

Publication

A click approach to structurally diverse conjugates containing a central di-1,2,3-triazole metal chelate

JournalArticle (Originalarbeit in einer wissenschaftlichen Zeitschrift)**ID** 1192760**Author(s)** Mindt,Thomas L; Schweinsberg,Christian; Brans,Luc; Hagenbach,Adelheid; Abram,Ulrich; Tourwé,Dirk; Garcia-Garayoa,Elisa; Schibli,Roger**Author(s) at UniBasel** [Mindt, Thomas L.](#) ;**Year** 2009**Title** A click approach to structurally diverse conjugates containing a central di-1,2,3-triazole metal chelate**Journal** ChemMedChem : chemistry enabling drug discovery**Volume** 4**Number** 4**Pages / Article-Number** 529-539**Keywords** click chemistry, di-1,2,3-triazoles, bombesin, imaging agents, technetium

The selective and efficient synthesis of novel tridentate metal chelating systems containing two 1,4-disubstituted 1,2,3-triazole heterocycles obtained via the copper(I)-catalyzed cycloaddition of alkynes and azides (click reaction) is described. The constructs are shown to be efficient ligand systems for the chelation of fac-[M(CO)(3)(H(2)O)(3)](+) (M=(99m)Tc, Re) yielding well- defined and stable complexes. The organometallic (99m)Tc conjugates are suitable for application as diagnostic radiotracers for single photon emission computed tomography (SPECT) as demonstrated in vivo with a fragment of the tumor-targeting bombesin peptide functionalized with a di-1,2,3-triazole chelator and radiolabeled with [(99m)Tc(CO)(3)](+). Starting from readily available dialkyne precursors, the central chelating systems are formed as the conjugates are assembled by click reaction with azide-functionalized entities. Depending on the nature of the azide substrates employed (e.g. lipophilic or hydrophilic residues) pharmacologically relevant characteristics of the final metal conjugate such as hydrophilicity or overall charge can be readily modulated. The procedures described also enable the facile introduction of other probes into the metal conjugate, providing access to potential multimodal imaging agents.

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