

Unlocking the potential of chromones and steroids to create chemical diversity and bioactive compounds

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Chromones and steroids are very well-known scaffolds for many different reasons. In the case of chromones, they are acknowledged not only for their wide range of biological activities, but also for their utility in synthetic chemistry as building blocks for a plethora of new compounds. Among steroids, cholesterol is perhaps the most recognizable element, playing a crucial role in the structural components of cell membranes, being also a precursor of steroid hormones and vitamin D. Its synthetic utility is not so foreseeable as for chromones, but it is possible to take advantage of its hydroxy group to install heterocyclic moieties. Furthermore, the amphiphilic nature of cholesterol can be important to block certain undesirable biological processes such as misfolded protein aggregation.

Herein, chromones **1** and cholesterol **2** were used as synthons and building blocks to unlock a diverse range of new structures, some of them displaying interesting biological activities (Figure 1). Pyrrolidines **3**, pyrazoles **4**, chromeno[3,4-*b*]xanthenes **5** and nitrobenzenes **6** were synthesized from chromones tethered with appropriate functionalities, through simple synthetic methods [1-3]. Noteworthy, the chromeno[3,4-*b*]xanthenes **5** were further disclosed as new AChE and A β aggregation inhibitors [4]. In turn, cholesterol **2** was used as amphiphilic building block to produce steroid-quinoline hybrids **8**, with remarkable capacity against protein aggregation processes [5], as well as the 4*H*-pyrane tethered steroid **7**.

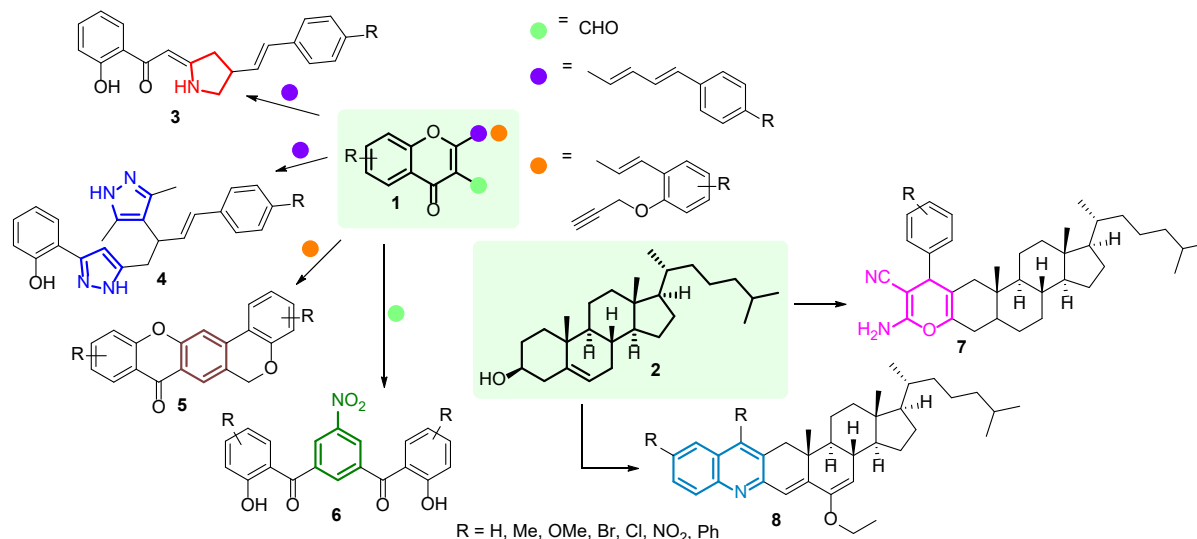


Figure 1. Chromones and cholesterol as versatile synthons unlocking new bioactive molecules.

References

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