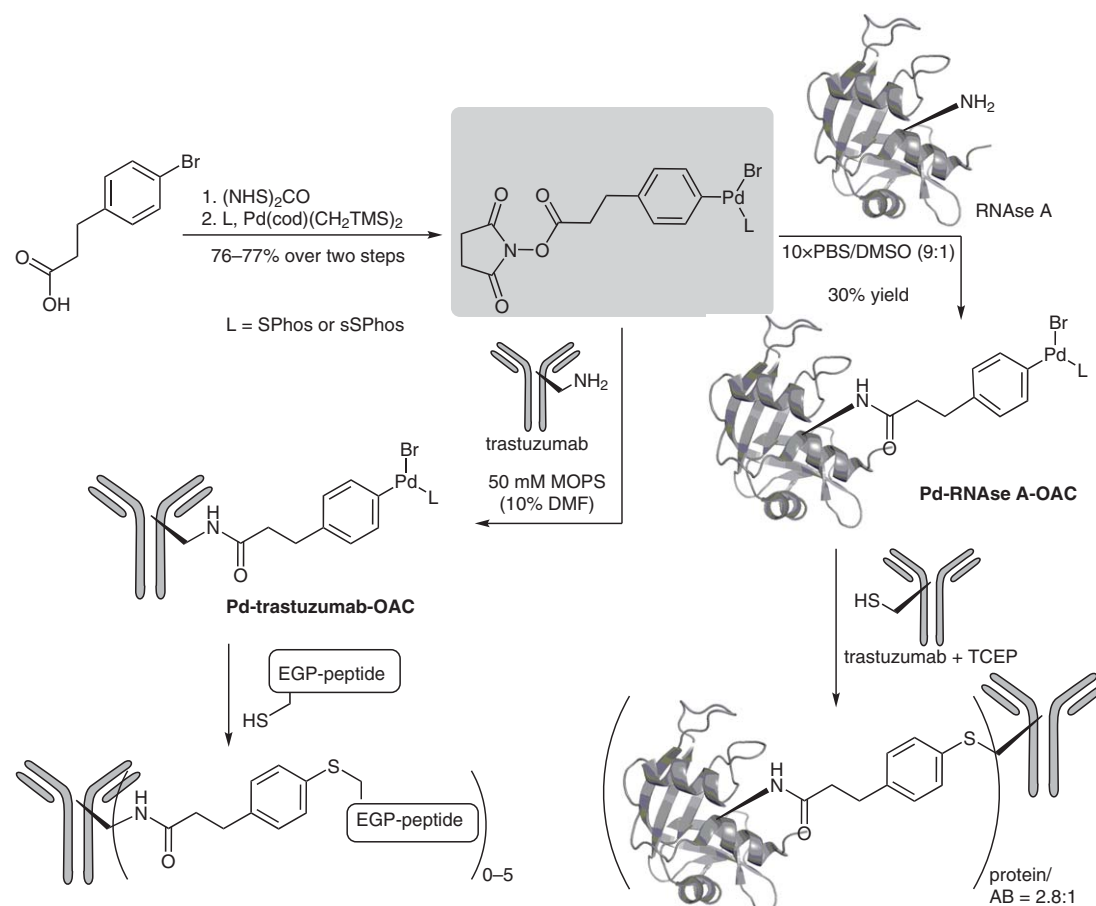


Lysine-Selective Oxidative Addition Complexes for the Conjugation of Proteins, Antibodies, and Peptides



Significance: Many protein conjugation strategies require the pre-installation of aryl halides onto the protein or are selective for cysteine side chains. The authors describe stable NHS ester based Pd-Oxidative Addition (OA) transfer reagents that can be used for the amine-selective conjugation of proteins, peptides, or antibodies. The strategy described was further used for the protein–protein cross-coupling of lysozyme C to anthrax protective mutant antigen K563C.

Comment: The bifunctional OAC transfer reagent was developed by the installation of an NHS ester on one end and a biaryl phosphine supported Pd-OAC on the other end. The NHS ester is capable of selectively acylating amine side chains of proteins installing a Pd-OAC reagent on the surface of a protein or antibody. The resulting Pd-OAC complexes undergo efficient conjugation with thiol-containing substrates such as proteins, peptides, and antibodies. Protein homodimerization was achieved with dithiol linkers.