

Quinazolinones are privileged organic scaffolds and are ubiquitous among biologically active medicinal targets. Quinazolinone derivatives exhibit a wide array of biological effects, such as anti-parasitic activity, and are important in pharmaceutical development. The research focus of this project is to synthesize 2,*N*3-disubstituted quinazolin-4(3*H*)-ones via C2- and *N*3-functionalization and gain insight into the reactivity of these systems. We substituted various groups at the C2 position to understand the impact on *N*3-alkylation using methyl bromoacetate. In another series of experiments, we examined *N*3-alkylation using 1,2-dibromoethane and subsequent amination via nucleophilic substitution using various primary amines. Together, our findings indicate that optimal *N*3-alkylation requires a strong base for substrates without electron-withdrawing substituents and less bulky groups at the C2 position, and subsequent amination of the *N*3-alkylated quinazolinones is promoted by increased reaction times. These efforts offer important synthetic insights into quinazolinone reactivity and enable access to substituted quinazolinones for further study.