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Construction of dispirocyclohexanes *via* amine-catalyzed [2 + 2 + 2] annulations of Morita–Baylis–Hillman acetates with exocyclic alkenes†

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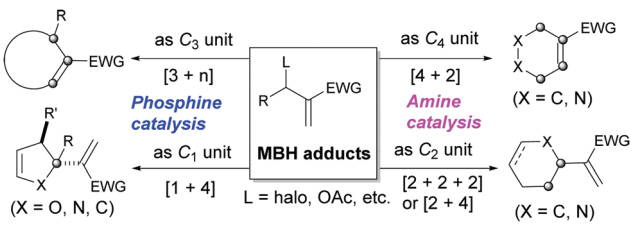
Amine-catalyzed [2 + 2 + 2] annulations of one molecule of Morita–Baylis–Hillman (MBH) acetates **1** with two molecules of 2-(arylmethylidene)indane-1,3-diones **2** or methyleneindolinones **4** have been developed under very mild conditions, which produce multistereogenic dispirocyclohexanes **3** and **5**, respectively, in moderate to excellent yields and good diastereoselectivity. This amine-catalyzed annulation constitutes a novel and efficient method for the construction of dispirocyclohexane motifs, and also showcases the divergent catalysis between amines and phosphines with regard to the corresponding phosphine-catalyzed [3 + 2] annulations.

Introduction

The Morita–Baylis–Hillman (MBH) adducts and their derivatives have been proven to be highly attractive and versatile substrates in synthetic organic chemistry for the construction of a variety of complex molecular architectures.[1] In this context, MBH adducts have recently been validated as important synthons for Lewis base-catalyzed annulation reactions.[1c] Since the pioneering [3 + 2] annulations of MBH derivatives with electron-deficient alkenes reported by Lu and co-workers[2] in 2003, an array of inter- or intra-molecular [3 + *n*] (*n* = 2, 3, 4, 6)[3] and [1 + 4][4] annulations of MBH adducts have been achieved under the catalysis of phosphines, with MBH adducts serving as valuable C_3 and C_1 units, respectively (Scheme 1, left). Very recently, we disclosed that MBH adducts experiences distinct annulation modes under the catalysis of amines, acting as either a C_4 or C_2 synthon in [4 + 2],[5] [2 + 4], and [2 + 2 + 2][6] annulations (Scheme 1, right). These amine-induced divergent annulation modes of MBH adducts just precisely complements the corresponding phosphine-catalyzed counterparts and further strengthen the versatility of the MBH adducts in organic synthesis.



Scheme 1 Divergent annulation modes of MBH adducts catalyzed by phosphines and amines.

Dispiro skeletons are common structural motifs embedded in many natural products and biologically active compounds.[7] However, this kind of structure represents a challenging synthetic goal due to the difficulty in building at least two quaternary stereocenters. Interestingly, the incorporation of two cyclic structures into a ring system *via* a convergent annulation reaction would provide a promising one-step strategy for the construction of dispiro architectures. Recently, this intriguing protocol has been successfully utilized in the synthesis of many important dispiro compounds.[8] Intrigued by our latest work on the amine-catalyzed [2 + 2 + 2] annulation of MBH acetates with electron-deficient alkenes,[6] we envisioned that employing activated exocyclic alkenes in the annulation should lead to a convergent synthesis of dispirocyclohexanes. Thus, as part of our continuous efforts on exploring Lewis base-catalyzed annulation reactions,[4c,e,f,5,6,9] we herein report the DABCO-catalyzed [2 + 2 + 2] annulations of Morita–Baylis–Hillman acetates with exocyclic alkenes derived from indane-1,3-diones or isatins, which provide an efficient method for the construction of dispirocyclohexane motifs.

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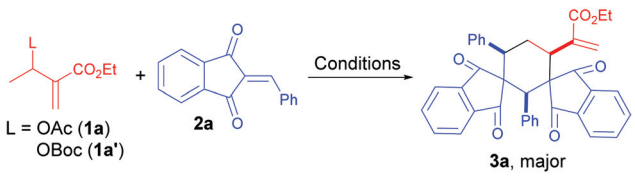
Results and discussion

Our initial investigation began with the reaction of MBH acetate **1a** (0.3 mmol) and 2-benzylideneindane-1,3-dione **2a** (0.4 mmol) in the presence of DABCO (10 mol%) in DMF (2.0 mL) at room temperature. To our delight, the desired dispirocyclohexane **3a** generated from the [2 + 2 + 2] annulation of one molecule of **1a** and two molecules of **2a** was obtained in 88% yield with good diastereoselectivity (4 : 1) (Table 1, entry 1). Using this reaction as a probe, the reaction conditions were briefly surveyed (Table 1). A few amine catalysts were first examined. It was found that DMAP also effected the reaction, albeit in a lower yield (entry 2), while DBU, pyridine, imidazole, and NEt_3 were totally ineffective (entries 3–6). Among several common solvents checked, THF and CH_3CN gave low yields while toluene and CH_2Cl_2 only produced a trace amount of the product (entries 7–10). Reducing the amount of **1a** or lowering the catalyst loading resulted in substantial decreases in the yield, although the diastereoselectivity remained steady (entries 11 and 12). Compared to MBH acetate **1a**, it was verified that the corresponding MBH carbonate **1a′** (L = OBoc) was incompatible with the [2 + 2 + 2] annulation, only giving a complex mixture under the typical conditions (entry 13).

Under the optimized conditions, the substrate scope of the amine-catalyzed [2 + 2 + 2] annulation was investigated (Table 2). With MBH acetate **1a** as a reactant, a variety of 2-(arylmethylidene)indane-1,3-diones **2** bearing an electron-donating or electron-withdrawing group at either *meta* or *para* position of phenyl ring all worked well, providing the corresponding dispirocyclohexanes **3** in good to excellent yields and good diastereoselectivity (entries 2–8). However, a substituent at the *ortho* position retarded the annulation, presumably due to its steric hindrance (entry 9). 3-Pyridyl substituted alkene **2j** was also a good candidate, giving the desired product **3j** in a high yield and excellent diastereoselectivity (entry 10). It was found that the size of the ester group of MBH acetates exerted significant impact on the diastereoselectivity of the annulation. When the MBH acetate **1b**, having a bulky *tert*-butyl ester group, was reacted with a range of 2-(arylmethylidene)indane-1,3-diones **2**, the corresponding dispirocyclohexane products **3k–s** were all obtained as single diastereomers in moderate to good yields (entries 11–19). In contrast, MBH acetate **1c**, bearing a smaller methyl ester, exhibited a poor diastereoselectivity (1 : 1) in the annulation with alkene **2a** (entry 20). However, in contrast with 2-(arylmethylidene)indane-1,3-diones, the alkyl counterpart, *e.g.* 2-(cyclohexylmethylidene)-indane-1,3-dione (**2k**), was inert for [2 + 2 + 2] annulation under standard conditions (entry 21). Furthermore, it was verified that MBH acetate **1d** ($R^1 = CH_3$) with an extended alkyl group failed in the annulation (entry 22).[6]

Spiro cyclohexaneoxindole frameworks exist as privileged subunits in many natural products and pharmaceuticals.[10] The development of an efficient synthetic methodology for

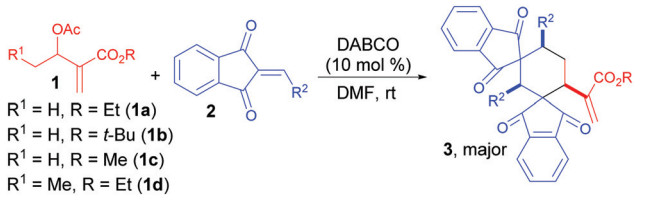
Table 1 Condition survey on the model reaction[a]



Entry	Catalyst	Solvent	Time [h]	Yield[b] [%]	dr[c]
1	DABCO	DMF	12	88	4 : 1
2	DMAP	DMF	12	48	4 : 1
3	DBU	DMF	48	Trace	
4	Pyridine	DMF	48	Trace	
5	Imidazole	DMF	48	Trace	
6	NEt_3	DMF	48	Trace	
7	DABCO	THF	12	43	4 : 1
8	DABCO	CH_3CN	12	17	4 : 1
9	DABCO	CH_2Cl_2	24	Trace	
10	DABCO	Toluene	24	Trace	
11[d]	DABCO	DMF	12	71	4 : 1
12[e]	DABCO	DMF	24	37	4 : 1
13[f]	DABCO	DMF	12	Complex	

[a] Typical conditions: an amine catalyst (0.04 mmol) was added to a stirred solution of **1a** (0.3 mmol) and **2a** (0.4 mmol) in solvent (2.0 mL), and the resulting mixture was stirred at room temperature for a specified time. [b] Isolated yield based on **2a**. [c] Refers to the major diastereomer *versus* the sum of others and determined by ^{1}H NMR assay. [d] The amount of **1a** was reduced to 0.24 mmol. [e] Catalyst loading: 5 mol%. [f] MBH carbonate **1a′** was used instead of **1a**.

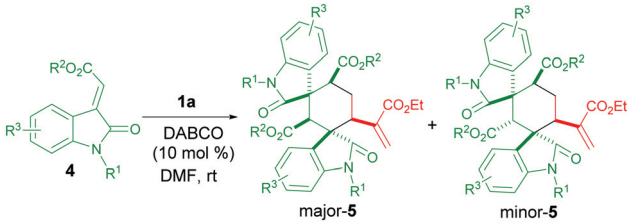
Table 2 DABCO-catalyzed [2 + 2 + 2] annulation between MBH acetates **1** and alkenes **2**[a]



Entry	1	R^2 in 2	3, Yield[b] [%]	dr[c]
1	**1a**	Ph (**2a**)	**3a**, 88	4 : 1
2	**1a**	4-MeC_6H_4 (**2b**)	**3b**, 64	4 : 1
3	**1a**	4-BrC_6H_4 (**2c**)	**3c**, 90	4 : 1
4	**1a**	4-IC_6H_4 (**2d**)	**3d**, 82	4 : 1
5	**1a**	4-$CF_3C_6H_4$ (**2e**)	**3e**, 80	4 : 1
6	**1a**	4-CNC_6H_4 (**2f**)	**3f**, 96	4 : 1
7	**1a**	3-$NO_2C_6H_4$ (**2g**)	**3g**, 40	9 : 1
8	**1a**	3-$CF_3C_6H_4$ (**2h**)	**3h**, 91	9 : 1
9	**1a**	2-MeC_6H_4 (**2i**)	**3i**, trace	
10	**1a**	3-Pyridyl (**2j**)	**3j**, 87	>20 : 1
11	**1b**	**2a**	**3k**, 64	>20 : 1
12	**1b**	3-ClC_6H_4 (**2k**)	**3l**, 72	>20 : 1
13	**1b**	3-BrC_6H_4 (**2l**)	**3m**, 44	>20 : 1
14	**1b**	4-FC_6H_4 (**2m**)	**3n**, 56	>20 : 1
15	**1b**	4-ClC_6H_4 (**2n**)	**3o**, 72	>20 : 1
16	**1b**	**2c**	**3p**, 52	>20 : 1
17	**1b**	**2d**	**3q**, 34	>20 : 1
18	**1b**	**2h**	**3r**, 71	>20 : 1
19	**1b**	**2j**	**3s**, 54	>20 : 1
20	**1c**	**2a**	**3t**, 52	1 : 1
21	**1a**	Cyclohexyl (**2k**)	—	—
22	**1d**	**2a**	—	—

[a] For details, see Experimental section. [b] Isolated yield based on **2**. [c] Refers to the major diastereomer *versus* the sum of others and determined by ^{1}H NMR assay.

Table 3 DABCO-catalyzed [2 + 2 + 2] annulation between MBH acetates **1** and 3-methyleneindolinones **4**[a]



Entry	R^1, R^2, R^3 in **4**	**5**, Yield[b] [%]	dr[c]
1	Ac, Et, H (**4a**)	**5a**, 99	2 : 1
2	Ac, Et, 5-Me (**4b**)	**5b**, 86	2 : 1
3	Ac, Et, 5-Cl (**4c**)	**5c**, 88	1 : 1
4	Ac, Et, 5-Br (**4d**)	**5d**, 91	1 : 1
5	Ac, Et, 6-Br (**4e**)	**5e**, 87	1 : 1
6[d]	**4a**	**5f**, 70	1 : 1
7	Ac, Bn, H (**4f**)	**5g**, 84	2 : 1
8	Boc, Et, H (**4g**)	**5h**, 61	6 : 1
9	Bn, Et, 5-Cl (**4h**)	**5i**, 84	>20 : 1
10	Bn, Et, 5-Br (**4i**)	**5j**, 67	>20 : 1
11	Bn, Et, 5-F (**4j**)	**5k**, 87	>20 : 1
12	Bn, Et, 5-NO_2 (**4k**)	**5l**, 54	>20 : 1
13	Bn, Et, 6-Br (**4l**)	**5m**, 52	>20 : 1

[a] For details, see Experimental section. [b] Isolated yield based on **4**. [c] Refers to the major diastereomer *versus* the sum of others and determined by ^{1}H NMR assay. [d] *tert*-Butyl MBH acetate **1b** was used instead of **1a**.

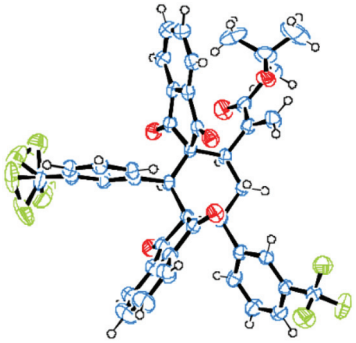


Fig. 1 ORTEP drawing for **3r**.

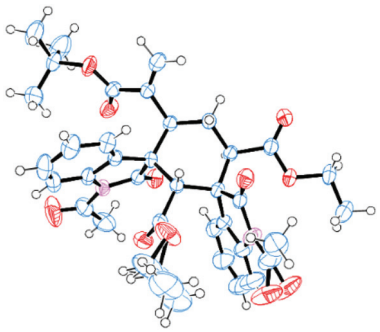
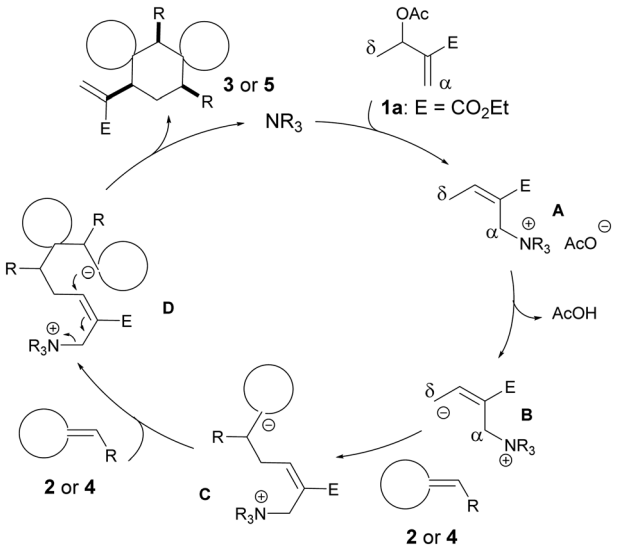


Fig. 2 ORTEP drawing for **5f**.

this class of molecules has received considerable interest in recent years.[11] The success of 2-(arylmethylidene)indane-1,3-diones **2** in the above amine-catalyzed [2 + 2 + 2] annulation reaction prompted us to examine the feasibility of isatin-derived 3-methyleneindolinones **4** as the alkene component. Gratifyingly, under the standard conditions, the [2 + 2 + 2] annulation of MBH acetate **1a** and 3-methyleneindolinone **4a** readily produced the corresponding dispirocyclohexane product **5a** in 99% yield and a moderate diastereoselectivity (2 : 1) (Table 3, entry 1). With **1a** as a reactant, a range of 3-methyleneindolinones **4**, bearing variable substituents at the benzene ring, worked well in the annulation giving excellent yields but low diastereoselectivity (entries 2–5). To improve the diastereoselectivity, the bulky *tert*-butyl substituted MBH acetate **1b** was employed in the annulation with **4a**, which, however, gave an even lower diastereoselectivity (entry 6). Increasing the size of the ester group in **4** (R^2 = Bn) also showed little influence on the diastereoselectivity of the annulation (entry 7). To our delight, it was found that the substituent R^1 at the nitrogen atom in **4** could significantly affect the diastereoselectivity of the annulation reaction. For example, while *tert*-butoxycarbonyl substituted **4g** afforded an improved 6 : 1 diastereoselectivity (entry 8), benzyl-substituted substrates **4h–l** delivered all the annulation products **5i–m** as single diastereomers in good yields (entries 9–13). The structures and relative stereochemistry of all the dispirocyclohexanes **3** and **5** listed in Tables 2 and 3 were easily identified by ^{1}H, ^{13}C NMR and HRMS, and also confirmed by NOESY and single crystal X-ray analyses for the representative products (Fig. 1 and 2, CCDC number for **3r**: CCDC 1012038, for **5f**: CCDC 1011983, see ESI†).

The above results demonstrated that the amine-catalyzed [2 + 2 + 2] annulation of MBH adducts with electron-deficient exocyclic alkenes such as 2-(arylmethylidene)indane-1,3-diones **2** and 3-methyleneindolinones **4** constituted an efficient and convergent method for the construction of dispirocyclohexanes. It is also noteworthy that both alkenes **2** and **4** have been validated before as effective C_2 substrates in phosphine-catalyzed [3 + 2] annulations with MBH adducts to deliver important spirocyclopentenes.[12] Therefore, the abovementioned DABCO-catalyzed [2 + 2 + 2] annulations of MBH derivatives **1** in this study, showcase the divergent catalysis between amines and phosphines. Recently, organic Lewis base-catalyzed divergent synthetic reactions have aroused considerable interest from organic chemists.[5,6,13]

On the basis of the results in this work and closely related reports,[5,6] a plausible mechanism for the formation of dispirocyclohexanes is depicted in Scheme 2. Initially, nucleophilic attack of the amine catalyst at MBH acetates **1** through a S_N2' mechanism produces an ammonium salt **A**. Subsequent deprotonation at the δ carbon of the salt then generates zwitteronic intermediate **B**, which triggers two continuous nucleophilic additions of activated alkenes **2** or **4** to afford species **D**. Intermediate **D** undergoes a 6-*exo-trig* cyclization to deliver the product cyclohexane incorporating two spiro subunits.



Scheme 2 A plausible mechanism for the formation of dispirocyclohexanes **3** and **5**.

Conclusions

In conclusion, the DABCO-catalyzed [2 + 2 + 2] annulations of Morita–Baylis–Hillman acetates with electron-deficient exocyclic alkenes have been successfully developed as an efficient synthesis for complicated dispiro architectures. 2-(Arylmethylidene)indane-1,3-diones **2** and 3-methyleneindolinones **4** have been validated as the effective exocyclic alkenes in the annulation, giving highly complex dispirocyclohexanes **3** and **5** in a one-step operation in moderate to excellent yields and good diastereoselectivity. In contrast with the phosphine-catalyzed [3 + 2] annulations of MBH derivatives with **2** or **4**, these DABCO-catalyzed [2 + 2 + 2] annulations also showcase the divergent catalysis between amines and phosphines. Future efforts in our laboratory will be directed toward exploring the asymmetric version of this amine-catalyzed annulation strategy, as well as applications in the syntheses of important and biologically active dispiro compounds.

Experimental section

General information

Unless otherwise noted, all reactions were carried out in a nitrogen atmosphere. Solvents were purified prior to use according to standard procedures. ^{1}H and ^{13}C NMR spectra were recorded in $CDCl_3$ with tetramethylsilane (TMS) as the internal standard. HRMS spectra were acquired in the ESI mode (positive ion) with the mass analyzer of TOF used. Column chromatography was performed on silica gel (200–300 mesh) using a mixture of petroleum ether–ethyl acetate as the eluent. 2-(Arylmethylidene)indane-1,3-diones **2** [14] and 3-methyleneindolinones **4** [15] were prepared according to reported procedures.

General procedure for DABCO-catalyzed [2 + 2 + 2] annulation between 1 and 2 (Table 2)

At room temperature, DABCO (10 mol%) was added to a stirred solution of **1** (0.3 mmol) and **2** (0.3 or 0.4 mmol) in DMF (2.0 mL), and the resulting mixture was stirred until the reaction completed, as monitored by TLC. Water (10 mL) was added and the mixture was extracted twice with CH_2Cl_2 (20 mL × 2). The combined organic layer was dried over anhydrous sodium sulfate. After filtration and concentration on a rotary evaporator under reduced pressure, the residue was subjected to column chromatography on silica gel (gradient eluent: petroleum ether–ethyl acetate 5 : 1–1 : 1) to give the [2 + 2 + 2] annulation products **3**.

General procedure for DABCO-catalyzed [2 + 2 + 2] annulation between 1 and 4 (Table 3)

At room temperature, DABCO (0.03 mmol) was added to a stirred solution of **1** (0.3 mmol) and **4** (0.3 mmol) in DMF (2.0 mL), and the resulting mixture was stirred until the reaction was completed, as monitored by TLC. Water (10 mL) was added and the mixture was extracted twice with CH_2Cl_2 (20 mL × 2). The combined organic layer was dried over anhydrous sodium sulfate. After filtration and concentration on a rotary evaporator under reduced pressure, the residue was subjected to column chromatography on silica gel (gradient eluent: petroleum ether–ethyl acetate 15 : 1–5 : 1) to give the [2 + 2 + 2] annulation products **5**.

Analytical data of compounds

Compound **3a**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2a** (94 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3a** as an inseparable diastereomeric mixture (dr 4 : 1), 104 mg, 88% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.62–7.54 (m, 3H), 7.55–7.50 (m, 1H), 7.44–7.39 (m, 2H), 7.36–7.34 (m, 1H), 7.17 (d, J = 7.4 Hz, 2H), 7.02 (t, J = 7.6 Hz, 2H), 6.81–6.78 (m, 2H), 6.69–6.60 (m, 4H), 6.13 (s, 1H), 5.87 (s, 1H), 4.28 (s, 1H), 4.11–4.02 (m, 1H), 3.98 (q, J = 7.1 Hz, 2H), 3.84–3.79 (m, 1H), 3.70 (dd, J = 12.6, 2.1 Hz, 1H), 1.86 (d, J = 13.3 Hz, 1H), 1.16 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.8, 200.9, 200.2, 200.0, 166.4, 143.4, 143.3, 141.08, 141.05, 140.0, 139.2, 135.5, 135.1, 135.0, 134.7, 134.4, 134.3, 128.9, 127.9, 127.8, 127.2, 126.9, 122.6, 122.4, 122.2, 122.0, 63.1, 62.2, 60.9, 54.4, 48.8, 42.7, 29.6, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, J = 7.6 Hz, 1H), 7.69 (t, J = 7.3 Hz, 1H), 7.09 (d, J = 7.6 Hz, 2H), 6.53 (s, 1H), 6.09 (s, 1H), 4.48 (d, J = 13.2 Hz, 1H), 4.44 (s, 1H), 3.53–3.44 (m, 1H), 3.40–3.30 (m, 1H), 1.95 (dd, J = 13.2, 4.7 Hz, 1H), 0.91 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.0, 202.7, 202.1, 200.4, 166.6, 143.2, 142.6, 142.0, 141.3, 140.1, 139.4, 134.6, 133.6, 126.8, 126.6, 63.9, 60.6, 59.6, 52.4, 45.8, 41.6, 27.6, 13.6; HRMS-ESI calcd for $C_{39}H_{30}O_6$ $[M + H]^+$ 595.2115, found 595.2117.

Compound **3b**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2b** (99 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3b** as an inseparable diastereomeric mixture (dr 4 : 1), 80 mg, 64% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, J = 7.6 Hz, 1H), 7.63–7.54 (m, 3H), 7.52 (d, J = 6.9 Hz, 1H), 7.43–7.37 (m, 2H), 7.34–7.32 (m, 1H), 7.04 (d, J = 8.1 Hz, 2H), 6.81 (d, J = 7.8 Hz, 2H), 6.66 (d, J = 8.3 Hz, 2H), 6.41 (d, J = 7.8 Hz, 2H), 6.11 (s, 1H), 5.85 (s, 1H), 4.23 (s, 1H), 4.05–3.93 (m, 3H), 3.81–3.76 (m, 1H), 3.67–3.62 (m, 1H), 2.07 (s, 3H), 1.81–1.77 (m, 4H), 1.14 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.1, 201.1, 200.4, 200.1, 166.4, 143.5, 143.3, 141.14, 141.08, 140.0, 136.7, 136.3, 136.2, 135.5, 135.0, 134.6, 134.2, 131.3, 128.7, 128.5, 128.4, 122.6, 122.4, 122.2, 122.0, 63.2, 62.4, 60.9, 54.0, 48.4, 42.8, 29.8, 20.7, 20.5, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, J = 7.6 Hz, 1H), 7.68 (t, J = 7.4 Hz, 1H), 6.96 (d, J = 8.0 Hz, 2H), 6.55 (s, 1H), 6.53 (d, J = 4.2 Hz, 2H), 6.08 (s, 1H), 4.43 (d, J = 13.2 Hz, 1H), 4.40 (s, 1H), 3.51–3.42 (m, 1H), 3.32 (q, J = 12.9 Hz, 1H), 0.91 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.9, 202.3, 200.5, 166.6, 143.2, 142.7, 142.0, 141.4, 140.2, 136.5, 136.4, 136.2, 134.9, 134.5, 130.5, 128.3, 122.1, 64.0, 60.5, 59.7, 52.0, 45.4, 41.6, 27.8, 22.6, 13.6; HRMS-ESI calcd for $C_{41}H_{34}O_6$ $[M + H]^+$ 623.2428, found 623.2437.

Compound **3c**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2c** (125 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3c** as an inseparable diastereomeric mixture (dr 4 : 1), 135 mg, 90% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.67 (t, J = 7.1 Hz, 1H), 7.64–7.58 (m, 3H), 7.51 (t, J = 7.2 Hz, 1H), 7.48–7.44 (m, 2H), 7.16 (d, J = 8.2 Hz, 2H), 7.03 (d, J = 8.2 Hz, 2H), 6.79 (d, J = 8.0 Hz, 2H), 6.68 (d, J = 8.2 Hz, 2H), 6.12 (s, 1H), 5.84 (s, 1H), 4.24 (s, 1H), 4.01–3.90 (m, 3H), 3.76 (d, J = 12.0 Hz, 1H), 3.63 (d, J = 11.8 Hz, 1H), 1.80 (d, J = 13.3 Hz, 1H), 1.14 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.5, 200.5, 199.9, 199.8, 166.1, 143.2, 143.0, 141.0, 140.8, 139.6, 138.1, 135.9, 135.7, 135.1, 135.0, 133.4, 131.1, 131.0, 130.5, 130.1, 129.1, 122.7, 122.6, 122.4, 122.3, 121.6, 121.1, 62.6, 62.1, 61.0, 53.4, 48.0, 42.8, 29.5, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, J = 7.5 Hz, 1H), 7.74 (t, J = 7.5 Hz, 1H), 6.96 (d, J = 8.3 Hz, 2H), 6.57 (s, 1H), 6.07 (s, 1H), 4.44 (d, J = 12.7 Hz, 1H), 4.39 (s, 1H), 3.56–3.49 (m, 1H), 3.35–3.22 (m, 1H), 1.89 (dd, J = 12.1, 4.8 Hz, 1H), 0.94 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.7, 202.4, 201.7, 200.1, 166.5, 142.4, 142.0, 141.1, 139.8, 138.3, 135.6, 135.4, 135.2, 134.8, 132.7, 126.8, 121.5, 120.9, 63.4, 60.7, 59.3, 51.5, 45.2, 41.5, 27.5, 13.7; HRMS-ESI calcd for $C_{39}H_{28}Br_2O_6$ $[M + H]^+$ 751.0326, found 751.0330.

Compound **3d**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2d** (144 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3d** as an inseparable diastereomeric mixture (dr 4 : 1), 138 mg, 82% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.67 (t, J = 7.1 Hz, 1H), 7.64–7.57 (m, 3H), 7.53–7.49 (m, 1H), 7.48–7.44 (m, 2H), 7.35 (d, J = 7.7 Hz, 2H), 6.98 (d, J = 7.7 Hz, 2H), 6.90 (d, J = 7.6 Hz, 2H), 6.54 (d, J = 8.0 Hz, 2H), 6.12 (s, 1H), 5.83 (s, 1H), 4.21 (s, 1H), 3.99–3.89 (m, 3H), 3.74 (d, J = 12.5 Hz, 1H), 3.60 (d, J = 12.5 Hz, 1H), 1.78 (d, J = 13.1 Hz, 1H), 1.13 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.5, 200.5, 199.9, 199.8, 166.1, 143.2, 143.0, 141.0, 140.8, 139.6, 138.7, 137.04, 136.98, 135.9, 135.7, 135.1, 135.0, 134.1, 130.8, 129.1, 122.7, 122.6, 122.5, 122.3, 93.6, 92.9, 62.6, 62.1, 61.0, 53.5, 48.1, 42.7, 29.4, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, J = 7.2 Hz, 1H), 6.82 (d, J = 7.3 Hz, 2H), 6.42 (d, J = 8.3 Hz, 2H), 6.06 (s, 1H), 1.88 (dd, J = 13.1, 4.9 Hz, 1H), 0.93 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.7, 200.1, 166.5, 142.4, 141.9, 139.8, 139.0, 122.7, 122.4, 93.5, 92.6, 63.4, 60.7, 59.2, 51.6, 45.3, 41.5, 13.7; HRMS-ESI calcd for $C_{39}H_{28}I_2O_6$ $[M + H]^+$ 847.0048, found 847.0051.

Compound **3e**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2e** (121 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3e** as an inseparable diastereomeric mixture (dr 4 : 1), 118 mg, 80% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.6 Hz, 1H), 7.70–7.66 (m, 1H), 7.61 (t, J = 8.7 Hz, 3H), 7.49–7.40 (m, 3H), 7.30 (s, 4H), 6.98–6.91 (m, 4H), 6.16 (s, 1H), 5.87 (s, 1H), 4.38 (s, 1H), 4.10–4.02 (m, 1H), 4.00–3.94 (m, 2H), 3.81 (dd, J = 13.0, 2.2 Hz, 1H), 3.76 (dd, J = 12.7, 2.1 Hz, 1H), 1.89–1.82 (m, 1H), 1.14 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.1, 200.2, 199.7, 199.6, 166.1, 143.1, 143.0, 142.9, 140.9, 140.7, 139.5, 138.4, 129.3, 129.2, 128.8, 124.93, 124.89, 124.86, 124.82, 124.79, 122.8, 122.7, 122.5, 122.3, 62.6, 62.1, 61.1, 53.8, 48.3, 42.7, 29.3, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J = 7.7 Hz, 1H), 6.56 (s, 1H), 6.10 (s, 1H), 3.59–3.51 (m, 1H), 3.36 (q, J = 13.0 Hz, 1H), 1.96 (dd, J = 11.7, 5.1 Hz, 1H), 0.95 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.5, 202.0, 201.4, 199.9, 166.5, 139.6, 63.4, 60.8, 59.2, 51.7, 45.6, 41.5, 27.4, 13.7; HRMS-ESI calcd for $C_{41}H_{28}F_6O_6$ $[M + H]^+$ 731.1863, found 731.1851.

Compound **3f**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2f** (104 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3f** as an inseparable diastereomeric mixture (dr 4 : 1), 124 mg, 96% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.6 Hz, 1H), 7.71 (d, J = 4.1 Hz, 1H), 7.62 (s, 3H), 7.55 (t, J = 6.7 Hz, 1H), 7.52–7.46 (m, 2H), 7.35 (d, J = 7.9 Hz, 2H), 7.33–7.28 (m, 2H), 6.99 (d, J = 7.8 Hz, 2H), 6.94 (d, J = 7.7 Hz, 2H), 6.16 (s, 1H), 5.86 (s, 1H), 4.36 (s, 1H), 4.07–3.93 (m, 3H), 3.83–3.71 (m, 2H), 1.85 (d, J = 12.9 Hz, 1H), 1.13 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.7, 199.9, 199.5, 199.3, 165.9, 144.1, 142.82, 142.75, 140.8, 140.5, 139.6, 139.2, 136.2, 135.5, 131.83, 131.78, 131.7, 129.6, 129.3, 129.2, 122.8, 122.7, 122.5, 122.4, 118.3, 117.7, 111.6, 111.2, 62.2, 61.9, 61.1, 53.8, 48.5, 42.6, 28.9, 13.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J = 7.6 Hz, 1H), 7.41 (d, J = 7.4 Hz, 1H), 7.21 (d, J = 8.0 Hz, 2H), 6.82 (d, J = 7.8 Hz, 2H), 6.56 (s, 1H), 6.09 (s, 1H),

4.58 (d, J = 12.9 Hz, 1H), 4.49 (s, 1H), 3.61–3.52 (m, 1H), 3.38–3.28 (m, 1H), 1.95 (d, J = 8.2 Hz, 1H), 0.96 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.2, 201.0, 199.6, 166.4, 144.5, 141.8, 140.7, 139.4, 138.9, 135.1, 127.0, 122.9, 122.6, 122.5, 122.3, 111.4, 111.0, 63.0, 60.8, 59.0, 51.9, 45.7, 13.7; HRMS-ESI calcd for $C_{41}H_{28}N_2O_6$ $[M + H]^+$ 645.2020, found 645.2009.

Compound **3g**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2g** (112 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3g** as an inseparable diastereomeric mixture (dr 9 : 1), 55 mg, 40% yield; as a yellow oil; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (s, 1H), 7.88–7.83 (m, 2H), 7.70–7.64 (m, 2H), 7.64–7.59 (m, 2H), 7.58–7.52 (m, 3H), 7.49–7.45 (m, 2H), 7.42 (d, J = 7.0 Hz, 1H), 7.24 (d, J = 8.0 Hz, 1H), 7.19 (d, J = 7.5 Hz, 1H), 6.90 (t, J = 8.0 Hz, 1H), 6.19 (s, 1H), 5.91 (s, 1H), 4.43 (s, 1H), 4.15–4.04 (m, 1H), 3.98 (q, J = 7.1 Hz, 2H), 3.83 (d, J = 12.7 Hz, 2H), 1.90 (d, J = 13.2 Hz, 1H), 1.15 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.6, 199.8, 199.4, 199.0, 166.0, 147.8, 147.2, 143.0, 142.8, 140.92, 140.87, 140.5, 139.2, 136.2, 136.1, 135.4, 135.3, 135.2, 129.5, 129.11, 129.07, 123.7, 123.0, 122.8, 122.7, 122.6, 122.5, 122.4, 62.3, 62.0, 61.2, 53.5, 47.8, 42.6, 29.2, 13.8; HRMS-ESI calcd for $C_{39}H_{28}N_2O_{10}$ $[M + H]^+$ 685.1817, found 685.1822.

Compound **3h**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2h** (121 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give **3h** as an inseparable diastereomeric mixture (dr 9 : 1), 134 mg, 91% yield; as a white semi-solid; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.7 Hz, 1H), 7.68–7.63 (m, 1H), 7.62–7.53 (m, 3H), 7.48–7.42 (m, 3H), 7.41–7.35 (m, 2H), 7.23 (d, J = 7.8 Hz, 1H), 7.17 (t, J = 7.7 Hz, 1H), 7.05 (s, 1H), 7.01 (d, J = 7.8 Hz, 1H), 6.91 (d, J = 7.8 Hz, 1H), 6.83 (t, J = 7.7 Hz, 1H), 6.16 (s, 1H), 5.89 (s, 1H), 4.33 (s, 1H), 4.12–4.05 (m, 1H), 4.00 (q, J = 7.2 Hz, 2H), 3.83 (dd, J = 12.7, 2.1 Hz, 1H), 3.76 (dd, J = 12.7, 2.1 Hz, 1H), 1.89 (d, J = 13.2 Hz, 1H), 1.16 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.1, 200.3, 199.7, 199.6, 166.2, 143.10, 143.08, 141.0, 140.8, 140.0, 139.5, 136.0, 135.7, 135.3, 135.2, 135.0, 132.3, 129.3, 128.6, 128.5, 125.6 (q, J = 7.3 Hz), 124.2 (q, J = 7.3 Hz), 124.0 (q, J = 7.3 Hz), 122.8, 122. 6, 122.5, 122.2, 62.7, 61.9, 61.1, 54.0, 48.4, 42.5, 29.3, 13.4; HRMS-ESI calcd for $C_{41}H_{28}F_6O_6$ $[M + H]^+$ 731.1863, found 731.1865.

Compound **3j**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **2j** (94 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give product **3j** (dr > 20 : 1), 104 mg, 87% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.27 (d, J = 4.3 Hz, 1H), 8.06 (s, 1H), 7.93 (d, J = 4.4 Hz, 1H), 7.84 (d, J = 7.6 Hz, 1H), 7.70–7.57 (m, 5H), 7.51–7.41 (m, 3H), 7.17 (d, J = 8.0 Hz, 1H), 7.11–7.05 (m, 1H), 6.62–6.56 (m, 1H), 6.16 (s, 1H), 5.87 (s, 1H), 4.30 (s, 1H), 4.11–4.03 (m, 1H), 4.01–3.93 (m, 2H), 3.81 (d, J = 11.8 Hz, 1H), 3.74 (d, J = 12.1 Hz, 1H), 1.86 (d, J = 13.2 Hz, 1H), 1.14 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.7, 199.9, 199.7, 199.5, 166.0, 151.0, 149.7, 148.9, 148.3, 143.0, 142.8, 141.0, 140.7, 139.4, 136.6, 136.1, 135.9, 135.3, 135.2, 134.8, 130.1, 129.3, 123.1, 122.9, 122.8, 122.64, 122.61, 122.58, 62.3, 62.0, 61.1, 51.3, 46.0, 42.7, 29.1, 13.8; HRMS-ESI calcd for $C_{37}H_{28}N_2O_6$ $[M + H]^+$ 597.2020, found 597.2023.

Compound **3k**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2a** (94 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give product **3k** (dr > 20 : 1), 83 mg, 64% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.64–7.56 (m, 3H), 7.52 (t, J = 7.3 Hz, 1H), 7.41 (t, J = 8.6 Hz, 2H), 7.34 (t, J = 7.3 Hz, 1H), 7.17 (d, J = 7.7 Hz, 2H), 7.02 (t, J = 7.5 Hz, 2H), 6.98–6.91 (m, 1H), 6.79 (d, J = 6.6 Hz, 2H), 6.69–6.58 (m, 3H), 6.05 (s, 1H), 5.79 (s, 1H), 4.27 (s, 1H), 4.04 (q, J = 12.9 Hz, 1H), 3.76 (d, J = 11.2 Hz, 1H), 3.68 (d, J = 11.2 Hz, 1H), 1.84 (d, J = 13.3 Hz, 1H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.0, 200.9, 200.3, 200.2, 165.6, 143.5, 143.4, 141.3, 141.13, 141.06, 139.3, 135.5, 135.1, 134.6, 134.5, 134.3, 128.9, 128.5, 128.2, 127.9, 127.8, 127.2, 126.9, 122.6, 122.3, 122.1, 81.0, 63.2, 62.3, 54.5, 49.0, 42.8, 29.8, 27.6; HRMS-ESI calcd for $C_{41}H_{34}O_6$ $[M + H]^+$ 623.2428, found 623.2435.

Compound **3l**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2k** (80 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **3 l** (dr > 20 : 1), 100 mg, 72% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, J = 7.6 Hz, 1H), 7.65–7.57 (m, 3H), 7.56–7.50 (m, 1H), 7.49–7.42 (m, 2H), 7.41–7.34 (m, 1H), 7.14 (s, 1H), 7.05–6.99 (m, 1H), 6.95–6.88 (m, 2H), 6.74 (s, 1H), 6.66 (d, J = 7.1 Hz, 1H), 6.59–6.52 (m, 2H), 6.02 (s, 1H), 5.74 (s, 1H), 4.16 (s, 1H), 3.94 (q, J = 13.0 Hz, 1H), 3.69 (d, J = 12.5 Hz, 1H), 3.59 (d, J = 12.4 Hz, 1H), 1.78 (d, J = 13.1 Hz, 1H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.2, 200.4, 199.8, 199.6, 165.4, 143.3, 143.2, 141.2, 140.91, 140.86, 136.4, 135.8, 135.6, 134.9, 134.8, 133.8, 133.7, 129.2, 129.1, 129.0, 128.4, 127.7, 127.28, 127.25, 122.8, 122.7, 122.5, 122.3, 81.2, 62.7, 62.0, 53.9, 48.3, 42.6, 29.5, 27.6; HRMS-ESI calcd for $C_{41}H_{32}Cl_2O_6$ $[M + H]^+$ 691.1649, found 691.1654.

Compound **3m**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2l** (94 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **3m** (dr > 20 : 1), 68 mg, 44% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, J = 7.6 Hz, 1H), 7.68–7.64 (m, 2H), 7.62 (d, J = 7.4 Hz, 1H), 7.57 (t, J = 7.3 Hz, 1H), 7.50 (dd, J = 6.9, 6.0 Hz, 2H), 7.45–7.40 (m, 1H), 7.33 (s, 1H), 7.09 (dd, J = 7.9, 1.6 Hz, 2H), 6.93–6.88 (m, 2H), 6.75 (t, J = 9.3 Hz, 2H), 6.52 (t, J = 7.8 Hz, 1H), 6.06 (s, 1H), 5.78 (s, 1H), 4.17 (s, 1H), 3.96 (q, J = 12.9 Hz, 1H), 3.71 (dd, J = 12.7, 2.1 Hz, 1H), 3.61 (dd, J = 12.7, 2.1 Hz, 1H), 1.82 (d, J = 13.3 Hz, 1H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.2, 200.4, 199.8, 199.5, 165.4, 143.3, 143.2, 141.5, 140.89, 140.85, 140.8, 136.6, 135.8, 135.6, 135.0, 134.8, 131.8, 130.6, 130.2, 129.5, 129.4, 128.5, 127.7, 122.8, 122.7, 122.4, 122.3, 122.1, 121.9, 81.2, 62.7, 62.0, 53.8, 48.3, 42.6, 29.5, 27.6; HRMS-ESI calcd for $C_{41}H_{32}Br_2O_6$ $[M + H]^+$ 779.0639, found 779.0624.

Compound **3n**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2m** (76 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give

product **3n** (dr > 20 : 1), 55 mg, 56% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.67–7.54 (m, 4H), 7.51–7.39 (m, 3H), 7.16–7.10 (m, 2H), 6.82–6.75 (m, 2H), 6.71 (t, J = 8.7 Hz, 2H), 6.34 (t, J = 8.1 Hz, 2H), 6.05 (s, 1H), 5.78 (s, 1H), 4.26 (s, 1H), 3.97 (q, J = 12.9 Hz, 1H), 3.72 (dd, J = 12.8, 2.2 Hz, 1H), 3.65 (dd, J = 12.6, 2.1 Hz, 1H), 1.81 (dd, J = 10.9, 2.4 Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.9, 200.8, 200.2, 200.1, 165.4, 162.8 (d, J = 9.4 Hz), 160.3 (d, J = 10.8 Hz), 143.3, 143.2, 141.0, 135.7, 135.5, 134.9, 134.8, 130.5, 130.4, 128.3, 122.8, 122.7, 122.4, 122.2, 114.9 (d, J = 2.6 Hz), 114.7 (d, J = 2.5 Hz), 81.1, 63.1, 62.3, 53.4, 48.1, 42.8, 29.9, 27.6; HRMS-ESI calcd for $C_{41}H_{32}F_2O_6$ $[M + H]^+$ 659.2240, found 659.2233.

Compound **3o**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2n** (81 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give product **3o** (dr > 20 : 1), 75 mg, 72% yield; as a white solid, mp 224–226 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.66 (t, J = 7.8 Hz, 1H), 7.64–7.57 (m, 3H), 7.51–7.44 (m, 3H), 7.10 (d, J = 8.5 Hz, 2H), 7.00 (d, J = 8.5 Hz, 2H), 6.74 (d, J = 8.8 Hz, 2H), 6.63 (d, J = 7.9 Hz, 2H), 6.05 (s, 1H), 5.77 (s, 1H), 4.25 (s, 1H), 3.96 (q, J = 13.0 Hz, 1H), 3.71 (dd, J = 12.7, 1.9 Hz, 1H), 3.63 (dd, J = 12.6, 1.9 Hz, 1H), 1.79 (d, J = 13.3 Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.7, 200.6, 200.03, 200.01, 165.3, 143.3, 143.1, 141.0, 140.9, 137.7, 135.8, 135.7, 135.00, 134.96, 133.3, 133.1, 132.8, 130.3, 128.4, 128.2, 128.1, 122.9, 122.7, 122.5, 122.3, 81.2, 62.8, 62.2, 53.4, 48.2, 42.8, 29.7, 27.6; HRMS-ESI calcd for $C_{41}H_{32}Cl_2O_6$ $[M + H]^+$ 691.1649, found 691.1651.

Compound **3p**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2c** (94 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give product **3p** (dr > 20 : 1), 61 mg, 52% yield; as a white solid, mp 153–155 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.67 (t, J = 7.1 Hz, 1H), 7.64–7.56 (m, 3H), 7.54–7.43 (m, 3H), 7.15 (d, J = 7.9 Hz, 2H), 7.03 (d, J = 7.8 Hz, 2H), 6.78 (d, J = 7.4 Hz, 2H), 6.67 (d, J = 8.1 Hz, 2H), 6.05 (s, 1H), 5.76 (s, 1H), 4.23 (s, 1H), 4.00–3.89 (m, 1H), 3.70 (d, J = 12.7 Hz, 1H), 3.61 (d, J = 12.7 Hz, 1H), 1.78 (d, J = 12.7 Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.6, 200.5, 200.01, 199.97, 165.3, 143.3, 143.1, 141.0, 140.9, 138.2, 135.9, 135.8, 135.03, 135.00, 133.6, 131.11, 131.06, 130.6, 128.4, 122.9, 122.7, 122.5, 122.3, 121.6, 121.1, 81.2, 62.7, 62.2, 53.5, 48.2, 42.8, 29.6, 27.7; HRMS-ESI calcd for $C_{41}H_{32}Br_2O_6$ $[M + H]^+$ 779.0639, found 779.0640.

Compound **3q**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2d** (108 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give product **3q** (dr > 20 : 1), 44 mg, 34% yield; as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J = 7.6 Hz, 1H), 7.69–7.64 (m, 1H), 7.64–7.56 (m, 3H), 7.53–7.49 (m, 1H), 7.48–7.44 (m, 2H), 7.35 (d, J = 8.4 Hz, 2H), 6.98 (d, J = 8.0 Hz, 2H), 6.90 (d, J = 8.4 Hz, 2H), 6.54 (d, J = 8.7 Hz, 2H), 6.04 (s, 1H), 5.75 (s, 1H), 4.20 (s, 1H), 3.94 (q, J = 12.9 Hz, 1H), 3.69 (dd, J = 12.6, 2.2 Hz, 1H), 3.58 (dd, J = 12.7, 2.1 Hz, 1H), 1.80–1.74 (m, 1H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.6, 200.5, 200.0, 199.9, 165.3, 143.3, 143.1, 141.0, 140.9, 138.9, 138.2, 137.1, 137.0, 135.6, 135.7, 135.00, 134.96, 134.2, 130.9, 128.4, 122.9, 122.7, 122.5, 122.3, 93.6, 92.8, 81.2, 62.7, 62.2, 53.6, 48.3, 42.8, 29.6, 27.6; HRMS-ESI calcd for $C_{41}H_{32}I_2O_6$ $[M + H]^+$ 875.0361, found 875.0357.

Compound **3r**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2h** (91 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give product **3r** (dr > 20 : 1), 81 mg, 71% yield; as a white solid, mp 213–215 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.7 Hz, 1H), 7.67–7.63 (m, 1H), 7.62–7.53 (m, 3H), 7.48–7.42 (m, 3H), 7.41–7.36 (m, 2H), 7.23 (d, J = 7.7 Hz, 1H), 7.17 (t, J = 7.7 Hz, 1H), 7.05 (s, 1H), 7.01 (d, J = 7.8 Hz, 1H), 6.91 (d, J = 7.8 Hz, 1H), 6.82 (t, J = 7.7 Hz, 1H), 6.08 (s, 1H), 5.81 (s, 1H), 4.33 (s, 1H), 4.14–4.01 (m, 1H), 3.80–3.73 (m, 2H), 1.91–1.84 (m, 1H), 1.34 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.2, 200.3, 199.8, 199.6, 165.4, 143.2, 141.0, 140.8, 140.1, 135.9, 135.7, 135.4, 135.0, 134.9, 132.3, 130.4, 130.2, 130.1, 129.9, 128.5, 125.7 (q, J = 3.5 Hz), 124.2 (q, J = 3.5 Hz), 123.9 (q, J = 3.5 Hz), 122.8, 122.5, 122.2, 81.3, 62.7, 62.0, 54.0, 48.5, 42.5, 29.4, 27.6; HRMS-ESI calcd for $C_{43}H_{32}F_6O_6$ $[M + H]^+$ 759.2176, found 759.2182.

Compound **3s**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **2j** (71 mg, 0.3 mmol), and DABCO (3 mg, 0.03 mmol) was conducted for 48 h to give product **3s** (dr > 20 : 1), 68 mg, 54% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.25 (d, J = 4.1 Hz, 1H), 8.06 (s, 1H), 7.93 (d, J = 4.4 Hz, 1H), 7.83 (d, J = 7.6 Hz, 1H), 7.69–7.58 (m, 5H), 7.52–7.47 (m, 2H), 7.44 (d, J = 7.0 Hz, 1H), 7.17 (d, J = 8.0 Hz, 1H), 7.05 (dd, J = 7.6, 4.9 Hz, 1H), 6.61–6.56 (m, 1H), 6.09 (s, 1H), 5.80 (s, 1H), 4.29 (s, 1H), 4.04 (q, J = 13.0 Hz, 1H), 3.76 (d, J = 13.1 Hz, 1H), 3.71 (d, J = 12.0 Hz, 1H), 1.85 (d, J = 13.2 Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.8, 199.9, 199.8, 199.5, 165.1, 151.0, 149.7, 1489, 148.2, 143.0, 142.8, 140.9, 140.6, 136.7, 136.0, 135.9, 135.18, 135.15, 134.9, 130.2, 128.6, 123.1, 123.0, 122.8, 122.6, 122.58, 122.55, 81.3, 62.3, 62.0, 51.3, 46.0, 42.7, 29.2, 27.6; HRMS-ESI calcd for $C_{39}H_{32}N_2O_6$ $[M + H]^+$ 625.2333, found 625.2335.

Compound **3t**: following the general procedure, the reaction of **1c** (52 mg, 0.3 mmol), **2a** (94 mg, 0.4 mmol), and DABCO (4 mg, 0.04 mmol) was conducted for 12 h to give product **3t** as an inseparable diastereomeric mixture (dr 1 : 1), 60 mg, 52% yield; as a white semi-solid; NMR data for the mixture: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J = 7.6 Hz, 1H), 7.83 (d, J = 7.6 Hz, 1H), 7.72 (t, J = 7.4 Hz, 1H), 7.64–7.51 (m, 6H), 7.46–7.39 (m, 4H), 7.39–7.34 (m, 4H), 7.18 (d, J = 7.7 Hz, 2H), 7.11 (d, J = 7.5 Hz, 2H), 7.06–6.99 (m, 4H), 6.98–6.94 (m, 2H), 6.80 (d, J = 6.4 Hz, 2H), 6.70 (d, J = 7.9 Hz, 2H), 6.64 (s, 5H), 6.56 (s, 1H), 6.15 (s, 1H), 6.12 (s, 1H), 5.90 (s, 1H), 4.49 (d, J = 13.1 Hz, 1H), 4.46 (s, 1H), 4.28 (s, 1H), 4.12–4.01 (m, 1H), 3.87–3.79 (m, 2H), 3.73–3.68 (m, 1H), 3.56 (s, 3H), 3.43–3.32 (m, 1H), 3.16 (s, 3H), 2.01–1.95 (m, 1H), 1.88 (d, J = 13.3 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.1, 202.7, 202.1, 201.1, 200.4, 200.3, 200.1, 167.0, 166.9, 143.5, 143.4, 143.2, 142.7, 142.1, 141.4, 141.2, 141.1, 139.82, 139.75, 139.5, 139.2, 135.6,

135.13, 135.06, 134.8, 134.7, 134.41, 134.39, 133.6, 129.3, 128.9, 128.5, 128.0, 127.92, 127.86, 127.3, 127.1, 127.0, 126.93, 126.87, 122.7, 122.4, 122.3, 122.2, 122.1, 64.0, 63.2, 62.2, 59.6, 54.6, 52.4, 51.9, 51.2, 49.0, 45.9, 42.8, 41.8, 29.7, 27.7; HRMS-ESI calcd for $C_{38}H_{28}O_6$ $[M + H]^+$ 581.1959, found 581.1955.

Compound **5a**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4a** (78 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5a** in 99% combined yield (dr 2 : 1); the major isomer: 63 mg, 65% yield; as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, J = 7.5 Hz, 1H), 8.22 (d, J = 8.1 Hz, 1H), 8.17 (d, J = 8.0 Hz, 1H), 7.40 (d, J = 7.4 Hz, 1H), 7.35–7.29 (m, 2H), 7.23 (t, J = 7.5 Hz, 2H), 5.92 (s, 1H), 5.26 (s, 1H), 4.17 (s, 1H), 4.02 (q, J = 7.1 Hz, 2H), 3.89 (q, J = 7.0 Hz, 2H), 3.63–3.58 (m, 1H), 3.46–3.33 (m, 2H), 3.29–3.16 (m, 2H), 2.78 (s, 3H), 2.71 (s, 3H), 1.98–1.93 (m, 1H), 1.17 (t, J = 7.1 Hz, 3H), 0.95 (t, J = 7.1 Hz, 3H), 0.56 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 180.3, 178.0, 171.1, 170.8, 170.5, 167.9, 166.4, 140.7, 140.3, 138.5, 130.9, 129.2, 128.9, 127.7, 126.8, 125.0, 121.6, 116.4, 115.7, 61.5, 61.2, 61.1, 57.0, 56.8, 52.7, 48.6, 45.6, 26.74, 26.66, 26.4, 14.0, 13.6, 12.8; the minor isomer: 33 mg, 34% yield; as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 8.0 Hz, 1H), 8.11 (d, J = 8.1 Hz, 1H), 7.93 (d, J = 7.0 Hz, 1H), 7.32–7.28 (m, 1H), 7.24–7.18 (m, 2H), 7.08 (t, J = 7.4 Hz, 1H), 6.97 (d, J = 7.4 Hz, 1H), 6.23 (s, 1H), 5.82 (s, 1H), 4.08 (d, J = 11.4 Hz, 1H), 4.02 (s, 1H), 3.96 (q, J = 7.1 Hz, 2H), 3.82 (dd, J = 13.3, 5.4 Hz, 1H), 3.76–3.70 (m, 1H), 3.68–3.59 (m, 1H), 3.32–3.23 (m, 1H), 3.16–3.03 (m, 2H), 2.85 (s, 3H), 2.76 (s, 3H), 2.17–2.10 (m, 1H), 1.04 (t, J = 7.1 Hz, 3H), 0.98 (t, J = 7.1 Hz, 3H), 0.55 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 182.5, 181.4, 171.6, 171.0, 170.8, 167.7, 165.7, 141.4, 141.1, 140.6, 129.1, 128.82, 128.77, 128.4, 126.5, 125.7, 125.2, 124.4, 124.2, 115.9, 115.6, 61.4, 61.3, 60.9, 58.1, 51.6, 50.7, 49.9, 43.8, 26.9, 26.7, 24.9, 13.9, 13.8, 12.9; HRMS-ESI calcd for $C_{35}H_{36}N_2O_{10}$ $[M + H]^+$ 645.2442, found 645.2443.

Compound **5b**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4b** (82 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5b** in 86% combined yield (dr 2 : 1); the major isomer: 59 mg, 59% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 8.09 (d, J = 8.3 Hz, 1H), 8.03 (d, J = 8.3 Hz, 1H), 7.17 (s, 1H), 7.11 (d, J = 8.4 Hz, 1H), 7.08 (d, J = 8.4 Hz, 1H), 5.92 (s, 1H), 5.26 (s, 1H), 4.11 (s, 1H), 4.02 (q, J = 7.1 Hz, 2H), 3.90 (q, J = 7.1 Hz, 2H), 3.60 (dd, J = 12.9, 2.7 Hz, 1H), 3.46–3.34 (m, 2H), 3.29–3.16 (m, 2H), 2.76 (s, 3H), 2.70 (s, 3H), 2.39 (s, 3H), 2.38 (s, 3H), 1.97 (dd, J = 12.0, 1.9 Hz, 1H), 1.18 (t, J = 7.1 Hz, 3H), 0.97 (t, J = 7.1 Hz, 3H), 0.57 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 180.4, 178.3, 170.9, 170.6, 167.8, 166.4, 138.5, 138.4, 138.0, 134.7, 134.6, 130.9, 129.7, 129.3, 128.9, 128.3, 126.6, 122.2, 116.2, 115.4, 61.4, 61.2, 61.0, 57.2, 56.9, 52.7, 48.5, 45.6, 26.6, 21.3, 21.2, 14.0, 13.6, 12.8; the minor isomer: 28 mg, 28% yield; as a white solid, mp 165–167 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, J = 8.3 Hz, 1H), 7.97 (d, J = 8.3 Hz, 1H), 7.75 (s, 1H), 7.09 (d, J = 8.3 Hz, 1H), 7.01 (d, J = 8.3 Hz, 1H), 6.75 (s, 1H), 6.23 (s, 1H), 5.79 (s, 1H), 4.07 (d, J = 11.8 Hz, 1H), 4.00–3.94 (m, 3H), 3.82–3.69 (m, 2H), 3.66–3.57 (m, 1H), 3.33–3.24 (m, 1H), 3.15–3.01 (m, 2H), 2.83 (s, 3H), 2.75 (s, 3H), 2.35 (s, 3H), 2.28 (s, 3H), 2.14 (dd, J = 12.7, 5.5 Hz, 1H), 1.06 (t, J = 7.1 Hz, 3H), 0.98 (t, J = 7.1 Hz, 3H), 0.56 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 182.7, 181.7, 171.6, 170.7, 170.6, 167.6, 165.7, 141.3, 139.0, 138.2, 134.6, 133.7, 129.4, 129.2, 128.6, 128.3, 127.2126.3, 124.1, 115.5, 115.3, 61.3, 61.2, 60.8, 58.3, 51.7, 50.6, 49.8, 43.8, 26.8, 26.7, 25.0, 21.4, 21.1, 13.81, 13.78, 12.9; HRMS-ESI calcd for $C_{37}H_{40}N_2O_{10}$ $[M + H]^+$ 673.2756, found 673.2755.

Compound **5c**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4c** (88 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5c** in 88% combined yield (dr 1 : 1); the major isomer: 47 mg, 44% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (d, J = 2.2 Hz, 1H), 8.19 (d, J = 8.7 Hz, 1H), 8.13 (d, J = 8.8 Hz, 1H), 7.35 (d, J = 2.0 Hz, 1H), 7.32 (d, J = 2.1 Hz, 1H), 7.30–7.29 (m, 1H), 5.99 (s, 1H), 5.33 (s, 1H), 4.06 (s, 1H), 4.04–3.99 (m, 2H), 3.93 (q, J = 7.1 Hz, 2H), 3.61–3.56 (m, 1H), 3.52–3.42 (m, 2H), 3.28–3.13 (m, 2H), 2.77 (s, 3H), 2.70 (s, 3H), 2.03–1.95 (m, 1H), 1.19 (t, J = 7.1 Hz, 3H), 1.01 (t, J = 7.1 Hz, 3H), 0.61 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.4, 177.5, 170.9, 170.6, 170.2, 167.4, 166.2, 139.4, 138.9, 138.3, 132.6, 130.7, 130.3, 129.4, 129.1, 128.5, 128.0, 122.0, 117.8, 116.9, 61.9, 61.5, 61.2, 56.8, 56.7, 52.5, 48.5, 45.7, 26.63, 26.58, 26.3, 14.0, 13.7, 12.9; the minor isomer: 47 mg, 44% yield; as a white solid, mp 185–187 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (d, J = 8.7 Hz, 1H), 8.08 (d, J = 8.7 Hz, 1H), 7.96 (d, J = 2.2 Hz, 1H), 7.30–7.27 (m, 1H), 7.21 (dd, J = 8.7, 2.1 Hz, 1H), 6.92 (d, J = 2.0 Hz, 1H), 6.32 (s, 1H), 5.84 (s, 1H), 4.07–3.96 (m, 3H), 3.90 (s, 1H), 3.82–3.66 (m, 3H), 3.41–3.31 (m, 1H), 3.19–3.12 (m, 1H), 3.12–3.01 (m, 1H), 2.84 (s, 3H), 2.75 (s, 3H), 2.21–2.14 (m, 1H), 1.10 (t, J = 7.1 Hz, 3H), 1.01 (t, J = 7.1 Hz, 3H), 0.62 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 181.9, 180.7, 171.2, 170.8, 170.5, 167.3, 165.7, 140.7, 140.0, 139.3, 130.54, 130.48, 130.1, 129.6, 129.2, 128.9, 127.0, 125.7, 125.0, 117.1, 116.9, 61.7, 61.1, 58.0, 51.5, 50.7, 49.6, 43.8, 26.7, 26.6, 24.8, 13.9, 13.8, 13.0; HRMS-ESI calcd for $C_{35}H_{34}Cl_2N_2O_{10}$ $[M + H]^+$ 713.1664, found 713.1664.

Compound **5d**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4d** (101 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5d** in 91% combined yield (dr 1 : 1); the major isomer: 62 mg, 52% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (d, J = 2.0 Hz, 1H), 8.14 (d, J = 8.6 Hz, 1H), 8.07 (d, J = 8.8 Hz, 1H), 7.49–7.42 (m, 3H), 6.00 (s, 1H), 5.33 (s, 1H), 4.06–4.00 (m, 3H), 3.93 (q, J = 7.1 Hz, 2H), 3.60–3.55 (m, 1H), 3.52–3.42 (m, 2H), 3.24–3.13 (m, 2H), 2.78 (s, 3H), 2.70 (s, 3H), 2.03–1.98 (m, 1H), 1.19 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.1 Hz, 3H), 0.61 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.3, 177.5, 171.0, 170.6, 170.2, 167.4, 166.2, 140.0, 139.4, 138.2, 132.9, 132.3, 132.0, 130.8, 129.5, 128.8, 124.8, 118.3, 118.1, 117.7, 117.3, 61.9, 61.6, 61.3, 56.8, 56.7, 52.5, 48.4, 45.7, 26.7, 26.6, 26.3, 14.0, 13.7, 12.9; the minor isomer: 47 mg, 39% yield; as a white solid, mp 194–196 °C; ^{1}H NMR (400 MHz,

$CDCl_3$) δ 8.10 (d, J = 5.3 Hz, 1H), 8.09 (d, J = 1.1 Hz, 1H), 8.02 (d, J = 8.7 Hz, 1H), 7.43 (dd, J = 8.8, 2.1 Hz, 1H), 7.36 (dd, J = 8.7, 2.0 Hz, 1H), 7.05 (d, J = 2.0 Hz, 1H), 6.33 (s, 1H), 5.84 (s, 1H), 4.07–3.97 (m, 3H), 3.88 (s, 1H), 3.81–3.67 (m, 3H), 3.40–3.32 (m, 1H), 3.19–3.12 (m, 1H), 3.11–3.00 (m, 1H), 2.84 (s, 3H), 2.74 (s, 3H), 2.18 (ddd, J = 13.6, 5.5, 1.5 Hz, 1H), 1.11 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.1 Hz, 3H), 0.62 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 181.8, 180.6, 171.2, 170.8, 170.5, 167.2, 165.7, 140.7, 140.5, 139.8, 132.1, 131.8, 130.8, 130.4, 129.9, 128.5, 125.0, 118.1, 117.4, 117.2, 117.0, 61.68, 61.66, 61.1, 58.1, 51.4, 50.6, 49.6, 43.8, 26.8, 26.6, 24.8, 13.9, 13.8, 13.0; HRMS-ESI calcd for $C_{35}H_{34}Br_2N_2O_{10}$ $[M + H]^+$ 801.0653, found 801.0659.

Compound **5e**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4e** (101 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5e** in 87% combined yield (dr 1 : 1); the major isomer: 56 mg, 47% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, J = 1.7 Hz, 1H), 8.42 (d, J = 8.4 Hz, 1H), 8.39 (d, J = 1.8 Hz, 1H), 7.41–7.37 (m, 2H), 7.24 (d, J = 8.1 Hz, 1H), 5.97 (s, 1H), 5.28 (s, 1H), 4.05 (s, 1H), 4.01 (q, J = 7.2 Hz, 2H), 3.92 (q, J = 7.1 Hz, 2H), 3.58–3.53 (m, 1H), 3.52–3.40 (m, 2H), 3.25–3.12 (m, 2H), 2.75 (s, 3H), 2.70 (s, 3H), 2.00–1.93 (m, 1H), 1.18 (t, J = 7.1 Hz, 3H), 1.01 (t, J = 7.1 Hz, 3H), 0.63 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.6, 177.6, 170.8, 170.6, 170.2, 167.6, 166.3, 141.7, 141.3, 138.2, 129.8, 129.3, 129.1, 128.1, 128.0, 125.6, 123.0, 122.8, 119.8, 119.0, 61.8, 61.5, 61.3, 56.8, 56.5, 52.6, 48.4, 45.8, 26.62, 26.55, 26.3, 14.0, 13.7, 13.0; the minor isomer: 48 mg, 40% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (d, J = 1.8 Hz, 1H), 8.33 (d, J = 1.8 Hz, 1H), 7.81 (d, J = 8.3 Hz, 1H), 7.36 (dd, J = 8.3, 1.9 Hz, 1H), 7.23 (dd, J = 8.1, 1.8 Hz, 1H), 6.81 (d, J = 8.2 Hz, 1H), 6.22 (s, 1H), 5.79 (d, J = 0.9 Hz, 1H), 4.02–3.95 (m, 3H), 3.92 (s, 1H), 3.83–3.67 (m, 3H), 3.42–3.33 (m, 1H), 3.21–3.13 (m, 1H), 3.04 (q, J = 13.4 Hz, 1H), 2.82 (s, 3H), 2.74 (s, 3H), 2.16–2.10 (m, 1H), 1.09 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.1 Hz, 3H), 0.63 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 182.0, 180.9, 171.3, 170.7, 170.5, 167.5, 165.8, 142.3, 141.6, 140.9, 128.3, 127.8, 127.7, 127.3, 127.2, 126.7, 124.5, 123.1, 122.8, 119.3, 118.9, 61.6, 61.3, 57.7, 51.3, 50.6, 49.9, 43.9, 26.7, 26.6, 24.8, 13.9, 13.8, 13.0; HRMS-ESI calcd for $C_{35}H_{34}Br_2N_2O_{10}$ $[M + H]^+$ 801.0653, found 801.0642.

Compound **5f**: following the general procedure, the reaction of **1b** (64 mg, 0.3 mmol), **4a** (78 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5f** in 70% combined yield (dr 1 : 1); the major isomer: 39 mg, 39% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (dd, J = 7.6, 1.0 Hz, 1H), 8.23–8.16 (m, 2H), 7.38 (d, J = 7.4 Hz, 1H), 7.34–7.28 (m, 2H), 7.26–7.20 (m, 2H), 5.92 (s, 1H), 5.23 (s, 1H), 4.20 (s, 1H), 3.91–3.84 (m, 2H), 3.64–3.56 (m, 1H), 3.46–3.31 (m, 2H), 3.24–3.16 (m, 2H), 2.78 (s, 3H), 2.73 (s, 3H), 1.94–1.88 (m, 1H), 1.35 (s, 9H), 0.94 (t, J = 7.1 Hz, 3H), 0.56 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 180.4, 178.1, 171.1, 170.9, 170.6, 168.0, 165.5, 140.7, 140.4, 139.4, 130.9, 129.2, 129.1, 128.9, 127.6, 127.1, 125.0 (overlap), 121.6, 116.4, 115.8, 81.2, 61.5, 61.2, 57.1, 56.7, 52.8, 48.6, 44.7, 27.8, 26.9, 26.8, 26.7, 13.6, 12.8; the minor isomer: 32 mg, 32% yield; as a white solid, mp 158–160 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, J = 8.1 Hz, 1H), 8.11 (d, J = 8.1 Hz, 1H), 7.93 (d, J = 7.5 Hz, 1H), 7.31–7.27 (m, 1H), 7.20 (t, J = 7.8 Hz, 2H), 7.06 (t, J = 7.5 Hz, 1H), 6.95 (d, J = 7.4 Hz, 1H), 6.23 (s, 1H), 5.82 (s, 1H), 4.08 (d, J = 11.3 Hz, 1H), 3.99 (s, 1H), 3.95 (q, J = 7.1 Hz, 2H), 3.80 (dd, J = 13.4, 5.4 Hz, 1H), 3.30–3.21 (m, 1H), 3.14–3.01 (m, 2H), 2.85 (s, 3H), 2.75 (s, 3H), 2.11 (ddd, J = 13.4, 5.4, 1.3 Hz, 1H), 1.12 (s, 9H), 1.04 (t, J = 7.1 Hz, 3H), 0.54 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 182.6, 181.4, 171.6, 171.0, 170.8, 167.6, 164.8, 142.3, 141.4, 140.5, 129.02, 128.98, 128.6, 128.5, 126.6, 125.8, 125.2, 124.3, 124.1, 116.3, 115.6, 81.1, 61.3, 61.2, 58.4, 51.7, 50.8, 50.0, 43.4, 27.6, 26.9, 26.7, 25.3, 13.8, 13.0; HRMS-ESI calcd for $C_{37}H_{40}N_2O_{10}$ $[M + H]^+$ 673.2756, found 673.2756.

Compound **5g**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4f** (96 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5g** in 84% combined yield (dr 2 : 1); the major isomer: 61 mg, 53% yield; as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, J = 7.1 Hz, 1H), 8.18 (d, J = 8.0 Hz, 1H), 8.05 (d, J = 7.6 Hz, 1H), 7.41 (d, J = 7.6 Hz, 1H), 7.37–7.26 (m, 6H), 7.25–7.16 (m, 4H), 7.03–6.98 (m, 2H), 6.74 (d, J = 7.2 Hz, 2H), 5.86 (s, 1H), 5.18 (s, 1H), 4.85 (q, J = 12.1 Hz, 2H), 4.42 (d, J = 11.6 Hz, 1H), 4.18 (s, 1H), 4.06 (d, J = 11.5 Hz, 1H), 3.95 (q, J = 7.1 Hz, 2H), 3.54 (d, J = 9.9 Hz, 1H), 3.30–3.23 (m, 2H), 2.65 (s, 3H), 2.29 (s, 3H), 2.01–1.91 (m, 1H), 1.13 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.7, 177.9, 171.0, 170.4, 167.8, 166.3, 140.7, 140.3, 138.3, 134.6, 133.3, 130.7, 129.3, 128.93, 128.90, 128.8, 128.7, 128.5, 128.4, 128.2, 127.6, 126.5, 125.1, 124.9, 121.7, 116.6, 115.9, 67.9, 67.1, 61.1, 57.0, 56.9, 52.7, 48.4, 45.8, 26.7, 26.5, 26.3, 13.9; the minor isomer: 36 mg, 31% yield; as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J = 8.4 Hz, 2H), 7.95 (d, J = 7.1 Hz, 1H), 7.35–7.27 (m, 5H), 7.25–7.17 (m, 4H), 7.10–7.04 (m, 3H), 6.97 (d, J = 7.3 Hz, 1H), 6.70 (d, J = 7.1 Hz, 2H), 6.22 (s, 1H), 5.79 (s, 1H), 4.91 (q, J = 12.1 Hz, 2H), 4.24 (d, J = 11.7 Hz, 1H), 4.15 (d, J = 11.5 Hz, 1H), 4.04 (s, 1H), 3.87–3.76 (m, 2H), 3.75–3.57 (m, 2H), 3.10 (q, J = 13.3 Hz, 1H), 2.70 (s, 3H), 2.45 (s, 3H), 2.18–2.12 (m, 1H), 0.96 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 182.2, 180.9, 171.3, 170.9, 170.4, 167.5, 165.6, 141.3, 141.0, 140.5, 134.7, 133.5, 129.1, 128.9, 128.6, 128.5, 128.4, 128.2, 128.1, 126.6, 125.7, 125.2, 124.5, 124.3, 115.9, 67.4, 67.2, 60.9, 58.2, 51.6, 50.7, 49.6, 43.7, 26.8, 26.4, 24.8, 13.8; HRMS-ESI calcd for $C_{45}H_{40}N_2O_{10}$ $[M + H]^+$ 769.2756, found 769.2754.

Compound **5h**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4g** (95 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give **5h** as an inseparable diastereomeric mixture (dr 6 : 1), 69 mg, 61% yield; as a colorless oil; NMR data for the major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (d, J = 7.5 Hz, 1H), 7.77–7.70 (m, 2H), 7.38 (d, J = 7.3 Hz, 1H), 7.29 (d, J = 7.6 Hz, 1H), 7.27–7.22 (m, 1H), 7.19–7.14 (m, 2H), 5.89 (s, 1H), 5.18 (s, 1H), 4.15 (s, 1H), 4.09–4.02 (m, 2H), 3.91 (q, J = 7.1 Hz, 2H), 3.58 (dd, J = 13.2, 2.5 Hz, 1H), 3.45–3.39 (m, 2H), 3.34–3.22 (m, 1H), 3.14 (dd, J = 12.3, 1.7 Hz, 1H), 1.95 (d, J = 13.8 Hz, 1H), 1.67

(s, 9H), 1.64 (s, 9H), 1.23 (t, J = 7.1 Hz, 3H), 0.99 (t, J = 7.1 Hz, 3H), 0.60 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.8, 175.7, 170.2, 167.8, 166.1, 149.1, 148.9, 140.4, 140.0, 138.7, 131.0, 128.8, 128.6, 128.3, 126.7, 124.4, 124.1, 122.0, 114.9, 114.0, 84.1, 83.7, 61.2, 61.0, 60.9, 57.0, 56.8, 53.0, 48.5, 46.0, 28.2, 28.0, 26.4, 14.0, 13.6, 12.8; selected NMR data for the minor isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (d, J = 7.6 Hz, 1H), 7.86 (d, J = 7.9 Hz, 1H), 7.66 (d, J = 8.2 Hz, 1H), 7.58 (d, J = 8.0 Hz, 1H), 6.15 (s, J = 2.7 Hz, 1H), 5.87 (s, 1H), 0.74 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 166.5, 114.7, 113.9, 83.9, 60.7, 53.5, 28.1, 14.0, 13.3, 12.9; HRMS-ESI calcd for $C_{41}H_{48}N_2O_{12}$ $[M + H]^+$ 761.3280, found 761.3268.

Compound **5i**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4h** (95 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **5i** (dr > 20 : 1), 102 mg, 84% yield; as a colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.99 (d, J = 2.1 Hz, 1H), 7.47 (d, J = 7.2 Hz, 2H), 7.39 (d, J = 2.0 Hz, 1H), 7.35–7.32 (m, 4H), 7.31–7.29 (m, 2H), 7.28–7.27 (m, 1H), 7.24 (d, J = 7.2 Hz, 1H), 7.14 (dd, J = 8.4, 2.1 Hz, 1H), 7.09 (dd, J = 8.3, 2.0 Hz, 1H), 5.88 (s, 1H), 5.24 (s, 1H), 5.03 (d, J = 15.7 Hz, 1H), 4.90 (t, J = 16.5 Hz, 2H), 4.64 (d, J = 15.4 Hz, 1H), 4.06 (s, 1H), 4.05–3.98 (m, 2H), 3.86–3.79 (m, 1H), 3.78–3.72 (m, 1H), 3.57 (dd, J = 13.6, 2.1 Hz, 1H), 3.52–3.41 (m, 2H), 3.28–3.20 (m, 1H), 3.15 (dd, J = 12.0, 2.2 Hz, 1H), 1.98–1.92 (m, 1H), 1.26 (t, J = 7.1 Hz, 4H), 0.84 (t, J = 7.1 Hz, 4H), 0.23 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.4, 176.0, 170.3, 167.6, 166.3, 142.4, 142.2, 138.6, 135.9, 135.3, 133.7, 129.9, 129.3, 129.2, 128.58, 128.57, 128.41, 128.35, 128.0, 127.9, 127.8, 127.5, 127.3, 122.7, 109.7, 109.1, 61.03, 60.97, 60.7, 56.2, 54.9, 52.0, 49.0, 46.3, 44.8, 44.6, 26.2, 14.1, 13.6, 12.9; HRMS-ESI calcd for $C_{45}H_{42}Cl_2N_2O_8$ $[M + H]^+$ 809.2391, found 809.2396.

Compound **5j**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4i** (116 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **5j** (dr > 20 : 1), 90 mg, 67% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.11 (d, J = 1.7 Hz, 1H), 7.51 (d, J = 1.5 Hz, 1H), 7.48 (d, J = 7.4 Hz, 2H), 7.35–7.30 (m, 6H), 7.28–7.22 (m, 4H), 6.56 (d, J = 8.3 Hz, 1H), 6.48 (d, J = 8.3 Hz, 1H), 5.88 (s, 1H), 5.25 (s, 1H), 5.02 (d, J = 15.4 Hz, 1H), 4.92 (d, J = 11.7 Hz, 1H), 4.89 (d, J = 11.7 Hz, 1H), 4.65 (d, J = 15.4 Hz, 1H), 4.07–3.98 (m, 3H), 3.85–3.73 (m, 2H), 3.56 (d, J = 13.6 Hz, 1H), 3.51–3.41 (m, 2H), 3.28–3.19 (m, 1H), 3.17–3.12 (m, 1H), 1.96 (d, J = 13.5 Hz, 1H), 1.26 (t, J = 7.1 Hz, 3H), 0.85 (t, J = 7.1 Hz, 3H), 0.22 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.3, 175.9, 170.3, 167.5, 166.3, 142.9, 142.6, 138.5, 135.9, 135.2, 134.0, 132.5, 131.31, 131.28, 129.5, 129.3, 128.57, 128.56, 127.93, 127.88, 127.8, 127.5, 125.3, 115.4, 114.5, 110.1, 109.7, 61.1, 61.0, 60.7, 56.2, 54.9, 51.9, 48.8, 46.4, 44.8, 44.6, 26.1, 14.1, 13.6, 12.9; HRMS-ESI calcd for $C_{45}H_{42}Br_2N_2O_8$ $[M + H]^+$ 897.1381, found 897.1383.

Compound **5k**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4j** (98 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **5k** (dr > 20 : 1), 101 mg, 87% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (dd, J = 9.8, 2.6 Hz, 1H), 7.47 (d, J = 7.3 Hz, 2H), 7.37–7.29 (m, 6H), 7.28–7.25 (m, 2H), 7.18 (dd, J = 7.9, 2.4 Hz, 1H), 6.88–6.79 (m, 2H), 6.60 (dd, J = 8.6, 4.3 Hz, 1H), 6.52 (dd, J = 8.5, 4.2 Hz, 1H), 5.88 (s, 1H), 5.24 (s, 1H), 5.05 (d, J = 15.7 Hz, 1H), 4.94 (d, J = 15.4 Hz, 1H), 4.85 (d, J = 15.7 Hz, 1H), 4.62 (d, J = 15.4 Hz, 1H), 4.08 (s, 1H), 4.06–3.98 (m, 2H), 3.83–3.70 (m, 2H), 3.59 (dd, J = 13.5, 2.3 Hz, 1H), 3.53–3.47 (m, 1H), 3.45–3.38 (m, 1H), 3.28–3.20 (m, 1H), 3.15 (dd, J = 12.2, 2.0 Hz, 1H), 1.95–1.90 (m, 1H), 1.25 (t, J = 7.1 Hz, 3H), 0.81 (t, J = 7.1 Hz, 3H), 0.22 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.4 (d, J = 230.2 Hz), 170.3, 167.7, 166.3, 160.1 (d, J = 6.8 Hz), 157.7 (d, J = 8.2 Hz), 139.7, 138.7, 136.1, 135.5, 133.7 (d, J = 7.6 Hz), 129.1, 128.6, 128.0, 127.9, 127.7, 127.4, 117.9, 117.6, 114.7, 114.5, 110.6, 110.4, 109.3, 109.2, 108.5, 61.0, 60.9, 60.7, 56.4, 54.7, 52.0, 49.3, 45.9, 44.9, 44.7, 26.1, 14.1, 13.6, 12.8; HRMS-ESI calcd for $C_{45}H_{42}F_2N_2O_8$ $[M + H]^+$ 777.2982, found 777.2977.

Compound **5l**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4k** (106 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **5l** (dr > 20 : 1), 69 mg, 54% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.85 (d, J = 2.2 Hz, 1H), 8.30 (d, J = 2.1 Hz, 1H), 8.17 (dd, J = 8.7, 2.3 Hz, 1H), 8.13 (dd, J = 8.7, 2.2 Hz, 1H), 7.49 (d, J = 7.2 Hz, 2H), 7.39–7.29 (m, 8H), 6.82 (d, J = 8.7 Hz, 1H), 6.71 (d, J = 8.7 Hz, 1H), 5.90 (s, 1H), 5.25 (s, 1H), 5.09 (d, J = 15.7 Hz, 1H), 5.05–4.98 (m, 2H), 4.67 (d, J = 15.4 Hz, 1H), 4.15 (s, 1H), 4.06–3.96 (m, 2H), 3.89–3.83 (m, 1H), 3.81–3.74 (m, 1H), 3.65 (dd, J = 13.4, 2.4 Hz, 1H), 3.55–3.48 (m, 1H), 3.44–3.38 (m, 1H), 3.28 (dd, J = 12.1, 2.1 Hz, 1H), 3.18–3.13 (m, 1H), 2.07 (d, J = 13.8 Hz, 1H), 1.26 (t, J = 7.1 Hz, 3H), 0.90 (t, J = 7.1 Hz, 3H), 0.15 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.8, 176.7, 169.8, 167.4, 166.1, 150.0, 149.2, 143.4, 142.9, 138.3, 135.1, 134.5, 133.0, 129.8, 128.9, 128.8, 128.3, 128.12, 128.08, 127.9, 126.0, 125.7, 125.4, 117.9, 108.4, 108.0, 61.4, 61.3, 61.2, 56.0, 54.9, 51.8, 48.6, 46.5, 45.2, 45.0, 26.2, 14.1, 13.7, 13.0; HRMS-ESI calcd for $C_{45}H_{42}N_4O_{12}$ $[M + NH_4]^+$ 848.3137, found 848.3147.

Compound **5m**: following the general procedure, the reaction of **1a** (56 mg, 0.3 mmol), **4l** (116 mg, 0.3 mmol) and DABCO (3 mg, 0.03 mmol) was conducted for 12 h to give product **5m** (dr > 20 : 1), 70 mg; 52% yield; as a white semi-solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (d, J = 8.2 Hz, 1H), 7.47 (d, J = 7.3 Hz, 2H), 7.36–7.31 (m, 6H), 7.29–7.26 (m, 2H), 7.24 (s, 1H), 7.20 (dd, J = 8.2, 1.7 Hz, 1H), 7.16 (dd, J = 7.9, 1.5 Hz, 1H), 6.83 (d, J = 1.6 Hz, 1H), 6.74 (d, J = 1.5 Hz, 1H), 5.86 (s, 1H), 5.19 (s, 1H), 4.97 (d, J = 15.8 Hz, 1H), 4.87 (d, J = 5.5 Hz, 1H), 4.84 (d, J = 6.0 Hz, 1H), 4.65 (d, J = 15.4 Hz, 1H), 4.05 (s, 1H), 4.03–3.95 (m, 2H), 3.82–3.71 (m, 2H), 3.60–3.51 (m, 1H), 3.49–3.34 (m, 2H), 3.31–3.22 (m, 1H), 3.13 (dd, J = 12.1, 2.0 Hz, 1H), 1.92 (d, J = 13.8 Hz, 1H), 1.22 (t, J = 7.1 Hz, 3H), 0.84 (t, J = 7.1 Hz, 3H), 0.28 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.7, 176.5, 170.3, 167.8, 166.3, 145.2, 145.1, 138.5, 135.7, 135.2, 131.0, 129.1, 128.7, 128.0, 127.9, 127.8, 127.6, 126.4, 125.3, 124.9, 123.5, 122.2, 122.1, 112.1, 111.6, 61.03, 61.00, 60.8, 55.8, 54.9, 52.0, 48.7, 46.1, 44.9, 44.7, 26.3, 14.1, 13.6, 12.9; HRMS-ESI calcd for $C_{45}H_{42}Br_2N_2O_8$ $[M + H]^+$ 897.1381, found 897.1359.

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