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Abstract

The substituents at the various positions on the quinone-5,8-dione determine its activation by NQOl [NAD(P)H:quinone oxidoreductase 1], making the compound more toxic and specific towards NQOl-rich tumor cells. A series of reactions was conducted to synthesize the known 7N-acetamido-2-formylquinoline-5,8-dione. The aldehyde group of this quinolone-5,8-dione was transformed into the corresponding oxime. The oxime derivative was oxidized to the nitrile oxide in situ with NaOCl (bleach) and produced an isoxazoline or isoxazole through 1,3-dipolar cycloaddition with alkenes or alkynes, respectively. Recrystallization and column chromatography were performed to purify the products. The percent yields of the novel oxime, isoxazoline and isoxazole were 52%, 59%, and 71%, respectively. Further tests will be conducted to study the toxicity and affinity of the oxime, isoxazoline, and isoxazole for NQO1.

Honors College
Ball State University
Muncie, IN 47306