PROBING BIOACTIVE MOTIFS THROUGH C(sp^3)-H ACTIVATION FOR THE DEVELOPMENT OF PROTEASE INHIBITORS

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Nowadays, the C(sp^2/sp^3)-H activation reactions have been developed and established due to their great potentialities.[1-2] Through them it is possible to carry out functionalization otherwise impracticable, enabling the activation of non-acidic unreactive CH bonds. Over the last years, the application of such reactions to complex molecules with biomedical relevance have appeared in the literature,[3-4] although the activation of the less acidic and more unreactive C(sp^3)-H bond remains a challenge.

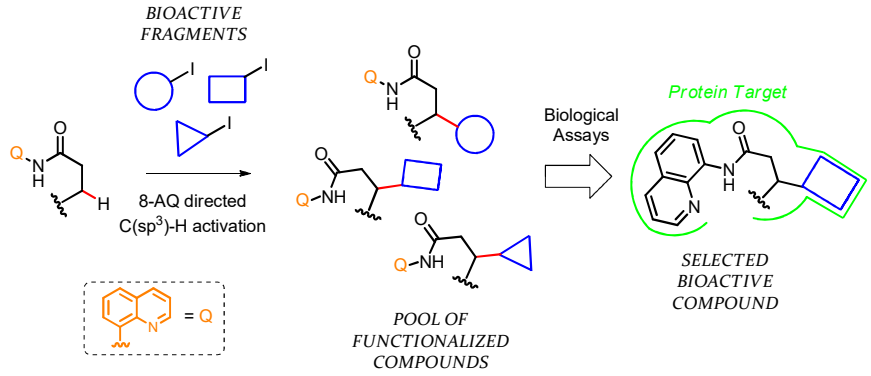

Figure 1. C(sp^3)-H activation as a tool for inserting bioactive moieties.

We set out to apply the 8-AQ directed C(sp^3)-H activation reaction on complex molecules of biomedical interest, to insert additional chemical motifs that could be able to increase the inhibitory activity of the compounds toward a first selected target: β-secretase 1, a transmembrane protease involved in the formation of the amyloid plaques in the Alzheimer's disease.

References

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