LIST OF TEN BEST PAPERS OF THE CANDIDATE, HIGHLIGHTING THE IMPORTANT DISCOVERIES/CONTRIBUTIONS

1. **Sachin S. Gaikwad**, Rohini D. Avhad, Ramesh S. Kalkotwar. "Formulation, Development and In vitro Characterization of Modified Release Tablets of Capecitabine" Drug Dev Ind Pharm, 46:1, 20-30 @ 2020 ***Taylor & Francis (USA)***
DOI: 10.1080/03639045.2019.1698595. **[Impact Factor: 3.225]**

Objective: The main aim of this research work was to develop and evaluate cost effective modified release tablets of Capecitabine (CAP) without utilizing coating techniques.
Methods: The tablets were prepared by non-aqueous wet granulation method. Hydroxypropyl cellulose (HPC) was used as an extended release matrix former and sodium alginate (SA) was used as sustained release agent due to its gel forming ability. 3^2 full factorial design was used to study the effect of the independent variables i.e. HPC and SA on dependent variables, in vitro drug release and swelling index. The physiochemical properties of the drug were analyzed by ultraviolet (UV), fourier transform infrared spectroscopy (FTIR), differential scanning calorimetry (DSC) and powder X-ray diffraction (P-XRD). The formulated tablets were evaluated for hardness, thickness, weight variation, content uniformity, swelling index, and in vitro drug release study.
Results: The FTIR and DSC studies confirmed that there was no any interaction between drug, polymers and excipients. Also from DSC and P-XRD studies it was clear that the crystalline nature of CAP was remain unchanged in the optimized formulation tablet. Formulation F8 retarded the drug release up to 24 h with the optimum concentration of the both the polymers.
Conclusion: We have successfully developed the modified release tablets of CAP with the combination of diffusion and erosion controlled type of drug release mechanism.

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2. Sachin S. Gaikwad, Sanjay J. Kshirsagar. "Review on Tablet in Tablet Techniques" Beni-Suef University Journal of Basic and Applied Sciences, 2020, 9 (1), 1-7. @ ***Springer Nature (UK).*** DOI: 10.1186/s43088-019-0027-7

Background: Among all available dosage form, tablet is most widely used because of its stability and patient acceptability. The better aesthetic quality like color, texture, mouth feel, and taste masking depended on film and sugar coatings, so the coating is an important part in the formulation of the tablet. The present work aims to comprehensively review the formulation, characterization, and challenges in the development of Tablet in Tablet dosage form.
Main text: Film and sugar coatings have the number of disadvantages; most important one is the utilization of aqueous or organic solvent that leads to toxicity. To overcome this problem in the year 1896, Noyes firstly introduced the compression coating or Tablet in Tablet technique. In the development of Tablet in Tablet dosage form, substantial attention among researchers and

various research reports and patents inputs can be found in the literature. Also, we focused on the recent advancements in techniques like one-step dry-coating (OSDrC®) for manufacturing Tablet in Tablet dosage form.

Conclusion: The current review gathered information on the latest patent, formulation, advantages, and disadvantages of Tablet in Tablet or compression coating. The review also elaborates on the importance of Tablet in Tablet techniques in the development of a modified release system.

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3. Kuldeep H. Ramteke, **Sachin S. Gaikwad**. "Design, Development and Optimization of Glibenclamide Sustained Release Matrix Tablet by Using Natural Polymers" Current Applied Polymer Science, 2019, 3 (3), 197-211 ***@ Bentham Science.***

DOI: 10.2174/2452271603666191104151057

Background: Tablets being the conventional dosage forms can be modified for providing the desired therapeutic effect to the patients. The network of matrix in the tablet allows the drug release to be slowed down considerably.

Objective: The prime objective of the study was to formulate sustained release glibenclamide matrix tablets using locust bean gum and karaya gum as a matrix polymer.

Methods: Tablets were formulated by optimization using 3^2 factorial designs by direct compression method using different drug: polymer concentrations. The dependent variables selected were % cumulative drug release (Y1) and % drug content (Y2). The independent variables are the amount of locust bean gum (X1) and karayagum (X2). Drug-polymer compatibility studies were confirmed by FTIR and DSC. The pre-compression properties of powder were assessed indicating a good flow property. The evaluation results of the tablets were found to be within the Indian Pharmacopoeial limit. In this work, the effect of diluents type and polymer type was studied on the drug release with its increase in concentration.

Results: All the formulations showed retarded drug release as the concentration of the polymer was increased. Formulation F8 was selected as the best-optimized formulation with about 100.56% drug release within 12 h. Release kinetics was carried out and it was found to be zero-order release and from assay, drug content was found to be in limits.

Conclusion: ANOVA analysis indicated that the studied variables affected the response variables significantly. The optimized formulation was stable. Hence, it is concluded that the Glibenclamide sustained release matrix tablet containing natural polymers were successfully formulated by using 3^2 factorial design.

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4. Sachin S. Gaikwad, Monika N. Madibone, Vikrant K. Nikam. "A Review on: Sublingual Route is Most Promising Choice in an Emergency" Applied Clinical Research, Clinical Trials & Regulatory Affairs, 2018, 5(3), 200-215. **© *Bentham Science.***

DOI: 10.2174/2213476X05666180413160420.3

Sublingual route increases the efficacy of the drug by dissolving in few seconds in the oral cavity. Being a fast dissolving tablet the sublingual tablet is mostly acceptable in an emergency because it does not require chewing as well as water for administration. An important advantage of the sublingual route is that it bypasses the hepatic circulation of the drug ultimately increasing the bioavailability of the drug with rapid onset of action and patient compliance. It is a very suitable route of administration especially for pediatric, geriatric and psychiatric patients having difficulty in swallowing (dysphagia). Sublingual route is more permeable as compared to buccal and gingival route due to the rapid onset of action of the drug when administered by sublingual route. In the developing countries vaccination for the prohibition of various diseases is routinely done so sublingual route is the most preferable because of the large surface area and immunological competence. Mucosal tissues are attractive administration and target sites for vaccination. This review focused on the different sublingual dosage forms, advantages, and factors affecting sublingual absorption, methods of preparation and various in vitro and in vivo evaluation parameters of the sublingual tablet.

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5. Sachin S. Gaikwad, Amol A. Jadhav, Mangesh K. Chavan, Kishor S. Salunkhe, Kuldeep H. Ramteke & Sanjay R. Chaudhari. " Design and In Vitro Evaluations of Sublingual Tablet of Timolol Maleate". Applied Clinical Research, Clinical Trials & Regulatory Affairs, 2016, 3, 56-63, © ***Bentham Science.***
DOI: 10.2174/2213476X03666160308202108

Objective: The aim of the present study was to formulate fast disintegrating sublingual tablet of Timolol maleate (TM) for the potential emergency treatment of hypertension and also its potential to circumvent the first-pass metabolism and to improve its bioavailability. The demand of fast disintegrating sublingual tablet has been growing mainly for geriatric because of potential emergency treatment.
Methods: The tablets were prepared by direct compression method by incorporation of two disintegrants Ac-di-sol and sodium starch glycolate (SSG). Importance behind the incorporation of super-disintegrant was to break the tablet in less time period which imparts release of drug. To study the effect of independent variables (Ac-di-sol and SSG) on disintegration time and in vitro drug release a 3^2 factorial design was utilized. Spectroscopic techniques like Ultraviolet (UV) and Fourier transform infrared spectroscopy (FTIR) were utilized for study physiochemical properties of drug. The formulations were evaluated for crushing strength, weight variation, thickness, friability, drug content, wetting time, In-vitro disintegration time, In-vitro dissolution study.
Results: FTIR studies showed no evidence of interactions between drug and excipients. Formulation (F4) was compared with rest of the formulations for disintegration time, wetting time, % drug release, content uniformity which were found to be superior to others. The Disintegration time of all nine formulations was lies between 31 ± 1.732 s to 127 ± 8.718 s. Except the formulation (F1) and formulation (F9) all remaining formulations showed diffusional

exponent (n) values of peppas model were lies between 0.624 - 0.9333 means that these formulations followed anomalous transport for release of drug which is a combination of diffusion and erosion.
Conclusion: It was concluded that combination of super - disintegrants (Ac-di-sol: SSG in 3:4) showed significant ($p < 0.001$) disintegrating time, wetting time and water absorption ratio than the rest of the formulations. We successfully developed the sublingual tablet of TM with achieving desired objective.

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6. Sachin S. Gaikwad, Shital K. Thombre, Yogesh K. Kale, Sheetal B. Gondkar, and Avinash B. Darekar."Design and In Vitro Characterization of Buccoadhesive Tablets of Timolol Maleate". Drug Dev Ind Pharm, 2014, 40(05), 680–690, ***@ Informa Healthcare USA & Taylor & Francis***
DOI: 10.3109/03639045.2014.892955. **[Impact Factor: 2.367]**

Objective: The purpose of this work was to develop and evaluate buccoadhesive tablets of timolol maleate (TM) due to its potential to circumvent the first-pass metabolism and to improve its bioavailability.
Methods: The tablets were prepared by direct compression using two release modifying polymers, Carbopol 974P (Cp-974p) and sodium alginate (SA). A 3^2 full factorial design was employed to study the effect of independent variables, Cp-974p and SA, in various proportions in percent w/w, which influences the in vitro drug release and bioadhesive strengths. Physicochemical properties of the drug were evaluated by ultraviolet, Fourier transform infrared spectroscopy (FTIR), differential scanning calorimetry (DSC) and powder X-ray diffraction (P-XRD). Tablets were evaluated for hardness, thickness, weight variation, drug content, surface pH, swelling index, bioadhesive force and in vitro drug release.
Results: The FTIR and DSC studies showed no evidence of interactions between drug, polymers and excipients. The P-XRD study revealed that crystallinity of TM remain unchanged in optimized formulation tablet. Formulation F9 achieves an in vitro drug release of 98.967% ± 0.28 at 8 h and a bioadhesive force of 0.088 N ± 0.01211.
Conclusion: We successfully developed buccal tablet formulations of TM and describe a non-Fickian-type anomalous transport as the release mechanism.

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7. Shital K. Thombre, **Sachin S. Gaikwad**,. "Design and development of mucoadhesive buccal delivery for Pantoprazole with stability enhancement In human saliva". Int J Pharm Pharm Sci, Vol 5, Suppl 2, 122-127. **[Impact Factor: 1.59]**

Objective: Pantoprazole undergoes hepatic first pass metabolism, hence it shows poor bioavailability. Stability of Pantoprazole in human saliva was improved using magnesium oxide due to its strong waterproofing effect. In present study attempt has been done to improve the

bioavailability by formulating mucoadhesive buccal tablet as well as to improve stability of tablet in human saliva.

Methods: Nine formulations were developed with varying concentrations of polymers like Sodium alginate and HPMC. To determine the effect of selected excipients on the release of pantoprazole a full factorial design 3^2 was setup.

Results: The formulations were evaluated for weight variation, hardness, surface pH, drug content uniformity, swelling index, and bioadhesive strength and in-vitro drug dissolution study. FTIR studies showed no evidence of interactions between drug and excipients. The maximum in-vitro drug release profile was achieved with the formulation F6 which contains the drug, Sodium alginate and HPMC K4M in the (20/17/8) mg respectively. The surface pH, bioadhesive strength and drug content of formulation F6 was found to be 7.1, 27.9, and 98.0 % respectively. The formulation F6 exhibited sustained drug release i.e. 98.009 % in 6 h and 80.12 % drug diffusion in 8 h through the sheep buccal mucosa. The in vitro release kinetics studies reveal that formulations fit well with zero order kinetics and mechanism of drug release is non-Fickian diffusion.

Conclusion: It is concluded that magnesium oxide stabilize the pantoprazole buccal tablet in human saliva for at least 6 h and also improves oral bioavailability.

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8. MP Sonawane , **SS Gaikwad**, DV Derle."Formulation, Optimization and Evaluation of pH Dependent Colon Targeted Drug Delivery System of Tizanidine Hydrochloride"Inventi Rapid: Pharm Tech,2013(1):1-7.

The aim of the present investigation is to develop a time and pH-dependent system for colon specific drug delivery of Tizanidine Hydrochloride. The colon specific drug delivery system (CDDS) is designed such that the innermost part consists of a core tablet of Tizanidine Hydrochloride which is then compressed with hydrophobic polymer (Sodium starch glycolate and microcrystalline cellulose). This is then coated with a pH-dependent methacrylic acid copolymer (Eudragit® S100 and Eudragit® L100). The concentration (coating level) of Eudragit® S100 and Eudragit® L100 was optimized to provide an enteric coat that allows the tablet to pass intact through the stomach and is targeted to the colon. The coating thicknesses were optimized to set a desired lag time in the intestine. From the in vitro evaluation it can revealed that the developed CDDS can exhibit site-specific drug targeting to the colon.

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9. SS Gaikwad, YK Kale, SB Gondkar, AB Darekar. "Buccal Tablet as a promising mucoadhesive drug delivery"Inventi Impact: Pharm Tech, 2012(3):1-8.

Orotransmucosal drug delivery is an alternative approach to the systemic and enteral drug delivery. It avoids presystemic elimination and gastric acid hydrolysis of drugs resulting in increase in the oral bioavailability. The permeability when compared through different oral

mucosa, sublingual route is greater than buccal route and buccal route is greater than palatal. The permeability of buccal mucosa is 4-4000 times greater than that of skin. It provides rich blood supply for absorption. Mucoadhesion is an important phenomenon in which two material one of which is biological in nature are held together for extended period of time by interfacial forces. Adhesion is occurring due to presence of hydroxyl, carboxyl or amine group on the molecule. The mechanism of mucoadhesion is generally divided into two steps contact stage and consolidation stage. Low molecular weight, Non- ionised species, and lipid soluble substances are most easily diffusible material across the oral epithelium. Drug diffuses more efficiently across the oral mucosa if it having high pKa value. Sometime buccal drug delivery system has required permeation enhancer to overcome problem associated with drug absorption. The permeation enhancer should have reversible effect on the epithelium it should recover its barrier properties after the drug has been absorbed. This review explains the details on buccal mucosa, mucoadhesion theories and its mechanism, and evaluations for the buccal mucoadhesive tablets.

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10. Sachin S. Gaikwad, Rahul Mhalaskar. "Review on Solubility enhancement of poorly water soluble drug", Indo American Journal of Pharmaceutical Research, 2014, 4, 5530-4.

Solubility is one of the important parameter to attain desired concentration of drug in systemic circulation for pharmacological response to be shown. It is vital to improve the solubility and dissolution rate for poorly soluble drugs since these drugs possess low absorption and bioavailability. About 40% of all new chemical entity has poor bioavailability. Increasing the bioavailability of poorly soluble drugs will be one of the biggest challenges for formulation scientists in the future. This review is intended to discuss thoroughly the various traditional novel techniques like sono crystallization, spray freezing in to liquid, pearl milling, solid dispersion, salt formation and pH adjustment etc. for solubility enhancement of hydrophobic drugs for oral pharmaceutical formulation and also tried to focus on the polymers used for to achieve solubility enhancement, process of Solubilization and factor affects on it. In this article we focused on, solubility of the drug is the most significant factor and prime requirement for to achieve good bioavaibility after the absorption of drug so it is most critical factor in the formulation development.

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