Synthetic Studies on Multivalent Complexes (Ⅵ): Functionalization of carbosilanes and verification of the condensation reaction

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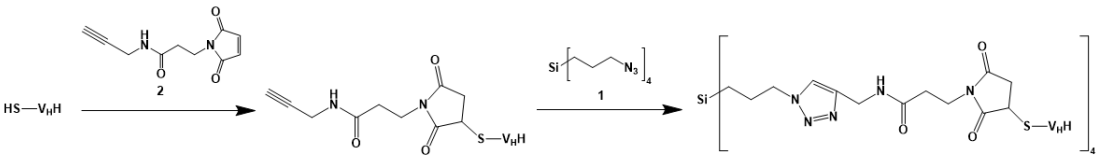
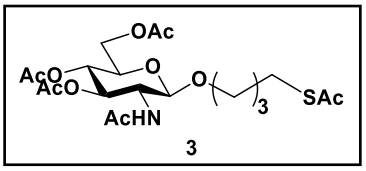
Carbosilane dendrimers, which are dendritic multivalent compounds with a fully defined branching structure, are known to be biologically inert because silicon, a neutral element, is the branching point element. On the other hand, the multivalency effect attributed to multivalent-type compounds means that a single compound shows weak interaction, but when it is aggregated, it shows much stronger interaction[1)]. The multivalency effect by means of carbosilane dendrimers has been confirmed to enhance the biological activities of carbohydrates[2)]. In this study, a synthetic method for construction of multivalent-type VHH with carbosilane dendrimers as the core will be established in order to anticipate the functional improvement by novel multivalent-type VHH.

Tetravalent carbosilane dendrimer **1** with azide at the end as the core and compound **2**, a linker for VHH loading with alkyne and maleimide at the end were prepared. The linker and VHH are joined by an en-thiol addition reaction between the terminal maleimide and the terminal thiol of the linker on VHH. Subsequently, the VHH derivative is allowed to react with the carbosilane dendrimer having terminal azides by Huisgen cycloaddition (**Scheme 1**).



Scheme 1 Overall Synthesis Plan

Carbosilane **1** was obtained in total yield of 47% and the linker **2** was obtained in total yield of 14%. On the other hand, GlcNAc derivative **3** with a thiol group at the end has also been synthesized as a model compound to investigate the conditions for en-thiol reactions. The results of synthetic pathway will be presented.



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