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Vitamin E-TPGS stabilized lapatinib nanocrystals: In-vivo pharmacokinetic and pharmacodynamic evaluation

Agrawal Satish, Hafsa Ahmad, Roshan Sikandar, Abhishek Arya and Anil Kumar Dwivedi
Central Drug Research Institute- CSIR, India

Aim: The aim of this study is the development of vitamin E-TPGS stabilized Lapatinib Nanocrystals (LPT-NCs) to improve its anticancer activity.

Methods: Nanocrystals were prepared through high pressure homogenization and the optimized formulation was further characterized on the basis of in-vitro and in-vivo evaluations.

Results: Optimized formulation had 282.2±9.48 nm average particle size, 0.288±0.006 PDI and 33.63±3.59 mv zeta potential values. Microscopic examination displayed formation of rod shaped nano-sized crystals. DSC thermogram showed that crystallinity of Lapatinib was retained in formulation. Formulation significantly enhanced the saturation solubility of LPT in water. LPT-NCs were found to be stable during 4 months study period when stored at 4°C. In-vivo pharmacokinetics study comprising of crude lapatinib suspension and LPT-NCs by oral route performed on healthy adult female Sprague-Dawley rats demonstrated significant enhancement in AUC, C_{max} and reduction in clearance of LPT in LPT-NCs treated group. Tumor regression study performed in 4T1 cells induced syngeneic breast cancer female BALB/c mice revealed significant reduction in tumor burden and overall improvement in survival in LPT-NCs treated group compared to crude Lapatinib suspension.

Conclusion: LPT-NCs significantly enhanced anticancer activity of LPT by improving its oral bioavailability possibly due to solubility enhancement and P-gp inhibition.

agrawalsatish11@gmail.com

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