There is a renaissance in peptide drug discovery with respect to tackling intracellular targets, including protein–protein interactions and protein–DNA/RNA interactions. With respect to such targets for the advancement of novel cancer therapies, pioneering basic research provided the framework to identify the first dual antagonists of MDM2 and MDMX. Further iterative optimization led to ATSP-7041, a macrocyclic a-helical peptide, as a progenitor of a drug development candidate (now in Phase 1/2 clinical trials). This presentation will highlight ATSP-7041 as a benchmark molecule for new drug design concepts, cell permeability screening tools, and translational medicine of an emerging super-class of macrocyclic peptide modality.

Objectives:

- To share a vision of peptide drug discovery to explore intracellular target space.
- To exemplify new drug design concepts and cell permeability screening tools enabling peptide research and development.