The widespread biological phenomenon of multidrug resistanceposes serious challenges for the treatment of human cancers, and also of bacterial and fungal infections. MDR is typically associated with transport systems that catalyze the efflux of various compounds out of the cell. Among the most important MDR transporters are those belonging to the major facilitator superfamily. MFS-MDR transporters are found in Eucarya, Bacteria, and Archaea, and have been classified into two families based on the number of predicted transmembrane spans: The Drug:H+ Antiporter-1Family, TC 2.A.1.2, and the Drug:H+ Antiporter-2Family, TC 2.A.1.3. In S. cerevisiae, the DHA1 family comprises 12 genes involved in various biological processes: AQR1, QDR1, QDR2, QDR3, FLR1, DTR1, TPO1, TPO2, TPO3, TPO4, HOL1, and YHK8. Aqr1p, Qdr1-3p, and Flr1p are plasma membrane proteins that serve as multidrug transporters. Aqr1p has also been implicated in the excretion of excess amino acids, and Qdr2p in the import of potassium ions. Dtr1p, a putative dityrosine transporter, resides in the prospore membrane and functions in spore wall synthesis. Tpo1-4p are membrane proteins involved in the export of polyamines, including spermine, spermidine, and putrescine. Hol1p participates in cation and alcohol transport, and Yhk8p is a putative drug transporter requiring further experimental characterization. The 12 DHA1 family genes of S. cerevisiae are similar to several ion and amine transporters in human, including SLC22A5, SLC22A11, SLC22A13, SLC22A14, and SLC22A3, which function primarily in the elimination of drugs and other xenobiotics from various tissues. Mutations in the human genes have been implicated in Crohn's disease, hypoglycemia, and various myopathies.