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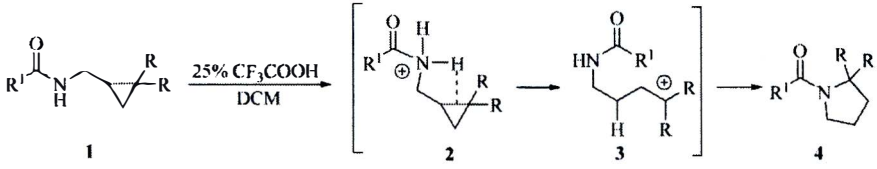
AMIDE GROUP DIRECTED PROTONOLYSIS OF CYCLOPROPANE. *EN ROUTE* TO 2,2-DISUBSTITUTED PYRROLIDINES

Marija Skvorcova

Latvian Institute of Organic Synthesis, Aizkraukles 21, Riga, LV-1006, Latvia
e-mail: marija.skvorcova@rtu.lv

Ring opening of cyclopropanes provides an unusual option for the functionalization of *C-C* bond. In literature, it is known that the cleavage of cyclopropane can be promoted by electrophiles such as Hg^{2+}, Pt^{2+}, Tl^{2+}, Pd^{2+}, Br^{+}, H^{+}, however, regioselectivity for the attack of the electrophile is difficult to achieve [1-4].

Herein we present directed protolytic cleavage of cyclopropane **1** in substrates **2** where protonated amide serves as an intramolecular proton donor. The resulting intermediate carbenium ion **3** is trapped with amide nitrogen leading to pyrrolidine derivatives **4**.



1 2 3 4

We have demonstrated that cyclopropanes with amide (R^1=Ph, Me, $ClCH_2$,) carbamate (R^1=OEt) or urea (R^1=NHPh) function can selectively direct the proton attack to cyclopropane *C-C* bond, while in the case of electron withdrawing amide (R^1=CF_3) the cleavage was unselective. We have explored substrate scope (R=H, Ar, Alk) for the transformation of aminomethylcyclopropanes **1** to pyrrolidines **4** using carbamate function as a directing group.

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References:

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