Transferrin is a promising drug carrier that has the potential to deliver metals, small organic molecules and therapeutic proteins to cancer cells and/or across physiological barriers (such as the blood-brain barrier). Despite this promise, very few transferrin-based therapeutics have been developed and reached clinical trials. This modest success record can be explained by the complexity and heterogeneity of protein conjugation products, which also pose great challenges to their analytical characterization. In our lab, we use transferrin-drug conjugates as model therapeutics targeting the central nervous system and develop analytical protocols based on mass spectrometry to characterize their structure, interactions with therapeutic targets and physiological partners critical for its successful delivery as well as monitoring biodistribution of these species in vivo.