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HHS Vulnerability Disclosure

Self-nano-emulsifying drug delivery systems: an update of the biopharmaceutical aspects

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Abstract

Introduction Thirty percent of top marketed drugs in the USA and 70% of all new drug candidates are lipophilic and exhibit poor water solubility. With such physicochemical properties, the oral bioavailability of these compounds lacks dose proportionality, is very limited and extremely erratic. Different lipid-based formulations have been explored in the past few decades to improve the oral delivery of such compounds. In recent years, the most popular approach is their incorporation into self-emulsifying drug delivery systems (SEDDS), with particular emphasis on self-nano-emulsifying drug delivery systems (SNEDDS).

Areas covered

[?][][][][][][] This review offers an updated overview of SNEDDS application from the biopharmaceutical point of view. The focus of this review deals with the potential of SNEDDS utilization to overcome absorption barriers following oral administration of lipophilic drugs. This includes a comprehensive description of the primary mechanisms by which lipids and lipophilic excipients, used to formulate SNEDDS, could affect drug absorption, bioavailability and disposition following oral administration.

Expert opinion: The utilization of SNEDDS to augment the oral bioavailability of poorly water-soluble drugs goes beyond improvement in drug's solubility, as was initially presumed. In fact, SNEDDS have a potential to increase oral bioavailability by multi-concerted mechanisms such as reduced intra-enterocyte metabolism by CYP P450 enzymes, reduced P-glycoprotein (P-gp) efflux activity and hepatic first-pass metabolism bypass via lymphatic absorption. This unique biopharmaceutical point of view, presented in this review, contributes to the understanding of proper drug candidate selection and of the approach in SNEDDS formulation design.

Keywords -gp efflux; intra-enterocyte metabolism; lymphatic delivery; oral bioavailability; poorly water-soluble drugs; self-emulsifying drug delivery systems; solubilization.

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1 of 2 3/5/22, 2:18 PM

Self-nano-emulsifying drug delivery systems: an update of the biopharm...

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2 of 2