Degradable Polyesteramides: A New Platform for Ophthalmic Drug Delivery

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Abstract

Purpose Amino acid-based polyesteramides (‘PEAs”) are being developed as a new biomaterial for ocular drug delivery. PEAs are highly versatile with respect to physicochemical properties and processability, and hold promise as a sustained release delivery system for ophthalmology.

Methods PEAs are based on α-amino acids, aliphatic dicarboxylic acids and aliphatic α-ω diols and are prepared at DSM Biomedical.

These polyester amides have been chemically modified and formulated to provide sustained release of small molecules and biologics from a variety of forms ranging from solid monoliths (such as rods) to coatings and nanoparticles. Degradation profiles are currently being assessed in the intravitreal and subconjunctival spaces.

Substituent residues:
- amino acids, aa
- diol (X)
- di-acid (Y)

Conjugation point: (*)
Results  PEAs have been processed into various injectable forms for intra and periocular delivery through small gauge needles. PEAs degrade enzymatically as demonstrated with chymotrypsin, esterases, lipases as well as macrophage mediated degradation. Due to this enzymatic degradation and consequential surface erosion, drug release mainly follows zero-order kinetics. The biocompatibility of PEAs have been studied extensively in preclinical evaluations and have been used clinically in cardiovascular applications. In-vivo degradation profiles of pre-formed rod implants are on-going.

Conclusions  Amino acid based biodegradable polymers represent a next generation platform for sustained release drug delivery and hold promise for utility in ophthalmology.

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