

FULL PAPERS

A Short Synthesis of Natural Isocoumarin Glucoside Delphoside

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摘要 A simple synthesis of delphoside, 3-methyl-6-hydroxy-8-*O*- β -*D*-glucopyranosyloxy isocoumarin (**1**), isolated from *Delphinium spp.* is

described. 3,5-Dimethoxyhomophthalic anhydride (**2**) on treatment

with acetyl chloride in the presence of 1,1,3,3-tetramethylguanidine (TMG) and

triethyl amine afforded the 6,8-dimethoxy-3-methylisocoumarin (**3**). Regioselective

demethylation of the latter furnished 8-hydroxy-6-methoxy-3-methylisocoumarin (**4**). Glycosylation with *O*-(2,3,4,6-tetra-*O*-acetyl-*D*-glucopyranosyl)trichloroacetimidate

in presence of catalytic amount of boron trifluoride etherate followed by

deacetylation using 5% potassium carbonate afforded 3-methyl- 6-methoxy-8-*O*- β -*D*-glucopyranosyloxyisocoumarin

(**6**) that was finally demethylated to

yield delphoside **1**.

关键词 [isocoumarin glucoside](#) [glycosylation](#) [total synthesis](#) [delphoside](#)

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Abstract A simple synthesis of delphoside, 3-methyl-6-hydroxy-8-*O*- β -*D*-glucopyranosyloxy isocoumarin (**1**), isolated from *Delphinium spp.* is described. 3,5-Dimethoxyhomophthalic anhydride (**2**) on treatment with acetyl chloride in the presence of 1,1,3,3-tetramethylguanidine (TMG) and triethyl amine afforded the 6,8-dimethoxy-3-methylisocoumarin (**3**). Regioselective demethylation of the latter furnished 8-hydroxy-6-methoxy-3-methylisocoumarin (**4**). Glycosylation with *O*-(2,3,4,6-tetra-*O*-acetyl-*D*-glucopyranosyl)trichloroacetimidate in presence of catalytic amount of boron trifluoride etherate followed by deacetylation using 5% potassium carbonate afforded 3-methyl- 6-methoxy-8-*O*- β -*D*-glucopyranosyloxyisocoumarin (**6**) that was finally demethylated to yield delphoside **1**.

Key words [isocoumarin glucoside](#) [glycosylation](#) [total synthesis](#) [delphoside](#)

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