The synthesis of fluorescent cyclic peptides via gold(I)-catalyzed macrocyclization

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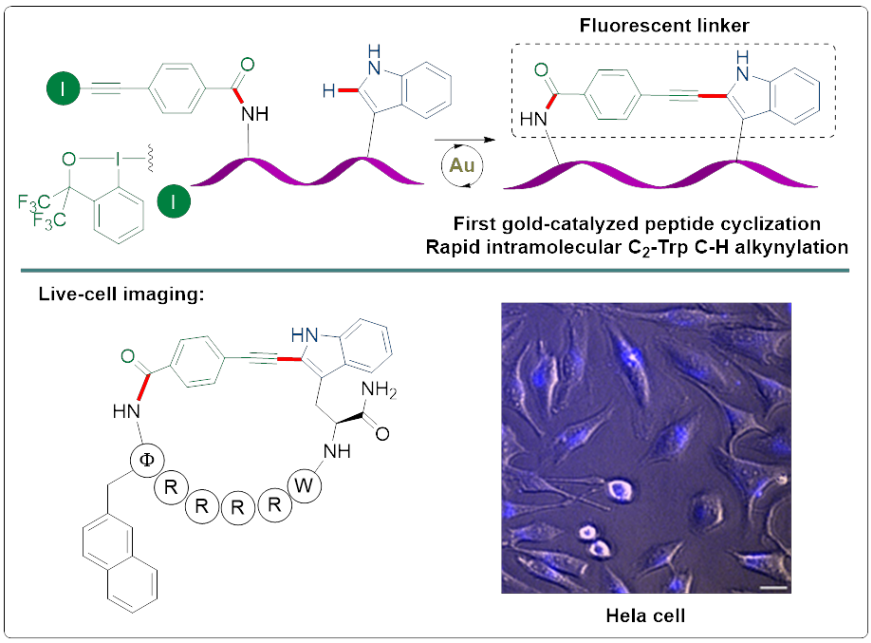
Rapid and efficient cyclization methods, forming novel 3D macrocycles, are still urgently needed. We presented here the first gold(I)-catalyzed peptide macrocyclization of peptide-EBXs (ethynylbenziodoxolones). This reaction was carried out in the presence of protecting group free peptide sequences enabled by simple and commercial gold catalyst ($AuCl{\cdot}Me_2S$). The method displayed rapid reaction rate (within 10 min), wide functionality tolerance (26 unprotected peptides were tested) and good yield. This unique highly conjugated cyclic peptide linker, formed through alkynylation, can be directly applied to cell imaging without further attachment of fluorophores.



[1] Emmanuelle M. D. Allouche, Elija Grinhagena, Jerome Waser *Angew. Chem. Int. Ed.* **2022**, *61*, e202112287.
[2] Liu, Xing-Yu. , Ji, Xinjian, Heinis, Christian, Jerome Waser, ChemRxiv 2023, DOI: 10.26434/chemrxiv-2023-jskfd.