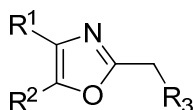


Preparation and Reactions of 2-Functionalized-4, 5-diaryloxazoles: Synthesis of Extended Diaryloxazole Scaffolds

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As a heterocyclic class, oxazole derivatives have become increasingly important due to their use as starting points and intermediates for the preparation of new biologically-relevant compounds. 2-(Halomethyl)-4, 5-diaryloxazoles, prepared by cyclization of benzoin haloacetates or free-radical halogenation of 2-methyl-4, 5-diaryloxazoles, are effective, reactive scaffolds which can be utilized for synthetic elaboration at the 2-position. Through substitution reactions, these electrophilic intermediates were used to prepare a number of 2-alkylamino-, 2-alkylthio- and 2-alkoxy(methyl) oxazoles which are valuable synthetic intermediates. Another product of the halomethyloxazole intermediates are the corresponding 2-(hydroxymethyl)-4,5-diaryloxazoles, which in turn on exposure to oxidants such as the Dess-Martin (DM) periodinane, provide efficient



$R_1, R_2 = \text{Ar}$, $R_3 = \text{Heteroatom}$

access to the corresponding 2-formyl-4,5-diaryloxazoles. When the formyl compounds are reacted with diamines, the Schiff-base products offer a number of unique scaffolds for which to build ligands and other diverse structures. The 2-(methylene) position of the diaryloxazole framework was also found to be amenable to anion formation when conjoined with suitable activating groups. In turn, the 2-(methyl) oxazole anions were found to enable numerous carbon-carbon bond reactions which allows for greatly expanded synthetic latitude. The diverse reactions, utility and potential applications of the title compounds and their products will be presented.