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Review

Structure-activity relationship of brassinosteroids and their agricultural practical usages

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ABSTRACT

Brassinosteroids (BRs) control several important agronomic traits, such as strengthening resistance to diverse adversity, improving the quality, and increasing crop yield. Their chemical structures and varieties, specific methods for the evaluation of bioactivities, structure-activity relationships, potential novel compounds, and practical agricultural uses were summarized. The findings allow the examination of brassinosteroids in two important issues: 1) Do the results of different bioevaluation protocols provide similar activities for BRs? and 2) which bioevaluated compounds would proof to have a greater potential for application in agricultural usages?

1. Introduction

Brassinosteroids (BRs), which posses a highly oxygenated steroidal structure, are present in both reproductive and vegetative plant tissues [1]. They are currently known to play a critical role in a variety of physiological processes when applied exogenously at nanomolar to micromolar levels. The bioactivities include cell elongation, inhibition of root growth, leaf epinasty, xylem differentiation, reproductive development, photomorphogenesis, resistance to adversity [1], and influence of the balance of classical phytohormones (auxins, cytokinins, gibberellins, abscisic acid, and ethylene) [2,3]. The importance of BRs in plant growth and development has sparked great interest in green agricultural uses. Recent studies have indicated that BRs can improve crops yield and quality especially under stress conditions [4], decrease pesticide residues [5], and prove to be environmentally friendly by amelioration of the toxic effects derived from heavy metals such as Al [6], Ni [7], Cu, Pb, and others [8].

Moreover, a characteristic feature of the current studies of BRs is not limited to plants but can be extended into animal models. These steroids have been shown potentially useful medicinal effects such as neuroprotective action [9], antioxidant properties, antiviral effect, anticancer activities, anticholesterolemic action, and anabolic and adaptogenic effects [10].

Since the first BRs was isolated in 1979, it opens a new field for researchers to study plant growth hormones [11]. In 2002, Zullo et al. [12] have summarized BRs natural occurrence, biological activities, and structure-activity relationships (SAR), but only 52 natural BRs were reported and biological activities were only focused on plants. Keeping this in mind and the increasing interest of studying BRs, in 2003, Bajguz and Tretyn [1] aimed at a different angle by describing the BRs chemical characteristic and their distribution in plant kingdoms. They found that BRs belong to a family of about 60 phytosteroids. Although the structural requirements for a good biological activity have been clearly recognized, there is considerable room for improvement to illuminate SAR of BRs site by site.

In the course of BRs studies, 81 natural BRs were successfully identified including five conjugates as well as eight metabolites and more than 137 synthetic BRs analogues. Presently the newly discovered natural and synthetic BRs allowed us to analyze BRs' carbon skeletons and illustrate novel SAR derived from the newly discovered active functional groups on various positions at A-ring, B-ring and side chains of BRs. While the same compound exhibits different bioactivities in different evaluation protocols, discussion of the SAR from results of the same protocols proves to be more relevant scientifically. Furthermore, there are few summaries available on the methods of evaluating BRs bioactivity and there is no report on the SAR from different methods of bioevaluation. In addition, little discussion about the practical applications of BRs in agriculture uses has been described.

To better understand the BRs, this review provides additional 11 kinds of natural BRs discovered during the last ten years, describes structural characteristics of BRs, correlates four acceptable bioassays for the bioevaluation, discusses new SARs site by site in two evaluation protocols, and introduce different practical applications of BRs in agriculture. In addition, several novel analogues without side chains

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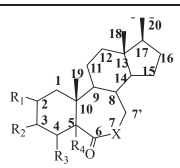
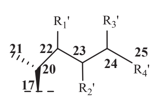
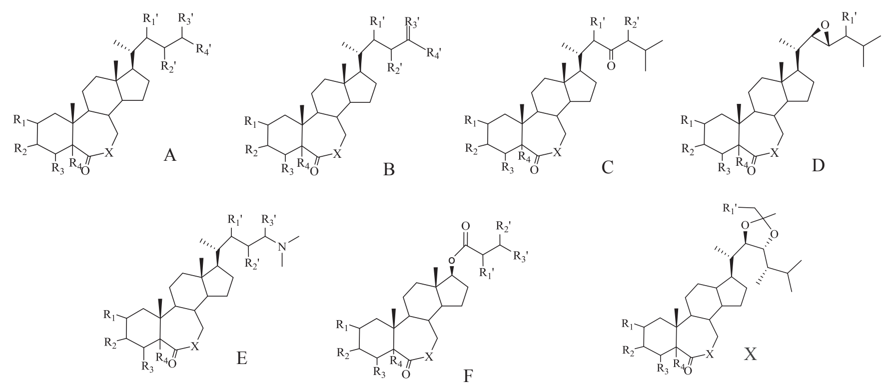
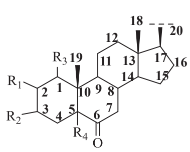
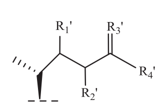
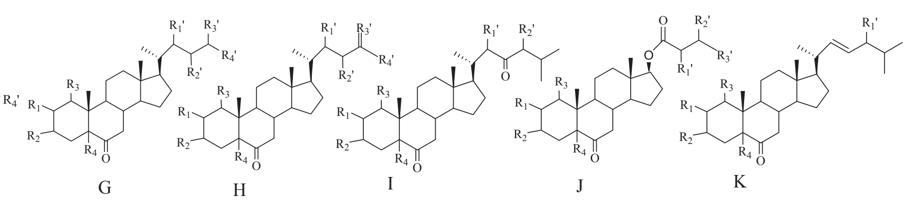
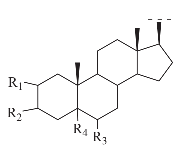
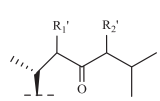
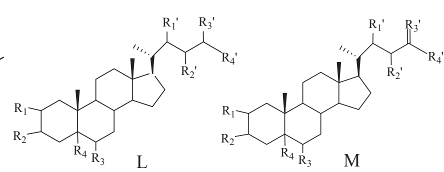
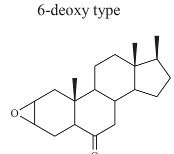
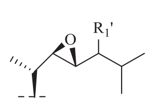
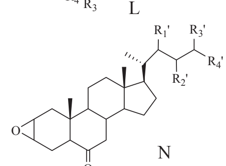
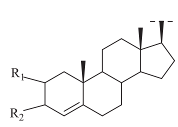
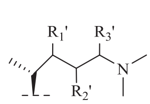
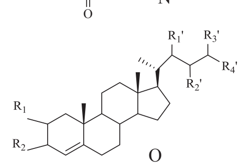
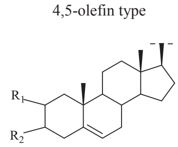
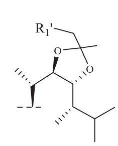
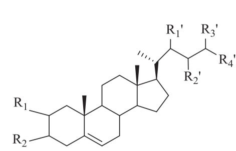
or having aromatic nucleus were found and showed to have moderate plant growth activities, which provide the basic research in conducting BRs synthesis to beneficial practice in agriculture.

2. Chemical structures of BRs

BRs, mohohydroxylated steroids, are essential for growth and development of plants. They were formally recognized as the sixth class of plant hormones in the 16th meeting of the International Association of Plant Growth Substances in 1988. Like animal steroids, BRs are required for normal plant growth, reproduction and development.

As reported, BRs are composed of side chains and nuclear parents with A, B, C and D rings, and their structural variations come from the orientation of oxygenated functions in A and B rings. Among them, ring B can be a six-membered ring or seven. Depending on the alkyl-substitution on the C-24 in the side chain, these steroids can be classified as C-27, C-28 and C-29 types. According [1] to A and B ring oxidation stage, the matrix structures of natural and synthetic BRs could be divided into 12 different types, which are 6-oxo-7-lactone type, 6-ketone type, 6-deoxy type, 2,3-oxgen-6-ketone type, 7-oxy type, 6-lactone-7-oxo type, 6-ketone-7,8-ene type, 2,3-acetonide-6-oxo-7-oxa, 2,3-acetonide- 6-ketone, 5,6-olefin type, 4,5-olefin type and 2,3-dideoxy -6-ketone type (Table 1). Commonly, natural BR molecules of

Table 1
Basic skeletal structures of BRs.

No.	Nuclear parent	Side chain	Skeleton
1	 6-oxo-7-lactone	 (1) saturated straight-chain type	 A, B, C, D, E, F, X
2	 6-ketone type	 (2) 24-alkenyl type	 G, H, I, J, K
3	 6-deoxy type	 (3) 23-carbonyl type	 L, M
4	 2,3-oxgen-6-ketone	 (4) 22-23 oxygen type	 N
5	 4,5-olefin type	 (5) 25-aza type	 O
6	 5,6-olefin type	 (6) 22,23- acetonide type	 P

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