeability of atorvastatin decreased after pre-treatment with these metal complexes.

Conclusions: The quercetin Cu/Co/Ni complexes could inhibit P-gp and increase the atorvastatin absorption. Hence, they could be considered P-gp inhibitors.

Keywords: Quercetin, Metal complexes, Atorvastatin, P-gp, Inhibitors, P-glycoprotein

Background

Cancer is a dreadful disease, killing a large number of the population worldwide. More than 100 different types of cancer are reported to affect humans [1, 2]. Chemotherapy is widely used for cancer treatment but it is hindered mostly due to the resistance of tumor cells to anticancer drugs [3, 4]. Several mechanisms underlying drug resistance were identified. Increased efflux of drugs by cancerous cells, due to over expression of membrane transporter proteins (efflux pumps) is one of the major mechanisms documented. P-glycoprotein (P-gp) is the first discovered multidrug transporter that pumps drugs out of tumor cells, resulting in decreased intracellular drug concentrations and thus reducing the efficacy of drugs [5]. It is present in several normal tissues like intestinal lining epithelium, endothelial cells, and bone marrow.

Quercetin (Q) is a major naturally occurring flavonoid, belonging to the class of flavonols. It is ubiquitously found in a wide variety of plant products like coffee, tea, dyes, vegetables, and fruits [6]. The beneficial effects of quercetin are mostly due to its free radical scavenging or antioxidant property and its ability to chelate metal ions (Fe^{2+} and Fe^{3+}, Cu^{2+}, Ni^{2+}) [7–12]. Quercetin and some of its metal complexes displayed various biological actions such as antimicrobial, antiulcer, antiallergic, anti-Alzheimer's, and anticancer [13–18]. It was reported that quercetin could competitively inhibit the members of MDR family, P-gp, MRP1, and BCRP [19–23]. But, hitherto, there are no reports on the P-gp inhibitory activity of quercetin-metal complexes. In this regard, the present

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SIMULTANEOUS ESTIMATION OF CIPROFLOXACIN AND METRONIDAZOLE IN BULK AND TABLET FORMULATION BY UV SPECTROPHOTOMETRY

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Keywords:

UV, Simultaneous equation method, Q-absorbance ratio method, Ciprofloxacin, Metronidazole

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ABSTRACT: Three simple and economical UV-spectrophotometric methods have been developed and validated for simultaneous estimation of ciprofloxacin (CIP) and metronidazole (MET) in a tablet dosage form using distilled water as a green solvent. The proposed methods were; simultaneous equation method (method A), Q-absorbance ratio method (method B), and area under curve method (method C). λ_{max} of CIP & MET in distilled water were found to be 271 nm and 320 nm, respectively. The isoabsorptive point was observed at 290 nm. The linearity was obtained in the concentration range of 1-9 µg/ml, and 2-18 µg/ml for CIP and MET respectively by methods A, B & C. Validation parameters were carried out. All three methods were found to be linear, accurate, precise, and specific. Good results were achieved using distilled water as solvent due to its greater solubility, reproducible readings with maximum absorbance. Among the three methods, method C was found to be the most sensitive. Hence, this method can be recommended for the routine analysis of this drug combination.

INTRODUCTION: Ciprofloxacin (CIP) is chemically 1-cyclopropyl-6-fluoro-1, 4-dihydro-4-oxo-7-(1-piperazinyl)-3-quinoline carboxylic acid **Fig. 1**. It is a fluoroquinolone antibiotic useful for the treatment of various infections caused by Gram-positive, Gram-negative organisms and against *Mycobacterium tuberculosis*. The bactericidal action of CIP results from inhibition of the enzymes topisomerase 2 (DNA gyrase) and topisomerase 4, which are required for bacterial DNA replication, transcription repair, and recombination [1, 2]. Metronidazole (MET) is designated chemically as 2-(2-methyl-5-nitro-1*H*-imidazole-1-yl) ethan-1-ol **Fig. 2**.

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It is a prodrug unionized and the most useful antiprotozoal nitroimidazole derivative. It has been found to possess efficacy against obligate anaerobic bacteria due to their ability to intracellularly reduce MET to its active form, which then covalently binds to DNA, disrupts its helical structure, inhibiting the bacterial nucleic acid synthesis and results in bacterial cell death [3, 4].

A survey of literature has revealed several analytical methods for the determination of CIP in pharmaceutical dosage form and biological fluids, including spectrophotometry [5-9], spectrofluorimetry [10], HPLC [11-13], potentiometry [14], electrical micro-titration [15], and HPTLC [16]. CIP in admixtures with MET [17] and ampicillin has been determined by NMR [18]. HPLC methods either with fluorescence detection or coupled with mass spectrometry (LC/MS) for determination of CIP in human plasma [19, 20], and by SPE-UHPLC-PDA [21] have also been published. MET has been determined by several methods involving spectrophotometry [22]

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Original Article

EFFECT OF *MOMORDICA CHARANTIA* AND *SYZYGIUM CUMINI* EXTRACT ON SERUM ELECTROLYTES IN ALLOXAN INDUCED DIABETIC RATS

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ABSTRACT

Objective: Diabetes is a group of disorders characterized by high blood glucose levels. Disturbances in serum electrolytes like sodium (Na^+) and potassium (K^+) are found in diabetes. The purpose of the study was to investigate the disturbances in concentrations of serum electrolytes in hyperglycemic crisis and the effect of *syzygium cumini* and *momordica charantia* standardized aqueous extracts on serum electrolytes ($Na^+$and K^+) in normal and diabetic rats.

Methods: Diabetes is induced by intraperitoneal injection of alloxan at a dose of 120 mg/kg b. w in rats. Rats were divided into 5 groups (normal control, disease control, metformin, test 1 and test 2). In test groups 1 and 2, SASESC (standardized aqueous seed extract of *syzygium cumini*) and SAFEMC (standardized aqueous fruit extract of *momordica charantia*) were respectively administered orally to alloxan induced diabetic rats, and their serum electrolyte levels were observed at 1st, 4th, 7th and 14th days.

Results: By the 14thday, the Na^+ and K^+ levels in groups 4 and 5 were almost normal. However, in group 3 (standard), Na^+ levels were relatively lower and K^+ levels were relatively higher than groups 4 and 5 (test). In group 2 (disease control) as compared to group 1 (normal control), a decrease in Na^+ and increase in K^+ levels was observed even on day 14.

Conclusion: Treatment with anti diabetic drugs like metformin, *syzygium cumini* (test-1), *momordica charantia* (test-2) restored the electrolyte levels almost back to normal over a period of study (14 d). There was significant (**P<0.01, *P<0.05) normalization of electrolyte levels in diabetic rats. It was concluded that *syzygium cumini* and *momordica charantia* showed better efficiency in restoring the electrolyte imbalance as compared to metformin during our study.

Keywords: *Syzygium cumini, Momordica charantia,* Metformin, Diabetes, Electrolyte, Alloxan

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INTRODUCTION

Diabetes mellitus (DM) is a group of metabolic diseases characterized by high blood glucose level (hyperglycemia) resulting from defects in insulin secretion, insulin action, or both. The chronic hyperglycemia of diabetes is associated with long-term damage, dysfunction, and failure of various organs like the eyes, kidneys, nerves, heart, and blood vessels [1, 2]. Electrolytes are salts in the body that conduct electricity and are found in fluid, tissue and blood. A proper balance of electrolytes such as sodium (Na^+), potassium (K^+), calcium (Ca^{2+}), magnesium (Mg^{2+}) and others are essential for overall health. They have a pivotal role in the maintenance of homeostasis inside the body, regulation of heart and brain function, body fluid balance, ventilation, pH etc [3]. Deficiency or imbalance of electrolytes can lead to serious conditions. DM is amongst those diseases which show frequent disturbances of electrolytes and acid-base relations, especially in patients with deranged renal function and other end-organ injury, mal-absorption syndromes, acid-base imbalances and multiple drug regimens and medications for DM management. The knowledge and insight of the disease process and its management would create the way for 'pathophysiology-directed therapy', leading to prevention of the several adverse effects associated with acid-base and electrolyte disorders and their management [4-8].

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Research Article

NEW RP-HPLC METHOD FOR THE SIMULTANEOUS ESTIMATION OF PARACETAMOL AND TRAMADOL HYDROCHLORIDE IN BULK AND TABLET DOSAGE FORM

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ABSTRACT

The present work was focused on developing a new RP-HPLC method for the simultaneous estimation of paracetamol and tramadol hydrochloride in bulk and tablet dosage form and to validate it as per ICH and USP guidelines. The method involves use of water and acetonitrile in 9:1 ratio as mobile phase pumped at a rate of 1ml/min. The optimum wavelength selected for monitoring was 268nm. C_{18} column (4.6mm×250mm) of 5µ particle size was used as stationary phase. The method was finally validated, and parameters were reported. The system suitability parameters passed in which the asymmetric factors for Paracetamol and Tramadol were 1.54 and 1.09 respectively. Linearity ranges were found to be 20 to 100µg/ml with a correlation coefficient of 0.998. Accuracy studies reported a mean recovery of 98.7% for both the drugs. Faster retention times (1.1min and 4.1min) make the method simple and economic. Thus a validated and sensitive RP-HPLC method was developed for simultaneous estimation of Paracetamol and tramadol in bulk and tablet dosage form.

KEY WORDS: HPLC, Method, Paracetamol, Tramadol hydrochloride, Validation.

INTRODUCTION

Pain is an unpleasant sensation which can lead to distress and discomfort[1]. Pain can be acute or chronic. Drugs used to treat pain are called pain killers or analgesics. Paracetamol and Tramadol are commonly used analgesics. Paracetamol (Figure 1) is chemically N-(4-Hydroxyphenyl)ethanamide or N-(4-Hydroxyphenyl)acetamide. It is a cyclooxygenase-2 (Cox-2) inhibitor and it is used to treat fever and pain. Tramadol (Figure 2) is chemically trans-2-(Dimethylaminomethyl)-1-(m-methoxyphenyl)cyclohexanol. It is an Opioid receptor agonist, 5-HT inhibitor and it is used to treat mild to severe pain, depression. Both paracetamol and tramadol are practically freely soluble in water and methanol[2,3].

Literature survey reveals that much work is documented on the chromatographic (HPLC & HPTLC) estimation of these two drugs in combined pharmaceutical dosage forms[4-17]. However, they are tedious, time consuming and costly. Hence there is a need for the development of a relatively simple, precise, accurate, reproducible and cost effective HPLC method for the estimation of paracetamol and tramadol in tablets and to validate the developed method as per ICH and USP guidelines.

MATERIALS AND METHODS

Instrumentation

The analysis was carried out on a HPLC system (SPINCO BIOTECH) equipped with UV detector. Other apparatus and instruments used were electronic balance (Keroy). Digital pH meter (Systronics). Magnetic stirrer (Remi). Millipore (Direct Q UV3). Ultra sonicator (Pci). Micro pipette (Physio care). Membrane filters (Sartorius). UV- Spectro photometer (Shimadzu UV 1800) (Toshvin). Pipettes and volumetric flasks (Borosil). All instruments and glass-wares were calibrated

Materials

API of Paracetamol was obtained from MSN labs and Tramadol hydrochloride was obtained from NEQ Pvt. Ltd. Tablets (ULTRACET) were purchased from Local market. All chemicals and reagents used were of AR grade.

Chromatographic Conditions

The mobile phase consisted of water and acetonitrile. The chromatograph was operated in the isocratic mode starting at a mobile phase of water: acetonitrile (90:10 v/v). Eluent was delivered at a flow rate of 1 mL/min. Absorbance was monitored at 268 nm.

Preparation of Mobile Phase

Mix 90ml water and 10ml acetonitrile and degas in ultrasonic water bath for 15 minutes. Filter through 0.2 µ filter under vacuum filtration before injection.

Standard Solution preparation

Accurately weigh and transfer 20 mg each of paracetamol and tramadol hydrochloride standard drugs into a 10ml clean dry volumetric flask, add about 7ml of methanol and sonicate to dissolve it completely and make up the volume to the mark with methanol. From this stock solution, aliquots were transferred in

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Design, Synthesis and Pharmacological Evaluation of New Thiazole Derivatives as Anthelmintic Agents

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ABSTRACT

Pharmacological agents that kills parasites are essential drugs in some tropical countries. In this study, a series of 2-amino substituted 4-phenyl thiazole derivatives (4a-e) have been synthesized by the conventional method. The thiazole derivatives were synthesized by three steps. The obtained five derivatives were purified by recrystallization using methanol as a solvent or column chromatography. They were characterized by melting point, TLC, FTIR, ^{1}H NMR and MASS spectral data. Compounds 4a-e were evaluated *in silico* by using different software's (Lipinski's Rule of 5, OSIRIS molecular property explorer, Molsoft molecular property explorer, and PASS & docking studies). These compounds were then evaluated for their possible anthelmintic activity against Indian adult earth worms (*Pheritima postuma*). All the compounds displayed significant anthelmintic activity. Compound 4c and 4e were more potent compounds when compared with the standard drug (mebendazole). Molecular docking studies guided and proved the biological activity against beta tubulin protein (1OJ0). In conclusions, these new molecules have promising potential as anthelmintic for treatment of parasites.

KEYWORDS: Anthelmintic ativity; *Pheritima postuma*; Molecular docking; Thiazole derivatives; β-tubulin protein.

Introduction

Helminthes infections are one of the world's ancient health problems in humans and domestic animals. Many of the characteristic clinical features of these infections can be identified from the ancient writings of Hippocrates, Egyptian medical papyri, and the Bible. During the last few decades, several reports of failures in the treatment of human helminthes have been published and are suspected for anthelmintic resistance (AR). AR is the most important obstacle in chemotherapy faced by sheep-farming industry in Australia and South Africa. It is also widely prevalent in helminthes of veterinary importance. Helminthes are resistant to all available broad spectrum of anthelmintics (Himaja *et al.*, 2012; Lunkad and Kothawade, 2013; Munirajasekar *et al.*, 2011; Sathe *et al.*, 2011; Sreenivasa *et al.*, 2009).

Thiazole is a five-membered heterocyclic ring with nitrogen and sulfur atoms. It is a 1, 3-azole which is found to have various pharmacological activities like Anticancer (Gomha *et al.*, 2017), Antibacterial (Seema and Satya 2017), Antifungal & Anti-convulsant (Krizysztof *et al.*, 2018), Anti-inflammatory, Analgesic (Bhosale *et al.*, 2012) and Anthelmintic (Himaja *et al.*, 2012) activities. Considering the fact of AR, its severe threat and potential anthelmintic activity of thiazole derivatives, here in an attempt was made to design, synthesize, and evaluate some new thiazole derivatives as anthelmintic drugs.

Materials and Methods

Chemicals used for the synthetic work were 4-methyl acetophenone, bromine, hydrobromic acid, glacial acetic acid, thiourea, thionyl chloride, acetonitrile, acetyl chloride, chloro acetic acid, ethyl chloro formate, 4-chloro aniline, benzoyl chloride.

All the reactions were performed in dried borosil glass beakers, round bottomed flasks, conical flasks. Precoated silica gel plates (MERCK) were used for TLC to monitor progress of the reaction. Compounds melting points were determined by capillary method and are uncorrected. JASCO UV chamber was used for detection of spots in TLC. IR spectra were recorded on BRUKER FTIR spectrometer. ^{1}H NMR spectra were recorded on BRUKER-400MHZ spectrometer using DMSO as solvent. The chemical shift data were expressed as values relative to TMS in ppm. Mass spectra were recorded on a 70eV GC-MS Shimadzu instrument. Elemental analyses (C, H, and N) of the compounds were obtained from Perkin-Elmer 240B analyzer and were within ± 0.4%of the theoretical values.

Experimental

In Silico Screening

Lipinski's rule of 5 filtration

The files were inserted in *.pdb, *.mol, *.mol2, *.xyz, *.sdf, or .smile formats. Care was taken to avoid

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KEYWORDS: Anthelmintic ativity; *Pherituma posthuma*; Molecular docking; Thiazole derivatives; β-tubulin protein.

Introduction

Helminthes infections are one of the world's ancient health problems in humans and domestic animals. Many of the characteristic clinical features of these infections can be identified from the ancient writings of Hippocrates, Egyptian medical papyri, and the Bible. During the last few decades, several reports of failures in the treatment of human helminthes have been published and are suspected for anthelmintic resistance (AR). AR is the most important obstacle in chemotherapy faced by sheep-farming industry in Australia and South Africa. It is also widely prevalent in helminthes of veterinary importance. Helminthes are resistant to all available broad spectrum of anthelmintics (Himaja *et al.*, 2012; Lunkad and Kothawade, 2013; Munirajasekar *et al.*, 2011; Sathe *et al.*, 2011; Sreenivasa *et al.*, 2009).

Thiazole is a five-membered heterocyclic ring with nitrogen and sulfur atoms. It is a 1, 3-azole which is found to have various pharmacological activities like Anticancer (Gomha *et al.*, 2017), Antibacterial (Seema and Satya 2017), Antifungal & Anti-convulsant (Krizysztof *et al.*, 2018), Anti-inflammatory, Analgesic (Bhosale *et al.*, 2012) and Anthelmintic (Himaja *et al.*, 2012) activities. Considering the fact of AR, its severe threat and potential anthelmintic activity of thiazole derivatives, here in an attempt was made to design, synthesize, and evaluate some new thiazole derivatives as anthelmintic drugs.

Materials and Methods

Chemicals used for the synthetic work were 4-methyl acetophenone, bromine, hydrobromic acid, glacial acetic acid, thiourea, thionyl chloride, acetonitrile, acetyl chloride, chloro acetic acid, ethyl chloro formate, 4-chloro aniline, benzoyl chloride.

All the reactions were performed in dried borosil glass beakers, round bottomed flasks, conical flasks. Precoated silica gel plates (MERCK) were used for TLC to monitor progress of the reaction. Compounds melting points were determined by capillary method and are uncorrected. JASCO UV chamber was used for detection of spots in TLC. IR spectra were recorded on BRUKER FTIR spectrometer. ^{1}H NMR spectra were recorded on BRUKER-400MHZ spectrometer using DMSO as solvent. The chemical shift data were expressed as values relative to TMS in ppm. Mass spectra were recorded on a 70eV GC-MS Shimadzu instrument. Elemental analyses (C, H, and N) of the compounds were obtained from Perkin-Elmer 240B analyzer and were within ± 0.4%of the theoretical values.

Experimental

In Silico Screening

Lipinski's rule of 5 filtration

The files were inserted in *.pdb, *.mol, *.mol2, *.xyz, *.sdf, or .smile formats. Care was taken to avoid

Regular Article

Design, Synthesis and Pharmacological Evaluation of Some C_3 Heterocyclic-Substituted Ciprofloxacin Derivatives as Chimeric Antitubercular Agents[1)]

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A series of new C_3 heterocyclic-substituted ciprofloxacin derivatives were prepared from ciprofloxacin acid hydrazide as possible chimeric molecules. They were evaluated for their possible *in vitro* antibacterial (agar cup/bore diffusion method) and antitubercular (Lowenstein–Jensen (LJ) slant method) activities. The results indicated that all the test compounds are highly effective against all the bacterial strains and have shown excellent anti-tubercular activity against normal, multidrug resistant and extensively drug resistant strains of *Mycobacterium tuberculosis*. They were found to be more potent antibacterial and antitubercular agents than the standard, ciprofloxacin. The minimum inhibitory concentration (MIC)'s of all the compounds against *M. tuberculosis* were found to be 0.0625 μg/mL as compared to ciprofloxacin (MIC = 2 to > 8 μg/mL). Molecular docking studies were performed by using AUTODOCK 4.2 on the new ciprofloxacin derivatives at the active site of crystal structure of fluoroquinolones target enzyme Mtb DNA gyrase GyrA N-terminal domain (PDB ID: 3ILW) and also on the active site of crystal structure of chosen heterocyclics target enzyme enoyl-acyl carrier protein (ACP) reductase enzyme (PDB ID: 4TZK). Interestingly, almost all the compounds have shown relatively greater binding affinity at both the active sites than ciprofloxacin. Compound 6 exhibited the highest affinity for 3ILW and 4TZK.

Key words chimeric; ciprofloxacin; fluoroquinolone; antitubercular activity; antibacterial activity

Introduction

Bacteria represent an outsized domain or kingdom of prokaryotic microorganisms. Pathogenic bacteria cause severe infectious diseases, widely prevalent throughout the world. One of the bacterial diseases with highest disease burden is tuberculosis (TB), caused by the bacterium *Mycobacterium tuberculosis* (Mtb), which kills about 2 million people a year. TB is a chronic infection and its condition is worsened by the existence of multidrug resistant tuberculosis (MDR-TB) and extensively drug resistant tuberculosis (XDR-TB) strains. In view of such a devastating nature of the disease, WHO had declared Tuberculosis (TB) as a "Global Health Emergency." This particular disease is also known to be one of the most severe health problems as it causes not only 'morbidity' leading to loss of human work hours which is detrimental to National Economy, but also culminates in 'mortality.'[2)]

Fluoroquinolones are the major class of antibiotics useful for the treatment of tuberculosis. They act mainly by DNA gyrase and topoisomerase IV inhibition.[3)] Isatin is an endogenous indole found in mammalian brain, peripheral tissues, and body fluids. Heterocyclic moieties like isatin, phthalimide and 1,3,4-oxadiazole are also reported to possess antibacterial and antitubercular activities.[4–6)] They act by inhibiting the enzyme enoyl-ACP reductase.[7–9)]

Ciprofloxacin is one of the widely used fluoroquinolones that exhibits potent *in vitro* and *in vivo* antimycobacterial activity. Fluoroquinolones are also found to be active against diverse types of bacteria, including *Staphylococcus (S.) aureus, S. epidermis, Bacillus (B.) subtilis, Escherichia (E.) coli* and Mtb, at concentrations less than 1 μg/mL. Fluoroquinolones are therapeutically advantageous because of their extended antimicrobial activity, lack of plasmid-mediated resistance, large volume of distribution (or greater amount of tissue distribution) and minimal adverse effects.[10)]

In view of this, the area of fluoroquinolones has experienced an exponential growth over the last few decades and is still being pursued with more vigor to make available better drugs having multifunctional action.[11)] Chimeric drugs, a broad class of 'Multi-functional compounds' are the single entity molecules that constitute two or more pharmacophoric groups representing different mechanisms of action. They possess advantages such as reduced molecularity, improved pharmacokinetics and pharmacodynamics, devoid of drug–drug interactions *etc.*[12–14)] They are known to produce response by interacting with respective receptors of constituent pharmacophores, thus restoring the efficacy of individual drugs they represent. In this context, chemotherapy is the prime area of attention, hence the emergence of chimeric antibiotics to provide most effective multimechanistic, multimodal, multipotential molecules to treat more effectively the diseases like tuberculosis. Till date there are not many reports on chimeric fluoroquinolones.[15,16)] Hence in continuation of our works on developing anti-tuberculosis agents,[17–19)] now it is felt worthwhile to make an attempt to bring some potential

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Synthesis and anticonvulsant activity of some 1,4-dihydropyridine derivatives

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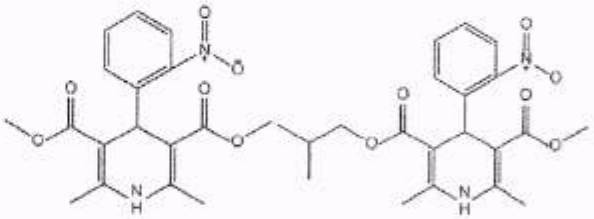
A series of asymmetrical **4**-alkyl/aryl-2,6-dimethyl-3-N-(aryl/heteroaryl)-carbamoyl-5-ethoxycarbonyl-1, 4-dihydropyridines **3a-d** and symmetrical 4-alkyl/aryl-2,6-dimethyl-3,5-bis-(ethoxycarbonyl)-1,4-dihydropyridines **4a** and **4b** have been prepared by the condensation of various benzaldehydes, ethylacetoacetate, 2-aminopyridine or *p*-toludine in ethanol (Hantzch method). The structures of all the synthesized 1,4-dihydropyridine derivatives have been confirmed by spectral data (IR, ^{1}H NMR) and elemental analysis. Compounds **3a-c**, **4a** and **4b** (10 mg/kg) have been evaluated for their anticonvulsant effect against pentylenetetrazole- induced convulsions with phenytoin (4 mg/kg) as the standard. The anticonvulsant potential of the newly synthesized compounds have been assessed on the basis of increase in latency (onset time) to induce convulsions; decrease in number of convulsions and increase in latency of death compared to control and standard.

Keywords: 1,4-Dihydropyridine, Hantzsch method, pentylenetetrazole, anticonvulsant, synthesis

Convulsion is where the body muscles contract and unwind quickly and over and again, bringing about a wild shaking of the body[1]. In 1950's Bromide was introduced as first true antiepileptic drug (AED). The usage of Bromide has decreased in twentieth century when Phenobarbitone was accidentally discovered to be effective in suppressing seizures. Due to the side effects, toxicity and teratogenic effects of current antiepileptic drugs in the treatment of epilepsy, calcium channel blockers as antiepileptic agents have recently been considered[2]. There are considerable evidences that calcium is an important factor for the induction of epilepsy. Specifically, interesting seizure-instigating administrators or frameworks cause a quick intraneuronal union of calcium particles[3]. In particular, unique seizure-inciting operators or systems cause a fast intraneuronal convergence of calcium particles, which is easily identified with the ensuing epileptiform movement[4]. Conversely, calcium channel inhibitors (1,4-dihydopyridines) are effective against the whole range of convulsive procedures including electro, pentylene tetrazole, sound and pressure-induced seizures. Nifedipine and other dihydropyridine derivatives such as nimodipine, nitradipine, and nisoldipine (Figure 1) are potent blockers of the calcium channels of smooth muscles and also bind with high affinity to the brain membranes, hence can be employed as antiepileptic agents[5-8]. Considering the anticonvulsant potential of 1,4-dihydropyridines and in continuation to our work[9-14] on this scaffold herein we report the synthesis and anticonvulsant activity of 4-alky/aryl-2,6-dimethyl-3-N-(aryl/heteroaryl)-carbomo yl-5-ethoxycarbonyl-1,4-dihydropyridines **3a-d** and 4-alkyl/aryl-2,6-dimethyl-3,5-bis-(ethoxycarbonyl)-1,4-dihydropyridines **4a** and **4b** (Scheme I).

Results and Discussion

N-(aryl/heteroaryl)acetoacetamide **2** was synthesized from the reaction of *p*-toludine/2- aminopyridine and ethylacetoacetate **1** using conventional and microwave irradiation methods. In both the methods there was an increase in yield with increase in concentration of ethylacetoacetate up to 1:1.8 (*p*-toludine/ 2-aminopyridine: ethylacetoacetate), beyond which it decreased. Hence this ratio where highest yield was



Nifedipine Nisoldipine

Figure 1 — Potent calcium channel blockers

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Synthesis, characterization and evaluation of new thiazole derivatives as anthelmintic agents

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A series of 2-amino substituted 4-phenyl thiazole derivatives has been synthesized by the conventional method. The thiazole derivatives have been synthesized by three steps. The obtained five derivatives have been purified by recrystallization process by using methanol as solvent and column chromatography [IVd Compound] and have been characterized by melting point, TLC, FTIR, ^{1}H NMR and mass spectral data. All the five derivatives have been evaluated using *in silico* studies by using different softwares (Lipinski's Rule of 5, OSIRIS molecular property explorer, Molsoft molecular property explorer, PASS and docking studies). These compounds have then been evaluated for anthelmintic activity against Indian adult earth worms (*Pheretima postuma*). All the compounds show significant anthelmintic activity. The compound IVc and IVe are shown to be potent compounds when compared with the standard drug (Mebendazole). Molecular docking studies have guided and prove the biological activity of the sythesised compounds against beta tubulin protein (1OJ0).

Keywords: Anthelmintic activity, *Pheretima postuma*, molecular docking, thiazole derivatives, β-tubulin protein

Helminthic infections are one of the World's long standing health problems in humans and domestic animals. We can recognize many of the characteristic clinical features of helminthes infections from the ancient writings of Hippocrates, Egyptian medical papyri, and the Bible. In recent past, several reports of failures in the treatment of human helminthes have been published and suspected for anthelmintic resistance (AR). AR is the most important disease problem faced by sheep-farming industry in Australia, South Africa. Even multiple-drug resistance is not uncommon in helminthes of veterinary importance. Helminthes are resistant to all available broad spectrum anthelmintics[1-5]. Considering the fact of AR, its potential threat and potential anthelmintic activity of thiazole derivatives, it was planned to synthesize new thiazole derivatives as anthelmintic drugs.

Thiazole is a five-membered heterocyclic ring with nitrogen and sulfur atom. Thiazole and related compounds are called 1,3-azoles (nitrogen and one other heteroatom in a five- membered ring). They are isomeric with the 1,2-azoles, containing nitrogen and sulfur atoms called isothiazole. Thiazole itself is a clear to pale yellow liquid with a boiling point of 116-118°C. Its specific gravity is 1.2 and it is sparingly soluble in water. It is soluble in alcohol and ether[6]. Thiazole is an aromatic ring on the basis of delocalization of a lone pair of electrons from the sulfur atom. The resonance forms of thiazole are shown in Scheme I. The thiazoles synthesized by using different techniques are from haloketones using halogen and thiourea[7], using NBS and thiourea[8], using oxidizing agent[9], using formamide disulfide dihydrobromide[10], from α-haloketones[11] (Scheme I).

Experimental Section

Chemicals used for the synthetic work were 4-methyl acetophenone, Bromine (Br_2), hydrobromic acid (HBr), glacial acetic acid, thiourea, thionyl chloride ($SOCl_2$), acetonitrile, acetyl chloride, chloro acetic acid, ethyl chloro formate, 4-chloro aniline, benzoyl chloride.

All the reactions were performed in the dried Borosil glass beakers, round bottomed flasks, conical flasks. Precoated silica gel plates (Merck) were used for TLC to monitor progress of the reaction. Compounds melting points were determined by capillary method and are uncorrected. JASCO UV chamber was used for detection of spots in TLC. IR spectra were recorded on Bruker FTIR spectrometer. ^{1}H NMR spectra were recorded on Bruker-400MHz spectrometer using DMSO-d_6 as solvent. The chemical shift data were expressed as values relative to TMS in δ (ppm).

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Synthesis, characterization and evaluation of thiopyrimidine derivatives as possible antimicrobial agents

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A series of new thiopyrimidine derivatives have been synthesized *via* the reaction of Chalcones **3a-c** with thiourea to give the corresponding pyrimidine thiones **4a-c**. S-alkylation of pyrimidine thiones have resulted in novel 4,6-diaryl-2-alkyl thiopyrimidine **5a-i** derivatives. Molecular properties like number of hydrogen bond acceptors, number of hydrogen bond donors, volume, polar surface area, molar refractivity, number of rotatable bonds and drug likeness for synthesized compounds have been predicted by using different softwares such as Molinspiration, Molsoft and Chemsketch. The newly synthesized 4,6-diaryl-2-alkyl thiopyrimidine derivatives **5a-i** have been evaluated for their possible anti-microbial activity. Compounds **5b**, **5d** and **5e** have revealed significant activity against *E. coli*, *P. aerugenosa* (Gram +ve) and *B. subtilis*, *S. aureus* (Gram −ve) species while compounds **5a**, **5c**, **5f-i** are moderately active as compared to the standard drug Ciprofloxacin. Compounds **5c** and **5g** show potent anti-fungal activity against *Penicillium* species amongst the series in comparison to the standard Fluconazole.

Keywords: Chalcone, thiopyrimidine, S-alkylation, molecular properties, anti-microbial

Pyrimidine is one of the most important heterocycles exhibiting remarkable pharmacological activities. It contains two nitrogen atoms at positions 1 and 3 of the six-membered ring exhibiting a wide range of biological activities. Numerous methods for the synthesis of pyrimidine offer enormous scope in the field of medicinal chemistry[1,2]. Condensed pyrimidine derivatives have been reported as anti-microbial, analgesic, anti-viral, anti -inflammatory, anti- HIV, anti-tubercular, anti-tumor, anti-neoplastic, anti-malarial, diuretic, cardiovascular agents and hypnotic drugs for the nervous system, calcium-sensing receptor antagonists, adenosine receptor antagonists, *etc.*[3] Thiopyrimidines (Figure 1) are broadly found in bioorganic and medicinal chemistry with applications in drug discovery and developments[4]. They are reported to possess broad spectrum of biological activities such as antibacterial, fungicidal, insecticidal, antihypertensive, tranquilizing, analgesic, antidiabetic, anticancer, *etc.*[5,6] Recent reports revealed thiopyrimidine derivatives as platelet aggregation inhibitors and as selective inhibitors of CDK2 transferase[7].

Thus, in view of their biological potential and to produce new molecules to combat the problem of drug resistance in microbial infections, some new thiopyrimidine derivatives have been designed in the present work based on our earlier studies on thiopyrimidines[8]. Herein, we report the synthesis and antimicrobial activity of some 4,6-diaryl-2-alkyl thiopyrimidines **5a-i**.

Results and Discussion

Chemistry

α,β-Unsaturated ketones (chalcones) **3a-c** have been prepared according to crossed aldol condensation by condensing aromatic/heteroaromatic methyl ketone **1** with different aromatic/heteroaromatic aldehydes **2** in dilute ethanolic sodium hydroxide solution at RT. Reaction of appropriate chalcones **3a-c** with thiourea and sodium hydroxide in ethanol produced thiopyrimidines **4a-c**. S-alkylation of thiopyrimidines **4a-c** using appropriate alkyl halides in presence of ethanolic sodium hydroxide solution *via* nucleophilic substitution reaction afforded 4,6-diaryl-2-alkyl thiopyrimidines **5a-i** (Scheme I).

Molecular Properties Prediction

Various molecular properties for synthesized compounds were predicted by using different softwares such as Molinspiration, Molsoft and Chemsketch[9].

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Design, Synthesis and Pharmacological Evaluation of New Thiazole Derivatives as Anthelmintic Agents

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ABSTRACT

Pharmacological agents that kills parasites are essential drugs in some tropical countries. In this study, a series of 2-amino substituted 4-phenyl thiazole derivatives (4a-e) have been synthesized by the conventional method. The thiazole derivatives were synthesized by three steps. The obtained five derivatives were purified by recrystallization using methanol as a solvent or column chromatography. They were characterized by melting point, TLC, FTIR, ^{1}H NMR and MASS spectral data. Compounds 4a-e were evaluated *in silico* by using different software's (Lipinski's Rule of 5, OSIRIS molecular property explorer, Molsoft molecular property explorer, and PASS & docking studies). These compounds were then evaluated for their possible anthelmintic activity against Indian adult earth worms (*Pheritima postuma*). All the compounds displayed significant anthelmintic activity. Compound 4c and 4e were more potent compounds when compared with the standard drug (mebendazole). Molecular docking studies guided and proved the biological activity against beta tubulin protein (1OJ0). In conclusions, these new molecules have promising potential as anthelmintic for treatment of parasites.

KEYWORDS: Anthelmintic ativity; *Pheritima postuma*; Molecular docking; Thiazole derivatives; β-tubulin protein.

Introduction

Helminthes infections are one of the world's ancient health problems in humans and domestic animals. Many of the characteristic clinical features of these infections can be identified from the ancient writings of Hippocrates, Egyptian medical papyri, and the Bible. During the last few decades, several reports of failures in the treatment of human helminthes have been published and are suspected for anthelmintic resistance (AR). AR is the most important obstacle in chemotherapy faced by sheep-farming industry in Australia and South Africa. It is also widely prevalent in helminthes of veterinary importance. Helminthes are resistant to all available broad spectrum of anthelmintics (Himaja *et al.*, 2012; Lunkad and Kothawade, 2013; Munirajasekar *et al.*, 2011; Sathe *et al.*, 2011; Sreenivasa *et al.*, 2009).

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