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# Lewis acid mediated reactions of cyclopropyl aryl ketones with arylaldehydes, facile preparation of 2-(2-hydroxyethyl)-1,3-diarylpropenones

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**Abstract**—In the presence of Lewis acid TMSOTf, ring-opening reaction of aryl cyclopropyl ketone with arylaldehyde took place under mild conditions to give 2-(2-hydroxyethyl)-1,3-diarylpropenone in good yield. By protection of hydroxy group with triethylsilyl group (TES), the corresponding ring-opened product **7** was obtained in high yield with good geometrical selectivity.


## 1. Introduction

Cyclopropane derivatives, as versatile building blocks have been more than laboratory curiosities for quite some time.[1] In order to activate strained three-membered ring, electron-donating or accepting substituents are generally involved in their reactions to make polar processes more favorable. However, cyclopropane involved synthetically useful reactions frequently contains two activating groups.[2] The ring-opening reactions of monoactivated cyclopropane derivatives are in general sluggish due to their low reactivities. So far several examples have been reported under severe conditions either assisted by stronger nucleophiles such as $I^-$ and stronger Lewis acids such as $TiCl_4$, or assisted by the β-effect of silicon atom of trimethylsilyl group (Scheme 1).[3] Therefore, it is necessary to develop a method for the ring-opening reaction of simple monoactivated cyclopropane derivatives under mild conditions.

α,β-Enones represent a common feature in many useful reactions,[3d] for example, Diels–Alder reactions,[4a] Stetter reaction,[4b] Michael additions,[4c] Baylis–Hillman reactions,[4d] Juliá-Colonna epoxidatons,[4e] and Robinson annulations.[4f] Furthermore, in addition to possessing cytotoxic activities and anticancer properties (Chalcones),[5] α,β-enones are frequently used as branching points for the creation of drug-like heterocyclic libraries (isoxazolines,[6a,b] tetrahydropyrimidines,[6a,b] dihydropyrimidiones,[6c] pyrimidines,[6c] pyridine,[6c,d] benzothiazepines,[6e] pyrazoles,[6c] pyrazolones,[6f] dihydropyran-2-ones,[6g] and pyrazolines[6h]). Olsson also achieved central cyclic or α,β-enone core products from α-substituted α,β-enone compounds through combinatorial scaffold approaches.[3h] Herein we present a Lewis acid mediated ring-opening reaction of arylcarbonyl activated cyclopropanes (monoactivated cyclopropane) with arylaldehydes under mild conditions which gives α-substituted α,β-enone compounds in good yields.

## 2. Results and discussion

As a first try, we searched for a protocol of the reaction of phenyl cyclopropyl ketone **1a** with 4-chlorobenzaldehyde **2a** mediated by a variety of Lewis acids in dichloromethane (DCM). We found that TfOH (1.0 equiv) or TMSOTf (1.0 equiv) can effectively promoted this reaction to give α,β-enone **3a** as mixtures of *Z*- and *E*-isomers in moderate yield along with a trace amount of [3+2] cycloaddition products **4a** and **5a** in which product **5a** was determined as a dimer of **3a** (Table 1, entries 2 and 5) by spectroscopic data and NOESY spectrum (see Supporting information). Other Lewis acids such as $BF_3 \cdot OEt_2$, $Cu(OTf)_2$, AgOTf, $Zn(OTf)_2$, $Zr(OTf)_4$ and other metal triflates did not promote this reaction. Using 1,2-dichloroethane (DCE) as solvent at higher temperature (60 °C to reflux), the yield of **3a** was raised to 66% at 60 °C and 81% under reflux in the presence of TMSOTf (1.0 equiv) (Table 1, entries 7–8). In

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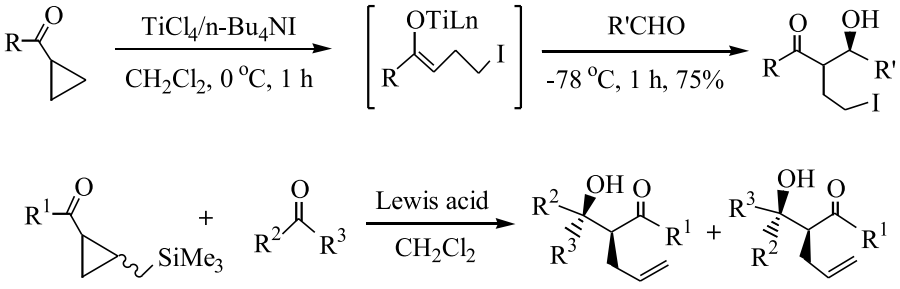
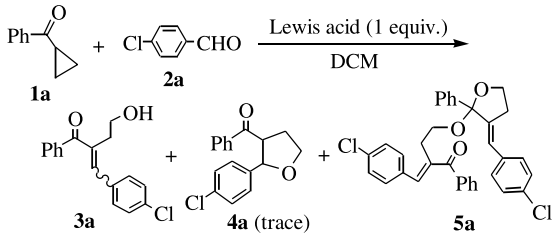



**Scheme 1.** Ring-opening reaction of monoactivitated cyclopropane assisted by $I^-$ and $TiCl_4$, or assisted by the β-effect of silicon atom.

**Table 1**. Reaction of phenyl ketone **1a** and 4-chlorobenzaldehyde **2a** mediated by various Lewis acids




| Entry | Lewis acid | Solvent | Temp. | Yield/[%][a] | Yield/[%][a] |
|---|---|---|---|---|---|
| | | | | **3a** (*Z*/*E*) | **5a** |
| 1 | $BF_3$ $OEt_2$ | DCM | r.t. | 9 (0/100) | 0 |
| 2 | TfOH | DCM | r.t. | 57 (15/85) | Trace |
| 3 | TfOH | DCE | 60 °C | 61 (16/84) | Trace |
| 4 | TfOH | DCE | Reflux | 79 (45/55) | Trace |
| 5 | TMSOTf | DCM | r.t. | 59 (25/75) | Trace |
| 6[b] | TMSOTf | DCM | r.t. | 10 (0/100) | 0 |
| 7 | TMSOTf | DCE | 60 °C | 66 (18/82) | Trace |
| 8 | TMSOTf | DCE | Reflux | 81 (31/69) | Trace |
| 9 | TESOTf | DCE | Reflux | 54 (19/81) | 13 |

[a] Isolated yields, sterochemistry is determined by NOESY spectrum.
[b] TMSOTf (0.2 equiv).

addition, **3a** was also isolated in 61% at 60 °C and 79% under reflux in the presence of TfOH (1.0 equiv), respectively (Table 1, entries 3–4). Catalytic amounts of TMSOTf did not effectively promote this reaction (Table 1, entry 6). TESOTf was proven not as effective as TMSOTf (Table 1, entry 9).

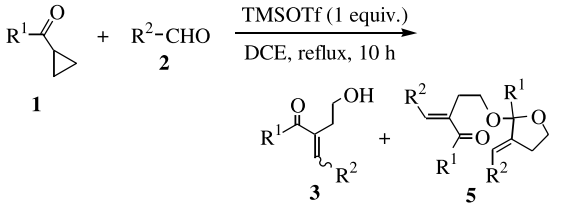
We next carried out the reactions of a variety of aryl cyclopropyl ketones with various arylaldehydes under the optimized reaction conditions. In all of the cases we examined, α,β-enones **3** were dominantly formed along with dimers **5**.[7] The results are summarized in Table 2 which indicates that α,β-enones **3**, in some cases, were obtained in low yields because of the formation of dimers **5**, and the cleanly isolated products **3** will also immediately become mixtures of **3** and **5** due to the equilibrium shown in Scheme 2.

In order to avoid the dimerization of **3**, we decide to protect the hydroxy group. As shown in Scheme 3, after the Lewis acid mediated reaction was finished, we utilized isocyanatobenzene and TESOTf to protect the hydroxy group, respectively. The corresponding carbamate **6** was obtained in 50% yield as mixtures of *Z*- and *E*-isomers. We were delighted to find that the subsequent use of TESOTf twice could efficiently promote this reaction and trap the formed hydroxy group in the presence of lutidine to give the corresponding product **7d** in 60% yield. Interestingly, **7d** was predominantly obtained as *E*-configuration under this conditions (Scheme 3).

The reaction of a variety of aryl cyclopropyl ketones with various arylaldehydes was carried out in the presence of TESOTf. The corresponding α,β-enones **7** were obtained exclusively in good to high yields in all cases as *E*-dominated configuration. The results are summarized in Table 3. In this reaction, $R^1$ and $R^2$ could be various substituted aromatic and heterocyclic groups (Table 3, entries 1–10).

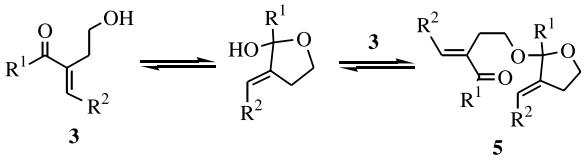
Concerning the formation of **7**-*E*, we have observed that **3**-*E* is isolated as a major product in reaction mixtures (Table 2) and compounds **3** and **5** are formed in equilibrium under ambient atmosphere as shown in Scheme 2. Interestingly, using compound **4a** as starting material, γ-hydroxy ketone **3a** was obtained in the presence of TMSOTf under reflux in DCE to give 68% isolated yield as mixtures of *Z*- and *E*-isomers (Scheme 4). This result suggests that trace amount of product **4a** is the active intermediate in this reaction. Therefore, we believe that the transformation of **3**-*Z* and **3**-*E* proceeds through intermediate **4** (Scheme 5). In any sense, **3**-*Z* suffers from severe steric interaction between

**Table 2**. Reaction of arylaldehydes with various arylcarbonyl activated cyclopropanes

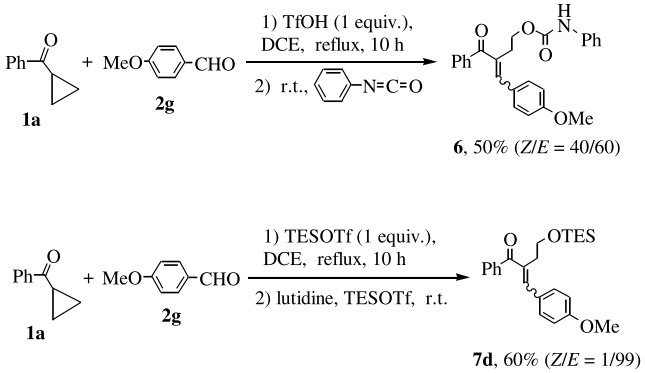



| Entry | $R^1$ | $R^2$ | Yield/[%][a] 3 (*Z*/*E*) |
|---|---|---|---|
| 1 | **1a**, $C_6H_5$ | **2b**, *p*-$FC_6H_4$ | **3b**, 53 (17/83) |
| 2 | **1a**, $C_6H_5$ | **2c**, *m*-$ClC_6H_4$ | **3c**, 49 (26/74) |
| 3 | **1a**, $C_6H_5$ | **2d**, *p*-$BrC_6H_4$ | **3d**, 35 (0/100) |
| 4 | **1a**, $C_6H_5$ | **2e**, $C_6H_5$ | **3e**, 55 (30/70) |
| 5 | **1a**, $C_6H_5$ | **2f**, *p*-$MeC_6H_4$ | **3f**, 62 (0/100)[b] |
| 6 | **1a**, $C_6H_5$ | **2g**, *p*-$MeOC_6H_4$ | **3g**, 23 (20/80)[b] |
| 7 | **1a**, $C_6H_5$ | **2h**, 2-furanyl | **3h**, 31 (0/100)[b] |
| 8 | **1b**, *p*-$FC_6H_4$ | **2a**, *p*-$ClC_6H_4$ | **3i**, 42 (0/100) |
| 9 | **1c**, *p*-$MeC_6H_4$ | **2a**, *p*-$ClC_6H_4$ | **3j**, 88 (24/76) |
| 10 | **1d**, *m*,*m*-$(Me)_2C_6H_3$ | **2a**, *p*-$ClC_6H_4$ | **3k**, 53 (0/100) |
| 11 | **1e**, *p*-$MeOC_6H_4$ | **2a**, *p*-$ClC_6H_4$ | **3l**, 84 (43/56) |
| 12 | **1e**, *p*-$MeOC_6H_4$ | **2d**, *p*-$BrC_6H_4$ | **3m**, 77 (23/77) |
| 13 | **1f**, 2-thiophenyl | **2a**, *p*-$ClC_6H_4$ | **3n**, 55 (18/82) |

[a] Isolated yields, sterochemistry of **3a** and **3m** is determined by NOESY, the remaining compounds were tentatively assigned according to the general trend.
[b] Determined by $^1$H NMR spectroscopic data.



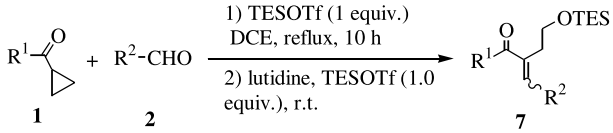

**Scheme 2.** Dimerization of **3**.




**Scheme 3.** Selection of the protection of hydroxy group.

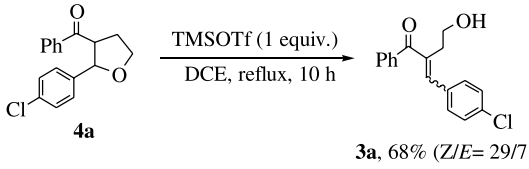
$R^1$ and $R^2$, and thus, **3**-*E* was formed in a thermodynamically favored way (Scheme 5). At any rate, the reversibility of the conjugate addition of an alcohol affected the geometrical selectivity in this reaction and give the thermodynamically stable **3**-*E* exclusively. Therefore, the enhanced stereochemistry could be explained by the equilibrium shown in Scheme 5 in which the thermodynamically favored major isomer **3**-*E* reacts with TESOTf to give **7**-*E* in the presence of lutidine. Namely, the equilibrium leans to the formation of **7**-*E* in the presence of

**Table 3**. Reaction of aryl cyclopropyl ketone **1** with various arylaldehydes **2** in the presence of TESOTf

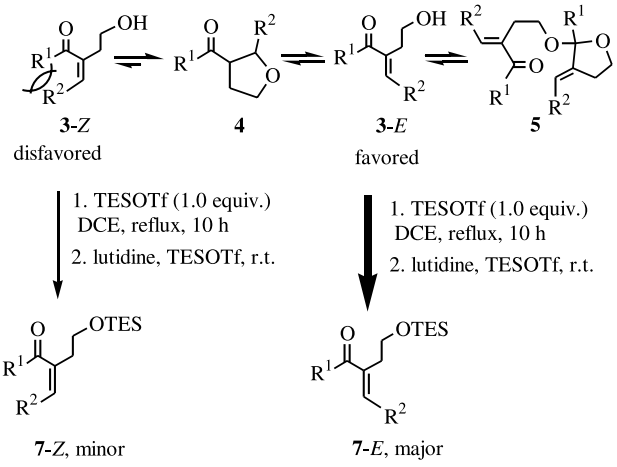



| Entry | $R^1$ | $R^2$ | Yield/[%][a] 7 (*Z*/*E*) |
|---|---|---|---|
| 1 | **1a**, $C_6H_5$ | **2a**, *p*-$ClC_6H_4$ | **7a**, 75 (0/100) |
| 2 | **1a**, $C_6H_5$ | **2e**, $C_6H_5$ | **7b**, 62 (0/100) |
| 3 | **1a**, $C_6H_5$ | **2f**, *p*-$MeC_6H_4$ | **7c**, 81 (0/100) |
| 4 | **1a**, $C_6H_5$ | **2g**, *p*-$MeOC_6H_4$ | **7d**, 60 (1/99)[b] |
| 5 | **1a**, $C_6H_5$ | **2h**, 2-furanyl | **7e**, 69 (1/100)[b] |
| 6 | **1b**, *p*-$FC_6H_4$ | **2f**, *p*-$MeC_6H_4$ | **7f**, 73 (0/100) |
| 7 | **1c**, *p*-$MeC_6H_4$ | **2f**, *p*-$MeC_6H_4$ | **7g**, 93 (0/100) |
| 8 | **1d**, *m*,*m*-$(Me)_2C_6H_3$ | **2f**, *p*-$MeC_6H_4$ | **7h**, 87 (0/100) |
| 9 | **1e**, *p*-$MeOC_6H_4$ | **2f**, *p*-$MeC_6H_4$ | **7i**, 74 (0/100) |
| 10 | **1f**, 2-thiophenyl | **2f**, *p*-$MeC_6H_4$ | **7j**, 79 (0/100) |

[a] Isolated yields.
[b] Determined by $^1$H NMR spectroscopic data.



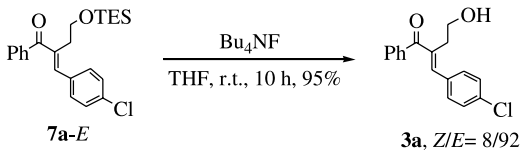

**Scheme 4.** Preparation of γ-hydroxyl ketone **3a** from compound **4a** in the presence of TMSOTf.



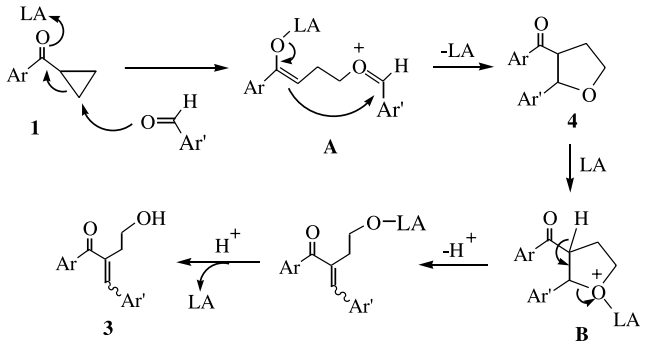

**Scheme 5.** Formation route of **7**-*E* in the reaction mixtures.

TESOTf and lutidine (Scheme 5). The dimerization of **3**-*E* partially take places to afford the sterically demanding dimer **5** as shown in Scheme 3 (compound **5a** has been isolated in enough purity).

At room temperature, $Bu_4NF$ can easily cleave triethylsilyl group from **7a**-*E* to give 2-(2-hydroxyethyl)-1,3-diaryl-propenone **3a** in high yield. Since equilibrium shown in Scheme 5 exists, mixtures of *Z*- and *E*-isomers were obtained with ratio of 8/92 at the beginning (Scheme 6).




**Scheme 6.** Deprotection of **7a**-*E*.




**Scheme 7.** The plausible reaction mechanism in the reaction of aryladehydes with arylcarbonyl activated cyclopropane mediated by Lewis acid.

Further store under ambient atmosphere will be accompanied by the formation of dimer **5**. Therefore, the products **3** should be used for the next reaction immediately because of their labilities.

Based on the above results, a plausible reaction mechanism is proposed in Scheme 7. In the presence of Lewis acid, the attack of carbonyl oxygen atom of arylaldehyde to three-membered ring of cyclopropyl aryl ketone **1** gives enolate oxonium ion **A**, which produces the key intermediate **4** through intramolecular aldol reaction, as a [3+2] cycloaddition product. 2-(2-Hydroxyethyl)-1,3-diarylpropenone **3** is formed through proton transfer of the intermediate **B** derived from **4** in the presence of Lewis acid. Overall, this reaction is facile process for the preparation of 2-(2-hydroxyethyl)-1,3-diarylpropenones.

## 3. Conclusion

In conclusion, we have found that Lewis acid TMSOTf can effectively mediate the ring-opening reaction of cyclopropyl aryl ketones with arylaldehydes to give the products 2-(2-hydroxyethyl)-1,3-diarylpropenones **3** along with dimers **5**. The products **3** are labile compounds because of the subsequent rapid dimerization. The subsequent twice use of Lewis acid TESOTf gives hydroxyl group protected products **7** with high geometrical selectivities (predominantly *E* configuration) in good yields.

## 4. Experimental

### 4.1. General methods

$^1$H and $^{13}$C NMR spectra were recorded at 300 and 75 MHz respectively. Mass spectra were recorded by EI, SCI and ESI methods, and HRMS was measured on Kratos Analytical Concept mass spectrometer (EI), Bruker FT mass spectrometer (ESI), and IonSpec 4.7 Tesla FFMS (MALDI). Organic solvents used were dried by standard methods when necessary. Commercially obtained reagents were used without further purification. All reactions were monitored by TLC with Yinlong GF254 silica gel coated plates. Flash column chromatography was carried out using 300–400 mesh silica gel at increased pressure.

### 4.2. General procedure for the reactions of cyclopropyl aryl ketones with arylaldehyde

Under argon atmosphere, the mixture of cyclopropyl aryl ketone (**1**, 0.5 mmol), arylaldehyde (**2**, 0.5 mmol) and TMSOTf (1.0 equiv) was dissolved in 1,2-dichloroethane (DCE, 1.5 mL) and the reaction mixture was refluxed for 10 h. The reaction solution was cooled to room temperature and then quenched by the addition of aqueous $NaHCO_3$ solution. The reaction mixture was washed with $H_2O$ (50 mL) and extracted by dichloromethane (3×15 mL) and dried over anhydrous $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified by a silica gel column chromatography using petroleum ether/ethyl acetate (10/1) as an eluent to give ring-opened product 2-(2-hydroxyethyl)-1,3-diarylpropenone **3** as an oily product.

Under argon atmosphere, the mixture of cyclopropyl aryl ketone (**1**, 0.5 mmol), arylaldehyde (**2**, 0.5 mmol) and TESOTf (1.0 equiv) was dissolved in 1,2-dichloroethane (DCE, 1.5 mL) and the reaction mixture was refluxed for 10 h. The reaction solution was cooled to room temperature, then lutidine (480 μL, 4.0 mmol) and TESOTf (216 μL, 1.0 mmol) were added subsequently and the reaction solution was stirred for another 2 h. The solvent was removed under reduced pressure and the residue was purified by a silica gel column chromatography using petroleum ether as an eluent to give the product 1,3-diaryl-2-(2-triethylsilanyloxyethyl)propenone **7** as an oily product.

### 4.3. The reaction of cyclopropyl phenyl ketone with 4-methoxyphenylaldehyde 2g or Furanylaldehyde 2h

Aldehyde **2g** or **2h** (0.5 equiv) was added dropwise to a refluxing mixture of phenyl cyclopropyl ketone and TESOTf (1.0 equiv) for 1 h. Then the reaction solution was stirred under reflux for another 2 h. The reaction was cooled to room temperature and then was quenched by the addition of aqueous $NaHCO_3$ solution. The reaction mixture was washed with $H_2O$ (50 mL) and extracted by dichloromethane (3×15 mL) and dried over anhydrous $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified by a silica gel column chromatography using petroleum as an eluent to give product **3g** (23%) or **3h** (31%) as a red oily product.

### 4.4. The procedure of desilylation of compound 7a

$Bu_4NF\cdot 3H_2O$ (236 mg, 0.74 mmol) was added to a solution of 3-(4-chlorophenyl)-1-phenyl-2-(2-triethylsilanyloxyethyl)propenone **7a** (150 mg, 0.37 mmol) in THF (10 mL) and the reaction mixture was stirred at room temperature for 10 h. Then the solvent was removed under

reduced pressure and the residue was purified by a silica gel column chromatography using petroleum ether/ethyl acetate (10/1) as an eluent to give product **3a**-*E* (94 mg) and **3a**-*E* (8 mg) as red oil.

**4.4.1. *E*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3a-*E*).** This compound was obtained as a red oil, yield: 80 mg, 56%. IR ($CH_2Cl_2$): $\nu$ 1014, 1045, 1093, 1179, 1246, 1316, 1374, 1447, 1490, 1578, 1595, 1646, 1736, 2931, 3060, 3462 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.82 (s, 1H, OH), 2.96 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.85 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.15 (s, 1H, CH), 7.35 (d, $J$=8.7 Hz, 2H, Ar), 7.36 (dt, $J$=6.6 Hz, $J$=7.2 Hz, 2H, Ar), 7.45 (dt, $J$=1.5 Hz, $J$=6.6 Hz, 2H, Ar), 7.56 (tt, $J$=7.2 Hz, $J$=1.5 Hz, 1H, Ar), 7.78 (d, $J$=8.7 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 31.0, 61.4, 128.3, 128.8, 129.73, 130.5, 132.3, 133.5, 134.7, 137.9, 139.2, 142.1, 199.9; MS (EI) *m/z*: 288 (3), 286 ($M^+$, 9), 256 (38), 255 (27), 221 (22), 115 (55), 105 (87), 77 (100), 51 (33); HRMS (EI) Calcd. for $C_{17}H_{15}O_2Cl$: 286.0761, Found: 286.0764.

**4.4.2. *Z*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-phenyl-propenone (3a-*Z*).** This compound was obtained as a red oil, yield: 36 mg, 25%. IR ($CH_2Cl_2$): $\nu$ 1013, 1048, 1092, 1176, 1234, 1265, 1312, 1378, 1404, 1449, 1491, 1579, 1594, 1653, 1720, 2927, 3059, 3429 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.72 (dt, $J$=0.9 Hz, $J$=6.0 Hz, 2H, $CH_2$), 3.82 (t, $J$=6.0 Hz, 2H, $CH_2$), 6.81 (s, 1H, CH), 7.03 (d, $J$=7.5 Hz, 2H, Ar), 7.06 (dt, $J$=5.7 Hz, $J$=7.5 Hz, 2H, Ar), 7.34 (tt, $J$=5.7 Hz, $J$=1.5 Hz, 2H, Ar), 7.48 (tt, $J$=1.5 Hz, $J$=7.5 Hz, 1H, Ar), 7.88 (d, $J$=7.5 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 39.8, 61.3, 128.4, 128.5, 128.7, 129.5, 129.8, 131.5, 133.6, 133.8, 135.2, 138.9, 200.9; MS (EI) *m/z*: 288 (1), 286 ($M^+$, 4), 257 (21), 255 (22), 221 (21), 115 (28), 105 (100), 77 (67), 51 (16); HRMS (EI) Calcd. for $C_{17}H_{15}O_2Cl$: 286.0761, Found: 286.0767.

**4.4.3. *E*-3-(4-Fluorophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3b-*E*).** Only the mixture of **3b**-*E* and the dimer were obtained as a red oil, yield: 59 mg, 44%. IR ($CH_2Cl_2$): $\nu$ 1054, 1098, 1159, 1177, 1229, 1265, 1292, 1307, 1379, 1447, 1508, 1578, 1600, 1646, 1720, 2887, 2927, 3058, 3472 $cm^{-1}$; $^1H$ NMR of **3b**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 2.98 (t, $J$=6.0 Hz, 2H, $CH_2$), 3.88 (t, $J$=6.0 Hz, 2H, $CH_2$), 7.05 (dd, $J$=8.1 Hz, $J_{H\text{-}F}$=8.9 Hz, 2H, Ar), 7.12 (dt, $J$=5.7 Hz, $J$=7.5 Hz, 2H, Ar), 7.19 (s, 1H, CH), 7.46 (dt, $J$=5.7 Hz, $J$=0.9 Hz, 2H, Ar), 7.56 (tt, $J$=7.2 Hz, $J$=0.9 Hz, 1H, Ar), 7.78 (dd, $J$=8.1 Hz, $J_{H\text{-}F}$=3.3 Hz, 2H, Ar); MS (EI) *m/z*: 270 ($M^+$, 7), 239 (22), 133 (66), 105 (74), 77 (100), 57 (45), 51 (62), 49 (84), 43 (83); HRMS (EI) Calcd. for $C_{17}H_{15}O_2F$: 270.1056, Found: 270.1079.

**4.4.4. *Z*-3-(4-Fluorophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3b-*Z*).** This compound was obtained as a red oil, yield: 12 mg, 9%. IR ($CH_2Cl_2$): $\nu$ 1047, 1160, 1177, 1229, 1290, 1312, 1449, 1508, 1579, 1600, 1653, 2852, 2924, 3062, 3433 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.31 (s, 1H, OH), 2.72 (dt, $J$=0.9 Hz, $J$=6.0 Hz, 2H, $CH_2$), 3.82 (t, $J$=6.0 Hz, 2H, $CH_2$), 6.79 (dd, $J$=6.6 Hz, $J_{H\text{-}F}$=8.4 Hz, 2H, Ar), 6.84 (s, 1H, CH), 7.09 (dt, $J$=7.2 Hz, $J$=7.5 Hz, 2H, Ar), 7.32 (dt, $J$=1.2, 7.2 Hz, 2H, Ar), 7.47 (tt, $J$=7.5 Hz, $J$=1.2 Hz, 1H, Ar), 7.78 (dd, $J$=6.6 Hz, $J_{H\text{-}F}$=1.5 Hz, 2H, Ar); MS (EI) *m/z*: 270 ($M^+$, 9), 241 (23), 240 (66), 239 (46), 133 (64), 109 (17), 105 (100), 77 (99), 51 (32); HRMS (EI) Calcd. for $C_{17}H_{15}O_2F$: 270.1056, Found: 270.1077.

**4.4.5. *E*-3-(3-Chlorophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3c-*E*).** Only the mixture of **3c**-*E* and the dimer were obtained as a red oil, yield: 51 mg, 36%. IR ($CH_2Cl_2$): $\nu$ 1028, 1055, 1080, 1158, 1227, 1265, 1283, 1428, 1447, 1475, 1563, 1594, 1649, 1721, 2853, 2924, 3061, 3493 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.97 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.88 (t, $J$=6.6 Hz, 2H, $CH_2$), 7.13 (s, 1H, CH), 7.30 (t, $J$=8.1 Hz, 1H, Ar), 7.32 (dt, $J$=1.2 Hz, $J$=7.5 Hz, 2H, Ar), 7.41 (s, 1H, Ar), 7.47 (dt, $J$=6.3 Hz, $J$=7.5 Hz, 2H, Ar), 7.58 (tt, $J$=6.3 Hz, $J$=1.2 Hz, 1H, Ar), 7.81 (d, $J$=8.1 Hz, 2H, Ar); MS (EI) *m/z*: 288 (4), 286 ($M^+$, 12), 257 (21), 256 (19), 221 (14), 115 (53), 105 (76), 86 (26), 77 (100), 51 (38), 49 (20); HRMS (EI) Calcd. for $C_{17}H_{15}O_2Cl$: 286.0761, Found: 286.0786.

**4.4.6. *Z*-3-(3-Chlorophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3c-*Z*).** This compound was obtained as a red oil, yield: 18 mg, 13%. IR ($CH_2Cl_2$): $\nu$ 1046, 1080, 1177, 1235, 1377, 1449, 1466, 1564, 1579, 1594, 1655, 1720, 2851, 2924, 2956, 3412 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.73 (dt, $J$=0.9 Hz, $J$=6.0 Hz, 2H, $CH_2$), 3.83 (t, $J$=6.0 Hz, 2H, $CH_2$), 6.81 (s, 1H, CH), 6.99 (t, $J$=8.1 Hz, 1H, Ar), 7.02 (dt, $J$=1.2 Hz, $J$=7.5 Hz, 2H, Ar), 7.11 (s, 1H, Ar), 7.33 (dt, $J$=6.3 Hz, $J$=7.5 Hz, 2H, Ar), 7.47 (tt, $J$=6.3 Hz, $J$=1.2 Hz, 1H, Ar), 7.87 (d, $J$=8.1 Hz, 2H, Ar); MS (EI) *m/z*: 288 (2), 286 ($M^+$, 5), 257 (29), 256 (64), 221 (27), 115 (39), 105 (100), 77 (82), 43 (23); HRMS (EI) Calcd. for $C_{17}H_{15}O_2Cl$: 286.0761, Found: 286.0783.

**4.4.7. *E*-3-(4-Bromophenyl)-2-(2-hydroxyethyl)-1-phenylpropenone (3d-*E*).** This compound was obtained as a red oil, yield: 57 mg, 35%. IR ($CH_2Cl_2$): $\nu$ 1010, 1040, 1073, 1159, 1178, 1261, 1282, 1317, 1380, 1447, 1487, 1585, 1597, 1645, 2252, 2884, 2927, 3061, 3443 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.91 (s, 1H, OH), 2.96 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.86 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.14 (s, 1H, CH), 7.31 (d, $J$=8.4 Hz, 2H, Ar), 7.44–7.59 (m, 5H, Ar), 7.78 (d, $J$=8.4 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 31.0, 61.4, 123.0, 128.3, 129.7, 130.7, 131.7, 132.3, 133.9, 137.8, 139.2, 142.3, 200.0; MS (EI) *m/z*: 315 (27), 313 [(M-17)$^+$, 27], 128 (13), 115 (17), 105 (100), 77 (44); HRMS (MALDI) Calcd. for $C_{17}H_{15}O_2Br$+H: 331.0334, Found: 331.0337.

**4.4.8. *E*-2-(2-Hydroxyethyl)-1,3-diphenylpropenone (3e-*E*).** This compound was obtained as a red oil, yield: 48 mg, 38%. IR ($CH_2Cl_2$): $\nu$ 1002, 1027, 1054, 1159, 1177, 1265, 1319, 1379, 1447, 1492, 1577, 1597, 1464, 2885, 2926, 3026, 3057, 3443 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 3.00 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.88 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.24 (s, 1H, CH), 7.37–7.56 (m, 8H, Ar), 7.80 (d, $J$=7.2 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 31.1, 61.8, 128.3, 128.6, 128.8, 129.2, 129.8, 132.2, 135.1, 138.1, 138.7, 143.9, 200.4; MS (EI) *m/z*: 252 ($M^+$, 2), 234 (4), 222 (13), 115 (12), 105 (49), 86 (67), 84 (100), 77 (31); HRMS (EI) Calcd. for $C_{17}H_{16}O_2$: 252.1150, Found: 252.1160.

**4.4.9. *Z*-2-(2-Hydroxyethyl)-1,3-diphenylpropenone (3e-*Z*).** This compound was obtained as a red oil, yield: 21 mg, 17%. IR ($CH_2Cl_2$): $\nu$ 1047, 1176, 1235, 1379, 1449, 1597, 1655, 2855, 2927, 3435 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.74 (t, $J=5.7$ Hz, 2H, $CH_2$), 3.84 (t, $J=5.7$ Hz, 2H, $CH_2$), 6.89 (s, 1H, CH), 7.09–7.14 (m, 5H, Ar), 7.33 (dt, $J=7.2$ Hz, $J=8.4$ Hz, 2H, Ar), 7.46 (tt, $J=1.5$ Hz, $J=7.2$ Hz, 1H, Ar), 7.90 (dt, $J=1.5$ Hz, $J=8.4$ Hz, 2H, Ar).

**4.4.10. *E*-2-(2-Hydroxyethyl)-1-phenyl-3-p-tolylpropenone (3f).** Only the mixture of **3f**-*Z*, **3f**-*E* and the dimer were obtained as a red oil, yield: 82 mg, 62%. IR ($CH_2Cl_2$): $\nu$ 1043, 1113, 1159, 1177, 1265, 1319, 1380, 1447, 1511, 1578, 1643, 1716, 2886, 2924, 3026, 3057, 3459 $cm^{-1}$; $^1H$ NMR of **3f**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 2.38 (s, 3H, $CH_3$), 3.03 (t, $J=6.3$ Hz, 2H, $CH_2$), 3.91 (t, $J=6.3$ Hz, 2H, $CH_2$), 7.08 (s, 1H, CH), 7.15 (dt, $J=6.6$ Hz, $J=7.2$ Hz, 2H, Ar), 7.30 (d, $J=7.2$ Hz, 1H, Ar), 7.41 (dt, $J=1.5$ Hz, $J=7.2$ Hz, 2H, Ar), 7.56 (tt, $J=1.5$ Hz, $J=6.6$ Hz, 1H, Ar), 7.78 (d, $J=7.2$ Hz, 2H, Ar); MS (EI) *m/z*: 266 ($M^+$, 3), 248 (2), 236 (18), 233 (12), 221 (22), 122 (27), 105 (100), 77 (57), 51 (12); HRMS (MALDI) Calcd. for $C_{18}H_{18}O_2+H$: 267.1386, Found: 267.1378.

**4.4.11. *E*-2-(2-Hydroxyethyl)-3-(4-methoxyphenyl)-1-phenylpropenone (3g).** Only the mixture of **3g**-*Z*, **3g**-*E* and the dimer were obtained as a red oil, yield: 40 mg, 28%, *Z*/*E*=20/80 (determined by $^1H$ NMR spectroscopic data). IR ($CH_2Cl_2$): $\nu$ 1032, 1070, 1115, 1159, 1177, 1252, 1385, 1421, 1450, 1464, 1511, 1604, 1643, 1716, 2837, 2933, 3058, 3507 $cm^{-1}$; $^1H$ NMR of **3g**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 3.05 (t, $J=6.3$ Hz, 2H, $CH_2$), 3.83 (s, 3H, $OCH_3$), 3.92 (t, $J=6.3$ Hz, 2H, $CH_2$), 6.93 (d, $J=8.4$ Hz, 1H, Ar), 7.22 (s, 1H, CH), 7.41–7.48 (m, 5H, Ar), 7.77 (d, $J=8.4$ Hz, 2H, Ar); MS (EI) *m/z*: 282 ($M^+$, 6), 265 (1), 252 (10), 233 (7), 221 (13), 121 (13), 115 (12), 105 (100), 103 (15), 78 (9), 77 (63); HRMS (EI) Calcd. for $C_{18}H_{18}O_3$: 282.1256, Found: 282.1262.

**4.4.12. 3-Furan-2-yl-2-(2-hydroxyethyl)-1-phenylpropenone (3h).** Only the mixture of **3h**-*Z*, **3h**-*E* and the dimmer were obtained as a red oil, yield: 28 mg, 23%, E/Z=7/93 (determined by $^1H$ NMR spectroscopic data). IR ($CH_2Cl_2$): $\nu$ 1022, 1155, 1178, 1220, 1266, 1317, 1369, 1421, 1448, 1616, 1643, 1717, 2929, 3055, 3420 $cm^{-1}$; $^1H$ NMR of **3h**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 3.17 (t, $J=6.3$ Hz, 2H, $CH_2$), 3.94 (t, $J=6.3$ Hz, 2H, $CH_2$), 6.63 (d, $J=3.6$ Hz, 1H, Ar), 6.48 (dd, $J=3.6$ Hz, $J=7.8$ Hz, 1H, CH), 6.96 (s, 1H, CH), 7.28–7.74 (m, 5H, Ar), 8.11 (d, $J=7.8$ Hz, 1H, Ar); MS (EI) *m/z*: 242 ($M^+$, 5), 212 (12), 122 (49), 105 (100), 86 (16), 84 (25), 77 (68), 51 (34), 50 (15); HRMS (MALDI) Calcd. for $C_{15}H_{15}O_3+H$: 243.1022, Found: 243.1023.

**4.4.13. *E*-3-(4-Chlorophenyl)-1-(4-fluorophenyl)-2-(2-hydroxyethyl)propenone (3i-*E*).** This compound was obtained as a red oil, yield: 64 mg, 42%. IR ($CH_2Cl_2$): $\nu$ 1013, 1056, 1093, 1156, 1232, 1281, 1308, 1375, 1407, 1491, 1506, 1597, 1648, 2887, 2928, 3481 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.96 (t, $J=6.3$ Hz, 2H, $CH_2$), 3.87 (t, $J=6.3$ Hz, 2H, $CH_2$), 7.11 (s, 1H, CH), 7.14 (dd, $J=8.7$ Hz, $J_{H\text{-}F}=8.7$ Hz, 2H, Ar), 7.38 (s, 4H, Ar), 7.78 (dd, $J=8.7$ Hz, $J_{H\text{-}F}=5.7$ Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 31.1, 61.4, 115.5 (d, $J_{C\text{-}F}=21.8$ Hz), 128.8, 130.5, 132.4 (d, $J_{C\text{-}F}=9.1$ Hz), 133.3, 134.0 (d, $J_{C\text{-}F}=3.0$ Hz), 134.8, 139.1, 141.6, 165.3 (d, $J_{C\text{-}F}=253.1$ Hz), 198.5; MS (EI) *m/z*: 306 (1), 304 ($M^+$, 3), 287 (2), 274 (15), 239 (22), 149 (10), 123 (100), 115 (17), 95 (43), 86 (19), 84 (30), 75 (12); HRMS (MALDI) Calcd. for $C_{17}H_{15}O_2ClF+H$: 305.0745, Found: 305.0740.

**4.4.14. *E*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-p-tolylpropenone (3j-*E*).** Only the mixture of **3j**-*E* and dimer were obtained as a red oil, yield: 115 mg, 77%. IR ($CH_2Cl_2$): $\nu$ 1013, 1055, 1092, 1157, 1180, 1261, 1282, 1312, 1377, 1406, 1490, 1606, 1645, 2886, 2924, 3029, 3468 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.42 (s, 1H, $CH_3$), 2.95 (t, $J=6.0$ Hz, 2H, $CH_2$), 3.84 (t, $J=6.0$ Hz, 2H, $CH_2$), 7.12 (s, 1H, CH), 7. 25 (d, $J=7.8$ Hz, 2H, Ar), 7.36 (s, 4H, Ar), 7.71 (d, $J=7.8$ Hz, 2H, Ar); MS (EI) *m/z*: 302 (2), 300 ($M^+$, 6), 285 (4), 271 (14), 255 (46), 119 (88), 115 (19), 91 (50), 84 (100), 49 (18), 47 (20); HRMS (MALDI) Calcd. for $C_{18}H_{17}ClO_2+H$: 301.0995, Found: 301.0999.

**4.4.15. *Z*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-p-tolylpropenone (3j-*Z*).** This compound was obtained as a red oil, yield: 16 mg, 11%. IR ($CH_2Cl_2$): $\nu$ 1014, 1043, 1092, 1177, 1237, 1377, 1407, 1491, 1604, 1655, 1712, 2840, 2924, 3030, 3425 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.42 (s, 3H, $CH_3$), 2.70 (t, $J=5.7$ Hz, 2H, $CH_2$), 3.81 (t, $J=5.7$ Hz, 2H, $CH_2$), 6.75 (s, 1H, CH), 7.04–7.10 (m, 4H, Ar), 7.14 (d, $J=8.1$ Hz, 2H, Ar), 7.61 (d, $J=8.1$ Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 21.7, 39.8, 61.3, 128.4, 128.8, 129.5, 129.6, 129.7, 130.9, 133.4, 133.9, 138.9, 145.0, 200.6; MS (EI) *m/z*: 302 (1), 300 ($M^+$, 3), 285 (2), 270 (23), 257 (19), 255 (53), 119 (100), 115 (26), 65 (26); HRMS (MALDI) Calcd. for $C_{18}H_{17}ClO_2+Na$: 323.0815, Found: 323.0820.

**4.4.16. *E*-3-(4-Chlorophenyl)-1-(3,5-dimethylphenyl)-2-(2-hydroxyethyl)propenone (3k-*E*).** This compound was obtained as a red oil, yield: 83 mg, 53%. IR ($CH_2Cl_2$): $\nu$ 1013, 1048, 1093, 1207, 1299, 1315, 1381, 1439, 1490, 1602, 1643, 2921, 3445 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.36 (s, 6H, $CH_3$), 2.96 (t, $J=6.0$ Hz, 2H, $CH_2$), 3.85 (t, $J=6.0$ Hz, 2H, $CH_2$), 7.16 (s, 1H, CH), 7.19 (s, 1H, Ar), 7.33–7.40 (m, 6H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 21.1, 31.0, 61.4, 127.4, 128.7, 130.5, 133.6, 133.9, 134.6, 137.9, 138.0, 139.3, 142.0, 200.3; MS (EI) *m/z*: 316 (4), 314 ($M^+$, 11), 285 (22), 269 (31), 261 (13), 255 (4), 159 (14), 133 (100), 115 (29), 105 (63), 91 (50), 84 (48), 79 (29), 77 (29); HRMS (MALDI) Calcd. for $C_{19}H_{19}ClO_2+Na$: 337.0971, Found: 337.0973.

**4.4.17. *E*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-(4-methoxyphenyl)propenone (3l-*E*).** Only the mixture of **3l**-*E* and the dimer were obtained as a red oil, yield: 76 mg, 48%. IR ($CH_2Cl_2$): $\nu$ 1013, 1030, 1092, 1170, 1254, 1310, 1375, 1420, 1441, 1463, 1490, 1509, 1573, 1598, 1641, 1713, 2840, 2934, 3053, 3446 $cm^{-1}$; $^1H$ NMR of **3l**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 2.96 (t, $J=6.0$ Hz, 2H, $CH_2$), 3.86 (t, $J=6.0$ Hz, 2H, $CH_2$), 3.90 (s, 3H, $OCH_3$), 6.97 (d, $J=9.0$ Hz, 2H, Ar), 7. 10 (s, 1H, CH), 7.39 (s, 4H, Ar), 7.87 (d, $J=9.0$ Hz, 2H, Ar); MS (EI) *m/z*: 318 (4), 316 ($M^+$, 11), 287 (35), 286 (17), 285 (18), 257 (13), 255 (34), 251 (7), 135

(100), 115 (10), 77 (11); HRMS (EI) Calcd. for $C_{18}H_{17}ClO_3$: 316.0866, Found: 316.0855.

**4.4.18. *Z*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-(4-methoxyphenyl)propenone (3l-*Z*).** This compound was obtained as a red oil, yield: 57 mg, 36%. IR ($CH_2Cl_2$): $\nu$ 1014, 1030, 1092, 1169, 1252, 1313, 1376, 1421, 1442, 1462, 1491, 1509, 1572, 1598, 1648, 1712, 2841, 2933, 3054, 3449 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.68 (t, $J$=6.0 Hz, 2H, $CH_2$), 3.80 (t, $J$=6.0 Hz, 2H, $CH_2$), 3.81 (s, 3H, $OCH_3$), 6.72 (s, 1H, CH), 6.81 (d, $J$=8.7 Hz, 2H, Ar), 7.07(s, 4H, Ar), 7.87 (d, $J$=8.7 Hz, 2H, Ar); MS (EI) *m/z*: 318 (2), 316 ($M^+$, 4), 287 (18), 286 (25), 285 (12), 257 (11), 255 (27), 251 (6), 135 (100), 115 (23), 92 (24), 84 (54), 77 (37); HRMS (EI) Calcd. for $C_{18}H_{17}ClO_3$: 316.0866, Found: 316.0870.

**4.4.19. *E*-3-(4-Bromophenyl)-2-(2-hydroxyethyl)-1-(4-methoxyphenyl)propenone (3m-*E*).** Only the mixture of **3m**-*E* and the dimer were obtained as a red oil, yield: 107 mg, 59%. IR ($CH_2Cl_2$): $\nu$ 1009, 1030, 1073, 1170, 1253, 1282, 1308, 1487, 1509, 1598, 1640, 2839, 2933, 3052, 3444 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.93 (t, $J$=6.0 Hz, 2H, $CH_2$), 3.82 (t, $J$=6.0 Hz, 2H, $CH_2$), 3.87 (s, 3H, $OCH_3$), 6.94 (d, $J$=9.0 Hz, 2H, Ar), 7. 05 (s, 1H, CH), 7.30 (d, $J$=8.7 Hz, 4H, Ar), 7.51 (d, $J$=8.7 Hz, 2H, Ar), 7.51 (d, $J$=9.0 Hz, 2H, Ar); MS (EI) *m/z*: 362 (7), 360 ($M^+$, 7), 344 (2), 331 (27), 301 (20), 263 (11), 251 (7), 161 (8), 135 (100), 115 (16), 77 (17); HRMS (MALDI) Calcd. for $C_{18}H_{18}BrO_3$+H: 361.0440, Found: 361.0426.

**4.4.20. *Z*-3-(4-Bromophenyl)-2-(2-hydroxyethyl)-1-(4-methoxyphenyl)propenone (3m-*Z*):.** This compound was obtained as a pale-yellow oil, yield: 32 mg, 18%. IR ($CH_2Cl_2$): $\nu$ 1009, 1029, 1073, 1167, 1247, 1314, 1376, 1421, 1487, 1509, 1572, 1597, 1649, 2840, 2932, 2961, 3412 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.69 (t, $J$=5.7 Hz, 2H, $CH_2$), 3.80 (t, $J$=5.7 Hz, 2H, $CH_2$), 3.82 (s, 3H, $OCH_3$), 6.71 (s, 1H, CH), 6.83 (d, $J$=8.7 Hz, 2H, Ar), 7.01(d, $J$=8.7 Hz, 2H, Ar), 7.24 (d, $J$=8.4 Hz, 2H, Ar), 7.88 (d, $J$=8.4 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 39.7, 61.2, 66.4, 114.0, 121.6, 128.0, 130.0, 130.5, 131.3, 131.9, 134.3, 139.1, 161.2, 199.5; MS (EI) *m/z*: 362 (5), 360 ($M^+$, 5), 332 (31), 299 (29), 263 (5), 251 (9), 161 (9), 135 (100), 115 (20), 77 (20); HRMS (MALDI) Calcd. for $C_{18}H_{18}BrO_3$+H: 361.0440, Found: 361.0425.

**4.4.21. *E*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-thiophen-2-yl-propenone (3n-*E*).** This compound was obtained as a red oil, yield: 66 mg, 45%, IR ($CH_2Cl_2$): $\nu$ 1013, 1051, 1092, 1231, 1262, 1258, 1309, 1353, 1413, 1490, 1513, 1621, 1712, 1884, 2926, 3053, 3448 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.94 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.84 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.16 (t, $J$=4.8 Hz, 1H, Ar), 7.37–7.44 (m, 5H, Ar), 7.71–7.46 (m, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 31.6, 61.3, 127.9, 128.8, 130.5, 133.5, 134.4, 134.5, 134.6, 139.2, 139.4, 143.3, 191.0; MS (EI) *m/z*: 294 (2), 292 ($M^+$, 6), 262 (30), 247 (3), 239 (9), 227 (31), 211 (5), 149 (12), 115 (24), 111 (100), 84 (46); HRMS (MALDI) Calcd. for $C_{15}H_{14}O_2SCl$+H: 293.0403, Found: 293.0393.

**4.4.22. *Z*-3-(4-Chlorophenyl)-2-(2-hydroxyethyl)-1-thiophen-2-yl-propenone (3n-*Z*).** This compound was obtained as a red oil, yield: 15 mg, 10%, IR ($CH_2Cl_2$): $\nu$ 1013, 1050, 1092, 1248, 1279, 1352, 1378, 1410, 1490, 1513, 1593, 1625, 2924, 3088, 3308 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.73 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.84 (t, $J$=6.3 Hz, 2H, $CH_2$), 6.77 (s, 1H, CH), 6.94 (dd, $J$=4.8 Hz, $J$=3.6 Hz, 1H, Ar), 7.13 (s, 4H, Ar), 7.51 (dd, $J$=3.6 Hz, $J$=0.9 Hz, 2H, Ar), 7.60 (dd, $J$=4.8 Hz, $J$=0.9 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 39.7, 61.4, 128.4, 128.5, 129.8, 131.5, 133.7, 133.9, 135.1, 135.6, 139.0, 142.8, 192.8; MS (EI) *m/z*: 294 (1), 292 ($M^+$, 2), 262 (21), 247 (2), 239 (3), 227 (20), 211 (2), 149 (8), 139 (78), 115 (14), 111 (100), 75 (29); HRMS (MALDI) Calcd. for $C_{15}H_{13}O_2SCl$+Na: 315.0222, Found: 315.0227.

**4.4.23. [2-(4-Chlorophenyl)-tetrahydrofuran-3-yl]phenylmethanone (4a).** This compound was obtained as a red oil. IR ($CH_2Cl_2$): $\nu$ 1014, 1067, 1089, 1180, 1216, 1280, 1363, 1410, 1448, 1491, 1580, 1597, 1680, 2871, 2926, 3058 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.24–2.35 (m, 1H, $CH_2$), 2.42–2.55 (m, 1H, $CH_2$), 3.82–3.90 (m, 1H, CH), 4.04–4.11 (m, 1H, $CH_2$), 4.22–4.30 (m, 1H, $CH_2$), 5.26 (d, $J$=7.2 Hz, 1H, CH), 7.28 (s, 4H, Ar), 7.43 (t, $J$=7.5 Hz, 2H, Ar), 7.56 (t, $J$=7.5 Hz, 1H, Ar), 7.84 (d, $J$=7.5 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 32.2, 54.8, 68.4, 82.3, 127.2, 128.4, 128.5, 128.7, 133.3, 133.4, 136.3, 140.1, 199.5; MS (EI) *m/z*: 288 (5), 286 ($M^+$, 14), 258 (61), 257 (74), 223 (2), 181 (11), 146 (27), 139 (17), 105 (100), 77 (54); HRMS (EI) Calcd. for $C_{17}H_{15}O_2Cl$: 286.0755, Found: 286.0727.

**4.4.24. 3-(4-Chlorophenyl)-1-phenyl-2-(2-triethylsilanyloxyethyl)propenone (7a).** This compound was obtained as a red oil, yield: 150 mg, 75%. IR ($CH_2Cl_2$): $\nu$ 1015, 1046, 1092, 1229, 1260, 1282, 1315, 1386, 1413, 1447, 1458, 1490, 1596, 1650, 1705, 2875, 2910, 2955 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.58 (q, $J$=8.4 Hz, 6H, $CH_2$,), 0.93 (t, $J$=8.4 Hz, 9H, $CH_2$), 2.96 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.86 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.13 (s, 1H, CH), 7.34 (d, $J$=6.9 Hz, 2H, Ar), 7.42–7.54 (m, 5H, Ar), 7.79 (d, $J$=6.9 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 31.1,, 61.0, 128.1, 128.5, 129.7, 130.7, 131.9, 133.9, 134.41, 138.5, 139.6, 141.7, 198.9; MS (EI) *m/z*: 400 ($M^+$, 1), 371 (99), 307 (6), 269 (10), 233 (21), 139 (61), 125 (29), 117 (79), 105 (90), 77 (100); Anal. Calcd. for $C_{23}H_{29}ClO_2Si$: C, 68.89, H, 7.29%; Found: C, 69.20, H, 7.37%.

**4.4.25. 1,3-Diphenyl-2-(2-triethylsilanyloxyethyl)propenone (7b).** This compound was obtained as a red oil, yield: 114 mg, 62%. IR ($CH_2Cl_2$): $\nu$ 1016, 1047, 1094, 1178, 1231, 1263, 1320, 1379, 1414, 1448, 1494, 1577, 1597, 1650, 2875, 2955, 3026, 3060, 3516 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.58 (q, $J$=8.4 Hz, 6H, $CH_2$), 0.93 (t, $J$=8.4 Hz, 9H, $CH_2$), 3.10 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.87 (t, $J$=6.6 Hz, 2H, $CH_2$), 7.20 (s, 1H, CH), 7.32–7.41 (m, 5H, Ar), 7.51–7.55 (m, 3H, Ar), 7.80 (d, $J$=8.4 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 31.1, 61.1, 128.1, 128.3, 128.5, 129.3, 129.7, 131.8, 135.4, 138.5, 138.9, 143.1, 199.2; MS (EI) *m/z*: 366 ($M^+$, 1), 337 (100), 319 (2), 259 (6), 234 (26), 217 (12), 203 (7), 129 (10), 115 (34), 105 (38), 77 (33); HRMS (ESI) Calcd. for $C_{23}H_{30}O_2Si$+H: 367.2093, Found: 367.2091.

**4.4.26. 1-Phenyl-3-p-tolyl-2-(2-triethylsilanyloxyethyl)-propenone (7c).** This compound was obtained as a red

oil, yield: 154 mg, 81%. IR ($CH_2Cl_2$): $\nu$ 1018, 1047, 1094, 1178, 1232, 1264, 1290, 1320, 1379, 1414, 1448, 1458, 1511, 1578, 1598, 1647, 1719, 2876, 2912, 2954, 3025, 3509 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.60 (q, $J$=7.8 Hz, 6H, $CH_2$), 0.95 (t, $J$=7.8 Hz, 9H, $CH_2$), 2.39 (s, 3H, $CH_3$), 3.03 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.89 (t, $J$=6.3 Hz, 2H, $CH_2$), 7.20 (s, 1H, CH), 7.22 (d, $J$=7.2 Hz, 2H, Ar), 7.43–7.55 (m, 5H, Ar), 7.79 (d, $J$=7.2 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.8, 21.3, 31.1, 61.1, 128.1, 19.1, 129.4, 129.7, 131.7, 132.5, 138.0, 138.8, 138.8, 143.8, 199.3; MS (EI) *m/z*: 380 ($M^+$, 1), 351 (100), 335 (5), 259 (11), 248 (28), 233 (28), 216 (7), 143 (7), 115 (31), 105 (39), 77 (27); HRMS (ESI) Calcd. for $C_{24}H_{32}O_2Si+H$: 381.2250, Found: 381.2236.

**4.4.27. 3-(4-Methoxyphenyl)-1-phenyl-2-(2-triethylsilanyloxyethyl)propenone (7d).** This compound was obtained as a red oil, yield: 119 mg, 60%. IR ($CH_2Cl_2$): $\nu$ 1034, 1177, 1255, 1034, 1319, 1379, 1417, 1447, 1459, 1511, 1577, 1604, 1642, 1720, 2876, 2911, 2955, 3448 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.50 (q, $J$=8.4 Hz, 6H, $CH_2$), 0.88 (t, $J$=8.4 Hz, 9H, $CH_2$), 2.96 (t, $J$=6.3 Hz, 2H, $CH_2$), 3.76 (s, 3H, $OCH_3$), 3.82 (t, $J$=6.3 Hz, 2H, $CH_2$), 6.85 (d, $J$=7.2 Hz, 2H, Ar), 7.19 (s, 1H, CH), 7.34–7.48 (m, 5H, Ar), 7.67 (d, $J$=7.2 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 31.1, 55.2, 61.2, 113.8, 127.9, 128.0, 129.5, 131.2, 131.5, 136.8, 139.0, 143.9, 160.0, 199.3; MS (EI) *m/z*: 396 ($M^+$, 4), 367 (86), 335 (3), 264 (33), 259 (12), 233 (38), 221 (8), 159 (14), 115 (36), 105 (100), 87 (37), 77 (64); Anal. Calcd. for $C_{24}H_{32}O_3Si$: C, 72.68, H, 8.13%; Found: C, 72.83, H, 8.15%.

**4.4.28. 3-Furan-2-yl-1-phenyl-2-(2-triethylsilanyloxyethyl)propenone (7e).** This compound was obtained as a red oil, yield: 123 mg, 69%. IR ($CH_2Cl_2$): $\nu$ 1005, 1072, 1271, 1317, 1447, 1615, 1645, 1721, 2877, 2912, 2955, 3415 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.53 (q, $J$=8.1 Hz, 6H, $CH_2$), 0.88 (t, $J$=8.1 Hz, 9H, $CH_2$), 3.12 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.79 (t, $J$=6.6 Hz, 2H, $CH_2$), 6.44 (dd, $J$=1.8 Hz, $J$=3.0 Hz, 1H, Ar), 6.62 (d, $J$=3.0 Hz, 1H, Ar), 6.87 (s, 1H, CH), 7.38 (dt, $J$=7.5 Hz, $J$=7.2 Hz, 2H, CH), 7.47 (t, $J$=7.5 Hz, 1H, Ar), 7.49 (s, 1H, Ar), 7.63 (d, $J$=7.2 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 31.8, 61.6, 112.2, 115.6, 128.1, 129.3, 130.0, 131.4, 134.6, 138.6, 144.5, 151.1, 198.6; MS (EI) *m/z*: 356 ($M^+$, 9), 327 (100), 259 (5), 224 (39), 195 (7), 165 (11), 117 (54), 105 (60), 87 (53), 77 (68); Anal. Calcd. for $C_{21}H_{28}O_3Si$: C, 70.74, H, 7.92%; Found: C, 70.74, H, 8.13%.

**4.4.29. 1-(4-Fluorophenyl)-3-p-tolyl-2-(2-triethylsilanyloxyethyl)propenone (7f).** This compound was obtained as a red oil, yield: 145 mg, 73%, *Z*/*E*=0/100. IR ($CH_2Cl_2$): $\nu$ 1015, 1045, 1094, 1155, 1186, 1231, 1262, 1292, 1319, 1378, 1409, 1458, 1505, 1598, 1648, 2876, 2912, 2955, 3026 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.57 (q, $J$=7.5 Hz, 6H, $CH_2$), 0.92 (t, $J$=7.5 Hz, 9H, $CH_2$), 2.38 (s, 3H, $CH_3$), 3.00 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.85 (t, $J$=6.6 Hz, 2H, $CH_2$), 7.12 (s, 1H, CH), 7.12 (dd, $J$=8.4 Hz, $J_{H\text{-}F}$=8.4 Hz, 2H, Ar), 7.20 (d, $J$=7.8 Hz, 2H, Ar), 7.44 (d, $J$=7.8 Hz, 2H, Ar), 7.82 (dd, $J$=8.4 Hz, $J_{H\text{-}F}$=5.4 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 21.3, 31.3, 61.1, 115.1 (d, $J_{C\text{-}F}$=21.9 Hz), 129.1, 129.4, 132.3 (d, $J_{C\text{-}F}$=8.6 Hz), 132.5, 134.9 (d, $J_{C\text{-}F}$=3.0 Hz), 138.2, 138.7, 142.8, 165.0 (d, $J_{C\text{-}F}$=251.9 Hz), 197.8; MS (EI) *m/z*: 398 ($M^+$, 1), 369 (100), 353 (2), 303 (11), 266 (24), 251 (26), 234 (5), 143 (11), 95 (32), 87 (37), 75 (31); Anal. Calcd. for $C_{24}H_{31}FO_2Si$: C, 72.32, H, 7.84%; Found: C, 72.27, H, 7.75%.

**4.4.30. 1,3-Di-p-tolyl-2-(2-triethylsilanyloxyethyl)propenone (7 g).** This compound was obtained as a red oil, yield: 186 mg, 94%, *Z*/*E*=0/100. IR ($CH_2Cl_2$): $\nu$ 1018, 1046, 1093, 1180, 1232, 1263, 1291, 1319, 1378, 1413, 1458, 1510, 1608, 1645, 2875, 2911, 2954, 3026 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.60 (q, $J$=7.8 Hz, 6H, $CH_2$), 0.96 (t, $J$=7.8 Hz, 9H, $CH_2$), 2.39 (s, 3H, $CH_3$), 2.43 (s, 3H, $CH_3$), 3.02 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.88 (t, $J$=6.6 Hz, 2H, $CH_2$), 7.18 (s, 1H, CH), 7.20 (d, $J$=8.1 Hz, 2H, Ar), 7.26 (d, $J$=8.1 Hz, 5H, Ar), 7.46 (d, $J$=8.1 Hz, 2H, Ar), 7.72 (d, $J$=8.1 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 21.3, 21.5, 31.3, 61.2, 128.7, 129.1, 129.4, 129.9, 132.7, 136.0, 138.2, 138.6, 142.4, 142.8, 199.0; MS (EI) *m/z*: 394 ($M^+$, 1), 365 (100), 349 (18), 273 (15), 262 (38), 247 (62), 215, (10), 143 (15), 129 (19), 119 (76), 91 (64), 75 (44); Anal. Calcd. for $C_{25}H_{34}O_2Si$: C, 76.09, H, 8.68%; Found: C, 76.23, H, 8.43%.

**4.4.31. 1-(3,5-Dimethylphenyl)-3-p-tolyl-2-(2-triethylsilanyloxyethyl)propenone (7 h).** This compound was obtained as a red oil, yield: 178 mg, 87%. IR ($CH_2Cl_2$): $\nu$ 1016, 1067, 1094, 1206, 1241, 1265, 1303, 1320, 1381, 1415, 1458, 1511, 1603, 1646, 2876, 2913, 2955, 3032 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.51 (q, $J$=8.1 Hz, 6H, $CH_2$), 0.86 (t, $J$=8.1 Hz, 9H, $CH_2$), 2.26 (s, 6H, $CH_3$), 2.28 (s, 3H, $CH_3$), 2.92 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.77 (t, $J$=6.6 Hz, 2H, $CH_2$), 7.08 (d, $J$=7.8 Hz, 2H, Ar), 7.10 (s, 1H, CH), 7.12 (s, 2H, Ar), 7.37 (d, $J$=7.8 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.8, 21.2, 21.3, 31.1, 61.2, 127.4, 129.1, 129.4, 132.7, 133.3, 137.7, 138.3, 138.6, 139.0, 143.3, 199.8; MS (EI) *m/z*: 408 ($M^+$, 1), 379 (100), 363 (14), 287 (16), 276 (33), 261 (52), 244 (8), 229 (10), 143 (11), 133 (46), 115 (56), 105 (71), 87 (13); Anal. Calcd. for $C_{26}H_{36}O_2Si$: C, 76.42, H, 8.88%; Found: C, 76.50, H, 8.75%.

**4.4.32. 1-(4-Methoxyphenyl)-3-p-tolyl-2-(2-triethylsilanyloxyethyl)propenone (7i).** This compound was obtained as a pale-yellow oil, yield: 151 mg, 74%, *Z*/*E*=0/100. IR ($CH_2Cl_2$): $\nu$ 1031, 1047, 1093, 1140, 1171, 1254, 1290, 1319, 1378, 1416, 1459, 1509, 1574, 1600, 1642, 2875, 2954, 3032 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.53 (q, $J$=7.5 Hz, 6H, $CH_2$), 0.89 (t, $J$=7.5 Hz, 9H, $CH_2$), 2.32 (s, 3H, $CH_3$), 2.97 (t, $J$=6.6 Hz, 2H, $CH_2$), 3.90 (s, 3H, $OCH_3$), 3.80 (t, $J$=6.6 Hz, 2H, $CH_2$), 6.88 (d, $J$=8.1 Hz, 2H, Ar), 7.08 (s, 1H, CH), 7.14 (d, $J$=7.5 Hz, 2H, Ar), 7.40 (d, $J$=8.1 Hz, 2H, Ar), 7.79(d, $J$=7.5 Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.2, 6.7, 21.2, 31.5, 55.3, 61.1, 113.2, 129.0, 129.3, 131.1, 132.0, 132.7, 138.2, 138.3, 141.4, 162.7, 198.0; MS (EI) *m/z*: 410 ($M^+$, 1), 381 (100), 365 (6), 349 (8), 289 (14), 278 (34), 263 (58), 135 (71), 115 (53), 103 (29), 87 (59), 75 (58); Anal. Calcd. for $C_{25}H_{34}O_3Si$: C, 73.13, H, 8.35%; Found: C, 73.13, H, 8.48%.

**4.4.33. 1-Thiophen-2-yl-3-p-tolyl-2-(2-triethylsilanyloxyethyl)propenone (7j).** This compound was obtained as a pale-yellow oil, yield: 152 mg, 79%, *Z*/*E*=0/100. IR ($CH_2Cl_2$): $\nu$ 1018, 1054, 1094, 1186, 1232, 1264, 1291,

1317, 1353, 1378, 1414, 1457, 1512, 1627, 2875, 2914, 2954, 3024 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 0.55 (q, $J=8.4$ Hz, 6H, $CH_2$), 0.90 (t, $J=8.4$ Hz, 9H, $CH_2$), 2.39 (s, 3H, $CH_3$), 2.98 (t, $J=6.6$ Hz, 2H, $CH_2$), 3.83 (t, $J=6.6$ Hz, 2H, $CH_2$), 7.12 (dd, $J=4.8$ Hz, $J=3.9$ Hz, 1H, Ar), 7.21 (d, $J=8.1$ Hz, 2H, Ar), 7.40 (s, 1H, CH), 7.47 (d, $J=8.1$ Hz, 2H, Ar), 7.65–7.68 (m, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 4.3, 6.7, 21.3, 31.7, 61.2, 127.6, 129.1, 129.4, 132.6, 133.4, 133.8, 138.4, 138.6, 140.7, 144.1, 196.6; MS (EI) *m/z*: 386 ($M^+$, 1), 357 (100), 341 (7), 254 (16), 239 (21), 205 (43), 187 (8), 177 (18), 111 (89), 105 (23), 87 (43), 75 (28); HRMS (ESI) Calcd. for $C_{22}H_{30}O0_2$-SSi+H: 387.1814, Found: 387.1818.

**4.4.34. 2-{2-[3-(4-Chlorobenzylidene)-2-phenyltetrahydrofuran-2-yloxy]ethyl}-3-(3-chlorophenyl)-1-phenylpropenone (5a).** This compound was obtained as a red oil. IR ($CH_2Cl_2$): $\nu$ 1013, 1056, 1091, 1158, 1210, 1264, 1313, 1372, 1405, 1432, 1447, 1490, 1578, 1594, 1651, 1734, 1903, 1963, 2886, 2928, 3058 $cm^{-1}$; $^1H$ NMR (300 MHz, $CDCl_3$, TMS): $\delta$ 2.85–2.90 (m, 2H, $CH_2$), 3.00–3.11 (m, 2H, $CH_2$), 3.52–3.59 (m, 1H, $CH_2$), 3.69–3.77 (m, 1H, $CH_2$), 4.03–4.11 (m, 1H, $CH_2$), 4.23–4.30 (m, 1H, $CH_2$), 6.14 (s, 1H, CH), 7.04 (s, 1H, CH), 7.08 (d, $J=8.7$ Hz, 2H, Ar), 7.23 (d, $J=8.7$ Hz, 2H, Ar), 7.31–7.50 (m, 11H, Ar, CH), 7.55 (d, $J=6.9$ Hz, 2H, Ar), 7.78 (d, $J=6.9$ Hz, 2H, Ar); $^{13}C$ NMR (75 MHz, $CDCl_3$, TMS): $\delta$ 28.6, 30.1, 60.6, 66.1, 108.8, 124.1, 126.4, 128.1, 128.3, 128.3, 128.6, 128.8, 129.7, 129.7, 130.7, 132.0, 132.6, 133.8, 134.4, 136.3, 136.1, 139.3, 139.9, 140.9, 143.6, 198.5; MS (EI) *m/z*: 287 (2), 285 [(M-269)$^+$, 6], 271 (21), 269 (60), 256 (4), 115 (10), 105 (100), 77 (47); Anal. Calcd. for $C_{34}H_{28}Cl_2O_3$: C, 73.51, H, 5.08%; Found: C, 73.52, H, 5.15%.

**4.4.35. Phenyl-carbamic acid 3-benzoyl-4-(4-methoxyphenyl)-but-3-enyl ester (6).** Only the mixture of **6**-*Z* and **6**-*E* was obtained as a red oil, yield: 100 mg, 50%.; $^1H$ NMR of **6**-*E* (300 MHz, $CDCl_3$, TMS): $\delta$ 3.20 (t, $J=6.9$ Hz, 2H, $CH_2$), 3.81 (s, 3H, $OCH_3$), 4.30 (t, $J=6.0$ Hz, 2H, $CH_2$), 6.57 (s, 1H, NH), 6.92 (d, $J=9.0$ Hz, 2H, Ar), 7.04 (t, $J=7.2$ Hz, 2H, Ar), 7.23 (s, 1H, CH), 7.25–7.33 (m, 4H, Ar), 7.41–7.46 (m, 4H, Ar), 7.51–7.53 (m, 1H, Ar), 7.73 (d, $J=9.0$ Hz, 2H, Ar); MS (EI) *m/z*: 296 [(M-105)$^+$, 1], 264 (89), 249 (5), 233 (20), 221 (6), 205 (9), 159 (27), 105 (100), 77 (62); HRMS (EI) Calcd. for $C_{25}H_{23}NO_4$: 401.1627, Found: 401.1650.

## 5. Supporting information

The Noesy spectrum of **3a**-*Z* and the $^1H$ and $^{13}C$ NMR spectra of **5a** are included in Supporting information. This material is available free of charge via the Internet website.

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## Supplementary data

Supplementary data associated with this article can be found, in the online version, at doi:10.1016/j.tet.2004.12.028

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7. In all of the cases shown in Table 2, none of the cyclized product **4** was detected.