

Choline and basic amine drugs efflux from brain to blood across the blood-brain barrier

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The purpose of this study is to examine that the efflux transport system for choline from brain to blood is present at the blood-brain barrier (BBB) using brain efflux index (BEI) method. [³H]Choline was microinjected into parietal cortex area 2 (Par2) region of rat brain, and was eliminated from the brain with an apparent elimination half life of 45 min. The BBB efflux clearance of [³H]choline was 0.12 ml/min/g brain, which was calculated from the efflux rate constant ($1.5 \times 10^{-2} \text{ min}^{-1}$) and the distribution volume in the brain slice (8.1 ml/g brain). This process was saturable and significantly inhibited by various organic cationic compounds including hemicholinium-3, tetraethylammonium chloride (TEA) and verapamil, by antioxidant, α -phenyl-n-tert-butyl nitron (PBN), and by Alzheimer's disease therapeutics, such as acetyl ℓ -carnitine and tacrine. In conclusion, this finding is the first direct in vivo evidence that choline is transported from brain to the blood across the BBB via a carrier-mediated efflux transport process.