In-Bead Screening of Hydroxamic Acids for the Identification of HDAC Inhibitors - DTU Orbit (08/11/2017)

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A one bead–one compound screening format is presented. Following solid-phase synthesis on a photolabile linker, library compounds were readily released and screened inside polymer beads. The release of screening compounds was readily controlled by varying photolysis time and light intensity. Dose-response experiments were carried out to effectively distinguish high- and low-affinity ligands. A library containing 55 800 compounds was synthesized and screened in a fluorometric assay, thereby identifying potent HDAC inhibitors with IC_{50} values in the nanomolar range.

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