Cancer cells are frequently resistant or develop resistance to anticancer agents during treatment. One form of drug resistance is observed against a variety of chemically unrelated agents and is known as multidrug resistance, a phenomenon that can be caused by overexpression of ABC proteins such as P-glycoprotein (MDR1 or ABCB1). P-glycoprotein is a plasma-membrane protein that actively extrude anticancer agents from the cell interior, decreasing drug accumulation and thus allowing the multidrug-resistant cells to survive in the presence of toxic levels of chemotherapeutic agents.

Topological structure of P-glycoprotein (modified from Altenberg, 2004)