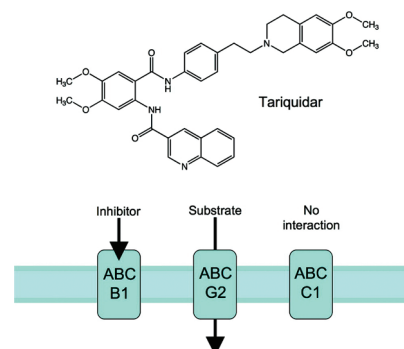


A Nonspecific Inhibitor

A number of laboratories currently use the inhibitor tariquidar in positron emission tomographic brain imaging studies in an attempt to measure the density of the efflux-transporter ABCB1 in the brain. However, this inhibitor may not be as selective for ABCB1 as widely accepted.

Now Kannan et al. (DOI: 10.1021/cn100078a) examine the transporter selectivity of tariquidar among three common efflux transporters at the blood-brain barrier. The authors show that tariquidar is not a selective inhibitor of ABCB1 in human cells.



Stabilizing an Antidepressant

Depression is estimated to afflict close to 20 million Americans each year. Globally, it is estimated that 121 million people suffer from depression. Disturbances of serotonergic and noradrenergic systems of the central nervous system (CNS) account for risk factors or symptoms of depression according to the monoamine theory. The human serotonin (5-hydroxytryptamine or 5-HT) transporter (SERT) is an integral membrane protein which is responsible for the uptake of 5-HT, Na^+ ions,

and Cl^- ions across the presynaptic cell membrane. Numerous CNS ailments such as depression are associated with changes in uptake of 5-HT, and the antidepressant citalopram blocks uptake by acting at SERT. Combs et al. (DOI: 10.1021/cn100-066p) docked this antidepressant to homology models of the human and *Drosophila* SERT. The model proposed by the authors supports the hypothesis that specific tyrosine and glutamate residues form stabilizing interactions with the antidepressant.

