OATP2B1 - The underrated member of the organic anion transporting polypeptide family of drug transporters?

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The organic anion transporting polypeptide 2B1 (OATP2B1) was one of the first cloned members of the SLCO family. However, its physiological and pharmacological role is still poorly understood, and object of a current debate on the transporter's relevance. Within this commentary, we summarize the data currently available on the transporter's expression and its substrates and highlight the strength and difficulties of the methods that have been applied to gather these data. The conclusion drawn from these findings was that OATP2B1 due to its intestinal expression is most likely involved in oral drug absorption of its substrate and therefore prone for interactions. This has been tested in in vivo drug interaction and/or pharmacogenetic studies. While some of these support the notion of OATP2B1 being of relevance in drug absorption, the pharmacogenetic findings are rather inconclusive. We will explain our thoughts why OATP2B1 may not influence the general systemic pharmacokinetic of certain substrates, but possibly local distribution processes, like the transfer across the blood-brain-barrier. Besides the pharmacokinetic aspects, there are data on endogenous molecules like coproporphyrins and sulfated steroids. Therefore, we will also highlight possible physiological roles of OATP2B1, which are driven by its expression pattern in the tubular cells of the kidney as well as its expression in the blood brain barrier. Finally we also deal with the advantages and disadvantages in the use of animal models to decipher the role of OATP2B1 in pharmacokinetics of its substrates and beyond.
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