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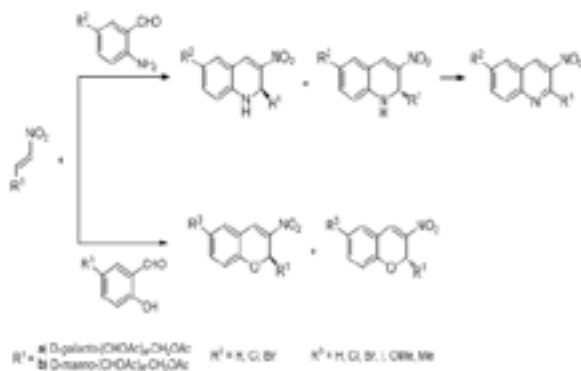
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Ecofriendly synthesis of carbohydrate-derived heterocycles with potential antiproliferative activity

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In recent years, several environmental and economic considerations have caused the urgent need to redesign certain organic reactions. In this context, the so-called “green chemistry” takes into account several factors such as energy efficiency, atom economy and sustainability of those chemical processes. In this communication, one-pot Aza-Michael-Henry reactions between aminobenzaldehyde and carbohydrate-derived nitroalkenes are described. These processes have been performed under solventless conditions and using neutral alumina as catalyst, leading to 1,2-dihydroquinolines, whose oxidation led to the corresponding 3-nitroquinolines. These aromatic heterocycles belong to a group of compounds of interest from a pharmacological point of view. Thus, some of them have been used as antimalarial, antibacterial, antifungal and anticancer agents, being considered very attractive in drug design. Despite of their importance, there are few examples of quinoline derivatives containing a carbohydrate moiety. The antiproliferative activity of several of these new compounds, as well as some of their deacetylated derivatives has been screened, showing moderate GI_{50} values against the panel of six representative human solid tumor cell lines. In the context of green processes, 3-nitro-2H-chromenes derived from carbohydrates have been prepared by one-pot Oxa-Michael-Henry reactions between several salicylaldehyde and nitroolefins, in absence of solvent and by using DBU as catalyst. These compounds are valuable intermediates for the synthesis of chromane derivatives, which exhibit a variety of useful biological activities.

Furthermore, those chromenes have recently been identified as a novel class of potent anti-tumoral agents. Some derivatives exhibit a wide range of activities, such as antihypertensive, antifungal, antitumor, anticoagulant or activator of potassium channels. For that reasons, antiproliferative activity of compounds has been tested; showing some of them promising GI_{50} values compared to chemotherapeutic reference compounds.



Biography

Verónica Luque-Agudo is a PhD student at University of Extremadura. Her research is based on the development of new green methodologies, such as solventless and “on water” reactions for the synthesis of several carbohydrate-derived heterocycles with potential biological activity. In fact, her group has been the first one in reporting the reactivity of 2-nitroglycols or any carbohydrate derivatives under “on water” conditions (V Luque-Agudo *et al.* Green Chem. 2016).

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