Formulation of risperidone in floating microparticles to alleviate its extrapyramidal side effects

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Abstract

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Risperidone is effective in the treatment of positive as well as negative symptoms of schizophrenia. But, there is a strong correlation between plasma levels of risperidone and its adverse effects.
Objective

This study aimed to develop risperidone in floating microparticles to overcome its extrapyramidal side effects.
Methods

Floating microparticles were prepared using Eudragit S100, hydroxypropylmethyl cellulose (HPMC), Gelucires (Gelucire 43/01 pellets, Gelucire 44/14 and Gelucire 50/13), Geleol mono and diglyceride NF, glyceryl monostearate, Compritol 888 ATO, methyl-betacyclodextrin (MβCD) and hydroxypropyl-betacyclodextrin (HPβCD), by emulsion solvent diffusion technique. In-vitro experiments were conducted to optimize formulation parameters regarding floating ability, yield value, drug loading and in-vitro release properties. The best formula was investigated for its in-vivo floating ability and for its pharmacokinetics as well as its extrapyramidal side effects in human volunteers.
Results

The optimized floating microparticles showed promising in-vitro experiment performance with floating ability up to 95.93% for 12 h. Also, this floating ability was confirmed using in-vivo x-ray studies. Pharmacokinetics studies revealed significant ($p < 0.05$) lower Cmax, longer Tmax and higher AUC values for the optimized formula compared to the marketed oral product (RisperidalÈ 4 mg tablets) indicating gradually release properties which lead to high treatment efficacy of the drug with obvious reduced extrapyramidal side effects.
Conclusion

These results proved that formulating risperidone as floating microparticles is a suitable dosage form for overcoming risperidone side effects.

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