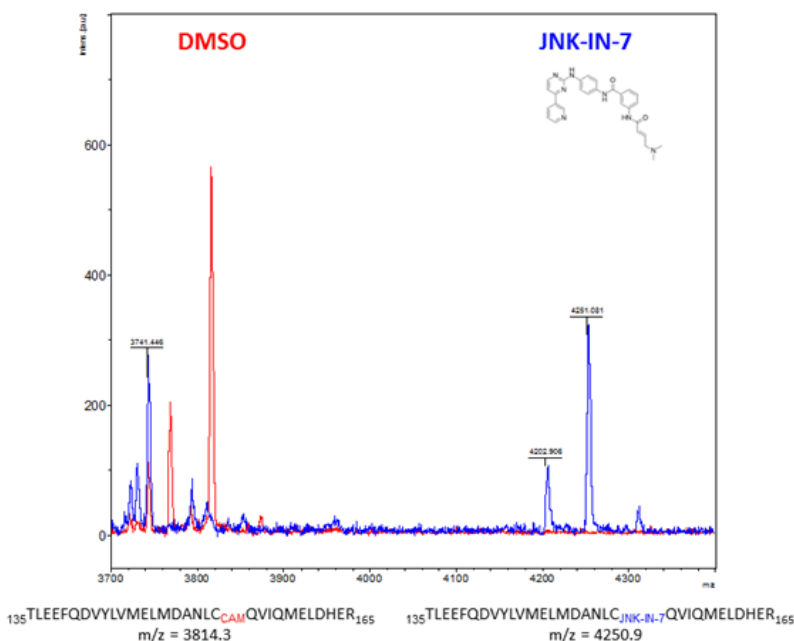


Although the effectiveness of covalent protein modifiers as drug candidates has been known for decades there has always been a cautiousness toward their development due to safety concerns from off-target modification. The approach has experienced a resurgence in the recent past with 5 approvals in 5 years but a cautious attitude remains. **Revolution Biosciences** has developed robust quantitative assays using MALDI mass spectrometry for detecting covalent protein modifications capable of supporting medicinal chemistry programs.



MAPK10 spectra following labelling with **JNK-IN-7** or **DMSO** treatment and tryptic digest.

MAPK10 Covalent MALDI-MS Assay

As a validation of our MALDI-MS approach we developed a MAPK10 (JNK3) assay based on the discovery of the covalent inhibitor JNK-IN-7, Zhang, T. et. al. *Chem. Biol.* 2012, 19(1), 140-154. The figure above demonstrates the

covalent modification of MAPK10 at CYS154 by JNK-IN-7 using MALDI-MS after tryptic digestion. The assay throughput allows testing of up to 16 inhibitors/run.

About Us

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Revolution Biosciences' collaborative R&D discovery model leverages the power of chemical biology to discover and develop transformative medicines in partnership with the life science community by enabling access to cutting edge technologies (MALDI-MS, High Content Image Screening & Differential Scanning Fluorimetry) through consulting agreements, collaborative partnerships and contract research.

We develop new bioanalytical methods to directly identify proteins, peptides and small molecules and their molecular interactions in all types of biological samples. Our small molecule drug discovery expertise and application of advanced proteomics technologies accelerates drug discovery research and our state of the art bioanalytical laboratory on the UMass Boston campus is equipped to handle bioanalytical studies from assay development through high throughput screening.