

Visible light induced metal-free C5 & C8 selective C-H functionalisation of quinolines

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Quinolines are one of the most important classes of *N*-heteroarenes and this scaffold is present in numerous natural products. This moiety exhibits various biological properties and consequently finds diverse applications in both medicinal and agrochemical industry.^[1] Many synthetic routes already exist to directly functionalise C-H bonds of the heterocyclic ring of quinolines at C2,^[2] C3^[3] and C4^[4] positions. More recently, several groups focused on developing new strategies for selective C5 functionalisation. The majority of transformations concerns 8-amidoquinolines and requires use of transition metals or strong oxidants under frequently harsh conditions,^[5] what hampers their synthetic utility. Concerning direct couplings at C8 position, it is almost an uncharted field as only very few examples using expensive catalysts or unselective reactions with low yields are reported.^[6] Consequently, the development of new eco-friendly strategies for C5 & C8 functionalisation of quinolines is particularly tempting.

In this context, we disclose that visible light provided by simple household bulbs can be astutely used as a unique activation mode, allowing an unprecedented general strategy towards C5 and C8 selective perfluoroalkylation of quinoline derivatives.^[7] This exceptionally mild radical transformation is compatible with a large panel of substrates and occurs at room temperature, employing green solvent. Outstandingly, the reaction does not require any transition metal catalysts, oxidants or photosensitizer: Fig.1.

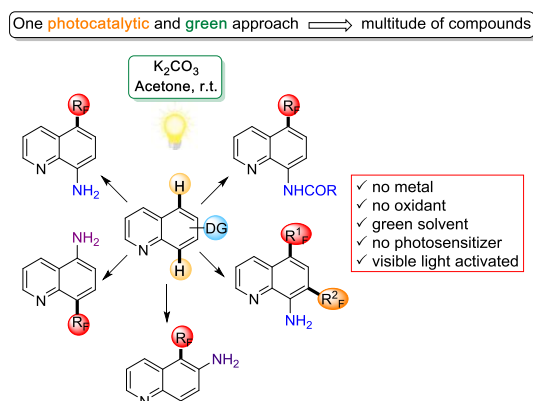


Figure 1. Visible light induced selective C-H functionalisation of quinolines

Further importance of this reaction relies on its capacity to functionalise selectively both C5 and C8 positions. This coupling tolerates a wide range of directing groups and is compatible with non-protected amino-quinoline substrates, contrary to a majority of literature described protocols. This transformation is also suitable for diversification of more complex quinoline scaffolds and allows introducing a variety of perfluoroalkyls. In addition, it perfectly fulfills green chemistry requirements. Accordingly, this procedure paves the way towards an array of new compounds with promising features for medicinal and agrochemical industry, as well as advanced material science, under truly green, mild and user-friendly reaction conditions.

Funding: National Research Agency (ANR-15-CE29-0004-01)

University of Strasbourg Institute for Advanced Studies (JWD-IDEX-0001)

Acknowledgement: We thank the CNRS (Centre National de la Recherche Scientifique), the “Ministère de l’Education Nationale et de la Recherche”, ANR (Agence Nationale de la Recherche) France and USIAS (University of Strasbourg Institute for Advanced Studies) for financial support.

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