World Academy of Science, Engineering and Technology
International Journal of Animal and Veterinary Sciences
Vol:8, No:06, 2014

Pharmacokinetics of Oral Controlled-Release Formulation of Doxycycline Hyclate with Polymethacrylate and Acrylic Acid for Dogs

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Abstract : The aim of this study was to develop oral drug presentation of doxycycline hyclate that maintains longer therapeutic levels than conventional forms. A polymethacrylate and acrylic acid based matrix were used in different proportions to obtain controlled-release formulations; DOX1 (1:0.25:0.0035), DOX2 (1:2:0.0225) and DOX-C (without excipients). All were tested in vivo in healthy dogs and their serum concentrations vs. time profile was investigated after its oral administration in this species. DOX1 and DOX2 show therapeutic concentrations for 60 hours, while DOX-C only for 24 hours. The pharmacokinetics values tested were K½el, Cmax, Tmax, AUC, AUC∞, AUCt, AUMC, RT, Kel, Vdss, Clb and Frel. DOX1 does not differ significantly from DOX-C, but shows significant differences in all variables with DOX2 ($p<0.05$). In conclusion, DOX1 presents best pharmacokinetics for time-dependent drug and longer release time of 60 hours, thereby reducing the frequency of administration, the patient's stress, the occurrence of adverse effects and the cost of treatment.

Keywords : tetracyclines, long-acting, sustained-release, carbopol, eudragit, canine
Conference Title : ICASVM 2014 : International Conference on Animal Science and Veterinary Medicine
Conference Location : New York, United States
Conference Dates : June 05-06, 2014