ABSTRACT

The role of ABC transporters in clinical practice

ATP-binding cassette (ABC) transporters are a family of transporter proteins that contribute to drug resistance via ATP-dependent drug efflux pumps. There are seven subfamilies classified as ABC transporters (ABCA through ABCG) that are expressed in both normal and malignant cells. They are involved in the transport **of** many substances, including the excretion of toxins from the liver, kidneys, and gastrointestinal tract, and they limit permeation of toxins to vital structures, such as the brain, placenta, and testis. The best-characterized transporter protein is MDR1/P-glycoprotein, and a number of clinical investigations have suggested that its intrinsic or acquired overexpression resulted in a poor clinical outcome of chemotherapy. Conventional cancer chemotherapy is seriously limited by the multidrug resistance (MDR) commonly exhibited by tumour cells. One mechanism by which a living cell can achieve multiple resistances is *via* the active efflux of a broad range of anticancer drugs through the cellular membrane. Various types of compounds and techniques for the reversal of ABC transporters mediated MDR have been developed, and efforts have concentrated on the inhibition of function and suppression of expression. Increased drug accumulation and drug resistance reversal with P-gp inhibitors have been well documented in vitro, but only suggested in clinical trials.