1.7.1.3 The Transporters of Intestinal Tract

Most drug products are administered orally. Influx transporters facilitate drug absorption, whereas efflux transporters prevent the drug absorption (Scherrmann, 2009). The absorption of oral drug in the intestine is an important factor to determine the drug bioavailability. There are many intestinal transporters expressed on the small intestine, and the transporters can be classified into two major families, SLC family and ABC family.

The ABC (ATP-binding cassette) transporters include the (P-gp (P-glycoprotein, MDR1, ABCB1), MRP2 (multidrug resistance-associated protein 2,ABCC2),BCRP (breast cancer resistance protein, ABCG2). P-gp inhibitors act as high avidity substrates (e.g. verapamil,quinidine) or block its function by binding to it (e.g. sulfhydryl-substituted purine) (Fo¨ ger, 2009). These two key factors (poor water solubility and P-gp efflux pumps) are well known for incomplete absorption of orally administered drugs and thus limit their bioavailability (Streubel et al., 2006; Dahan and Amidon, 2009).

And the SLC transporters include the OCTs (organic cation transporter, SLC22A), OCTNs (novel organic cation transporter, SLC22A), OATPs (organic anion-transporting polypeptide, SLCO).

These transporters along with other enzymes and secreation in the liver and intestine influence the absorbiton and/or metabolism of drugs.(Chan, L., et al. 2004).