A novel in-vitro assay using biorelevant markers capable of monitoring and screening the lipase inhibitors that could be used as anti-obesity agents

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The digestion of the dietary triglycerides depends prominently on the presence and the activity of pancreatic lipase (PL). The activity measurement of this enzyme is commonly utilized to screen and evaluate the efficacy (the inhibitory effect) of natural and drug candidates as potential anti obesity agents. The commonly used procedure to investigate the PL activity is based on using p-nitrophenol esters as substrates which are non-selective substrates for PL, also the detection and the quantitation of the released p-nitrophenol moiety is neither selective nor accurate. In addition, this procedure cannot be considered biorelevant that simulates the GI tract conditions.

In our study, an aqueous/olive oil emulsion was developed to: a-simulate the GI tract conditions, b-provide hydrophilic/lipophilic inter-phase for optimum enzymatic activity, c-provide optimum conditions for the lipophilic inhibitors disposition.

The assay is based on selective HPLC measurement of the released oleic acid from olive oil triglyceride as a product of enzymatic lipolysis and then the enzymatic inhibition% can be calculated with respect to the concentration of the applied inhibitory agent.

This method provides biorelevant conditions utilizing olive oil triglyceride as PL selective substrate, hydrophilic-lipophilic inter-phase for optimum PL activity, selective, robust, precise, qualitative and quantitative HPLC measurement of the released oleic acid. An illustrative example will be presented.

In conclusion, this method is considered simple and provides precise measurement of the inhibitory effect of the candidate agents and can be used for: a-monitoring purposes as QC test, b-screening for potential candidates, c-comparative as surrogate indicator of pharmaceutical and potency equivalence of different products.

Biography

Ala’ Abu Ruqa’a earned his Bachelor in Pharmacy and Masters Degree from University of Jordan in the year 2001 and 2003 respectively. He is occupying a position of research & development manager at Hayat Pharma since 2008 with over 13 years of experience in pharmaceutical industry and research. He has special interest in biopharmaceutics including biopharmaceutical classification systems and in-vitro bio-assays and its applications in generic pharmaceutical development. He was capable of biowaivering of over 20 generic products which were subjected for evaluation by different health authorities.

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