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Floating Oral in Situ Gelling System of Anticancer Drug

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Abstract : Background and introduction: Neratinib is a potent anticancer drug used for the treatment of breast cancer. It is poorly soluble at higher pH, which tends to minimize the therapeutic effects in the lower gastrointestinal tract (GIT) leading to poor bioavailability. An attempt has been made to prepare and develop a gastro-retentive system of Neratinib to improve the drug bioavailability in the GIT by enhancing the gastric retention time. Materials and methods: In the present study a three-factor at two-level (23) factorial design based optimization was used to inspect the effects of three independent variables (factors) such as sodium alginate (A), sodium bicarbonate (B) and sodium citrate (C) on the dependent variables like in vitro gelation, in vitro floating, water uptake and percentage drug release. Results: All the formulations showed pH in the range 6.7 ±0.25 to 7.4 ±0.24, percentage drug content was observed to be 96.3±0.27 to 99.5 ±0.28%, in vitro gelation observed as gelation immediate remains for an extended period. Percentage of water uptake was in the range between 9.01±0.15 to 31.01±0.25%, floating lag time was estimated form 7±0.39 to 57±0.36 sec. F4 and F5 showed floating even after 12hrs. All formulations showed a release of around 90% drug release within 12hr. It was observed that the selected independent variables affect the dependent variables. Conclusion: The developed system may be a promising and alternative approach to augment gastric retention of drugs and enhances the therapeutic efficacy of the drug.

Keywords : neratinib, 2^3 factorial design, sodium alginate, floating, in situ gelling system
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