OMICS International
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Padilha E C et al., J Pharma Care Health Sys 2015, 2:4
http://dx.doi.org/10.4172/2376-0419.S1.006

European Pharma Congress

August 25-27, 2015 Valencia, Spain

Oral screening of the new thiazolidinedione drug candidates GQ-2, GQ-11, GQ-19 and GQ-177

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Thiazolidinediones (TZDs) are PPAR-γ (Peroxisome Proliferator Activated Receptors) activating drugs. Although this target has been previously studied for diabetes treatment, it has also been proven useful in atherosclerosis treatment which led the Researchers of the Laboratory of Planning and Synthesis of Drugs at the Federal University of Pernambuco to select several TZD derivatives with promising anti-atherosclerosis activity. Among the compounds with best performances that emerged were GQ-2, GQ-11, GQ-19 and GQ-177. These drug candidates were submitted to a screening in order to determine which of these compounds would have desirable intestinal permeability. Thus, we performed a Caco-2 permeability assay and determined that the physicochemical characteristics often related to the permeability a drug, logP. Caco-2 cells showed trans-epithelial electrical resistance (TEER) >300 Ω/cm² and were fit for the experiment. Benznidazol was used as positive control and amphotericin B as negative control. The logP was determined through the chromatographic method established by OECD. In the results, the logP values were ~6.41, 5.11, 1.87 and 3.5 for GQ-2, GQ-11, GQ-19 and GQ-177, respectively. The only compound that showed any Caco-2 permeability was GQ-19, the substance with the lowest logP, with apparent permeability (P_{app}) of 1.58 x10-5(±6.06x10-7) cm/s, while benznidazol have shown P_{app} of 2.86 x10-5(± 2.87x10-6) cm/s. These results endorse a refined study on the oral activity of GQ-19 which is the only molecule of the batch to integrally permeate a human monolayer of cells and act as predicted.

Biography

Padilha E C is has a master's degree in Toxicology from Sao Paulo State University. He is currently a PhD student in Pharmacology with emphasis in Pharmacokinetics. He is a researcher in preclinical pharmacokinetics and toxicology of new molecules and formulations and has published 6 papers in reputed journal of pharmacokinetics, toxicology, drug discovery, biopharmaceutics and chromatography.

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