DIVERGENT 1,3-DIFUNCTIONALIZATION OF AMINOCYCLOPROPANES

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Aminocyclopropanes are important building blocks in synthetic chemistry. Their reactivity was explored mainly by utilizing transition-metal catalysis to form a metallacyclobutane intermediates, or by photoredox chemistry to oxidize the amino group to a radical cation species.\(^1\) Our group has focused in the past on the ability of donor-acceptor substituted aminocyclopropanes (D-A aminocyclopropanes) to react as zwitterionic synthons(Figure 1A),\(^2\) we herein report a different strategy for the activation of mono-substituted aminocyclopropanes giving access to biscationic synthons(Figure 1B).

We developed a mild ring-opening strategy to transform acyl, sulfonyl or carbamate protected aminocyclopropanes into 1,3-dielecrophiles bearing halide atoms (Br, I) and hemi-aminals. Substitution of the halides by a series of nucleophiles can be done under basic conditions via S\(_\text{N}2\) pathway while replacing the alkoxy group of the hemi-aminal can be done under acidic conditions via an elimination-addition pathway, thus generating a wide range of 1,3-difunctionalized propylamines in one pot or in two steps.

**Figure 1.** Our previous work of D-A aminocyclopropanes (A). This work: radical-initiated ring opening strategy towards \(\alpha, \gamma\)-difunctionalized amines (B).

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\(^1\) Rassadin, V. A.; Six, Y. *Tetrahedron* 2016, 72, 4701.