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Opioid transport by ATP-binding cassette transporters at the blood-brain barrier: implications for neuropsychopharmacology.

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Abstract

Some of the ATP-binding cassette (ABC) transporters like P-glycoprotein (P-gp; ABCB1, MDR1), BCRP (ABCG2) and MRPs (ABCCs) that are present at the blood-brain barrier (BBB) influence the brain pharmacokinetics (PK) of their substrates by restricting their uptake or enhancing their clearance from the brain into the blood, which has consequences for their CNS pharmacodynamics (PD). Opioid drugs have been invaluable tools for understanding the PK-PD relationships of these ABC-transporters. The effects of morphine, methadone and loperamide on the CNS are modulated by P-gp. This review examines the ways in which other opioid drugs and some of their active metabolites interact with ABC transporters and suggests new mechanisms that may be involved in the variability of the response of the CNS to these drugs like carrier-mediated system belonging to the solute carrier (SLC) superfamily. Exposure to opioids may also alter the expression of ABC transporters. P-gp can be overproduced during morphine treatment, suggesting that the drug has a direct or, more likely, an indirect action. Variations in cerebral neurotransmitters during exposure to opioids and the release of cytokines during pain could be new endogenous stimuli affecting transporter synthesis. This review concludes with an analysis of the pharmacotherapeutic and clinical impacts of the interactions between ABC transporters and opioids.

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