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Use of salicylic acid bioprecursors to stimulate the natural defenses of plants in a sustainable agriculture environment

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PURPOSE OF THE ABSTRACT

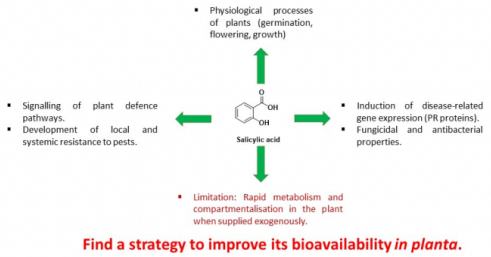
Reducing the use of agrochemicals, without affecting the yield and quality of agricultural production, is one of the major challenges of the 21st century. The search for new molecules must focus on optimal efficiency while minimizing harmful effects on human health and the environment. Other solutions with more favorable safety profiles should also be considered. Among these, stimulating the natural defense mechanisms of plants is an important way to reduce the use of pesticides. Plants can react to a stress by producing molecules that will induce an adapted response. These compounds, called elicitors, play a major role in the activation of these defenses.

Among these compounds, salicylic acid is a substance involved in many biological and physiological processes in plants. The use of salicylic acid for crop protection has shown its interest, but with a major limitation. Indeed, when it is brought exogenously to the plant, it is quickly compartmentalized and will have a biological activity only over a short period. It is therefore necessary to find a strategy that improves salicylic acid bioavailability in planta. To achieve this objective, we used a prodrug strategy previously developed in the laboratory with a phenylpyrrole fungicide (Fenpiclonil) associated to a nutrient such as an ?-amino acid or a sugar. This approach resulted in new molecules (?conjugates?) that can lure plasma membrane carriers, allowing penetration into the phloem sap and long-distance transport in the plant. Applying this concept of drug delivery to elicitor compounds, the objective of this work was to synthesize new conjugates, before evaluating their ability to concentrate in the phloem sap and gradually release the active ingredient to stimulate the plant defense responses. Salicylic acid and two chlorinated analogues (5-chloro and 3,5-dichlorosalicylic acids) were selected as active substances.

The first part of this work consisted in the synthesis of salicylic acid and two chlorinated analogues prodrugs by performing various structural variations. Thus, we obtained conjugates by modulating both the active substance (salicylic acid or chlorinated analogues) and the nutrient (?-amino acid or sugar). The linkage between the active substance and the nutrient was sometimes direct, whereas other conjugates included a spacer arm with various design modifications. These multi-step syntheses yielded seventeen conjugates of salicylic acid or chlorinated analogues linked to a panel of seven amino acids or to a sugar (glucose). The structure of these new bioprecursors and their properties were confirmed using classical analytical methods for organic compounds, such as nuclear magnetic resonance and high-resolution mass spectrometry.

In the second part of this work, a first investigation of the biological properties of six conjugates was carried out. These conjugates combine salicylic acid and its two chlorinated analogues with ?-D-glucose or L-glutamic acid via a 1,2,3-triazole spacer. Phloem mobility was evaluated in castor bean (Ricinus communis) in comparison to the three parent compounds. The results showed a better phloem mobility of the conjugates with an ?-amino acid function, especially with salicylic acid, compared to those including glucose. These six conjugates were then evaluated in a laboratory model for their activity against Southern corn leaf blight caused by the fungus Bipolaris maydis. They all showed significant inhibitory activity on the development of leaf necrosis induced by this fungus, the most interesting effect being noted, as for phloem mobility, with the salicylic acid conjugate having an ?-amino acid function.

FIGURES



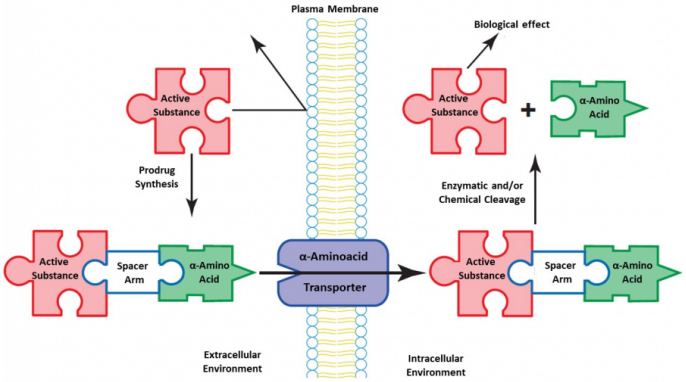


FIGURE 1

Involvement of salicylic acid in plant physiology and defence mechanisms.

Salicylic acid is involved in many biological and physiological processes in plants. Its use for crop protection has shown its interest, but with a major limitation. Indeed, it is quickly compartmentalized when it is brought exogenously to the plant and

FIGURE 2

The prodrug strategy employed to improve the bioavailability of salicylic acid in planta

The active substance is linked to a nutrient such as an amino acid by a spacer arm, allowing the resulting conjugate to cross the cell membrane of the plant to be distributed throughout the plant. The active substance will then be progressively released b

KEYWORDS

Sustainable agriculture | Plant defense responses | Salicylic acid | Bioprecursor

BIBLIOGRAPHY