Malignant gliomas are frequently chemoresistant and this resistance seems to depend on at least two mechanisms. First, the poor penetration of many anticancer drugs across the blood-brain barrier (BBB), the blood-cerebrospinal fluid barrier (BCSFB) and blood-tumor barrier (BTB), due to their interaction with several ATP-binding cassette (ABC) drug efflux transporters that are overexpressed by the endothelial or epithelial cells of these barriers. Second, resistance may involve the tumor cells themselves. Although ABC drug efflux transporters in tumor cells confer multidrug resistance (MDR) on several other solid tumors, their role in gliomas is unclear. This review focuses on BBB and astrocytes and summarizes the current state of knowledge with in-house data about the expression, distribution and function of ABC transporters at the human BBB and in normal and tumor astroglial cells.