ABSTRACT

Thesis Title : Chemical Modifications of Ecdysteroid Side Chain

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Selective acetylation of 20-Hydroxyecdysone 2,3-acetonide (**52**), 20-hydroxyecdysone 20,22-acetonide (**51**) and 20-hydroxyecdysone 2,3:20,22-diacetonide (**50**) led to the partial synthesis of the following naturally occurring ecdysteroids: 20-hydroxyecdysone 2-acetate (**2**), 20-hydroxyecdysone 22-acetate (**6**), 20-hydroxyecdysone 25-acetate (**3**) and 20-hydroxyecdysone 2,22-diacetate (**4**). The following acetate derivatives of 20-hydroxyecdysone (**1**) were also synthesized : 20-hydroxyecdysone 2,3-diacetate (**57**), a mixture of 20-hydroxyecdysone 2,25-diacetate (**62**), and 20-hydroxyecdysone 3,25-diacetate (**63**), 20-hydroxyecdysone 22,25-diacetate (**65**), 20-hydroxyecdysone 2,3,25-triacetate (**66**), 20-hydroxyecdysone 2,22,25-triacetate (**67**) and 20-hydroxyecdysone 3,22,25-triacetate (**68**). 20-Hydroxyecdysone 22-chloroacetate (**75**),and 20-hydroxyecdysone 22-glycolate (**77**) were similarly prepared by treatment of

20-hydroxyecdysone 2,3-acetonide (**52**) with appropriate acid chloride in pyridine-benzene solution followed by acetonide deprotection. Reaction of the 2,3-acetonide **52** with long-chain fatty acyl chlorides and linoleic anhydride followed by acetonide deprotection , the following minor, naturally occurring 22-long-chain fatty acyl esters were obtained: 20-hydroxyecdysone 22-palmitate (**19**), 20-hydroxyecdysone 22-stearate (**20**), 20-hydroxyecdysone 22-oleateate (**21**) and 20-hydroxyecdysone 22-linoleate (**22**).

Moulting hormone activities of these compounds and some of the acetonide derivatives were evaluated using *Musca* bioassay. According to this *in vivo* bioassay, the acetonide protecting group in the molecule, both at the 2,3- and/or 20,22-positions, inhibited moulting hormone activity of ecdysteroids. Acetyl group at the 2-position of 20-hydroxyecdysone (**1**) did not effect the activity, while those at the 22-, 25- or 2,3-positions lowered activity. Higher degree of acetylation resulted in further decrease in activity. The activity of the 22-long-chain fatty acyl esters **19**, **20**, **21** and **22** was comparable to that of the 22-acetate (**6**), but the activity of the 22-chloroacetate **75** and 22-glycolate **77** was almost as high as that of the parent 20-hydroxyecdysone (**1**). The relatively high activity of the compounds **75** and **77** was possibly due to relative ease of *in vivo* hydrolysis of the chloroacetate and glycolate ester groups than that of the acetate ester group.