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Design, development and bioavailability study of prochlorperazine sustained release tablets

Dhandapani Nagasamy Venkatesh

JSS College of Pharmacy, India

Abstract

Statement of the Problem: Prochlorperazine maleate is a well known anti-emetic drug used in the prevention and control of nausea associated with vomiting in the chemotherapy or radiation treatment of cancer. The usual dosage of the drug is three to four times a day due to its shorter half-life. At present there are no sustained release tablets available in India. Hence the purpose of our study was to investigate the in vitro and in vivo performance of the in house developed sustained release tablets of prochlorperazine prepared using hydrophilic polymer hydroxypropyl methylcellulose (HPMC) with commercially available marketed formulation.

Methodology & Theoretical Orientation: Sustained release tablets of prochlorperazine maleate were prepared by wet granulation method. All the composition with the exception of magnesium stearate and talc were deagglomerated using BSS sieve with 0.25 mm opening. The wet mass was passed manually through BSS with a 1.7 mm opening and the granules were dried at 60° C for 3-4 hours. The dried granules were passed through BSS with 1.0 mm opening. Then the lubricated blends were compressed using 8 mm concave punches at a 10 station rotary tablet press.

Evaluation of tablets: The prepared sustained release tablets were evaluated for various pharmacotechnical parameters such as weight variation, hardness, thickness, friability, drug content estimation, in vitro drug release study and bioavailability study in humans by official procedures.

Findings: The developed sustained release tablets of prochlorperazine maleate were found to possess satisfactory pharmacotechnical properties. The thickness of the prepared tablets ranged from 3.61± 0.1 to 3.72 ±0.11mm. The friability of the tablets was in the range of 0.3±0.014 to 0.412 ±0.02%. Hardness of the tablets was in the range of 5.16±0.38 to 5.72±0.18 kg/cm2. The drug content in the prepared tablets was in the range of 95.27±1.1 to 102.42±1.5. The tablets exhibited a quasi-fickian release obeying first order kinetics as their predominant mechanism of drug release. The pharmacokinetic parameters such as AUC0-∞, Cmax, Tmax and elimination half-life (t1/2) were found to be significantly higher than the marketed formulation.

Conclusion & Significance: The formulated sustained release matrix tablets of prochlorperazine maleate are capable of exhibiting sustained release properties, thereby they are capable of reducing the dose intake, minimize the blood level oscillations, dose related side effects, cost and ultimately improve the patient compliance.

Pharmacokinetic parameters	Developed SR Product	Marketed
AUC_{0-t} (ng.h/ml)	27442.62	1615.123
$AUC_{0-\infty}$ ng.h/ml)	23450.25	1894.857
C_{max} (ng./ml)	297.8904	218.4162
t_{max} (h)	5	3.83
k_{eli} (h-1)	0.11209	0.178829
$t_{½}$ (h)	6.1970	3.89381

Table 1: Pharmacokinetic parameters after oral dose of 5 mg (marketed) and developed SR tablets (15 mg) prochlorperazine maleate administration to humans.

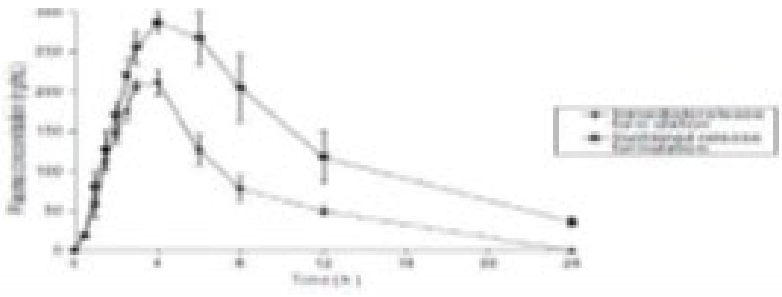

Figure 1: Mean plasma concentrations (ng/ml) for prochlorperazine maleate immediate release and sustained release product

Biography

Dhandapani Nagasamy Venkatesh is working as Assistant Professor at Department of Pharmaceutics, JSS College of Pharmacy, Udhagamandalam. India. Dr. Dhandapani Nagasamy Venkatesh is interested in developing certain nanobased drug delivery systems for antiviral and anticancer drugs. His research is also extended in developing oral sustained/controlled drug delivery systems for certain drugs of therapeutic interest and analytical and bio-analytical method development for such drugs. He serves as a reviewer of more than 25 peer reviewed journals including AAPS, Carbohydrate polymer, Indian Journal of Pharmaceutical Sciences. He also serves editorial board member for more than 20 international journals. Dr. D.Nagasamy Venkatesh has authored more than 50 peer-reviewed publications. He has received three best awards for his presentations/publications in national and international level conferences.

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