Chemistry of Contrast Media

Small Molecules

Chelate chemistry for molecular imaging

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Learning Objectives:

- Introduction of bioconjugate chemistry
- Introduction of chelate chemistry- Chick chemistry for chelate chemistry
- Applications of chelate chemistry in biomolecules

To understand biological functions and processes, the research scientists have frequently faced the synthesis of big biomolecules connected with one or more different molecules. Oligopeptides, oligonucleic acids, and oligosaccharides can rapidly and conveniently be prepared by commercial automatic synthesizer using similar and repeated coupling methods of limited number of protected monomers. The conjugations are, however, not easy, even when one wants to conjugate two different compounds such as peptides including proteins such as antibodies, nucleic acids, carbohydrates, lipids, and synthetic molecules. To trace or to visualize the biological process or extraordinary behavior using biomolecules in vivo, labeled compounds with either radioisotopes or fluorescence dyes have been used. In early days, these are used for diagnosis. By increasing demand of therapy using radiometals, chelate chemistry for molecular imaging becomes more important research area.

Recently many coupling methods have been developed such as Huisgen azide/alkyne 1,3-dipolar cycloadditions, so called as one of Click chemistry, have been widely applied after these cycloaddition reactions can be proceeded regiospecifically by using Cu(I) catalyst. Conjugation of two different big molecules which one has azido group and the other a terminal alkyne group became feasible without complicated protective groups. In addition other coupling methods will be handled for chelate chemistry.

Relevant Publications:

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4. Fischer, G.; Seibold, U.; Schirrmacher, R.; Wängler, B.; Wängler, C. Molecules 2013, 18, 6469-6490.
5. Kluba, C. A.; Mindt, T. L. Molecules 2013, 18, 3206-3226.
6. Roger Schibli, R.; Schubiger, P. A. Eur. J. Nucl. Med. 2002, 29, 1529-1542.

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