

8th International Conference and Exhibition on

Pharmaceutics & Novel Drug Delivery Systems

March 07-09, 2016 Madrid, Spain

Formulation and *in-vitro/in-vivo* evaluation of buccoadhesive discs for controlled release of calcium channel antagonist

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Diltiazem hydrochloride (DTZ HCl) buccoadhesive controlled-release discs for buccal delivery were developed to prolong the drug release and enhance its bioavailability by evading the first pass metabolism. DTZ HCl buccal mucoadhesive discs were prepared by direct compression of mucoadhesive polymers namely; polyvinylpyrrolidone (PVP K-30), sodium carboxymethyl cellulose (SCMC) and Carbopol 934 (CP934) in combination with Eudragit L100-55 or sodium alginate (SA) as matrix polymers to control the drug release. *In-vitro* characterization of the prepared discs showed that drug release, swelling capacity, surface pH and mucoadhesion depends on the type of mucoadhesive and matrix polymers and their ratios. Further, *in-vivo* testing of mucoadhesion time and acceptability were performed in human subjects. The majority of the developed formulations presented suitable adhesion and controlled drug release. Discs formula containing SA and SCMC mixtures showed superior properties in terms of ease of preparation, optimum drug release and excellent mucoadhesion values. In addition, subjective parameters and mucoadhesive behavior in healthy human volunteers were found to be more satisfactory. Relative bioavailability of a selected DTZ HCl buccoadhesive formulation (SA/SCMC, 3:1) was determined and compared with that of a commercial sustained release oral tablet (Altiazem® SR) as a reference in rabbits. The percentage relative bioavailability of DTZ HCl from the selected buccal mucoadhesive disc was found to be 197.7%. The estimated t_{max} and AUC 0- ∞ values were also significantly higher after buccal administration ($p < 0.05$). The proposed buccal mucoadhesive formulation of DTZ HCl could be an alternative for the currently available oral therapy.

Biography

Mohamed Haider has completed his PhD from College of Pharmacy, University of Maryland and Post-doctoral studies from Department of Bioemdicinal Engineering, Johns Hopkins University. He is currently working as an Associate Professor at the College of Pharmacy, University of Sharjah, UAE. He has published more than 15 research/review articles and book chapters and has been serving as a reviewer for many reputed journals.

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