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# Synthesis of 1-(3′,4′-dihydroxyphenyl)-7-(4′′-hydroxyphenyl)-4 hepten-3-one

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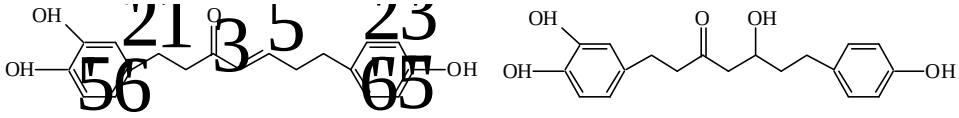
**Abstract:** The diarylheptanoids 1-(3′,4′-dihydroxyphenyl)-7-(4′′-hydroxyphenyl)-4-hepten-3-one 1 and 1-(3′,4′-dihydroxyphenyl)-7-(4′′-hydroxyphenyl)-5-hydroxy-3-heptanone 2 were synthesized from piperonal 3 and 4-hydroxybenzaldehyde 11.

**Keywords:** Diarylheptanoids, 1-(3´,4´-dihydroxyphenyl)-7-(4´´-hydroxyphenyl)-4-hepten-3-one, 1-3´,4´-dihydroxyphenyl)-7-(4´´-hydroxyphenyl)-5-hydroxy-3-heptanone, synthesis.

Natural diarylheptanoids have significant bioactivities. Some of them are potent inhibitors against prostaglandin biosynthesizing enzyme (PG synthetase) and 5-lipoxygenase (LT synthetase)[1-2]. Compound **1** was firstly isolated from *Alnus rubra* bark[3]. So far its synthesis has not been reported yet. Herein, we report the synthesis of compound **1**. Meantime, compound **2**[4] was also obtianed as an intermediate.

Compound **7** and **16** were converted to compound **10** and **18** respectively, because compound **10** and **18** were more stable than compound **7** and **16**.

The structures of compound **1** and **2**




**1** **2**

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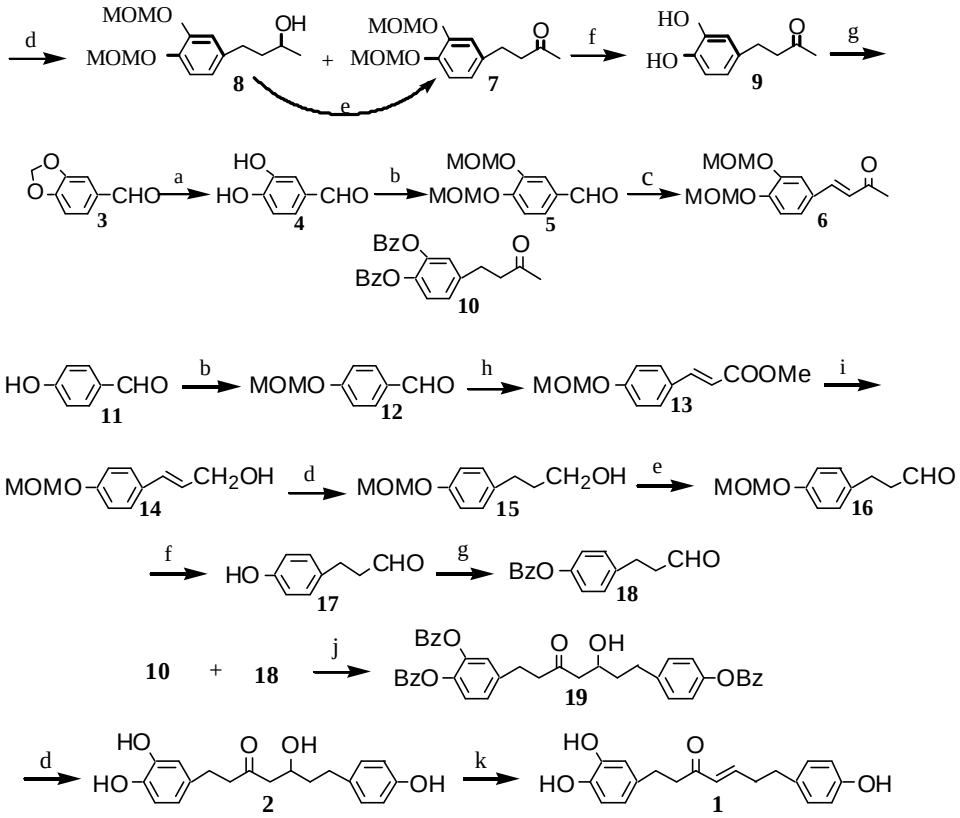
**Scheme** Synthesis route of compound **1**



Reagents and conditions: a) $PCl_5$, 100°C, 30 min., then $H_2O$ reflux 3 h, 65%; b) MOMCl, $K_2CO_3$, acetone, 40°C, 3 h, 90%; c) Acetone, 1% NaOH, rt., 1 h, 95%; d) 5% Pd/C, $H_2$, rt., 24 h, 98%; e) PCC, rt., 5 h, 90%; f) 6 mol/L HCl, MeOH, 40°C, 15 min, 95%; g) benzyl bromide, $K_2CO_3$, 50°C, 10 h, 95%; h) $Ph_3P$=CHCOOMe, $C_6H_6$, reflux, 10 h, 98%; i) $AlLiH_4$, anhydrous ether, rt., 30 min., 95%; j) LDA, THF, -78°C, 15 min, 90%; k) anhydrous $CH_3CN$, P-TsOH, 60°C, 30 min., 95%.

## References and notes


1. S. Iwakami, *et al*., *Chem.Pharm.Bull.*, **1986,** *34* (9), 3960.
2. F. Kiuchi, *et al.*, *Chem.Pharm.Bull.*, **1992,** *40* (2), 387.
3. J. Chen, *et al.*, *Planta med.*, **1998,** *64*, 74.
4. N. Kato, *et al.*, *Chem.Parm.Bull.*, **1984,** *32* (8), 3323.
5. compound **1**: yellow oil, IR (KBr, $cm^{-1}$): 3369, 1652, 1613, 1515. $^1$HNMR (acetone-d6, δ ppm): 2.39-2.52 (m, 2H, H-6), 2.62-2.87 (m, 6H, H-1, H-2, H-7), 6.08 (d, 1H, *J*=16.0 Hz, H-4), 6.50 (dd, 1H, *J*=8.0 Hz, *J*=2.0 Hz, H-6′), 6.67-6.76 (m, 4H, H-2′, H-5′, H-3″, H-5″), 6.88 (dt, 1H, *J*=16.0 Hz, *J*=8.0 Hz, H-5), 7.02 (d, 2H, *J*=8.0 Hz, H-2″, H-6″). EIMS (*m/z*): 312 ($M^+$, 28), 191 (16), 167 (12), 123 (43), 107 (100). ESI/HRMS: 313.1431 $(M+H)^+$, (calcd. for $C_{19}H_{20}O_4 + H^+$ 313.1434).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