CHAPTER – VIII

CONCLUSIONS

Conclusions

Tenofovir Disoproxil Fumarate (TDF) can be successfully designed using Hot Melt Coating technique in Pellets and also compressed as Tablets.

TDF is a slightly water-soluble drug with a bitter taste used in the treatment of Hepatitis and HIV. The bitter taste of TDF reduces patient acceptance and compliance with the dosage regimen. The commercially available formulation is a coated tablet. However, the coating process has various limitations such as time-consuming process, higher cost of production, product stability, environmental concerns, and health hazards due to the usage of aqueous or organic solvents. Hence, the present study has demonstrated an alternate technique of Hot-Melt Coating for Taste-masking.

In the given method Gelucire®43/01 and Precirol® ATO 5 were used as hydrophobic Hot-Melt Coating agents to reduce direct contact with saliva while given orally. Hot-Melt Coating comparatively is faster process and need lesser coating agent in comparison to film and sugar coating. It is the technique, not utilizing water and hence enhance the stability as well as not using organic solvents provides environment safety.

It is noteworthy to mention that the method is simple and does not require specialized equipment and costly coating agents. The prepared pellets were spherical, smooth, elegant with uniform & narrow size distribution and good to excellent flowability. Also, they pass the parametric tests namely hardness, friability, and drug content as per specifications.

The in-vitro taste evaluation of pellet formulation shows that the pellets coated with 3% w/w of HMC were unable to mask the bitter taste of pellets as the absorption of UV light was observed after 30 seconds. The coating level of 4% w/w using Gelucire 43/01 and Precirol can mask the bitter taste of pellets since the solution does not show UV light absorption in 2 minutes.

The volunteer study shows that uncoated pellets were found very bitter than the standard solution. The pellets coated with 3% w/w of Gelucire 43/01 and Precirol were unable to mask the bitter taste of the pellets. About 4% w/w of Gelucire and Precirol were able to mask the bitter taste of pellets**.** The formulation stored as per

ICH guidelines shows stability when the appearance, drug content, and in-vitro drug release were evaluated.

The developed taste-masked pellet formulation can be useful for the treatment of pediatric patients or patients facing difficulty in swallowing.

Further, the pellets were compressed into tablet dosage form, which is the most common dosage form due to its ease of handling and better stability profile. The tablet formulations were evaluated for the effectiveness of taste masking. The taste-masking ability was evaluated using the volunteer panel method. The study indicates that there is no impact on the bitterness taste masking efficacy of the HMC-coated pellets compressed into tablets.

The study performed as per ICH guidelines shows good stability.

Further, an in-vivo study must be carried out before commercialization of the product into the market.

A successful attempt was made to improve the stability of Sitagliptin Phosphate Monohydrate (SPM) using the hot melt coating technique. The Sitagliptin Phosphate Monohydrate was prepared by direct compression using a rotatory tablet punching machine. The SPM tablets core prepared were circular biconvex in shape and had smooth surfaces. The Sitagliptin Phosphate Monohydrate tablets were coated in a conventional coating pan with slight modifications and proved to be successful. Further in-vivo study is needed before the commercialization of the product into the markets.

In the present study has demonstrated that Palmitic acid proved their role in improving the stability of Sitagliptin Phosphate Monohydrate from the moisture. As per literature stability Sitagliptin is affected by moisture and leads to degradation at higher rate. Therefore, it is worthy of mentioning that proposed technique uses Palmitic acid for Hot Melt Coating due to their hydrophobic nature resist the entry of water in tablets containing SPM. The result of present study proved that Palmitic acid as Hot Melt Coating agent can constitute an excellent alternative to recently used conventional coating. Both Hot Melt Coating agents are obtained naturally from the

food component were not produce any allergic reactions in humans or animals and hence included in the Generally Regarded As Safe (GRAS) list. The Hot Melt Coating technique can be an eco-friendly, economical, efficient, simple and rapid tool for the design of moisture sensitive drugs. It is excellent alternative compared to conventional coating technique where solvent evaporation, recovery, treatment and disposal could become very costly and time consuming. It is also the excellent alternative for aqueous based coatings where coating is needed for water sensitive drugs.