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SYNTHESIS, CHARACTERIZATION AND OPTIMIZATION OF PEG FUNCTIONALIZATION WITH COUMARIN BY CLICK CHEMISTRY IN SUPERCRITICAL CARBON DIOXIDE

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Polymer-drug conjugates are finding increasing use as novel anticancer agents. In this context, click chemistry emerges as a simple and powerful methodology due to its ability to easily and effectively interconnect different substructures. This has result in a wide range of applications in materials science, organic synthesis and biomedical sciences. One of the most well-known click reactions is copper (I)-catalyzed alkyne azide cycloaddition (CuAAC). Terminal alkyne groups react with azide group to form a stable triazole ring, where N, N-dimethylformamide (DMF) or tetrahydrofuran (THF) are the most common solvents. Recently, considerable attentions have been focused on using supercritical carbon dioxide ($scCO_2$) as a reaction medium for organic reactions due to their attractive physical and toxicological properties. This research focuses on the conjugation of a polymer, polyethylene glycol (PEG), with an active ingredient, coumarin, by means of click chemistry, carrying it out for the first time using supercritical technology without the use of a ligand being necessary for the reaction to be carried out satisfactorily. The CuAAC reaction was carried out as shown in scheme 1. In order to carry out the reactions corresponding to click chemistry based on Cu catalysis, it is necessary that the polymers previously incorporate azide or alkyne groups on which to carry out the functionalization.

Biography

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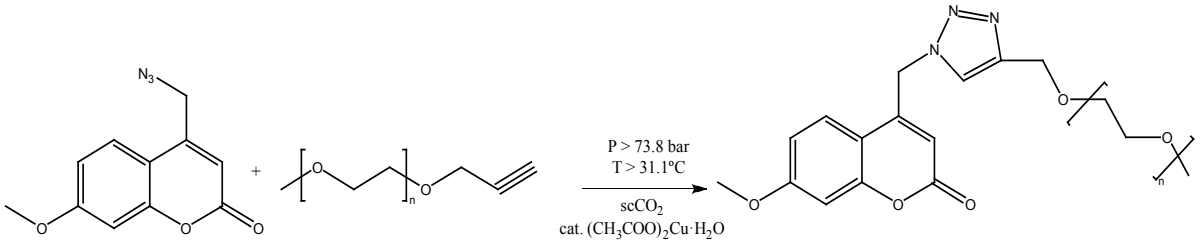

Figure 1: Click reaction carried out in $scCO_2$.