A new cysteine-selective bioconjugation method based on electrophilic phosphorous(V) compounds

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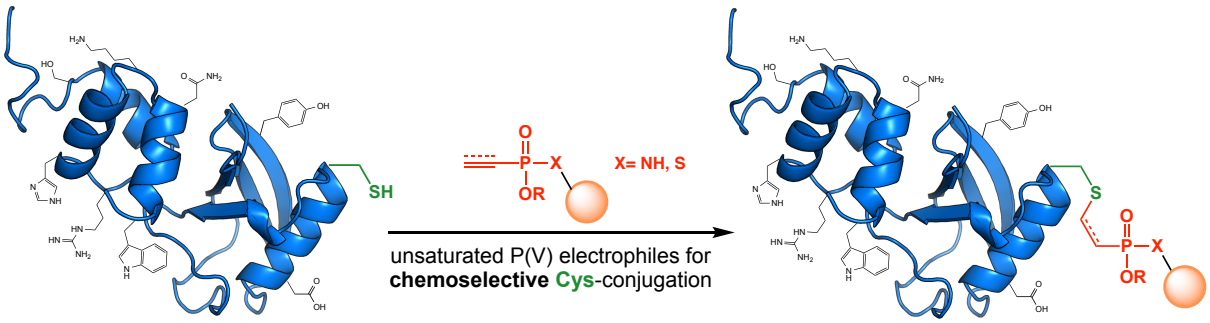

Covalently modifying biomolecules (e.g. proteins, antibodies) with functional tags (e.g. fluorophores, drugs, purification handles etc.) can greatly expand their biochemical applications.[1] However, a major challenge is to generate homogenous conjugates with respect to the site and number of modifications. A common strategy toward that end is to use chemical handles that react chemoselectively with one amino acid, for instance with the sulfhydryl group of cysteine.[2]

In our poster, we are presenting a new class of electrophilic, unsaturated phosphorous(V) compounds that we have found to react selectively with sulfhydryl groups, yielding remarkably stable bioconjugates.[3-5] We herein present the development of this methodology and demonstrate its use in the generation of several bioconjugates.

1. O. Koniev, A. Wagner, *Chem. Soc. Rev.* **2015**, *44*, 5495.
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3. M.-A. Kasper *et al.*, WO2018041985
4. A. Baumann *et al.*, EP 18160384.6 (2018)
5. M.-A. Kasper *et al.*, manuscript in preparation