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Caco-2 permeability studies and prospects of *in vivo* absorption

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Introduction: The development of new drugs is a complex process, in which favorable pharmacokinetics is crucial for success. Information obtained from *in vitro* assays improve the process, aiding in the understanding of pharmacokinetic phenomena. Caco-2 cells form monolayers that exhibit morphological and functional similarities to enterocytes, their use in permeability studies have been shown to be excellent indicators of absorption after oral administration, with a high correlation with *in vivo* absorption. In this study, we evaluated apparent permeability (Papp) of new drug candidates and compared with *in vivo* uptake.

Methodology: The Thiazolidinediones GQ-2, 11, 19 and 177 and the phthalimide derivatives SCD-03 and 04 were submitted to the Caco-2 monolayer system in Hanks buffer. The Papp was quantified by UHPLC, with previously validated methods. Fluorescein and Amphotericin B were used as low permeability controls and Verapamil and Benznidazole as high permeability. These compounds were also administered orally to Wistar rats.

Results: The controls were adequate, indicating the integrity of the monolayer and the validity of the assay. GQ-19 presented Papp of 16.6x10-6, and although this is a moderate permeability value, the compound was shown to be highly unstable in rat plasma, with no significant plasma levels obtained in the *in vivo* studies with oral administration. Papp of SCD-03 was 9.57x10-6 and of SCD-04 was 2.33x10-6 cm/s and after the oral administration of SCD-03, a bioavailability of 6% was obtained. For the others thiazolidinediones, there was no permeability in Caco-2 monolayers and no significant plasma levels after oral administration in Wistar rats.

Conclusion: The permeability assay demonstrated a good correlation with the *in vivo* findings, however, the evaluation of other characteristics of a compound, like stability in different pHs and matrices assists the understanding of the results and in the planning of next steps.

Biography

Taisa Busaranho Franchin in Pharmacy-Biochemistry from the Sao Paulo State University "Julio de Mesquita Filho", Araraquara - SP. Currently in Master's degree in Pharmaceutical Sciences at the School of Pharmaceutical Sciences of Sao Paulo State University, Araraquara-UNESP in the Laboratory of Toxicology of the Department of Natural Active Principles and Toxicology (PANT) with emphasis on Pharmacokinetics and Toxicology of new substances and medicines. Her scientific initiation was with tuberculosis drug, following the same line in the master's degree, is also, part of this, the implementation of the *in vitro* laboratory.

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