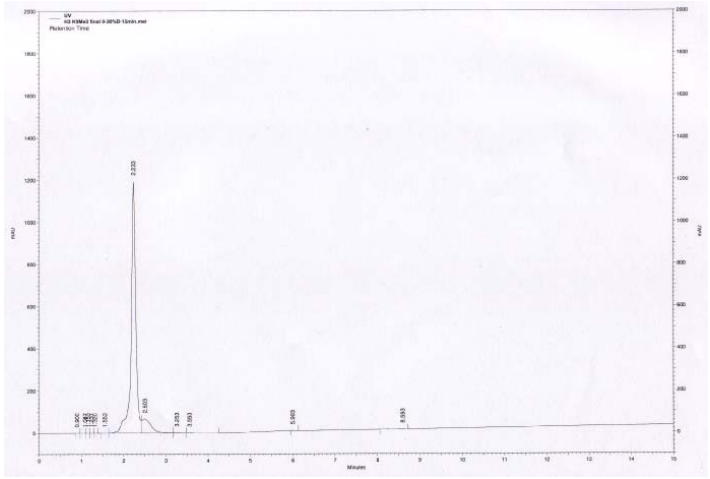
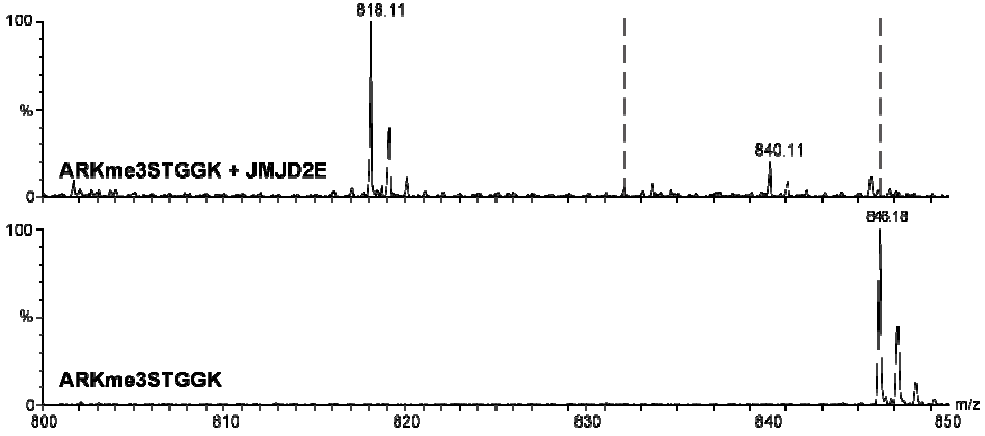
Supporting Figure 1. A) Analytical HPLC trace of purified ARKme3STGGK peptide (0-30 % acetonitrile in water, with 0.1 % trifluoroacetic acid). B) MALDI-TOF mass spectrum of the purified ARKme3STGGK peptide (bottom spectrum) and of the same peptide after 30 min incubation with JMJD2E (top spectrum) showing demethylation to ARKme1STGGK.

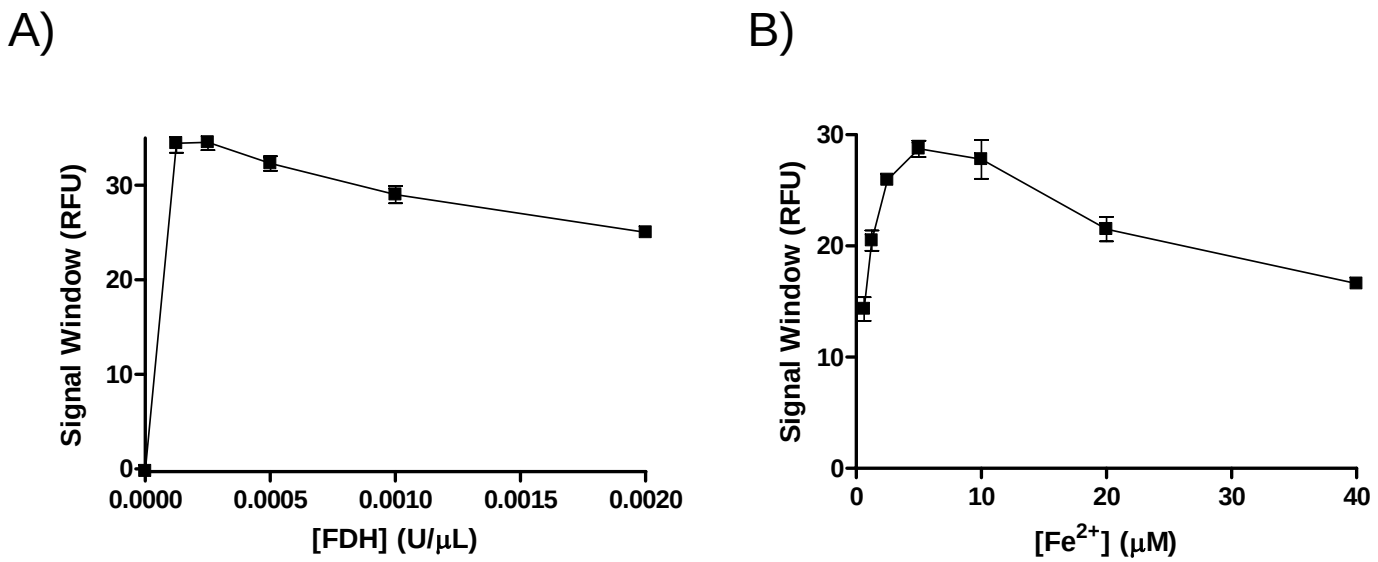
A)



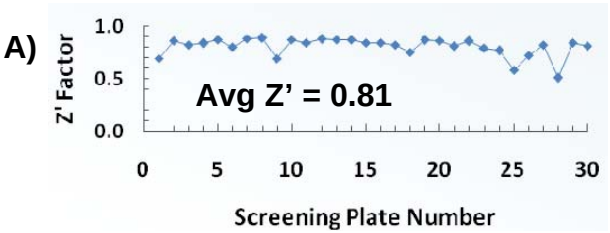
B)

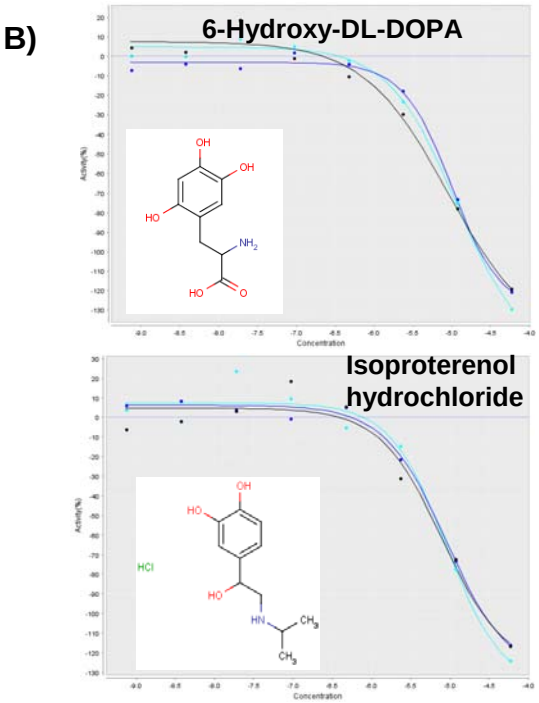


Supporting Figure 2. Assay signal dependence as a function of FDH (A) or FAS (B) concentrations. Signal window expressed as the rise in fluorescence intensity during the first 20 min reaction, averages from triplicate tests shown.



Supporting Figure 3. Assay validation by a triplicate screen of the LOPAC^{1280} collection in qHTS mode using a fully integrated robotic system. A) Excellent Z' factor was observed throughout the screen. B) Excellent run-to-run reproducibility in dose responses was observed as shown with two of the screening hits.





Supporting Figure 4. Kinetic analysis of the mode of inhibition of four representative screening hits.