Enantioselective synthesis of Insecticidal Isothiazolines

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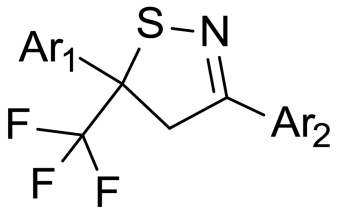
2-Isothiazolines (also known as 4,5-dihydroisothiazoles) have been relatively unexplored as structural motif in life sciences, especially in agrochemistry. This might stems from the fact that, in sharp contrast, to their oxygenated counterparts, the 2-isoxazolines, very few general robust methods were available to synthetic chemists to prepare these heterocycles [1].

In recent years, we became interested to evaluate the potential of these moieties as replacement of 2-isoxazolines within one of our insecticidal projects. Our target heterocycles also featured a quaternary center, bearing a trifluoromethyl group, for which the stereoconfiguration is crucial for biological activity.



We herein describe the various strategies we investigated to access the desired 3,5-diaryl-5-(trifluoromethyl)-4H-isothiazoles, and then highlight the discovery of an efficient and unprecedented enantioselective synthesis of these heterocycles.

[1] Brown, D. W.; Sainsbury, M., Science of Synthesis, **2002**, *11*, 507-572.