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Development and evaluation of floatable and swellable controlled release gastroretentive dosage form of Sulpiride

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The purpose of the present research was to design a gastroretentive dosage form based on floating and swelling principles that would enhance the bioavailability of sulpiride, a drug with a narrow absorption window in the upper gastrointestinal tract. Gastroretentive tablets were prepared by direct compression method, using various amount of polyethylene oxide (PEO) and hydroxypropylmethyl cellulose (HPMC) as release retarding agents, citric acid and sodium bicarbonate as floating agents, and crospovidone, sodium starch glycolate, croscarmellose sodium and super porous hydrogel as swelling agents. The gastroretentive formulations were evaluated for physical characteristics, *in vitro* drug release, floating lag time, floating duration and swelling index. Formulation containing a combination of PEO-HPMC at 1:3 ratio was able to extend the drug release up to 24 hr and had the swelling index of 334.70%. Moreover, the addition of 10 mg of citric acid and 50 mg of sodium bicarbonate to the formulation achieved *in vitro* floating for 24 hr and 266 sec floating lag time. Furthermore, the addition of the croscarmellose sodium-superporous hydrogel combination (1:1 ratio) to the formulation yielded optimized formulation (F34) with the characteristics of high swelling index (823 %), sustained drug release up to 24 hr following first order release kinetic, floating for more than 24 hr, floating lag time less than one minute and stable for one year at 300C/65% RH. The optimized formulation (F34) consisted of sulpiride (11%), PEO (11%), HPMC (32%), croscarmellose sodium (16%), super porous hydrogel (16%), citric acid (2%) and sodium bicarbonate (11%). An isocratic HPLC-florescence method was validated for determination of sulpiride in rabbit plasma. The *in vivo* performance of the optimized gastroretentive formulation (F34) was evaluated in rabbits in comparison with a non-gastroretentive reference product (Dogmatil® capsule). The study was performed using a randomized, two-way crossover design. The optimized gastroretentive formulation (F34) showed a higher T_{max} and AUC values but lower C_{max} value than the non-gastroretentive reference product. In addition, the amount of drug released *in vitro* was correlated with the amount of drug absorbed *in vivo*. In conclusion, the bioavailability of sulpiride increased by 2.20 folds when formulated as gastroretentive dosage form compared to the non-gastroretentive reference product (Dogmatil® capsule).

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Anti-cancer screening of *Asparagus africanus* extracts

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The screening for active compounds from plants leads to the discovery of new medicinal drugs which have efficient protection and treatment roles against various diseases including cancer. In this study, methanol and dichloromethane extracts from the roots of *Asparagus africanus* Lam. were tested against breast (MCF7), colon (HCT116) and prostate (PC3) cancer cell lines. Etoposide was used as a positive control. Total growth inhibition (TGI) of the cancer cells using the sulforhodamine B assay was determined to classify the extracts as inactive, weak, moderate or potent, and also the phytochemical properties of this plant were examined using quantitative method. The plant possesses some phytochemical constituents that show positive result, which give more questions to this research work. *A. africanus* extracts were inactive (TGI>50 µg/ml) against all the cell lines. The phytochemical screening of this plant shows positive result, which thereby raise questions for this plant to be tested with other type of cancer cell lines. However these results were inconclusive because the positive control was also inactive. More screening of the extracts with other cell lines and other positive standards may produce different results.

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