REARRANGEMENT OF 1,2,3-BENZOTHIADIAZINES

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Interestingly, we noticed that instead of the reduction with $LiAlH_4$ of 3-acetyl-2,4-dimethyl-3,4-dihydro-2*H*-1,2,3-benzothiadiazine 1,1-dioxide to the 3-ethyl moiety, a ring-contraction took place, giving the corresponding 1,2-benzisothiazol 1,1-dioxide [1]. We assume that after a deprotonation at C(4), the N–N bond cleaves, gives rise to an acylimine intermediate and subsequent cyclization *via* intramolecular Michael type addition, affords product in an aza-Stevens-like manner. After conducting the reaction under various conditions, successful extension was made on the 4-ethyl, 4-phenyl and 4-unsubstituted derivatives using NaOH as base.

Further investigations of the reaction conditions on the 4-methyl derivative led us to the discovery of a new type of rearrangement in the presence of high amount of *t*-BuOK providing 1,2-benzothiazine 1,1-dioxide as a major product besides 1,2-benzisothiazol 1,1-dioxide. Then, we tested the effect of reaction conditions including the amount and type of base, solvent etc. Examination of reaction mixture revealed the formation of an acylenamine intermediate. To explain the influencing factors on the two type of rearrangements DFT calculations were performed. Then, upon synthetizing other derivatives, the substituent effect was also studied experimentally.

[1] Porcs-Makkay, M.; Gyűjtő, I.; Simig, G.; Volk, B.: Synthesis and base-mediated rearrangement of 3-acetyl-2-methyl-3,4-dihydro-2*H*-1,2,3-benzothiadiazine 1,1-dioxides. *Tetrahedron* **2016**, *72*, 8463.