

New zwitterionic copolymer with carboxybetaine moieties as possible drug delivery system

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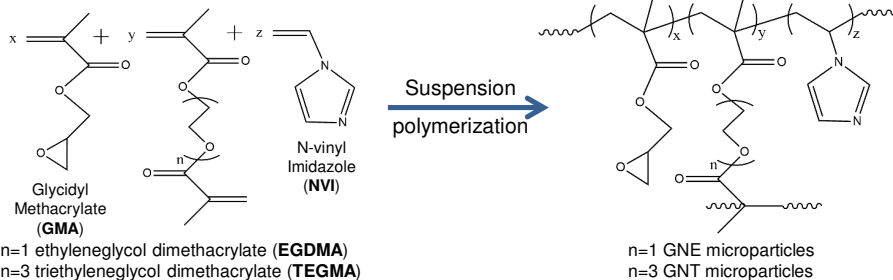
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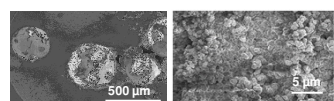
Introduction

Sustained drug delivery is considered as an effective strategy to improve the treatment of certain diseases.¹ Zwitterionic microparticles with controllable structures and advanced functions allow enhancement of adsorption processes to achieve improved performances for drug delivery applications due to their good biocompatibility, non-toxicity, low immunogenicity and antifouling activity.² This study reports novel porous zwitterionic microparticles based on glycidyl methacrylate (GMA) and N-vinyl imidazole (NVI), synthesized via suspension polymerization method, in the presence of a porogenic agent such as toluene or n-butyl acetate followed by betainization reaction using sodium chloroacetate. The zwitterionic porous microparticles were tested for tetracycline loading and release capability.

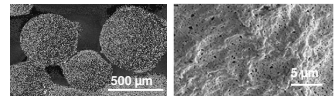
Synthesis of porous microparticles



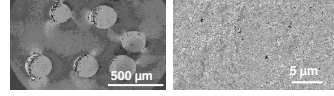
Influence of monomer ratio



G₄₅N₄₅E₁₀ (GMA:NVI:EGDMA = 45:45:10)

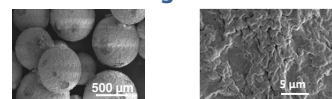


G₆₀N₃₀E₁₀ (GMA:NVI:EGDMA = 60:30:10)

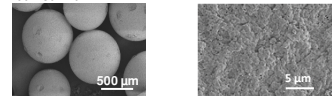


G₇₀N₂₀E₁₀ (GMA:NVI:EGDMA = 70:20:10)

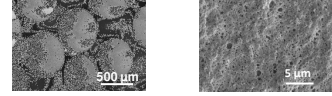
Influence of crosslinking degree



G₆₀N₃₀T₁₀ (GMA:NVI:TEGDMA = 60:30:10)

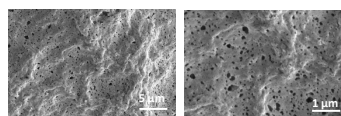


G₅₀N₃₀T₂₀ (GMA:NVI:TEGDMA = 50:30:20)

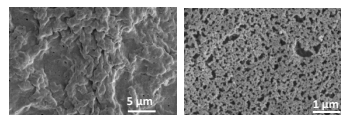


G₄₀N₃₀T₃₀ (GMA:NVI:TEGDMA = 40:30:30)

Influence of crosslinker nature



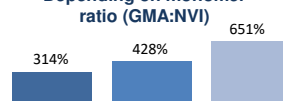
G₆₀N₃₀E₁₀ (GMA:NVI:EGDMA = 60:30:10)



G₆₀N₃₀T₁₀ (GMA:NVI:TEGDMA = 60:30:10)

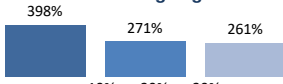
Microparticles swelling degree (%)

Depending on monomer ratio (GMA:NVI)



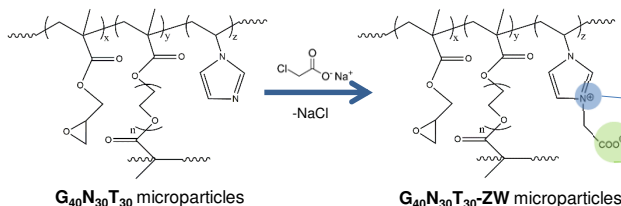
Crosslinker = EGDMA 10% mol

Depending on crosslinking degree

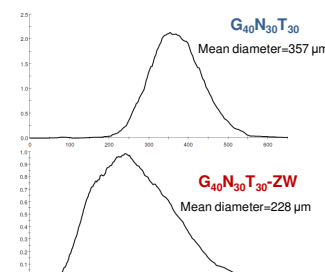
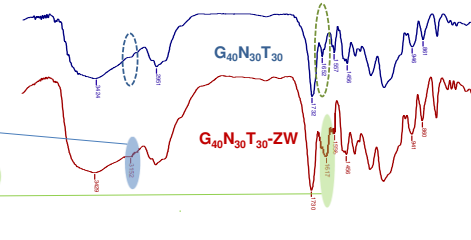


Crosslinker = TEGDMA

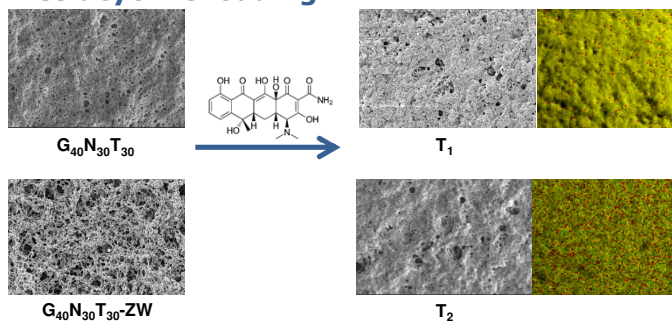
Betainization reaction



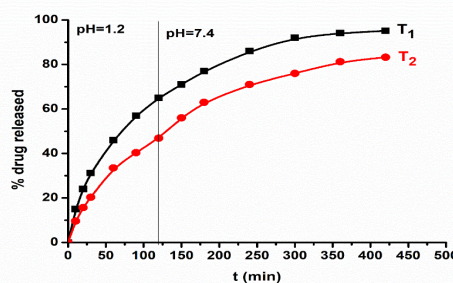
FTIR spectra comparison



Tetracycline loading



Tetracycline release study



Release under simulated gastrointestinal tract conditions:

- 2 hours at pH = 1.2
- 5 hours at pH = 7.4

Conclusions

- Both crosslinkers (EGDMA and TEGDMA) lead to spherical microparticles with diameters between 200-400 μm.
- The G₄₀N₃₀T₃₀ microparticles were successfully betainized with sodium chloroacetate, process confirmed by FTIR analysis.
- Microparticles G₄₀N₃₀T₃₀ and G₄₀N₃₀T₃₀-ZW were loaded with tetracycline. The zwitterionic beads release the antibiotic at a slower rate, suggesting a prolonged release system.
- Zwitterionic microparticles are suitable for drug delivery applications.

Acknowledgement

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Reference

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