Abstract: This presentation aims to reintroduce the Extended Clearance Classification System (ECCS), focusing on Class 3A molecules. Class 3A molecules are characterized by a small molecular weight (<400 Dalton), being Acidic/Zwitterionic with low permeability, and exhibiting renal elimination as the primary route of clearance. Specifically, we will explore the case of gabapentin and its analogues, emphasizing the crucial role of transporters in facilitating their absorption, distribution, clearance, and elimination from the body.

Gabapentin, a widely prescribed medication for various conditions, has faced challenges in its pharmacokinetics due to its properties falling under Class 3A of the ECCS. However, understanding the role of transporters in the disposition of gabapentin has been instrumental in the development of effective medications by Pfizer and other pharmaceutical companies, benefitting countless patients. In this presentation, we will delve into the mechanisms by which transporters aid in gabapentin's absorption from the gastrointestinal tract, its distribution to target tissues, and ultimately its elimination through the renal route. We will highlight key transporter proteins involved in these processes and explain how their modulation can impact gabapentin's pharmacokinetic profile.

Additionally, we will explore the significance of utilizing analogues of gabapentin in drug development to enhance its therapeutic potential and overcome limitations associated with its Class 3A properties. These analogues can be designed to interact more effectively with transporters, leading to improved bioavailability and pharmacokinetic properties.

By understanding the transporters’ roles in gabapentin's disposition, researchers and pharmaceutical companies have been able to develop novel formulations and drug delivery strategies, improving patient outcomes and treatment efficacy. This presentation will underscore the importance of transporter-based drug development approaches and shed light on how such research has revolutionized the pharmaceutical industry.

In conclusion, the study of transporters and their pivotal role in the pharmacokinetics of Class 3A molecules, exemplified by gabapentin and its analogues, has been instrumental in enabling the development of effective medications. Through this presentation, we hope to emphasize the critical role of transporters in drug development and their significance in advancing patient care.

Wednesday, September 20, 2023
11:00 am – Noon
AHSC - Room 8403
Or live by zoom https://arizona.zoom.us/j/84395838762