Nanoparticle delivery of 2-Methoxyestradiol for uterine leiomyoma therapy

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Uterine leiomyomas, also known as fibroids, cause pelvic pain, heavy bleeding, and are a common cause for hysterectomy. We recently reported the development of a potential nonsurgical, fertility-preserving alternative treatment utilizing polymeric nanoparticles delivering the apoptosis-inducing but poorly soluble drug 2-methoxyestradiol. Nanoparticles prepared from polylactide, poly(lactide-co-glycolide), poly(sebacic acid), and PEGylated poly(sebacic acid) were prepared having diameters between 150 and 200 nm, high encapsulation efficiency, and no burst release. Furthermore, these 2-methoxyestradiol-loaded nanoparticles induced cytotoxicity in human leiomyoma cells to a greater extent than the free drug at 0.35 µM. However, there was some concern regarding the biocompatibility of the PEGylated poly(sebacic acid) nanoparticles. In order to improve the drug delivery strategy, we have prepared new nanoparticles with PEGylated poly(lactide-co-glycolide) (PEG-PLGA). These new 2-methoxyestradiol-loaded PEG-PLGA nanoparticles were characterized with particle diameters between 103 and 112 nm, polydispersity indices between 0.10 and 0.13, up to 96.5% encapsulation efficiency, and no burst release was observed. This novel nanoparticle-based approach may represent an important therapeutic alternative to hysterectomy for women needing treatment for uterine fibroids but seeking to retain their fertility.

Biography
Erik Rytting S Al Enazy earned his Ph.D. degree in Pharmaceutical Chemistry at the University of Kansas and completed postdoctoral training in pharmaceutical nanotechnology at the University of Marburg (Germany) and placental perfusion techniques at the University of Copenhagen (Denmark). He is an assistant professor in the Maternal-Fetal Pharmacology and Biodevelopment Laboratories in the Department of Obstetrics & Gynecology at the University of Texas Medical Branch, where he holds joint appointments in Biomedical Engineering and Pharmacology & Toxicology.

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