In-situ injectable thermosensitive gel based on poloxamer as a new carrier for Tamoxifen citrate.

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Abstract

Objective: To evaluate poloxamer (Pl) based in-situ injectable thermosensitive gel of Tamoxifen citrate (TMC) compared to orally administered TMC regarding retention in different tissues. Methods: The inclusion complexes of TMC with β-cyclodextrin (β-CD), hydroxypropyl β-cyclodextrin (HP-β-CD) and sulfobutyl-7-ether β-cyclodextrin (SBE-β-CD) were prepared by solvent evaporation method and evaluated for drug-excipient compatibility tests as well as in-vitro release studies. Poloxamer analogs were mixed in different ratios and evaluated for gelation temperature and rheological properties. Finally, the optimized thermosensitive hydrogel formula was evaluated for in-vitro drug release as well as in-vivo drug retention in rat tissues, plasma and liver. Results: TMC/SBE-β-CD complex showed the highest drug release rate. The optimum concentrations of poloxamer analogs for the in situ gel-forming delivery system were 20% (w/v) Poloxamer 407 (F127) and 15% (w/v) Poloxamer 188 (F68) that exhibited sol-gel transition at 36.5°C ± 0.5°C. TMC/SBE-β-CD complex incorporated in the optimized thermosensitive gel base exhibited elevated drug level in cancer tissues and low level in plasma and liver compared to oral TMC suspension. Conclusion: The optimized formula of TMC/SBE-β-CD hydrogel could contribute in elevating drug level at targeted tissues and improving drug anticancer activity.

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