

Synthesis of a bifunctional ligand for antibody-targeted cancer therapy and imaging.

YeSeul Lee and Hyun-Soon Chong*

Chemistry Division, Department of Biological, Chemical, Physical Sciences, Illinois Institute of Technology, 3101 S. Dearborn St, LS 182, Chicago, IL 60616

The development of the adequate synthetic bifunctional chelates is a critical step for antibody-targeted cancer therapeutic and diagnostic techniques such as radioimmunotherapy (RIT) and positron emission tomography (PET). We previously reported the synthesis and biological evaluation of the structurally new bifunctional ligand, C-NETA possessing both a macrocyclic cavity and an acyclic pendant binding group for use in the techniques. We have developed a practical, efficient, and scalable synthetic route to amino acids having a linker for conjugation to antibody, a tumor targeting moiety. The amino acids are further converted to precursor molecules for bifunctional ligands. We will present the efficient synthetic route to amino acids and the progress towards the synthesis of a new bifunctional ligand for targeted cancer therapy and imaging.