Multidrug resistance caused by the presence and overproduction of ABC transporters makes serious problems in cancer treating. The drugs administered during therapy are pumped outside the cell using the energy obtained from ATP hydrolysis. The augmented dosage of drugs to overcome the multidrug resistance is not sufficient. Thus knowledge of the structure of ABC proteins is necessary to understand the rules of their action. It could be also helpful to understand how the multidrug resistance could be overcome. One of the strategies involves the treatment of cancer cells with a mixture of anticancer drugs and inhibitors of ABC transporters. The yeast *Saccharomyces cerevisiae*, whose PDR pumps are analogues of mammalian MDR proteins responsible for multidrug resistance, is a suitable research model. Biophysical methods with different fluorescent dyes seem to be very suitable for the measurement of the efflux pump activity. This review describes some known inhibitors of ABC proteins and biophysical methods which could be used for measuring the ABC transporters activity.

**Key words:** ABC Transporters, Inhibitors, *Saccharomyces cerevisiae*