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A New Approach to α-Bromochalcones

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Abstract: α-Bromo benzoylmethylene triphenylphosphorane **3** has been synthesized by the reaction of benzoylmethylene triphenylphosphorane **1** with N-bromosuccinimide in the yield of 87% and can react with aromatic aldehydes **4** to give α -bromochalcones **5** in good yields.

Keywords: α-Bromo benzoylmethylene triphenylphosphorane, α-bromochalcones, Wittig reaction, synthesis.

Recent studies show that chalcones have many physiological activities[1-3]. Gasha *et al.* found that α-bromochalcones had even higher physiological activities[3,4] than chalcones. However, there are only few reports on the synthesis of α-bromochalcones, which involved in the formation of dibromination and dehydrobromination of chalcones[5,6]. It is well known that Wittig reaction is one of the most important method for forming carbon-carbon double bonds. Therefore we tried to synthesize α-bromo benzoyl-methylene triphenylphosphorane **3** and explored its Wittig reaction to form vinyl bromide unit in α-bromochalcones **5**.

We reacted two equivalents benzoylmethylene triphenylphosphorane **1** with one equivalent N-bromosuccinimide (NBS) in THF and found the transylidation reaction took place readily to obtain α-bromo benzoylmethylene triphenylphosphorane **3** in the yield of 87% (See **Scheme 1**)[7]. α-Bromo ylide **3** has sufficient activity to undergo Wittig reaction with aromatic aldehyde to form α-bromochalcones **5** in good yields (See **Table 1**). The reaction has good stereoselectivity to form Z-type of α-bromo-chalcones **5** predominatly.

Scheme 1

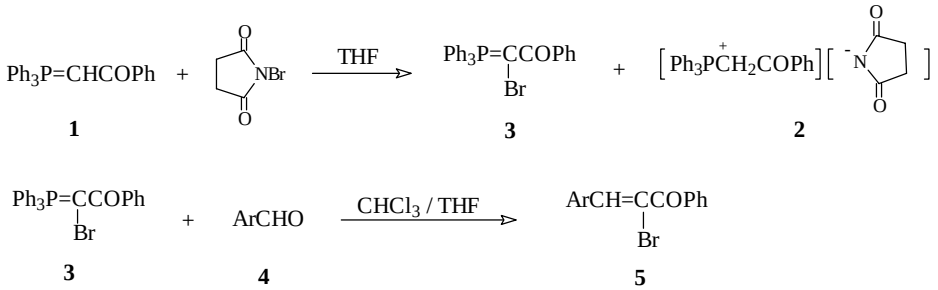

Table 1 Synthesis of α-Bromochalcones

Product	Ar	Reaction Time (hr)	Isolated Yields (%)	Z/E
5a	4-$NO_2C_6H_4$	14	84	100/0
5b	4-ClC_6H_4	15	80	100/0
5c	C_6H_5	15	73	91/9
5d	Furryl	16	61	87/13
5e	4-$CH_3C_6H_4$	13	64	89/11
5f	4-$Me_2NC_6H_4$	14	67	93/7

Compared with the previous protocol[5,6] for the synthesis of α-bromochalcones **5**, this method has the advantages of mild reaction conditions, simple procedures, good yields and high stereoselectivities.

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References and Notes

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7. Spectra data for ylide 3 are as follows: ^{1}H NMR ($CDCl_3$, δ ppm): 7.70 - 7.65 (m, 8H), 7.58 - 7.51 (m, 4H), 7.50 - 7.43 (m, 8H); ^{13}C NMR ($CDCl_3$, δppm): 184.9, 141.3, 133.2, 132.1, 128.8, 129.4, 128.9, 127.7, 127.0, 51.2; MS (*m/z*): 458 (M^+, 14.5), 77 (100.0).

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