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Evaluation of intestinal permeability and efflux of lamivudine using *ex vivo* method in Franz cells

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For orally administered drugs, the bioavailability is an important parameter related to the absorption process. In the gut, most of compounds are transported mainly by trans-cellular passive diffusion. However, the presence of efflux transporters, as P-glycoprotein (P-gp), can interfere on the absorption process. Lamivudine (3TC) is widely used for HIV treatment and its bioavailability has been reported as variable (around 80%). Based on that, the intestinal permeability and efflux were evaluated for 3TC using *ex vivo* method in Franz cells. Male Wistar rats were anesthetized and a portion of jejunum was mounted in the Franz cells. The experiments were performed considering the direction apical (A) to basolateral (B). A Ringer-Krebs-Hepes modified solution was used as transport media and 3TC was solubilized for permeability studies while 3TC with P-gp inhibitor verapamil were solubilized for efflux experiments. The transport media with drug or drug with verapamil was added in the donor compartment and samples were collected from the receptor chamber. The viability of all intestinal membranes was checked by trans-epithelial electrical resistance (TEER) before and after experiments. Metoprolol was used as marker of high permeability. The apparent permeability (Papp) of 3TC was 1.26 (± 0.27)x10-5 cm/s and for 3TC with verapamil was 3.77 (±1.1)x10-5 cm/s. These results suggest that 3TC is a P-gp substrate. In the literature, 3TC is a weak P-gp substrate in MDCK-MDR1, which corroborates with the results obtained in Franz cells. The differences between results of 3TC and 3TC with verapamil suggest that the antiretroviral is a P-gp substrate, which may be related to its variable bioavailability. In addition, the study showed that the Franz cells device can be used for efflux studies.

Biography

Andre Bersani Dezani has completed his Master's degree in 2010 and since then, he is completing his PhD thesis related to permeability studies using different methods as *ex vivo, in situ* and *in vitro* models. His research field also includes "Solubility, biopharmaceutical classification systems (BCS and BDDCS), dissolution studies and ADME prediction". He was a Visiting Scholar at Benet Lab, University of California San Francisco, USA.

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