

Coupling Pyridine and Triazoles in a Single Molecular Framework using Cycloaddition Reactions

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Cycloaddition reactions are among the most important tools for the synthesis of heterocycles. 1,2,3-Triazoles and 1,2,4-triazoles resulting from cycloadditions reactions are very interesting targets for the medicinal and pharmaceutical applications. These triazoles exhibit diverse biological activities such as antitumor, anti-inflammatory, antimalarial, anti-HIV and antimicrobial. These heterocycles have excellent pharmacokinetic characteristics, favourable safety profile, as well as the latent ability for the formation of hydrogen bonds with various other active molecules.

Pyridines, also display wide range of biological activities and hence have high medicinal value. We hypothesized that combining 1,2,3-triazole, 1,2,4-triazole and pyridine or their derivatives in a single molecular framework will result in more potent pharmacophores. Hence, we present here a novel approach undertaken by us to couple these moieties in a single molecular frame using different cycloaddition reactions.

For this purpose, 5-methyl-1-(pyridin-3-yl)-1H-1,2,3-triazole-4-carbohydrazide was synthesized and reacted with phenyl isothiocyanate to obtain the carbothioamide which on cyclisation provided 5-(5-methyl-1-(pyridin-3-yl)-1H-1,2,3-triazol-4-yl)-4-phenyl-4H-1,2,4-triazole-3-thiol. Further alkylation of this with propargyl bromide gave the required alkyne intermediate. The cycloaddition of the alkyne with various synthesized azides using click chemistry gave 3-(4-(5-(((1-(aryl/heterocyl)-1H-1,2,3-triazol-4-yl)methyl)thio)-4-phenyl-4H-1,2,4-triazol-3-yl)-5-methyl-1H-1,2,3-triazol-1-yl)pyridines. Collaborative efforts are underway to screen these synthesized compounds for anticancer activities.