A convenient one-pot method for the synthesis of highly functionalized 1,3-oxazole

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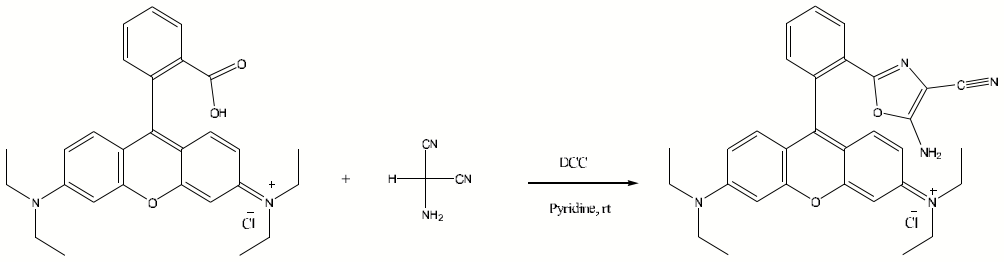
1,3-Oxazole derivatives elicit a variety of biological responses [1-2], including anti-cancer and anti-HIV/AIDS activity [3].

We now report a more convenient and versatile method for the synthesis of highly substituted N-(9-(2-(5-amino-4-cyano-oxazol-2-yl)phenyl)-6-(diethylamino)-3H-xanthen-3-ylidene)-N-ethylethanaminium chloridefrom aminomalononitrile tosylate (AMNT, 1)and Rhodamin B such as carboxylic acid derivative. The carboxyl activating reagent, 1,3-dicyclohexylcarbodiimide (DCC), has been used to synthesize 2-substituted 5-amino-4-cyano-1,3-oxazole 2 from AMNT (1) and the appropriate carboxylic acid (Rhodamin B) in the presence of pyridine (Scheme 1). This convenient method afford 1,3-oxazole derivative 2 directly from acid and AMNT (1), and avoids the prior step of preparation of acid chlorides. The DCC coupling method has broader applications. For example, 2-hydroxy oxazoles can be prepared directly from hydroxyl acids.



v Rhodamin B 1 2

Scheme 1.

References:

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