In vivo metal catalyzed conjugation for therapeutic potential

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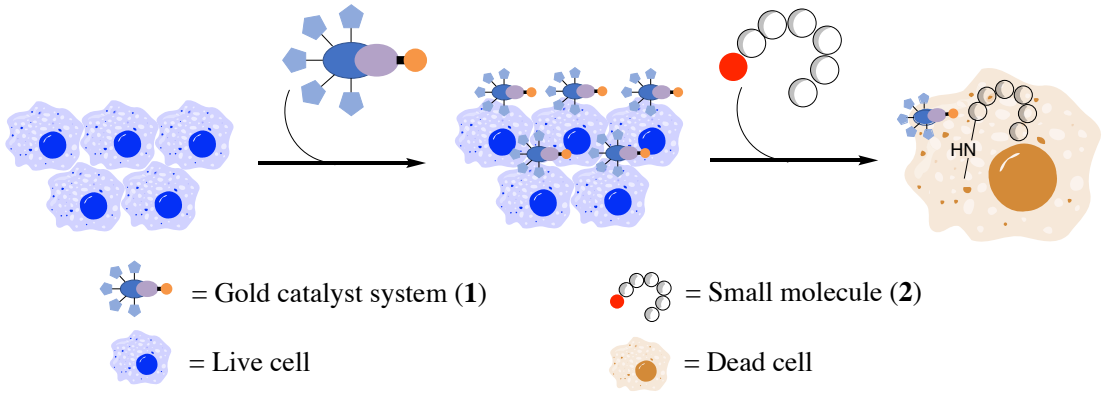
We previously developed a gold catalyst system which could be applied for *in vivo* synthetic chemistry by tagging specific organ with fluorescent-propargyl ester.[1] With this strategy in hand, we tried to elicit the antitumor activity towards the cancer cells. The *in vitro* analysis data indicated that **1** or **2** alone did not show any cytotoxicity against cancer cells. However, when cancer cells were treated with **2** in the presence of **1** showed significant cytotoxicity by cell tagging. The *in vivo* analyses were then investigated and showed in agreement with *in vitro* data. The tumor growth could be suppressed by treating tumor bearing mice with **2** in the presence of **1**, while negligible tumor inhibitions were observed in simply **1** or **2**- treated mice group.



1) K. Tanaka, *et al.*, *Angew. Chem. Int. Ed.* **2017**, *56*, 3579-3584.