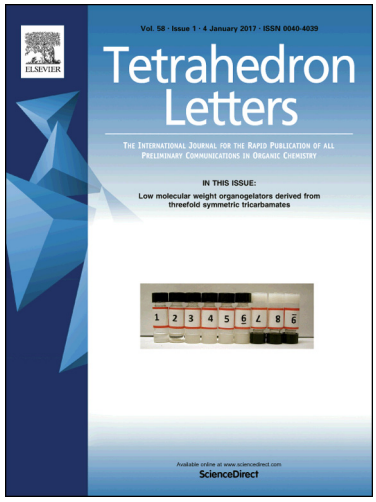

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Synthesis of *C*-glycosylmethyl isoxazoles via aerobic oxidation of ketoximes catalyzed by TEMPO

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Abstract

An efficient and high yielding synthesis of *C*-glycosylmethyl isoxazoles by oxidation of ketoximes in the presence of oxygen and mediated by TEMPO is described.

Keywords: *C*-glycosides; heterocycle; radical; *C*-cinnamoyl glycosides

Chemistry of isoxazole derivatives has attracted increasing interest due to their chemotherapeutic properties, such as hypoglycemic,[1a] anti-inflamatory,[1b] cytotoxic,[1c] and anti-bacterial activity.[1d] One of the most useful strategies to prepare 1,2-isoxazoles is the nitrile oxide cycloadditions to alkynes.[2] Nitrile oxides are normally obtained from oximes in two steps: halogenation of the aldoxime to give a hydroximoyl halide and subsequent dehydrohalogenation by base. It is also possible to combine both steps in one operation. Due to some drawbacks of this methodology (use of oxidants, metal salts, high pressure) several alternative preparations have been reported.

Dominguez et al. have described the high efficient synthesis of 4,5-diarylisoxazoles by a “one-pot” reaction of enamino ketones with hydroxylamine