



Synthesis of trifluoromethyl benzamides and their effects on the photosynthetic machinery

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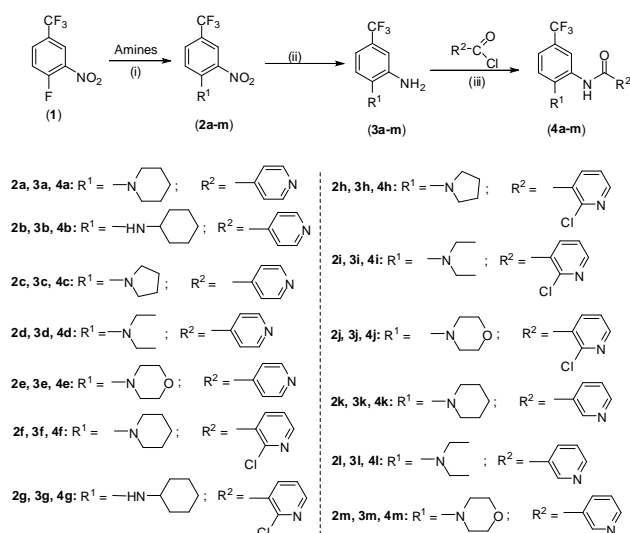
INTRODUCTION

The use of herbicides is nowadays the most reliable and less expensive tool for weed control. Since the end of World War II, a myriad of herbicides has been brought to market to help farmers to control weed species. However, continuous application of products with the same biochemical mechanism of action has led to herbicide resistance. As a consequence, there is a constant need of new active principles to control resistant weeds.

Considering the effects of several amides on the Hill reaction,¹ we pursued an investigation on the effects of several trifluoromethyl benzamides on the Hill reaction.² Herein we describe the results of this investigation.

RESULTS AND DISCUSSION

The benzamides **4a-m** were synthesized in three steps as depicted in Scheme 1.



Scheme 1. Synthetic route involved in the preparation of benzamides. I) DMF, r.t.; ii) SnCl₂/HCl; iii) CH₂Cl₂, Et₃N, 0 °C → r.t.

The nucleophilic aromatic substitution between the commercially available compound **1** and appropriate amines afforded derivatives **2a-m** (96-99% yield).

Then, reduction of nitro group with SnCl₂/HCl gave substances **3a-m** (40-94% yield). Acetylation reactions of compounds **3a-m** with appropriated acyl chlorides afforded the benzamides **4a-m** (59-91% yield).

The effect of the compounds **4a-m** on the chloroplastic electron transport chain, measured as the light-driven reduction of potassium ferricyanide by isolated thylakoid membranes (Hill reaction), was evaluated. With the exception of compound **4k**, all substances were found to affect the Hill reaction (Table 1), being derivative **4f** the most effective compound.

Table 1. In vitro effects of compounds **4a-4m** on the Hill reaction

Compound	IC ₅₀ (μmol L ⁻¹)	Compound	IC ₅₀ (μmol L ⁻¹)
4a	26.1±4.7	4h	124±26
4b	93.8±13.0	4i	19.6±4.2
4c	30.9±3.2	4j	85.2±16.7
4d	63.0±8.1	4k	ineffective
4e	213±86	4l	76.3±7.5
4f	13.2±1.4	4m	234±136
4g	115±38		

CONCLUSION

A three step synthetic route was used to synthesize a series of trifluoromethyl benzamides. These compounds were effective in inhibiting the Hill reaction. Considering that several herbicides commercially available are Hill reaction inhibitors, the synthesized benzamides may represent a new scaffold to be evaluated aiming at the development of new active ingredients for weed control.

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CAPES, CNPq, FAPEMIG

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