PALMITOYLETHANOLAMIDE LOADED IN NEW NANOSRTUCTURED LIPID CARRIER: AN OCULAR PK STUDY

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Palmitoylethanolamide (PEA) is an endogenous lipic compound used for its anti-inflammatory and neuroprotective properties (Re et al., 2007). PEA is a good candidate for the treatment of ocular diseases such as glaucoma and diabetic retinopathy (Chen et al., 2005, Matias, et al. 2006). PEA was encapsulated into nanostructured lipid carriers (NLC). The aim of this study was to evaluate the ocular pharmacokinetics profile of a PEA-NLC formulation in comparison to a suspension of PEA. New Zealand rabbits were housed and treated according to the ARVO statement for the Use of Animals in Ophthalmic and Visual Research. The animals, randomly assigned to two groups (n=4 per group), received 30 µl eye drops of either PEA-NLC or PEA-SUSPENSION. Animals were sacrificed at different time points 30', 60', 120', 180'; and eyes were enucleated. HPLC-MS/MS analysis was used to measure [PEA] in lens and vitreous. PEA loaded in NLC showed higher Cmax and AUC, both in lens and vitreous of treated animals, in comparison to PEA delivered as a suspension. HPLC analysis of lens revealed that AUC of PEA-NLC and PEA-SUSPENSION was 199998±1532 pmol*min/g and 101281±11484 pmol*min/g, respectively. The AUC of PEA-NLC in vitreous was 203705±5957 pmol*min/g, whereas AUC of PEA-SUSPENSION was 16046±567 pmol*min/g. PEA-NLC Cmax was 2961±485 pmol/g and 5974±541 pmol/g in lens and vitreous, respectively. Cmax values of PEA-suspension were 731±135 pmol/g in lens, and 199±30 pmol/g in vitreous. These pharmacokinetic data indicate that PEA-NLC formulation increased significantly the ocular bioavailability of PEA even in the back of the eye. In conclusion, PEA-NLC could be potentially used for treatment of retinal neuroinflammatory diseases.

G. Re et al. (2007) Veterinary journal 173, 21-30.

J. Chen et al.(2005) Biochemical and biophysical research communications 330,1062-7.

I. Matias, et al. (2006) Prostaglandins, leukotrienes, and essential fatty acids 75,413-8.