Constituents of Passiflora incarnata, but Not of Valeriana officinalis, Interact with the Organic Anion Transporting Polypeptides (OATP)2B1 and OATP1A2.

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Author(s) Schäfer, Anima M; Gilgen, Pierrine M; Spirgi, Clara; Potterat, Olivier; Meyer Zu Schwabedissen, Henriette E
Author(s) at UniBasel Meyer zu Schwabedissen, Henriette ; Schäfer, Anima Magdalena ; Potterat, Olivier ;
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Herbal medication used in the treatment of sleep disorders and anxiety often contain extracts of; Valeriana officinalis; or; Passiflora incarnata; . Valerenic acid in; V.ăofficinalis; and apigenin, orientin, and vitexin in; P. incarnata; are thought to contribute to their therapeutic effect. It was the aim of this study to test whether these constituents of herbal extracts are interacting with the uptake of estrone 3-sulfate, pregnenolone sulfate, and dehydroepiandrosterone sulfate mediated by the uptake transporters organic anion transporting polypeptide 2B1 (OATP2B1) or organic anion transporting polypeptide 1A2 (OATP1A2). Madin-Darby canine kidney cells overexpressing OATP2B1 or OATP1A2 were used to determine the influence of the constituents on the cellular accumulation of the sulfated steroids. Subsequently, competitive counterflow experiments were applied to test whether identified inhibitors are also substrates of the transporters. Valerenic acid only interacted with OATP2B1, whereas apigenin, orientin, and vitexin interacted with OATP2B1 and OATP1A2. Competitive counterflow revealed that orientin is a substrate of both transporters, while apigenin was transported by OATP1A2 and vitexin by OATP2B1. In a next step, commercially available; P. incarnata; preparations were assessed for their influence on the transporters, revealing inhibition of transporter-mediated estrone 3-sulfate uptake. HPLC-UV-MS analysis confirmed the presence of orientin and vitexin in these preparations, thereby suggesting that these constituents are involved in the interaction. Our data indicate that constituents of; P. incarnata; may alter the function of OATP2B1 and OATP1A2, which could affect the uptake of other compounds relying on uptake mediated by the transporters.

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