

Publication

Expression and Function of Organic Anion Transporting Polypeptides in the Human Brain: Physiological and Pharmacological Implications

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The central nervous system (CNS) is an important pharmacological target, but it is very effectively protected by the blood-brain barrier (BBB), thereby impairing the efficacy of many potential active compounds as they are unable to cross this barrier. Among others, membranous efflux transporters like P-Glycoprotein are involved in the integrity of this barrier. In addition to these, however, uptake transporters have also been found to selectively uptake certain compounds into the CNS. These transporters are localized in the BBB as well as in neurons or in the choroid plexus. Among them, from a pharmacological point of view, representatives of the organic anion transporting polypeptides (OATPs) are of particular interest, as they mediate the cellular entry of a variety of different pharmaceutical compounds. Thus, OATPs in the BBB potentially offer the possibility of CNS targeting approaches. For these purposes, a profound understanding of the expression and localization of these transporters is crucial. This review therefore summarizes the current state of knowledge of the expression and localization of OATPs in the CNS, gives an overview of their possible physiological role, and outlines their possible pharmacological relevance using selected examples.

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