PEARL OF THE MONTH: ANTIHISTAMINES

1. A 1991 paper in Veterinary Dermatology provided evidence of clinical improvement of atopic symptoms in 43% of dogs treated with a combination of clemastine (0.5mg – 1.5mg per dog PO BID) and Derm Caps. However in practice I can’t say that I have ever appreciated much improvement with clemastine. A possible explanation for this was published in 2004:

Clinical pharmacology of clemastine in healthy dogs
The pharmacokinetic properties of clemastine were investigated in six healthy dogs and compared with the effect of the drug recorded as inhibition of wheal formation induced by intradermal injections of histamine. After oral administration, the bioavailability was only 3%. Given intravenously, clemastine (0.1 mg/kg) inhibited wheal formation completely for 7 h, whereas the effect after oral administration (0.5 mg/kg) was minor. The data show that most dosage regimens suggested in the literature for the oral administration of clemastine to dogs are likely to give too low a systemic exposure of the drug to allow effective therapy.

Unfortunately, we do not know the ideal clemastine dose for dogs, and it appears that due to the poor oral availability of the drug, the appropriate dose is likely to be too high to be economically feasible.

2. Hydroxyzine HCl as we know has become quite expensive, and I have substituted hydroxyzine pamoate for several years with good clinical response. Although the hydroxyzine dosing frequency has been recommended up to TID, a 2004 Veterinary Dermatology publication demonstrated BID dosing is adequate; this will lead to improved client compliance and decreased cost. Hydroxyzine also has a much higher oral bioavailability compared to clemastine:

Hydroxyzine and cetirizine pharmacokinetics and pharmacodynamics after oral and intravenous administration of hydroxyzine to healthy dogs
Pharmacokinetic parameters of hydroxyzine and its active metabolite cetirizine were determined after oral and intravenous administration of 2 mg/kg of hydroxyzine to six healthy dogs. The mean systemic availability of oral hydroxyzine was 72%. Hydroxyzine was rapidly converted to cetirizine regardless of the route of administration. The terminal half-life for cetirizine varied between 10 and 11 h after intravenous and oral administration of hydroxyzine. In conclusion, there was rapid conversion of hydroxyzine to cetirizine. The reduction of wheal formation appeared almost entirely due to cetirizine. Pharmacodynamic modelling predicted that maximal antihistamine effect would occur with twice daily oral administration of hydroxyzine at 2 mg/kg.

This paper also piqued my interest in using cetirizine (Zyrtec), and now that the generic form is available OTC, I am recommending it more often; the ideal dose for animals is not known, but suggested doses range from 5mg PO SID in small dogs < 15#, to 10mg PO BID in large dogs > 40#.