Abstract: Nanomedicine is the medical application of nanotechnology for healthcare. Advanced treatment of major diseases such as cancer requires controlled targeted delivery of therapeutic and diagnostic (theranostic) nanomaterials. Despite the progress in the costly development of new theranostic nanomaterials, many cannot reach clinical trials. This challenge is partly due to the poor reproducibility of the therapeutic efficacy in scale-up production of these nanomaterials and partly due to the poor predictive validity of conventional in vitro screening platforms. To address these challenges, we develop (i) microfluidic platforms that enable highly reproducible synthesis of multicomponent therapeutic nanoparticles and (ii) microengineered physiological systems that present cultured cells with controlled mechanical and biochemical cues with physiological relevance. This talk highlights our recent efforts toward engineered microfluidic systems for the development of therapeutic nanomaterials including high-density lipoprotein-mimetic nanoparticles and for the development of microphysiological models of the human blood-brain barrier. Our ultimate vision is to leverage these technological innovations to enable cost-effective identification of new therapeutic targets for atherosclerosis, Alzheimer’s, and brain tumors.