CHAPTER V

CONCLUSION

Saquinavir mesylate (SQV)-based proniosomes could be successfully prepared. Polyoxyl 4 lauryl ether (Brij®30) proniosomes with lactose as a carrier could be prepared by two different methods: (i) oven dried mixture of SQV niosomal dispersion and lactose, and (ii) oven-dried mixture of alcoholic solution of SQV, lipid/ surfactants and lactose. The following conclusions could be drawn from this study:

Polyoxyethylene alkyl ether surfactants i.e. Brij®30, Brij®52 and Brij®72 could form niosomes (45:45:10 mole ratio) in different media i.e. water, 0.1N hydrochloric acid and phosphate buffer pH 6.8 at 70°C and 37°C, except for Brij®98. The geometrical structure of Brij®98 molecule has a large hydrophilic head group area which would rather promote micellization than vesicle formation. In addition, Brij®98 has high hydrophilicity with high HLB value of 15 hence micelle formation in aqueous media. Brij®30 produced niosomes with less than 10 μm size which is capable to be absorbed by M cells of Payer's patch in gastrointestinal tract.

Among 60 mM niosomes prepared from various molar ratio of Brij®30: cholesterol: Simulsol®M52 (90:0:10, 80:0:20 and 70:0:30 and 45:45:10), niosomes (45:45:10 mole ratio) was capable to entrapped the highest amount of SQV, being 1.7-2.3 folds of other niosome formulations. However, niosomal dispersion (70:0:10 mole ratio) was the most efficient to dissolve SQV through micelle and niosome formation.

Increasing concentration of niosomes comprising Brij®30, cholesterol and Simulsol®M52 (70:0:30 mole ratio) from 60 mM to 300 mM did not affect the amount of encapsulated SQV.

Hydrating media i.e. water, 0.1N hydrochloric and phosphate buffer pH 6.8 affected entrapment efficiency of SQV and drug release, probably due to pH of media and hydrolysis of SQV by acid.

SQV proniosomes were successfully prepared using mass ratio of dissolved SQV to lactose being 1:25. The transformation of SQV proniosomal granules to niosomes was spontaneously formed after dispersing in aqueous medium.

Type of carriers affected the appearance of proniosome granules.

Both proniosomes formulations prepared by oven dried mixture of SQV niosomal dispersion and lactose, and oven-dried mixture of alcoholic solution of SQV, lipid/ surfactants and lactose were effective to increase drug dissolved in 0.1N hydrochloric acid and phosphate buffer pH 6.8.

SQV proniosomes were instable probably due to the interaction between SQV and lactose.

The process variables i.e. temperature and solvent affected the crystal structure of SQV. SQV was changed to solvate which altered the solubility of SQV in aqueous media.