Multicomponent, Tandem 1,3- and 1,4-Bisarylation of Donor-Acceptor Cyclopropanes and Cyclobutanes with Electron-Rich Arenes and Hypervalent Arylbismuth Reagents

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1. General Experimental.

Unless otherwise mentioned, all the new reactions in the study were performed maintaining an inert atmosphere (nitrogen or argon) and flame-dried glassware were used. Solvents were dried before use following standard procedures and only freshly distilled THF has been used. Unless noted, all the reagents and catalysts were used as it was received from commercial sources and no further purification was made on those. Reaction monitoring was performed via TLC, using Merck silica gel 60 F 254 plates. TLC plates were visualized either under UV light (254 nm) or by using 10% ethanolic phosphomolybdic acid (PMA) or 1% aqueous KMnO₄ or iodine. Silica gel of 230-400 mesh size was used for the flash column chromatography. ¹H, ¹³C NMR spectra were recorded on Avance III, Bruker at 400 MHz, 100 MHz and 376 MHz spectrometers respectively using CDCl₃. In the experimental section, the ¹H NMR chemicals shift are expressed in the form of ppm (δ) relative to δ = 7.26 for CDCl₃ whereas ¹³C NMR chemical shift are expressed relative to δ = 77.16. HRMS and Electron Spray Ionization (ESI) (m/z) spectra were recorded on Agilent Technologies 6530 Accurate- Mass Q-TOF LC/MS. Enantiomeric excess (ee) was measured by HPLC analysis with chiral stationary phase. FT-IR experiments were performed on PerkinElmer Spectrum Version 10.03.08.
2. Detailed Optimization of the Reaction Conditions (Table S1).

![Reaction Scheme]

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⁹Reaction conditions: 1a (1.0 equiv), 2a (1.5 equiv), 3a-3e (1.25 equiv), conc [0.1 M], rt. ⁰Isolated yield of 4a. ¹Indole addition product to D-A cyclopropane along with formation of unknown products could be traced from the reaction mixture. ²ND= Not Determined; product mass was observed by MS in this case. ³Without base, no product formation was observed. ⁴Reaction was performed with [0.2 M] concentration.
3. Preparation of the starting materials

(a) Donor-Acceptor Cyclopropanes: Following donor-acceptor cyclopropanes were used in the study and were prepared following the literature procedures.\(^1\)

![Diagram of various donor-acceptor cyclopropanes]

**Figure S1:** List of Donor-Acceptor (D-A) cyclopropanes used in the study.

(b) Donor-Acceptor Cyclobutanes: Following D-A cyclobutanes were used in the study and prepared according to the literature method.\(^2\)

![Diagram of various donor-acceptor cyclobutanes]

**Figure S2:** Donor-acceptor (D-A) cyclobutanes used in the study.
(c) **Triaryl bismuth (V) reagents:** Different triaryl bismuth (V) reagents of the following structures were prepared according to the literature method.³

![Diagram of different triaryl bismuth (V) compounds](image)

**Figure S3:** Structure of different triaryl bismuth(V) compounds. (400 MHz, CDCl3)
4. General Procedure for the preparation of compounds 4 and 5

Under N₂ atmosphere, donor-Acceptor (D-A) cyclopropane (1.0 equiv), arene (1.5 equiv.) and Sc(OTf)₃ (10 mol%) were taken in anhydrous diethyl ether (0.1 M). To this solution were successively added tBuOK (2.0 equiv) and Ar₃BiCl₂ (1.25 equiv) in one portion and the reaction mixture was stirred at room temperature until completion of the reaction as determined by TLC analysis (ca. 4-10 h). When the starting material was consumed, the crude production was purified by column chromatography on silica gel to provide the pure product.
5. Preparation and characterization data of compounds 4

Dimethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4a):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph$_3$BiCl$_2$ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 4a in 91% yield (0.043 g) as white solid. mp: 135-138 °C; $R_f$ 0.20 (EtOAc: Hexane 3:7); $^1$H NMR (CDCl$_3$, 400 MHz) δ 7.58 (d, $J = 7.3$ Hz, 2H), 7.36-7.28 (m, 4H), 7.23-7.20 (m, 3H), 7.15 (t, $J = 7.6$ Hz, 1H), 6.98 (t, $J = 7.5$ Hz, 1H), 6.79-6.77 (m, 3H), 4.24 (t, $J = 6.5$ Hz, 1H), 3.75 (s, 3H), 3.70 (s, 3H), 3.37 (s, 3H), 3.29 - 3.33 (m, 2H), 3.18 (dd, $J = 14.5$, 7.4 Hz, 1H); $^{13}$C {$^1$H} NMR (CDCl$_3$, 100 MHz) δ 171.1, 170.7, 157.9, 137.3, 137.2, 136.7, 129.3, 128.4, 128.3, 127.7, 126.9, 126.4, 121.6, 119.8, 119.0, 118.9, 118.8, 113.7, 109.1, 61.6, 55.4, 52.5, 52.3, 42.1, 37.8, 32.8; HRMS (ESI-TOF) m/z: [M+Na]$^+$ C$_{29}$H$_{29}$NNaO$_5$ Calcd. 494.1943, Found 494.1935.

Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-phenylethyl)-2-phenylmalonate (4b):

Following the general procedure, D-A cyclopropane (1b, 0.024 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph$_3$BiCl$_2$ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4b in 86% yield (0.038 g) as colourless oil. $R_f$ 0.35 (EtOAc: Hexane 3:7); $^1$H NMR (CDCl$_3$, 400 MHz) δ 7.57 (d, $J = 7.4$ Hz, 2H), 7.37 - 7.30 (m, 6H), 7.25 - 7.20 (m, 3H), 7.16 - 7.10 (m, 2H), 6.98 (t, $J = 7.4$ Hz, 1H), 6.80 (s, 1H), 4.28 (t, $J = 6.4$ Hz, 1H), 3.70 (s, 3H), 3.35 (s, 3H), 3.31 - 3.19 (m, 5H); $^{13}$C {$^1$H} NMR (CDCl$_3$, 100 MHz) δ 171.1, 170.7, 144.6, 137.2, 137.1, 128.4 (3), 128.3, 127.8, 126.9 126.5, 126.2, 121.6, 119.7, 118.9, 118.6, 109.2, 61.6, 55.4, 52.5, 52.3, 41.9, 38.7, 32.8; HRMS (ESI-TOF) m/z: [M+Na]$^+$ C$_{28}$H$_{28}$NNaO$_4$ Calcd. 464.1838, Found 464.1821.
Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(p-tolyl)ethyl)-2-phenylmalonate (4c):

Following the general procedure, D-A cyclopropane (1c, 0.025 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4c in 86% yield (0.039 g) as colourless oil. R_f 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.60 - 7.57 (m, 2H), 7.38 - 7.31 (m, 4H), 7.22 - 7.20 (m, 3H), 7.15 (t, J = 7.5 Hz, 1H), 7.05 (d, J = 7.8 Hz, 2H), 6.99 (t, J = 7.4 Hz, 1H), 6.80 (s, 1H), 4.26 (t, J = 6.4 Hz, 1H), 3.70 (s, 3H), 3.33 (s, 3H), 3.30 - 3.18 (m, 5H), 2.28 (s, 3H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1, 170.7, 141.6, 137.3, 137.2, 128.5, 128.3, 128.4, 128.3, 128.2, 127.7, 127.0, 126.5, 121.6, 119.8, 118.8, 118.7, 109.1, 61.6, 52.4, 52.3, 42.0, 38.2, 32.7, 21.1; HRMS (ESI-TOF) m/z: [M+Na]^+ C₂₉H₂₉NNaO₄ Calcd. 478.1994, Found 478.1989.

Dimethyl 2-(2-(4-isopropylphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4d):

Following the general procedure, D-A cyclopropane (1d, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 4d in 77% yield (0.037 g) as white solid. mp: 113-116 °C; R_f 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.57 (d, J = 7.6 Hz, 2H), 7.38 (d, J = 8.0 Hz, 1H), 7.36-7.28 (m, 3H), 7.24 - 7.20 (m, 3H), 7.15 (t, J = 7.5 Hz, 1H), 7.09 (d, J = 8.0 Hz, 2H), 6.99 (t, J = 7.5 Hz, 1H), 6.81 (s, 1H), 4.26 (t, J = 6.4 Hz, 1H), 3.70 (s, 3H), 3.34 (s, 3H), 3.30 - 3.18 (m, 5H), 2.88-2.78 (m, 1H), 1.19 (d, J = 6.9 Hz, 6H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1, 170.7, 146.5, 141.9, 137.2, 137.2, 128.5, 128.3, 128.2, 127.7, 127.0, 126.5, 126.3, 121.6, 119.8, 118.8, 109.1, 61.6, 52.4, 52.2, 42.1, 38.2, 33.8, 32.8, 24.2, 24.1; HRMS (ESI-TOF) m/z: [M+Na]^+ C₃₁H₃₃NNaO₄ Calcd. 506.2307, Found 506.2309.
Dimethyl 2-(2-(4-(tert-butyl)phenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4e):

Following the general procedure, D-A cyclopropane (1e, 0.029 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4e in 87% yield (0.043 g) as colourless oil. 

\[ R_f \text{ 0.35 (EtOAc: Hexane 3:7); } \]

\[ ^1H \text{ NMR (CDCl}_3, 400 MHz) \delta 7.62 - 7.60 (m, 2H), 7.43 (d, } J = 7.9 \text{ Hz, 1H}, 7.39 - 7.32 (m, 3H), 7.28 - 7.24 (m, 5H), 7.19 (t, } J = 7.5 \text{ Hz, 1H}, 7.01 (t, } J = 7.4 \text{ Hz, 1H}, 6.86 (s, 1H), 4.30 (t, } J = 6.4 \text{ Hz, } 1H, 3.73 (s, 3H), 3.37 (s, 3H), 3.35 - 3.22 (m, 5H), 1.30 (s, 9H); \]

\[ ^13C \{^1H\} \text{ NMR (CDCl}_3, 100 MHz) \delta 171.0, 170.7, 148.7, 141.5, 137.2 (2), 128.5, 128.3, 127.9, 127.7, 127.0, 126.5, 125.1, 121.6, 119.8, 118.8, 118.7, 109.1, 61.6, 52.4, 52.2, 42.1, 38.1, 34.4, 32.8, 31.5; \]

\[ \text{HRMS (ESI-TOF) } m/z: [M+Na]^+ \text{ } C_{32}H_{35}NNaO_4 \text{ Calcd. 520.2464, Found 520.2460.} \]

Diethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4f):

Following the general procedure, D-A cyclopropane (1f, 0.029 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4f in 88% yield (0.044 g) as colourless oil. 

\[ R_f \text{ 0.35 (EtOAc: Hexane 3:7); } \]

\[ ^1H \text{ NMR (CDCl}_3, 400 MHz) \delta 7.61 (d, } J = 7.3 \text{ Hz, 2H}, 7.34 - 7.26 (m, 4H), 7.23 - 7.19 (m, 3H), 7.13 (t, } J = 7.5 \text{ Hz, 1H}, 6.97 (t, } J = 7.4 \text{ Hz, 1H}, 6.78 - 6.75 (m, 3H), 4.24 (t, } J = 6.4 \text{ Hz, } 1H, 3.88 - 3.76 (m, 3H), 3.73 (s, 3H), 3.67 (s, 3H), 3.60 - 3.54 (m, 1H), 3.28 - 3.15 (m, 2H), 1.04 (t, } J = 7.1 \text{ Hz, 6H); } \]

\[ ^13C \{^1H\} \text{ NMR (CDCl}_3, 100 MHz) \delta 170.6, 170.2, 157.9, 137.3 (2), 136.9, 129.3, 128.5, 128.1, 127.5, 127.0, 126.4, 121.5, 119.8, 119.0, 118.8, 113.6, 109.1, 61.6, 61.3, 61.2, 55.3, 42.1, 37.8, 32.7, 13.8, 13.7; \]

\[ \text{HRMS (ESI-TOF) } m/z: [M+Na]^+ \text{ } C_{31}H_{33}NNaO_5 \text{ Calcd. 522.2256, Found 522.2247.} \]
Di-tert-butyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4g):

Following the general procedure, D-A cyclopropane (1g, 0.029 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4g in 83% yield (0.046 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.45 - 7.43 (m, 2H), 7.24 (d, J = 3.3 Hz, 1H), 7.16 - 7.12 (m, 5H), 7.10 - 7.06 (m, 2H), 6.91 (t, J = 7.4 Hz, 1H), 6.72 (s, 1H), 6.66 (d, J = 8.4 Hz, 2H), 4.13 (t, J = 6.1 Hz, 1H), 3.68 (s, 3H), 3.61 (s, 3H), 3.16 (dd, J = 14.6, 6.0 Hz, 1H), 3.07 (dd, J = 14.6, 6.2 Hz, 1H), 1.24 (s, 9H), 1.23 (s, 9H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 169.9, 169.7, 157.7, 138.1, 137.7, 137.3, 129.1, 128.8, 127.6, 127.1, 126.8, 126.3, 121.4, 119.9, 119.4, 118.6, 113.7, 109.0, 81.73, 81.7, 63.3, 55.4, 42.0, 37.8, 32.6, 27.7 (2); HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₅H₄₁NNaO₅ Calcd. 578.2882, Found 578.2871.

Dimethyl 2-(2-(3-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4h):

Following the general procedure, D-A cyclopropane (1h, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4h in 83% yield (0.039 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.57 - 7.55 (m, 2H), 7.38 (d, J = 8.0 Hz, 1H), 7.30-7.29 (m, 3H), 7.21 (d, J = 8.2 Hz, 1H), 7.17 - 7.13 (m, 2H), 6.99 (t, J = 7.4 Hz, 1H), 6.90 (d, J = 7.7 Hz, 1H), 6.84 (s, 1H), 6.81 (s, 1H), 6.66 (dd, J = 8.0, 2.5 Hz, 1H), 4.25 (t, J = 6.4 Hz, 1H), 3.75 (s, 3H), 3.70 (s, 3H), 3.35 (s, 3H), 3.30 (s, 3H), 3.28-3.16 (m, 2H); ¹³C {¹H} NMR (CDCl₃,100 MHz) δ 171.0, 170.7, 159.6, 146.4, 137.2, 137.1, 129.2, 128.4, 128.3, 127.7, 127.0, 126.6, 121.6, 120.8, 119.8, 118.9, 118.3, 114.3, 111.3, 109.2, 61.7, 55.3, 52.4, 52.3, 42.0, 38.7, 32.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₅NNaO₅ Calcd. 494.1943, Found 494.1937.
Dimethyl 2-(2-(3,4-dimethoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4i):

Following the general procedure, D-A cyclopropane (1i, 0.030 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4i in 86% yield (0.043 g) as colorless oil. \( R_f \) 0.20 (EtOAc: Hexane 3:7); \(^1\)H NMR (CDCl₃, 400 MHz) \( \delta \) 7.54 (d, \( J = 8.0 \) Hz, 2H), 7.36 (d, \( J = 8.0 \) Hz, 1H), 7.32 - 7.24 (m, 3H), 7.19 (d, \( J = 8.2 \) Hz, 1H), 7.12 (t, \( J = 7.5 \) Hz, 1H), 6.96 (t, \( J = 7.4 \) Hz, 1H), 6.83 - 6.78 (m, 2H), 6.75 (s, 1H), 6.70 (d, \( J = 8.2 \) Hz, 1H), 4.20 (t, \( J = 6.3 \) Hz, 1H), 3.78 (d, \( J = 2.6 \) Hz, 6H), 3.66 (s, 3H), 3.33 (s, 3H), 3.29 (s, 3H), 3.24 (dd, \( J = 14.5, 5.5 \) Hz, 1H), 3.14 (dd, \( J = 14.4, 7.3 \) Hz, 1H); \(^{13}\)C \{\(^1\)H\} NMR (CDCl₃,100 MHz) \( \delta \) 171.0, 170.7, 148.7, 147.3, 137.3, 137.2, 128.4, 128.3, 127.7, 126.9, 126.4, 121.6, 120.2, 119.8, 118.9, 118.7, 111.9, 111.0, 109.2, 61.6, 56.0, 56.0, 52.4, 52.3, 42.1, 38.3, 32.7; HRMS (ESI-TOF) m/z: [M+Na]^+ C₃₀H₃₁NNaO₆ Calcd. 524.2049, Found 524.2022.

Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(3,4,5-trimethoxyphenyl)ethyl)-2-phenylmalonate (4j):

Following the general procedure, D-A cyclopropane (1j, 0.032 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7); FT-IR (\( \nu \) cm\(^{-1}\)): 2950, 1734, 1590, 1461, 1233, 1126; \(^1\)H NMR (CDCl₃, 400 MHz) \( \delta \) 7.57 - 7.54 (m, 2H), 7.44 (d, \( J = 8.0 \) Hz, 1H), 7.34-7.28 (m, 3H), 7.24 (d, \( J = 8.2 \) Hz, 1H), 7.17 (t, \( J = 8.0 \) Hz, 1H), 7.02 (t, \( J = 8.0 \) Hz, 1H), 6.82 (s, 1H), 6.49 (s, 2H), 4.22 (t, \( J = 6.3 \) Hz, 1H), 3.79 (s, 6H), 3.77 (s, 3H), 3.72 (s, 3H), 3.38 (s, 3H), 3.36 (s, 3H), 3.28 (dd, \( J = 14.5, 5.5 \) Hz, 1H), 3.14 (dd, \( J = 14.5, 7.1 \) Hz, 1H); \(^{13}\)C \{\(^1\)H\} NMR (CDCl₃,100 MHz) \( \delta \) 171.0, 170.7, 148.7, 147.3, 137.3, 137.2, 128.4, 128.3, 127.3, 126.9, 126.4, 121.6, 120.2, 119.8, 118.9, 118.7, 111.9, 111.0, 109.2, 61.6, 56.0, 56.0, 52.4, 52.3, 42.1, 38.3, 32.7; HRMS (ESI, m/z): [M+Na]^+ C₃₁H₃₃NNaO₇ Calcd. 554.2155, Found 554.2152.
Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(o-tolyl)ethyl)-2-phenylmalonate (4k):

Following the general procedure, D-A cyclopropane (1k, 0.025 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4k in 81% yield (0.037 g) as brown solid. mp: 125-128°C. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.62 - 7.60 (m, 2H), 7.50 (d, J = 7.7 Hz, 1H), 7.45 (d, J = 8.0 Hz, 1H), 7.37-7.30 (m, 3H), 7.23-7.13 (m, 3H), 7.07-7.02 (m, 3H), 6.57 (s, 1H), 4.59 (dd, J = 8.3, 4.6 Hz, 1H), 3.66 (s, 3H), 3.39 (s, 3H), 3.32-3.27 (m, 4H), 3.16 (dd, J = 14.6, 8.4 Hz, 1H), 2.16 (s, 3H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.0, 170.8, 141.9, 137.5, 137.2, 136.5, 130.6, 128.3, 127.7, 127.4, 127.0, 126.0, 125.8, 121.6, 119.7, 118.9, 118.5, 109.2, 61.5, 52.4, 52.3, 42.2, 33.7, 32.7, 19.8; HRMS (ESI-TOF) m/z: [M+Na]+ C₂₉H₂₉NNaO₄ Calcd. 478.1994, Found 478.1974.

Dimethyl 2-(2-(2-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4l):

Following the general procedure, D-A cyclopropane (1l, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4l in 72% yield (0.034 g) as colourless oil. Rf 0.30 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2951, 1735, 1598, 1490, 1233; ¹H NMR (CDCl₃, 400 MHz) δ 7.61 - 7.58 (m, 2H), 7.40 (d, J = 8.0 Hz, 1H), 7.35 - 7.29 (m, 4H), 7.19 (d, J = 8.2 Hz, 1H), 7.15-7.07 (m, 2H), 6.98 (t, J = 7.5 Hz, 1H), 6.84 (t, J = 7.5 Hz, 1H), 6.81 (s, 1H), 6.78 (d, J = 8.2 Hz, 1H), 4.76 (t, J = 6.6 Hz, 1H), 3.74 (s, 3H), 3.68 (s, 3H), 3.33 (s, 3H), 3.31 (s, 3H), 3.27 - 3.17 (m, 2H); ¹³C {¹H} NMR (CDCl₃,100 MHz) δ 171.1, 170.7, 156.9, 137.2, 137.1, 132.7, 129.1, 128.0, 128.1, 127.5, 127.4, 127.1, 126.9, 121.4, 120.4, 112.0, 118.7, 118.4, 110.9, 109.0, 61.7, 55.4, 52.3, 52.3, 41.6, 32.8, 30.8; HRMS (ESI-TOF) m/z: [M+Na]+ C₂₉H₂₉NNaO₅ Calcd. 494.1943, Found 494.1930.
Dimethyl 2-(2-(4-fluorophenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4m):

Following the general procedure, D-A cyclopropane (1m, 0.025 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4m in 59% yield (0.027 g) as colourless oil. R_f 0.35 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2951, 1733, 1602, 1507, 1228; ¹H NMR (CDCl₃, 400 MHz) δ 7.53 (d, J = 7.4 Hz, 2H), 7.30-7.28 (m, 4H), 7.24-7.18 (m, 3H), 7.12 (t, J = 7.6 Hz, 1H), 6.96 (t, J = 7.5 Hz, 1H), 6.88 (app t, J = 8.6 Hz, 2H), 6.76 (s, 1H), 4.27 (t, J = 6.3 Hz, 1H), 3.66 (s, 3H), 3.35 (s, 3H), 3.27-3.23 (m, 4H), 3.14 (dd, J = 14.5, 7.2 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.9, 170.6, 161.3 (d, J = 242.5 Hz), 140.4 (d, J = 3.1 Hz), 137.2, 137.0, 129.8 (d, J = 7.7 Hz), 128.3 (2), 127.8, 126.8, 126.4, 121.7, 119.6, 119.0, 118.3, 114.9 (d = 20.8 Hz), 109.2, 61.5, 52.5, 52.3, 42.1, 38.0, 32.7; HRMS (ESI-TOF) m/z: [M+Na]^+ C₂₈H₂₆FNNO₄ Calcd. 482.1744, Found 482.1737.

Dimethyl 2-(2-(4-chlorophenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4n):

Following the general procedure, D-A cyclopropane (1n, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4n in 55% yield (0.026 g) as colourless oil. R_f 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.55 - 7.53 (m, 2H), 7.34 - 7.29 (m, 2H), 7.24 - 7.14 (m, 6H), 6.99 (t, J = 7.5, 1H), 6.79 (s, 1H), 4.28 (t, J = 6.4 Hz, 1H), 3.71 (s, 3H), 3.38 (s, 3H), 3.30 - 3.12 (m, 4H), 3.15 (dd, J = 14.5, 7.2 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.0, 170.7, 143.2, 137.3, 137.0, 131.8, 129.7, 128.4 (2), 128.3, 127.8, 126.8, 126.5, 121.8, 119.6, 119.0, 118.0, 109.3, 61.6, 52.5, 52.4, 41.9, 38.2, 32.8; HRMS (ESI-TOF) m/z: [M+Na]^+ C₂₈H₂₆ClNNO₄ Calcd. 498.1448, Found 498.1443.
Dimethyl 2-(2-(4-bromophenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4o):

Following the general procedure, D-A cyclopropane (1o, 0.031 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4o in 58% yield (0.030 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.54 (d, J = 7.0 Hz, 2H), 7.35 - 7.28 (m, 6H), 7.23 (d, J = 8.2 Hz, 1H), 7.18 - 7.14 (m, 3H), 7.10 (t, J = 7.4 Hz, 1H), 6.80 (s, 1H), 4.27 (t, J = 6.3 Hz, 1H), 3.71 (s, 3H), 3.39 (s, 3H), 3.30 - 3.25 (m, 4H), 3.15 (dd, J = 14.5, 7.1 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.9, 170.7, 143.8, 137.3, 137.0, 130.1, 128.4, 128.3, 127.1, 126.8, 126.5, 121.8, 119.9, 119.6, 119.0, 117.9, 109.3, 61.6, 52.5, 52.4, 41.8, 38.2, 32.8; HRMS (ESI-TOF) m/z: [M+H]+ C₂₈H₂₇BrNO₄ Calcd. 520.1123, Found 520.1112.

Dimethyl 2-(2-(2-bromophenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4p):

Following the general procedure, D-A cyclopropane (1p, 0.031 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4p in 54% yield (0.028 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.59 - 7.55 (m, 3H), 7.52 (d, J = 7.8 Hz, 1H), 7.46 (d, J = 8.0 Hz, 1H), 7.33-7.28 (m, 3H), 7.23-7.14 (m, 3H), 7.04 (t, J = 7.3 Hz, 1H), 6.96 (t, J = 7.6 Hz, 1H), 6.70 (s, 1H), 4.90 (t, J = 6.6 Hz, 1H), 3.63 (s, 3H), 3.44 (s, 3H), 3.36 - 3.31 (m, 4H), 3.11 (dd, J = 14.6, 7.2 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.7 (2), 143.3, 137.1, 136.9, 133.1, 129.2, 128.4, 128.3, 127.6 (2), 127.3, 126.9, 124.9, 121.6, 112.0, 119.0, 117.1, 109.2, 96.2, 61.6, 52.5, 52.3, 42.0, 37.4, 32.7; HRMS (ESI-TOF) m/z: [M+H]+ C₂₈H₂₆BrNO₄ Calcd. 520.1123, Found 520.1114.
Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(naphthalen-1-yl)ethyl)-2-phenylmalonate (4q):

Following the general procedure, D-A cyclopropane (1q, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc:Hexane 2:8), which afforded compound 4q in 81% yield (0.040 g) as colourless oil. 

\[ \text{Rf} \ 0.35 \text{ (EtOAc: Hexane 3:7); } \]

\[ ^1H \text{ NMR (CDCl}_3, 400 MHz) \delta \ 7.83 - 7.79 (m, 2H), 7.69 (d, J = 8.2 Hz, 1H), 7.64 - 7.57 (m, 4H), 7.44 - 7.39 (m, 2H), 7.42 - 7.32 (m, 4H), 7.23 - 7.16 (m, 2H), 7.05 (t, J = 7.3 Hz, 1H), 6.68 (s, 1H), 5.22 (t, J = 6.6 Hz, 1H), 3.65 (s, 3H), 3.45 (dd, J = 14.7, 6.0 Hz, 1H), 3.40 (s, 3H), 3.25 (dd, J = 14.8, 7.2 Hz, 1H), 3.13 (s, 3H); \]

\[ ^{13}C \text{ \{^1H\} NMR (CDCl}_3, 100 MHz) \delta 171.0, 170.8, 139.9, 137.5, 137.3, 134.2, 131.6, 128.8, 128.5, 128.4, 127.7 (2), 127.2, 127.0, 125.9, 125.4, 125.3, 124.8, 123.8, 121.6, 119.9, 119.0, 118.0, 109.2, 61.8, 52.5, 52.1, 42.5, 33.6, 32.8; \]

\[ \text{HRMS (ESI-TOF) m/z: [M+Na}^+ \text{ C}_{32}H_{29}NNaO}_4 \text{ Calcd. 514.1994, Found 514.1996.} \]

Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(naphthalen-2-yl)ethyl)-2-phenylmalonate (4r):

Following the general procedure, D-A cyclopropane (1r, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc:Hexane 2:8), which afforded compound 4r in 72% yield (0.035 g) as gummy solid. 

\[ \text{Rf} \ 0.25 \text{ (EtOAc: Hexane 3:7); } \]

\[ ^1H \text{ NMR (CDCl}_3, 400 MHz) \delta 7.79 - 7.70 (m, 2H), 7.64 - 7.57 (m, 4H), 7.44 - 7.39 (m, 2H), 7.37 - 7.32 (m, 4H), 7.23 - 7.16 (m, 2H), 7.05 (t, J = 7.3 Hz, 1H), 6.68 (s, 1H), 5.22 (t, J = 6.6 Hz, 1H), 3.65 (s, 3H), 3.45 (dd, J = 14.7, 6.0 Hz, 1H), 3.40 (s, 3H), 3.25 (dd, J = 14.8, 7.2 Hz, 1H), 3.13 (s, 3H); \]

\[ ^{13}C \text{ \{^1H\} NMR (CDCl}_3, 100 MHz) \delta 171.1, 170.7, 141.8, 137.2, 137.1, 133.5, 132.2, 128.4 (2), 127.9, 127.8 (2), 127.6, 127.2, 126.9, 126.6, 126.3, 125.9, 125.4, 121.7, 119.7, 118.9, 118.4, 109.2, 61.6, 52.4, 52.3, 41.6, 38.7, 32.8; \]

\[ \text{HRMS (ESI-TOF) m/z: [M+Na}^+ \text{ C}_{32}H_{29}NNaO}_4 \text{ Calcd. 514.1994, Found 514.1997.} \]
Dimethyl 2-(2-(furan-2-yl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4s):

Following the general procedure, D-A cyclopropane (1s, 0.022 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph$_3$BiCl$_2$ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4s in 79% yield (0.034 g) as colourless oil. R$_f$ 0.20 (EtOAc: Hexane 3:7); $^1$H NMR (CDCl$_3$, 400 MHz) $\delta$ 7.57 (m, 2H), 7.45 (d, $J = 8.0$ Hz, 1H), 7.36 - 7.29 (m, 4H), 7.24 (d, $J = 8.2$ Hz, 1H), 7.17 (t, $J = 7.6$ Hz, 1H), 7.03 (t, $J = 7.4$ Hz, 1H), 6.83 (s, 1H), 6.23 - 6.22 (m, 1H), 6.01 (d, $J = 3.2$ Hz, 1H), 4.33 (t, $J = 6.5$ Hz, 1H), 3.71 (s, 3H), 3.50 (s, 3H), 3.34 - 3.29 (m, 4H), 3.15 (dd, $J = 14.5$, 6.1 Hz, 1H); $^{13}$C {$^1$H} NMR (CDCl$_3$,100 MHz) $\delta$ 170.8, 170.7, 157.1, 141.2, 137.2, 136.7, 128.4, 128.7, 127.3, 126.6, 121.6, 119.9, 119.0, 115.3, 110.2, 109.3, 105.9, 61.4, 52.7, 52.3, 39.7, 32.8, 32.7; HRMS (ESI-TOF) m/z: [M+Na]$^+$ C$_{26}$H$_{25}$NNaO$_5$ Calcd. 454.1630, Found 454.1628.

Dimethyl 2-(2-(1-methyl-1H-indol-3-yl)-2-(thiophen-2-yl)ethyl)-2-phenylmalonate(4t):

Following the general procedure, D-A cyclopropane (1t, 0.048 g, 0.2 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph$_3$BiCl$_2$ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4t in 88% yield (0.079 g) as colourless oil. R$_f$ 0.35 (EtOAc: Hexane 3:7); $^1$H NMR (CDCl$_3$, 400 MHz) $\delta$ 7.59 (d, $J = 4.2$ Hz, 2H), 7.43 (d, $J = 8.0$ Hz, 1H), 7.37-7.31 (m, 3H), 7.24 (d, $J = 8.1$ Hz, 1H), 7.17 (t, $J = 7.5$ Hz, 1H), 7.08 (d, $J = 5.0$ Hz, 1H), 7.02 (t, $J = 7.4$ Hz, 1H), 6.89-6.85 (m, 2H), 6.83 (s, 1H), 4.54 (t, $J = 6.4$ Hz, 1H), 3.71 (s, 3H), 3.39 (s, 3H), 3.37 - 3.29 (m, 2H), 3.27 (s, 3H); $^{13}$C {$^1$H} NMR (CDCl$_3$,100 MHz) $\delta$ 170.8, 170.7, 149.8, 137.3, 136.8, 128.4, 128.3, 127.8, 127.1, 126.6, 126.4, 124.2, 123.6, 121.7, 120.0, 119.0, 117.21, 109.3, 61.5, 52.5, 52.2, 42.9, 34.2, 32.8; HRMS (ESI-TOF) m/z: [M+Na]$^+$ C$_{26}$H$_{25}$NNaO$_4$S Calcd. 470.1402, Found 470.1400.
Dimethyl 2-(2-(1,3-dioxoisooindolin-2-yl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (4u):

Following the general procedure, D-A cyclopropane (1u, 0.030 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 4u in 82% yield (0.042 g) as colourless oil. 

Rₓ 0.20 (EtOAc: Hexane 3:7); FT-IR (v cm⁻¹): 2953, 1737, 1709, 1236; ¹H NMR (CDCl₃, 400 MHz) δ 7.70 (d, J = 8.1 Hz, 1H), 7.66-7.63 (m, 2H), 7.61-7.57 (m, 2H), 7.44 (d, J = 7.6 Hz, 2H), 7.33 (s, 1H), 7.23 (d, J = 8.1 Hz, 1H), 7.20-7.15 (m, 3H), 7.10-7.01 (m, 2H), 5.97 (dd, J = 9.1, 3.9 Hz, 1H), 3.87 (dd, J = 15.1, 9.1 Hz, 1H), 3.74 (s, 3H), 3.67 (s, 3H), 3.49 (s, 3H), 3.30 (dd, J = 15.0, 3.9 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.8, 170.7, 167.9, 136.3, 136.1, 133.7, 132.0, 128.8, 128.3, 128.0, 127.5, 122.9, 121.9, 119.6, 119.4, 113.7, 109.2, 61.6, 53.0, 52.9, 42.9, 38.2, 33.0; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₀H₂₆N₂O₆ Calcd. 533.1689, Found 533.1684.

Methyl 2-acetyl-4-(1-methyl-1H-indol-3-yl)-2,4-diphenylbutanoate (4v):

Following the general procedure, D-A cyclopropane (1v, 0.022 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 4v in 54% yield (0.023 g) as an inseparable mixture of diastereomers (dr 10:1). Rₓ 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.67 (d, J = 8.0 Hz, 1H), 7.49 - 7.47 (m, 2H), 7.34 - 7.28 (m, 3H), 7.25 - 7.15 (m, 6H), 7.10 - 7.03 (m, 2H), 6.75 (s, 1H), 4.22 (t, J = 6.6 Hz, 1H), 3.72 (s, 3H), 3.40 (dd, J = 14.5, 6.8 Hz, 1H), 3.02 (s, 3H), 2.95 (dd, J = 14.5, 6.4 Hz, 1H), 1.95 (s, 3H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 202.8, 171.0, 144.9, 137.4, 136.8, 128.7, 128.4, 128.2 (2), 127.8, 127.1, 127.0, 126.0, 121.6, 120.3, 119.0, 118.3, 109.2, 67.7, 51.6, 41.4, 39.0, 32.8, 27.4; HRMS (ESI, m/z): [M+Na]⁺ C₂₈H₂₇NNaO₃ Calcd. 448.1889, Found 448.1883.
6. Preparation and characterization data of compounds 5

Dimethyl 2-(2-(5-methoxy-1-methyl-1H-indol-3-yl)-2-(4-methoxyphenyl)ethyl)-2-phenylmalonate (5a):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 6-methoxy-1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5a in 93% yield (0.047 g) as white solid. mp: 127-130 °C; Rf 0.25 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2950, 1734, 1510, 1492, 1227; ¹H NMR (CDCl₃, 400 MHz) δ 7.53 (d, J = 7.7 Hz, 2H), 7.31 - 7.22 (m, 3H), 7.19 - 7.16 (m, 2H), 7.04 (d, J = 9.5 Hz, 1H), 6.76-6.73 (m, 4H), 6.67 (s, 1H), 4.14 (t, J = 6.3 Hz, 1H), 3.70 (s, 6H), 3.60 (s, 3H), 3.34 (s, 3H), 3.26 (s, 3H), 3.18 (dd, J = 14.5, 5.2 Hz, 1H), 3.10 (dd, J = 14.4, 7.7 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1, 170.6, 157.9, 153.6, 137.3, 136.4, 132.7, 129.4, 128.4, 128.3, 127.7, 127.2, 127.1, 118.4, 113.6, 111.7, 109.9, 101.7, 61.6, 56.1, 55.3, 52.5, 52.3, 42.0, 37.8, 32.9; HRMS (ESI, m/z): [M+Na]⁺ C₃₀H₃₁NNaO₆ Calcd. 524.2049, Found 524.2042.

Dimethyl 2-(2-(5-bromo-1-methyl-1H-indol-3-yl)-2-phenylethyl)-2-phenylmalonate (5b):

Following the general procedure, D-A cyclopropane (1b, 0.023 g, 0.1 mmol) was subjected to 1,3-bisarylation using 5-bromo-1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5b in 76% yield (0.039 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.53 (d, J = 7.1 Hz, 2H), 7.34 - 7.28 (m, 4H), 7.24 - 7.16 (m, 5H), 7.11 (t, J = 7.0 Hz, 1H), 7.03 (d, J = 8.6 Hz, 1H), 6.71 (s, 1H), 4.17 (t, J = 6.4 Hz, 1H), 3.62 (s, 3H), 3.35 (s, 3H), 3.23 (s, 3H), 3.20 - 3.08 (m, 2H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.0, 170.5, 144.1, 137.0, 135.9, 128.5 (2), 128.3 (2), 127.9, 127.8, 126.4, 124.6, 122.1, 118.2, 112.4, 110.9, 61.6, 52.5, 52.3, 42.3, 38.4, 33.0; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₈H₂₆BrNNaO₄ Calcd. 542.0943, Found 542.0934.
Dimethyl 2-(2-(6-methoxy-1-methyl-1H-indol-3-yl)-2-(4-methoxyphenyl)ethyl)-2-phenylmalonate (5c):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 6-methoxy-1-methyl indole and \( \text{Ph}_3\text{BiCl}_2 \) as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5c in 82% yield (0.041 g) as colourless oil. \( R_t \): 0.30 (EtOAc: Hexane 3:7); FT-IR (\( v \) cm\(^{-1} \)): 2950, 1734, 1582, 1510, 1246; \( ^1\text{H} \) NMR (CDCl\(_3\), 400 MHz) \( \delta \) 7.57 (d, \( J = 7.5 \) Hz, 2H), 7.36 - 7.30 (m, 3H), 7.20 (d, \( J = 8.3 \) Hz, 3H), 7.19 (s, 1H), 6.78 (d, \( J = 8.4 \) Hz, 2H), 6.67 - 6.64 (m, 3H), 4.19 (t, \( J = 6.4 \) Hz, 1H), 3.83 (s, 3H), 3.75 (s, 3H), 3.64 (s, 3H), 3.38 (s, 3H), 3.30 (s, 3H), 3.23 (dd, \( J = 14.5, 5.6 \) Hz, 1H), 3.15 (dd, \( J = 14.4, 7.3 \) Hz, 1H); \( ^{13}\text{C} \{^1\text{H}\} \) NMR (CDCl\(_3\), 100 MHz) \( \delta \) 171.1, 170.7, 157.9, 156.4, 138.0, 137.2, 136.8, 129.3, 128.4, 128.3, 127.7, 125.3, 121.4 (2), 119.0, 113.6, 108.6, 92.8, 61.6, 55.8, 55.3, 52.5, 52.3, 42.1, 37.9, 32.7; HRMS (ESI-TOF) m/z: [M+Na]\(^+\) C\(_{30}\)H\(_{31}\)NNaO\(_6\) Calcd. 524.2049, Found 524.2045.

Dimethyl 2-(2-(4-(tert-butyl)phenyl)-2-(1,2-dimethyl-1H-indol-3-yl)ethyl)-2-phenylmalonate (5d):

Following the general procedure, D-A cyclopropane (1a, 0.031 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,2-dimethyl indole and \( \text{Ph}_3\text{BiCl}_2 \) as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5d in 82% yield (0.042 g) as colourless oil. \( R_t \): 0.35 (EtOAc: Hexane 3:7); \( ^1\text{H} \) NMR (CDCl\(_3\), 400 MHz) \( \delta \) 7.63 (d, \( J = 7.8 \) Hz, 1H), 7.54 (d, \( J = 4.4 \) Hz, 2H), 7.25 - 7.19 (m, 5H), 7.16 - 7.10 (m, 3H), 7.03 (t, \( J = 7.5 \) Hz, 1H), 6.96 (t, \( J = 7.4 \) Hz, 1H), 4.15 (dd, \( J = 8.5, 4.3 \) Hz, 1H), 3.60 - 3.55 (m, 1H), 3.51 (s, 3H), 3.35 (dd, \( J = 14.4, 4.4 \) Hz, 1H), 3.24 (s, 3H), 2.91 (s, 3H), 2.09 (s, 3H), 1.17 (s, 9H); \( ^{13}\text{C} \{^1\text{H}\} \) NMR (CDCl\(_3\), 100 MHz) \( \delta \) 171.2, 170.4, 148.4, 142.5, 137.1, 136.6, 133.9, 128.6, 128.2, 127.6, 127.4, 126.6, 125.2, 120.2, 120.2, 118.8, 112.8, 108.6, 61.4, 52.4, 51.7, 40.1, 37.6, 34.4, 31.5, 29.6, 10.8; HRMS (ESI-TOF) m/z: [M+Na]\(^+\) C\(_{32}\)H\(_{33}\)NNaO\(_4\) Calcd. 534.2620, Found 534.2622.
Dimethyl 2-(2,2-bis(4-methoxyphenyl)ethyl)-2-phenylmalonate (5e):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using anisole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 5e in 71% yield (0.032 g) as colourless oil. R_f 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.50 (d, J = 7.0 Hz, 2H), 7.35-7.29 (m, 3H), 7.12 (d, J = 8.5 Hz, 4H), 6.77 (d, J = 8.4 Hz, 4H), 3.92 (t, J = 6.1 Hz, 1H), 3.74 (s, 6H), 3.37 (s, 6H), 3.17 (d, J = 6.2 Hz, 2H); ¹³C {¹H} NMR (CDCl₃,100 MHz) δ 170.8, 158.0, 137.5, 136.8, 128.8, 128.5, 128.3, 127.8, 113.9, 61.7, 55.4, 52.5, 45.6, 41.5; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₇H₂₈NaO₆ Calcd. 471.1784, Found 471.1778.

Dimethyl 2-(2-(2-methoxy-5-methylphenyl)-2-(4-methoxyphenyl)ethyl)-2-phenylmalonate (5f):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 4-methyl anisole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5f in 67% yield (0.031 g) as colourless oil. R_f 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.50 (d, J = 7.5 Hz, 2H), 7.37 – 7.27 (m, 3H), 7.18 (d, J = 8.4 Hz, 2H), 7.07 (s, 1H), 6.92 – 6.86 (m, 1H), 6.75 (d, J = 8.4 Hz, 2H), 6.65 (d, J = 8.2 Hz, 1H), 4.38 (t, J = 6.3 Hz, 1H), 3.73 (s, 3H), 3.66 (s, 3H), 3.42 (s, 3H), 3.33 (s, 3H), 3.17 (d, J = 6.3 Hz, 2H), 2.25 (s, 3H); ¹³C {¹H} NMR (CDCl₃,100 MHz) δ 170.9, 170.8, 157.8, 154.6, 136.9, 136.8, 133.4, 129.6, 129.3, 128.7, 128.6, 128.1, 127.6, 127.5, 113.5, 111.1, 61.8, 55.7, 55.3, 52.4, 52.3, 40.5, 38.6, 20.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₈H₃₀NaO₆ Calcd. 485.1940, Found 485.1933.
Dimethyl 2-(2-(4-methoxyphenyl)-2-(2,4,6-trimethoxyphenyl)ethyl)-2-phenylmalonate (5g):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1, 3, 5-trimethoxybenzene and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5g in 80% yield (0.041 g) as colourless oil. \( R_f \) 0.20 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) \( \delta \) 7.51 (d, \( J = 5.2 \) Hz, 2H), 7.32-7.24 (m, 5H), 6.72 (d, \( J = 8.4 \) Hz, 2H), 6.06 (s, 2H), 4.64 (t, \( J = 5.9 \) Hz, 1H), 3.77 (s, 3H), 3.74-3.73 (m, 9H), 3.42 (s, 3H), 3.37 (m, 4H), 3.32 (dd, \( J = 15.0, 5.8 \) Hz, 1H); ¹³C \{¹H\} NMR (CDCl₃,100 MHz) \( \delta \) 171.2, 171.1, 159.6, 157.4, 137.4, 137.0, 129.3, 128.7, 127.9, 127.4, 114.5, 113.1, 91.1 62.0, 55.3, 55.3, 52.4, 52.3, 38.4, 34.4; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₃₂NO₈ Calcd. 531.1995, Found 531.1989.

Dimethyl 2-(2-(4-(dimethylamino)phenyl)-2-(4-methoxyphenyl)ethyl)-2-phenylmalonate (5h):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using N,N-dimethylaniline and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5h in 69% yield (0.032 g) as colourless oil. \( R_f \) 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) \( \delta \) 7.51 (d, \( J = 7.5 \) Hz, 2H), 7.35 - 7.29 (m, 3H), 7.12 (d, \( J = 8.4 \) Hz, 2H), 7.07 (d, \( J = 8.4 \) Hz, 2H), 6.76 (d, \( J = 8.3 \) Hz, 2H), 6.63 (d, \( J = 8.4 \) Hz, 2H), 3.86 (t, \( J = 6.2 \) Hz, 1H), 3.73 (s, 3H), 3.37 (s, 3H), 3.35 (s, 3H), 3.17-3.15 (m, 2H), 2.87 (s, 6H); ¹³C \{¹H\} NMR (CDCl₃,100 MHz) \( \delta \) 170.9, 170.8, 157.9, 149.2, 137.9, 136.9, 133.6, 128.9, 128.6, 128.5, 128.3, 127.8, 113.8, 113.0, 61.8, 55.4, 52.5, 52.5, 45.4, 41.5, 40.9; HRMS (ESI-TOF) m/z: [M+H]⁺ C₂₈H₃₂NO₅ Calcd. 462.2280, Found 462.2276.
**Dimethyl 2-(2-(4-methoxyphenyl)-2-(4-morpholinophenyl)ethyl)-2-phenylmalonate (5i):**

\[
\text{MeO} \quad \text{CO}_2\text{Me}
\]

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using (4-morpholino)benzene and \( \text{Ph}_3\text{BiCl}_2 \) as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 5i in 80% yield (0.040 g) as colourless oil. \( R_f \) 0.35 (EtOAc: Hexane 4:6); \(^1\text{H} \) NMR (CDCl\(_3\), 400 MHz) \( \delta \) 7.49 (d, \( J = 7.1 \) Hz, 2H), 7.34 - 7.29 (m, 3H), 7.11 (dd, \( J = 8.4, 4.8 \) Hz, 4H), 6.77 (dd, \( J = 8.5, 6.6 \) Hz, 4H), 3.89 (t, \( J = 6.1 \) Hz, 1H), 3.82 (t, \( J = 4.8 \) Hz, 4H), 3.74 (s, 3H), 3.37 (s, 3H), 3.36 (s, 3H), 3.16 (d, \( J = 6.1 \) Hz, 2H), 3.07 (t, \( J = 4.9 \) Hz, 4H); \(^{13}\text{C} \) \{\(^1\text{H}\)\} NMR (CDCl\(_3\), 100 MHz) \( \delta \) 170.8, 170.8, 158.0, 149.7, 137.5, 137.0, 136.8, 128.9, 128.6, 128.5, 128.3, 127.8, 115.9, 113.9, 67.1, 61.7, 55.4, 52.5, 49.7, 45.5, 41.4; HRMS (ESI-TOF) m/z: [M+H]\(^+\) \( C_{30}H_{34}NO_6 \) Calcd. 504.2381, Found 504.2379.

**Dimethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-(p-tolyl)malonate (5j):**

\[
\text{MeO} \quad \text{CO}_2\text{Me}
\]

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (p-Me)_3BiCl_2 as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5j in 70% yield (0.034 g) as colourless oil. \( R_f \) 0.35 (EtOAc: Hexane 3:7); \(^1\text{H} \) NMR (CDCl\(_3\), 400 MHz) \( \delta \) 7.47 - 7.45 (m, 2H), 7.38 (d, \( J = 8.0 \) Hz, 1H), 7.24 - 7.21 (m, 3H), 7.17-7.14 (m, 3H), 6.99 (t, \( J = 7.3 \) Hz, 1H), 6.80-6.77 (m, 3H), 4.25 (t, \( J = 6.4 \) Hz, 1H), 3.75 (s, 3H), 3.70 (s, 3H), 3.36 (s, 3H), 3.28 (s, 3H), 3.27 – 3.23 (m, 1H), 3.17 (dd, \( J = 14.3, 7.3 \) Hz, 1H), 2.35 (s, 3H); \(^{13}\text{C} \) \{\(^1\text{H}\)\} NMR (CDCl\(_3\), 100 MHz) \( \delta \) 171.2, 170.8, 157.9, 137.4, 137.3, 136.9, 134.2, 129.3, 129.0, 128.3, 127.0, 126.4, 121.6, 119.8, 119.0, 118.8, 113.6, 109.1, 61.3, 55.4, 52.4, 52.3, 41.9, 37.8, 32.8, 21.1; HRMS (ESI-TOF) m/z: [M+Na]\(^+\) \( C_{30}H_{35}NNaO_5 \) Calcd. 508.2100, Found 508.2097.
Dimethyl 2-(4-fluorophenyl)-2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)malonate (5l):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (p-FC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 3:7), which afforded compound 5l in 57% yield (0.028 g) as colourless oil. 

Rf 0.35 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2952, 1733, 1608, 1510, 1233; ¹H NMR (CDCl₃, 400 MHz) δ 7.56 - 7.52 (m, 2H), 7.36 (d, J = 8.0 Hz, 1H), 7.23-7.13 (m, 4H), 7.02 - 6.98 (m, 3H), 6.78-6.76 (m, 3H), 4.18 (t, J = 6.4 Hz, 1H), 3.74 (s, 3H), 3.70 (s, 3H), 3.38 (s, 3H), 3.30 (s, 3H), 3.25 (dd, J = 14.5, 5.5 Hz, 1H), 3.15 (dd, J = 14.5, 7.3 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.0, 170.6, 162.2 (d, J = 246 Hz), 157.9, 137.2, 136.5, 132.7(d, J = 3 Hz), 130.3(d, J=8 Hz), 129.2, 126.8, 126.3, 121.7, 119.7, 118.9, 118.8, 115.1(d, J= 21 Hz), 113.7, 109.2, 61.2, 55.8, 52.6, 52.5, 42.00, 37.8, 32.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₈FNNaO₅ Calcd. 512.1849, Found 512.1845.

Dimethyl 2-(4-chlorophenyl)-2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)malonate (5m):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (p-ClC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5m in 65% yield (0.033 g) as colourless oil. 

Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.52 (d, J = 8.4 Hz, 2H), 7.41 (d, J = 8.0 Hz, 1H), 7.30 (d, J = 8.6 Hz, 2H), 7.24 - 7.17 (m, 4H), 7.03 (t, J = 7.4 Hz, 1H), 6.81 - 6.79 (m, 3H), 4.21 (t, J = 6.5 Hz, 1H), 3.78 (s, 3H), 3.73 (s, 3H), 3.42 (s, 3H), 3.36 (s, 3H), 3.28 (dd, J = 14.6, 5.7 Hz, 1H), 3.18 (dd, J = 14.6, 7.3 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.7, 170.4, 158.0, 137.3, 136.5, 135.5, 133.7, 129.9, 129.2, 128.4, 126.8, 126.4, 121.7, 119.7, 118.9, 118.7, 113.7, 109.2, 61.2, 55.4, 52.6, 52.5, 41.9, 37.9, 32.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₈ClNNaO₅ Calcd. 528.1554, Found 528.1547.
Dimethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-(4-(trifluoromethyl)phenyl)malonate (5n):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (p-CF₃C₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5n in 55% yield (0.030 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); \(^1\)H NMR (CDCl₃, 400 MHz) δ 7.66 (d, \(J = 8.3\) Hz, 2H), 7.52 (d, \(J = 8.3\) Hz, 2H), 7.34 (d, \(J = 9.3\) Hz, 3H), 4.18 (t, \(J = 6.5\) Hz, 1H), 3.74 (s, 3H), 3.68 (s, 3H), 3.43 (s, 3H), 3.36 (s, 3H), 3.27 (dd, \(J = 14.6, 5.7\) Hz, 1H), 3.18 (dd, \(J = 14.5, 7.4\) Hz, 1H); \(^{13}\)C \{\(^1\)H\} NMR (CDCl₃,100 MHz) δ 170.5, 170.2, 158.0, 140.9, 137.3, 136.4, 129.2, 128.9, 126.8, 126.4, 125.0 (q, \(J = 3.7\)Hz), 124.1 (q, \(J = 270.5\) Hz), 121.7, 119.6, 119.0, 113.7, 109.3, 109.2, 61.6, 55.4, 52.7, 42.0, 37.9, 32.8; HRMS (ESI-TOF) m/z: [M+Na]^+ C₃₀H₂₈F₃NNaO₅ Calcd. 562.1817, Found 562.1802.

Dimethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-(3-(trifluoromethyl)phenyl)malonate (5p):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (m-CF₃C₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5p in 67% yield (0.036 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); FT-IR (v cm⁻¹): 2952, 1736, 1511, 1247, 1170, 1125; \(^1\)H NMR (CDCl₃, 400 MHz) δ 7.93 (s, 1H), 7.69 (d, \(J = 8.0\) Hz, 1H), 7.54 (d, \(J = 7.7\) Hz, 1H), 7.42 - 7.35 (m, 2H), 7.23 - 7.14 (m, 4H), 6.78 - 6.74 (m, 3H), 4.16 (t, \(J = 6.5\) Hz, 1H), 3.75 (s, 3H), 3.69 (s, 3H), 3.42 (s, 3H), 3.38 (s, 3H), 3.28 (dd, \(J = 14.6, 5.8\) Hz, 1H), 3.19 (dd, \(J = 14.5, 7.3\) Hz, 1H); \(^{13}\)C \{\(^1\)H\} NMR (CDCl₃,100 MHz) δ 170.5, 170.3, 158.0, 138.1, 137.3, 136.3, 131.8 (2), 130.5 (q, \(J = 32.1\) Hz), 129.2, 128.7, 126.8, 126.5, 125.5 (q, \(J = 4.1\) Hz), 124.5 (q, \(J = 3.5\) Hz), 124.2 (q, \(J = 270.9\) Hz), 121.7, 119.6, 118.9, 118.4, 113.7, 109.2, 61.5, 55.3, 52.6, 42.1, 37.9, 32.7; HRMS (ESI-TOF) m/z: [M+Na]^+ C₃₀H₂₈F₃NNaO₅ Calcd. 562.1817, Found 562.1802.
Dimethyl 2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)-2-(m-tolyl)malonate (5q):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (m-OMeC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5q in 72% yield (0.035 g) as colourless oil. \( R_f 0.35 \) (EtOAc: Hexane 3:7); \( ^1H \) NMR (CDCl₃, 400 MHz) \( \delta \) 7.38 - 7.34 (m, 3H), 7.23 - 7.20 (m, 4H), 7.15 (d, \( J = 7.2 \) Hz, 1H), 7.13 - 7.09 (m, 1H), 6.98 (t, \( J = 7.4 \) Hz, 1H), 6.79 - 6.75 (m, 3H), 4.24 (t, \( J = 6.4 \) Hz, 1H), 3.75 (s, 3H), 3.69 (s, 3H), 3.38 (s, 3H), 3.30 (s, 3H), 3.25-3.13 (m, 2H), 2.31 (s, 3H); \( ^13C \) \( \{^1H \} \) NMR (CDCl₃,100 MHz) \( \delta \) 171.2, 170.8, 157.9, 137.9, 137.3, 137.1, 136.8, 129.3, 129.0, 128.5, 128.2, 126.5, 125.4, 121.6, 119.8, 119.0, 118.8, 113.6, 109.1, 61.6, 55.4, 52.5, 52.3, 42.3, 37.9, 32.8, 21.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₀H₃₁NNaO₅ Calcd. 508.2100, Found 508.2099.

Dimethyl 2-(3-methoxyphenyl)-2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)malonate (5r):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (m-OMeC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5r in 76% yield (0.038 g) as colourless oil. \( R_f 0.25 \) (EtOAc: Hexane 3:7); \( ^1H \) NMR (CDCl₃, 400 MHz) \( \delta \) 7.34 (d, \( J = 8.0 \) Hz, 1H), 7.24 (d, \( J = 3.9 \) Hz, 1H), 7.23 – 7.18 (m, 4H), 7.16 – 7.10 (m, 2H), 6.97 (t, \( J = 7.4 \) Hz, 1H), 6.83 (dd, \( J = 8.2, 2.6 \) Hz, 1H), 6.78 (s, 1H), 6.75 (d, \( J = 3.9 \) Hz, 2H), 4.22 (t, \( J = 6.5 \) Hz, 1H), 3.74 (s, 3H), 3.73 (s, 3H), 3.68 (s, 3H), 3.37 (s, 3H), 3.31 (s, 3H), 3.23 (dd, \( J = 14.5, 5.7 \) Hz, 1H), 3.15 (dd, \( J = 14.5, 7.3 \) Hz, 1H); \( ^13C \) \( \{^1H \} \) NMR (CDCl₃,100 MHz) \( \delta \) 171.0, 170.6, 159.4, 157.9, 138.7, 137.3, 136.7, 129.3, 129.2, 126.9, 126.4, 121.6, 120.5, 119.8, 118.8 (2), 114.6, 113.6, 113.1, 109.1, 61.5, 55.4, 55.3, 52.5, 52.4, 42.4, 37.9, 32.7; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₀H₃₁NNaO₆ Calcd. 524.2049, Found 524.2041.
Dimethyl 2-(3-fluorophenyl)-2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)malonate (5s):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (m-FC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5s in 61% yield (0.030 g) as brown solid. mp: 137-140°C Rf 0.20 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2919, 1735, 1587, 1510, 1245; ¹H NMR (CDCl₃, 400 MHz) δ 7.47 (d, J = 11.3 Hz, 1H), 7.38 (d, J = 8.0 Hz, 1H), 7.32 - 7.28 (m, 2H), 7.24 - 7.22 (m, 3H), 7.17 (t, J = 7.5 Hz, 1H), 7.01 (t, J = 7.4 Hz, 2H), 6.81 – 6.79 (m, 3H), 7.01 (t, J = 6.5 Hz, 1H), 3.76 (s, 3H), 3.71 (s, 3H), 3.40 (s, 3H), 3.39 (s, 3H), 3.22 (dd, J = 14.5, 5.7 Hz, 1H), 3.13 (dd, J = 14.5, 7.4 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.6, 170.2, 162.6 (d, J = 243.5), 157.9, 139.5 (d, J = 7.6), 137.2, 136.3, 129.6 (d, J = 8.3), 129.2, 126.8, 126.4, 123.8, 123.7, 121.6, 119.6, 118.7 (d, J = 30.4 Hz), 116.1 (d, J = 23.6), 114.6 (d, J = 20.9), 113.6, 109.2, 61.2, 61.2, 55.3, 52.6, 52.5, 42.2, 37.8, 32.7; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₈FNNaO₅ Calcd. 512.1849, Found 512.1846.

Dimethyl 2-(3-chlorophenyl)-2-(2-(4-methoxyphenyl)-2-(1-methyl-1H-indol-3-yl)ethyl)malonate (5t):

Following the general procedure, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and (m-ClC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5t in 63% yield (0.032 g) as colourless oil. Rf 0.25 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.62 (s, 1H), 7.38 (t, J = 7.7 Hz, 2H), 7.24 - 7.18 (m, 4H), 7.17 - 7.13 (m, 2H), 6.99 (t, J = 7.4 Hz, 1H), 6.78-6.75 (m, 3H), 4.18 (t, J = 6.5 Hz, 1H), 3.75 (s, 3H), 3.70 (s, 3H), 3.39 (s, 3H), 3.34 (s, 3H), 3.22 (dd, J = 14.5, 5.7 Hz, 1H), 3.13 (dd, J = 14.5, 7.4 Hz, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 170.5, 170.3, 158.0, 139.0, 137.3, 136.3, 129.6 (d, J = 8.3), 129.2, 126.8, 126.4, 123.8, 123.7, 121.6, 119.6, 118.7 (d, J = 30.4 Hz), 116.1 (d, J = 23.6), 114.6 (d, J = 20.9), 113.6, 109.2, 61.2, 61.2, 55.3, 52.6, 52.5, 42.2, 37.8, 32.7; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₆ClINaO₅ Calcd. 512.1849, Found 512.1846.
Dimethyl 2-(3-methoxyphenyl)-2-(2-(1-methyl-1H-indol-3-yl)-2-phenylethyl)malonate (5w):

Following the general procedure, D-A cyclopropane (1b, 0.117 g, 0.5 mmol) was subjected to 1,3-bisarylation using 1-methylindole and (m-OMeC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5w in 77% yield (0.181 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.33 (d, J = 8.0 Hz, 1H), 7.28 - 7.22 (m, 3H), 7.21 - 7.15 (m, 5H), 7.09 (d, J = 8.3 Hz, 2H), 6.94 (t, J = 7.3 Hz, 1H), 6.80 (d, J = 10.2 Hz, 1H), 6.73 (s, 1H), 4.23 (t, J = 6.4 Hz, 1H), 3.68 (s, 3H), 3.63 (s, 3H), 3.31 (s, 3H), 3.24 (s, 3H), 3.21 - 3.13 (m, 2H); ¹³C (¹H) NMR (CDCl₃, 100 MHz) δ 170.9, 170.5, 159.4, 144.5, 138.5, 137.2, 129.2, 128.3, 128.2, 126.9, 126.5, 126.1, 121.6, 120.5, 119.7, 118.8, 118.4, 114.5, 113.1, 109.1, 61.4, 55.3, 52.5, 52.3, 42.2, 38.6, 32.7; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₉H₂₉NNaO₅ Calcd. 494.1943, Found 494.1934.

Dimethyl 2-(2,2-bis(4-methoxyphenyl)ethyl)-2-(3-methoxyphenyl)malonate (5x):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclopropane (1a, 0.027 g, 0.1 mmol) was subjected to 1,3-bisarylation using anisole and (m-OMeC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 5x in 69% yield (0.033 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.29 (d, J = 8.7 Hz, 1H), 7.16 - 7.14 (m, 5H), 7.09 (d, J = 7.9 Hz, 1H), 6.87 (dd, J = 8.1,2.4 Hz, 1H), 6.81 (d, J = 8.4 Hz, 4H), 3.95 (t, J = 6.1 Hz, 1H), 3.80 (s, 3H), 3.78 (s, 6H), 3.42 (s, 6H), 3.18 (d, J = 6.2 Hz, 2H); ¹³C (¹H) NMR (CDCl₃, 100 MHz) δ 170.7, 159.4, 158.0, 138.3, 137.5, 129.2, 128.8, 120.7, 114.7, 113.9, 113.2, 61.7, 55.4, 55.3, 52.5, 45.6, 41.8; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₈H₃₀NaO₇ Calcd. 501.1889, Found 501.1887.
7. General procedure for the preparation of compounds 7

Under N₂ atmosphere, donor-Acceptor (D-A) cyclobutane (1.0 equiv), arene (1.5 equiv.) and Sc(OTf)₃ (10 mol%) were taken in anhydrous diethyl ether (0.1 M). To this solution were successively added tBuOK (2.0 equiv) and Ar₃BiCl₂ (1.25 equiv) in one portion and the reaction mixture was stirred at room temperature until completion of the reaction as determined by TLC analysis (ca. 4-10 h). When the starting material was consumed, the crude production was purified by column chromatography on silica gel to provide the pure product.
8. Characterization data of compounds 7

**Dimethyl 2-(3-(1,3-dimethyl-1H-indol-2-yl)-3-(4-methoxyphenyl)propyl)-2-phenylmalonate (7a):**

Following the general procedure, D-A cyclobutane (6a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,3-dimethyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7a in 78% yield (0.039 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2951, 1734, 1609, 1510, 1247; ¹H NMR (CDCl₃, 400 MHz) δ 7.55 (d, J = 7.8 Hz, 1H), 7.25 – 7.20 (m, 5H), 7.18 – 7.14 (m, 2H), 7.11 – 7.07 (m, 1H), 7.03 (d, J = 8.4 Hz, 2H), 4.34 (dd, J = 11.2, 4.2 Hz, 1H), 3.74 (s, 3H), 3.72 (s, 3H), 3.67 (s, 3H), 3.31 (s, 3H), 2.41 – 2.35 (m, 1H), 2.30 (s, 3H), 2.25 – 2.20 (m, 2H), 2.06 – 1.98 (m, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.2 (2), 158.0, 137.1, 137.0, 136.8, 134.2, 128.6, 128.5, 128.4, 128.0, 127.8, 121.1, 118.7, 118.4, 113.8, 108.7, 108.5, 62.65, 52.9 (2), 40.6, 34.2, 30.5, 28.1, 9.5; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₁H₃₃NNaO₅ Calcd. 522.2256, Found 522.2252.

**Dimethyl 2-(3-(4-(benzyloxy)phenyl)-3-(1,3-dimethyl-1H-indol-2-yl)propyl)-2-phenylmalonate (7b):**

Following the general procedure, D-A cyclobutane (6b, 0.035 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,3-dimethyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7b in 75% yield (0.043 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz) δ 7.58 (d, J = 7.7 Hz, 1H), 7.43 – 7.32 (m, 5H), 7.29-7.25 (m, 5H), 7.20 (d, J = 5.7 Hz, 2H), 7.14-7.11 (m, 1H), 7.06 (d, J = 8.5 Hz, 2H), 6.86 (d, J = 8.4 Hz, 2H), 5.02 (s, 2H), 4.37 (dd, J = 10.5, 4.2 Hz, 1H), 3.75 (s, 3H), 3.70 (s, 3H), 3.35 (s, 3H), 2.44 – 2.36 (m, 1H), 2.33 (s, 3H), 2.26 - 2.24 (m, 2H), 2.10 - 2.02 (m, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.3, 171.2, 157.3, 137.1, 137.1, 137.0, 136.9, 134.6, 128.7, 128.6, 128.4, 128.1, 128.0, 127.8, 127.6, 121.1, 118.7, 118.4, 114.9, 108.7, 108.5, 70.2, 62.7, 52.8, 40.7, 34.3, 30.5, 28.2, 9.5; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₇H₃ₙNNaO₅ Calcd. 598.2569, Found 598.2567.
Dimethyl 2-(3-(1,3-dimethyl-1H-indol-2-yl)-3-(4-methoxyphenyl)propyl)-2-(m-tolyl)malonate (7c):

Following the general procedure, D-A cyclobutane (6a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,3-dimethyl indole and (m-MeC₆H₄)₂BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7c in 63% yield (0.032 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 2:8); ¹H NMR (CDCl₃, 400 MHz) δ 7.56 (d, J = 7.8 Hz, 1H), 7.18 (d, J = 5.5 Hz, 2H), 7.16 - 7.09 (m, 3H), 7.07 - 7.04 (m, 4H), 6.77 (d, J = 8.3 Hz, 2H), 4.36 (dd, J = 10.6, 4.4 Hz, 1H), 3.76 (s, 3H), 3.74 (s, 3H), 3.69 (s, 3H), 3.35 (s, 3H), 2.43 - 2.35 (m, 1H), 2.31 (s, 3H), 2.28 (s, 3H), 2.25 - 2.16 (m, 2H), 2.09 - 2.01 (m, 1H), 13C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1, 171.0, 158.1, 138.0, 137.1, 137.1, 136.8, 134.3, 128.6, 128.6, 128.5, 128.5, 128.3, 125.1, 121.1, 118.7, 118.4, 113.9, 108.7, 108.4, 62.7, 55.4, 52.9, 52.8, 40.7, 34.4, 30.5, 28.2, 21.8, 9.5; HRMS (ESI-TOF) m/z: [M+Na]+ C₃₂H₃₅NNaO₅ Calcd. 536.2413, Found 536.2385.

Dimethyl 2-(3-(1,3-dimethyl-1H-indol-2-yl)-3-(4-methoxyphenyl)propyl)-2-(3-methoxyphenyl)malonate (7d):

Following the general procedure, D-A cyclobutane (6a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,3-dimethyl indole and (m-OMeC₆H₄)₂BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 7d in 59% yield (0.031 g) as colourless oil. Rf 0.20 (EtOAc: Hexane 2:8); ¹H NMR (CDCl₃, 400 MHz) δ 7.55 (d, J = 7.7 Hz, 1H), 7.20 - 7.15 (m, 3H), 7.12 - 7.09 (m, 1H), 7.05 (d, J = 8.4 Hz, 2H), 6.86 (s, 1H), 6.83 - 6.80 (m, 2H), 6.77 (d, J = 8.4 Hz, 2H), 4.35 (dd, J = 10.2, 4.9 Hz, 1H), 3.76 (s, 3H), 3.73 (s, 3H), 3.69 (s, 3H), 3.34 (s, 3H), 2.42 - 2.36 (m, 1H), 2.31 (s, 3H), 2.27 - 2.17 (m, 2H), 2.09 - 2.01 (m, 1H), 13C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1 (2), 159.5, 158.1, 138.4, 137.1, 137.0, 134.3, 129.3, 128.6, 121.1, 120.2, 118.7, 118.4, 114.4, 113.9, 112.9, 108.7, 108.4, 62.6, 55.4, 55.3, 52.9, 52.8, 40.6, 34.6, 30.5, 28.2, 9.5; HRMS (ESI-TOF) m/z: [M+Na]+ C₃₂H₃₅NNaO₆ Calcd. 552.2362, Found 552.2352.
Dimethyl 2-(3-(1,3-dimethyl-1H-indol-2-yl)-3-(4-methoxyphenyl)propyl)-2-(4-fluorophenyl)malonate (7e):

Following the general procedure, D-A cyclobutane (1a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,3-dimethyl indole and (p-FC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7e in 62% yield (0.032 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); FT-IR (ν cm⁻¹): 2950, 1735, 1608, 1512, 1248; ¹H NMR (CDCl₃, 400 MHz) δ 7.58 (d, J = 7.7 Hz, 1H), 7.24 - 7.18 (m, 4H), 7.15 - 7.11 (m, 1H), 7.07 (d, J = 8.5 Hz, 2H), 6.95 (t, J = 8.6 Hz, 2H), 6.79 (d, J = 8.5 Hz, 2H), 4.37 (dd, J = 10.4, 4.3 Hz, 1H), 3.77 (s, 3H), 3.75 (s, 3H), 3.69 (s, 3H), 3.35 (s, 3H), 2.43 - 2.37 (m, 1H), 2.33 (s, 3H), 2.25 - 2.19 (m, 2H), 2.07 – 1.98 (m, 1H); ¹³C {¹H} NMR (CDCl₃, 100 MHz) δ 171.1, 162.1 (d, J = 246 Hz), 158.1, 137.1, 136.87, 134.1, 132.4 (d, J = 3.6 Hz). 129.9 (d, J = 8 Hz) 128.6, 121.2, 118.8, 118.4, 115.2 (d, J = 21.3 Hz) 113.9, 108.7, 108.5, 62.0, 55.4, 52.9, 40.5, 34.1, 30.5, 28.1, 9.5; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₁H₃₂FNNaO₅ Calcd. 540.2162, Found 540.2153.

Dimethyl 2-(3-(4-methoxyphenyl)-3-(1-methyl-1H-indol-3-yl)propyl)-2-phenylmalonate (7f)

Following the general procedure, D-A cyclobutane (6a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1-methyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7f in 76% yield (0.037 g) as colourless oil. Rf 0.35 (EtOAc: Hexane 3:7); ¹H NMR (CDCl₃, 400 MHz): δ 7.40 (d, J = 7.9 Hz, 1H), 7.33 - 7.24 (m, 6H), 7.21 – 7.15 (m, 3H), 7.00 (t, J = 7.5 Hz, 1H), 6.81 (d, J = 8.4 Hz, 2H), 6.76 (s, 1H), 4.10 (t, J = 7.5 Hz, 1H), 3.77 (s, 3H), 3.72 (s, 9H), 2.47 - 2.30 (m, 2H), 2.18 - 2.09 (m, 1H), 1.97 – 1.89 (m, 1H); ¹³C{¹H} NMR (CDCl₃, 100 MHz): δ 171.4, 171.3, 158.0, 137.3, 137.1, 137.0, 128.9, 128.3, 127.7, 127.4, 126.0, 121.6, 119.6, 118.8, 118.7, 113.8, 109.2, 62.8, 55.3, 52.8, 42.4, 34.4, 32.8, 31.3; HRMS (ESI-TOF) m/z: [M+Na]⁺ C₃₀H₃₁NNaO₅ Calcd. 508.2100, Found 508.2091.
Dimethyl 2-(3-(1,2-dimethyl-1H-indol-3-yl)-3-(4-methoxyphenyl)propyl)-2-phenylmalonate (7g)

Following the general procedure, D-A cyclobutane (6a, 0.028 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1,2-dimethyl indole and Ph₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 1:9), which afforded compound 7g in 70% yield (0.033 g) as colourless oil. Rᶠ 0.35 (EtOAc: Hexane 3:7); \(^1\)H NMR (CDCl₃, 400 MHz): δ 7.40 (d, \(J = 8.0\) Hz, 1H), 7.32 - 7.28 (m, 6H), 7.23 - 7.20 (m, 2H), 7.14 (t, \(J = 7.5\) Hz, 1H), 6.98 (t, \(J = 7.5\) Hz, 1H), 6.80 - 6.76 (m, 2H), 4.17 (t, \(J = 7.5\) Hz, 1H), 3.77 (s, 3H), 3.71 (s, 3H), 3.70 (s, 3H), 3.64 (s, 3H), 2.44 – 2.33 (m, 4H), 2.30 – 2.17 (m, 3H); \(^{13}\)C{\(^{1}\)H} NMR (CDCl₃, 100 MHz): δ 171.5, 171.3, 157.6, 137.5, 137.0, 136.7, 133.4, 128.6, 128.3, 128.2, 127.6, 126.7, 120.4, 119.6, 118.7, 113.6, 113.1, 108.7, 62.7, 55.3, 52.7, 52.7, 41.8, 34.4, 29.7, 29.7, 10.8; HRMS (ESI-TOF) m/z: [M+Na]+ C₃₁H₃₃NNaO₅ Calcd. 522.2256, Found 522.2250.

Dimethyl 2-(3-(4-(benzyloxy)phenyl)-3-(2,4,6-trimethoxyphenyl)propyl)-2-(3-methoxyphenyl)malonate (7h):

This reaction was carried out following the general procedure, except DCM was used as solvent and it was heated at 50 °C on an oil bath. Accordingly, D-A cyclobutane (6b, 0.035 g, 0.1 mmol) was subjected to 1,3-bisarylation using 1, 3, 5-trimethoxybenzene and (m-OMeC₆H₄)₃BiCl₂ as arene sources and the crude product was purified via silica gel column chromatography (EtOAc: Hexane 2:8), which afforded compound 7h in 81% yield (0.051 g) as colourless oil. Rᶠ 0.20 (EtOAc: Hexane 2:8); \(^1\)H NMR (CDCl₃, 400 MHz): δ 7.41 (d, \(J = 7.5\) Hz, 2H), 7.36 (t, \(J = 7.4\) Hz, 2H), 7.30 (t, \(J = 7.1\) Hz, 1H), 7.22 (t, \(J = 8.0\) Hz, 1H), 7.16 (d, \(J = 8.4\) Hz, 2H), 6.98 (s, 1H), 6.95 (d, \(J = 7.9\) Hz, 1H), 6.81 (t, \(J = 6.8\) Hz, 3H), 6.10 (s, 2H), 5.00 (s, 2H), 4.52 (dd, \(J = 9.1\), 6.1 Hz, 1H), 3.78 (s, 6H), 3.72 (s, 3H), 3.69 (s, 9H), 2.35-2.27 (m, 1H), 2.24 - 2.10 (m, 2H), 2.04 -1.95 (m, 1H); \(^{13}\)C {\(^{1}\)H} NMR (CDCl₃, 100 MHz): δ 171.3, 171.3, 159.6, 159.4, 159.2, 156.6, 138.6, 137.9, 137.6, 129.0, 128.9, 128.6, 127.9, 127.6, 120.6, 114.7, 114.1, 113.4, 112.7, 91.3, 70.1, 62.7, 55.7, 55.3, 55.3, 52.7, 52.6, 38.8, 34.6, 27.1; HRMS (ESI-TOF) m/z: [M+Na]+ C₃₇H₄₀NaO₉ Calcd. 651.2570, Found 651.2568.
9. Synthesis of highly branched α-aryl carboxylic acid derivatives from 1,3-diarylated products (8a-8c)

In a 10 mL sealed tube were successively added compound 4/5 (0.5 mmol, 1 equiv), LiCl (1.0 mmol, 2.0 equiv), water (60.0 µL) and DMF (5.0 mL). The reaction mixture was heated to reflux for 8 h on an oil bath. After completion, the mixture was cooled and diluted with CH₂Cl₂ (10 mL). The combined organic layer was washed with water and extracted in CH₂Cl₂ (3 x 5 mL). The combined organic layer was collected, dried and evaporated under reduced pressure. The crude residue was directly used in the next step without further purification. The crude was taken into THF (5.0 mL) and was added a solution of LiOH.H₂O (1.5 mmol) in MeOH-H₂O (4:1, 5.0 mL) drop wise. The resulting mixture was stirred at room temperature for 6 h. It was then quenched with 10% aqueous HCl (pH ~2) and the solvent was removed under reduced pressure. The residue was further diluted with ethyl acetate (10 mL) and washed with water (2 x 5 mL). The combined organic layer was dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure, and finally purified through silica gel column chromatography EtOAc/PE (3:7) to afford the final products. A baseline tail was observed in TLC analysis (EtOAc: Hexane 3:7).

4-(4-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)-2-phenylbutanoic acid (8a):

Following the general procedure, compound 4a (0.24 g, 0.5 mmol) was transformed into the α-aryl carboxylic acid derivative 8a in 78% yield (0.155 g, colorless oil) as inseparable mixture of diastereomers (dr 2:1). \(^1\)H NMR (CDCl₃, 400 MHz) δ 7.39 (d, J = 8.0 Hz, 1H), 7.29 (d, J = 7.2 Hz, 1H), 7.23 (dd, J = 7.5, 2.0 Hz, 3H), 7.20 - 7.16 (m, 5H), 7.14 - 7.06 (m, 4H), 6.96 (t, J = 7.4 Hz, 1H), 6.89(t, J= 7.6 Hz, 0.5H) 6.78 (s, 1H), 6.75 - 6.73 (m, 3H), 4.02 (t, J = 7.7 Hz, 1H), 3.88 (t, J= 7.7 Hz, 0.5H) 3.70 (s, 4H), 3.66 (s, 3H), 3.65 (s, 1.5H), 3.51 (t, J = 7.4 Hz, 1H), 3.46(tJ= 8.0 Hz, 0.5H) 2.99 - 2.92 (m, 1H), 2.69 - 2.64 (m, 1H), 2.34 - 2.27 (m, 1H); \(^13\)C \(^{1}\)H NMR (CDCl₃, 100 MHz) δ 179.7, 158.2 158.1, 138.8, 138.1, 137.4, 136.5, 135.9, 129.1, 128.9 (2), 128.8, 128.6, 128.3, 127.8, 127.6, 127.4, 127.2, 126.1, 125.9, 121.8, 121.7, 119.8, 119.6, 118.9, 118.8, 118.0, 114.0 (2), 109.24, 55.36, 49.55, 49.33, 39.8, 39.3, 39.0, 32.8(2); HRMS (ESI-TOF) m/z: [M+Na]⁺ C₂₆H₂₅NNaO₃ Calcd. 422.1732, Found 422.1725.
4-(1-methyl-1H-indol-3-yl)-2-phenyl-4-(thiophen-2-yl)butanoic acid (8b):

Following the general procedure, compound 4t (0.224g, 0.5 mmol) was transformed into the α-aryl carboxylic acid derivative 8b in 71% yield (0.13 g, colorless oil) as inseparable mixture of diastereomers (dr 1:1). **FT-IR** (v cm⁻¹): 3028, 2929, 1703, 1473, 742; **¹H NMR** (CDCl₃, 400 MHz) δ 7.39 (d, J = 7.9 Hz, 1H), 7.24 - 7.17 (m, 7H), 7.14 (dd, J = 7.7, 2.7 Hz, 4H), 7.10 - 7.04 (m, 2H), 7.02 - 6.99 (m, 2H), 6.94 (t, J = 7.4 Hz, 1H), 6.88 (t, J = 7.4 Hz, 1H), 6.81 - 6.78 (m, 4H), 6.73 (s, 1H), 4.27 - 4.16 (m, 2H), 3.60 (s, 3H), 3.59 (s, 2H), 3.50 (t, J = 7.5 Hz, 1.8H), 2.96 - 2.89 (m, 1H), 2.77 - 2.69 (m, 0.8H), 2.63 - 2.56 (m, 0.8H), 2.46 - 2.39 (m, 1H); **¹³C {¹H} NMR** (CDCl₃, 100 MHz) δ 180.1 (2), 149.1, 148.4, 138.2, 137.9, 137.3 (2), 128.9 (2), 128.5, 128.4, 127.8 (2), 126.8, 126.7, 126.6, 126.5, 126.3, 124.5, 124.2, 123.8, 123.6, 121.8 (2), 119.7, 119.4, 119.1, 119.0, 117.6, 116.9, 109.4 (2), 49.5, 49.4, 40.3, 40.1, 35.9, 35.62 32.9, 32.8; **HRMS (ESI-TOF)** m/z: [M+Na]⁺ C₂₃H₂₁NNaO₂S Calcd. 398.1191, Found 398.1184.

4-(4-methoxyphenyl)-2-phenyl-4-(2,4,6-trimethoxyphenyl)butanoic acid (8c):

Following the general procedure, compound 5g (0.254g, 0.5 mmol) was transformed into the α-aryl carboxylic acid derivative 8c in 73% yield (0.16 g, colorless oil) as inseparable mixture of diastereomers (dr 1:1). **¹H NMR** (CDCl₃, 400 MHz) δ 7.24 - 7.19 (m, 7H), 7.17 - 7.13 (m, 6H), 6.70 (t, J = 8.1 Hz, 4H), 6.04 (s, 4H), 4.61 (dd, J = 10.6, 5.8 Hz, 0.8H), 4.28 (dd, J = 11.0, 5.5 Hz, 1H), 3.74 (s, 2H), 3.72 (s, 3H), 3.70 (s, 2H), 3.69 (s, 3H), 3.58 (s, 6H), 3.55 (s, 4H), 3.34 (dd, J = 9.9, 5.2 Hz, 2H), 3.16 - 3.09 (m, 1H), 2.83 - 2.76 (m, 0.8H), 2.63 - 2.56 (m, 0.8H), 2.53 - 2.46 (m, 1H); **¹³C {¹H} NMR** (CDCl₃, 100 MHz) δ 180.8, 180.6, 159.9, 159.8, 159.3, 157.4, 157.3, 139.5, 138.5, 137.2, 137.0, 128.8 (2), 128.7, 128.6, 128.4, 128.1, 127.3, 113.2, 113.1, 112.4, 111.7, 91.2, 91.0, 55.5, 55.3 (2), 50.2, 50.1, 36.3, 36.1, 36.0, 35.4; **HRMS (ESI-TOF)** m/z: [M+Na]⁺ C₂₆H₂₆NaO₆ Calcd. 459.1784, Found 459.1778.
10. Synthesis of tri-substituted olefins (9)

In a 10 mL sealed tube, DDQ (0.12 mmol, 1.2 equiv.) was added to a solution of the 1,3- or 1,4-bisarylated compounds (4 or 5, 0.1 mmol, 1.0 equiv) in dry CH₂Cl₂ (1.0 mL) at 0 °C. The mixture was stirred at same temperature for 1h. Upon completion of the reaction as was determined by TLC analysis, the reaction mixture was diluted with CH₂Cl₂ (3.0 mL) and the organic layer was washed with aqueous saturated brine solution (2 x 3 mL). The combined organic layer was next dried over anhydrous Na₂SO₄, filtered, and concentrated under reduced pressure. The crude product was then purification by silica gel flash column chromatography using EtOAc /Hexane as eluent (1:9) to afford the desired products.

Dimethyl 2-(3-methoxyphenyl)-2-(2-(1-methyl-1H-indol-3-yl)-2-phenylvinyl)malonate (9a):

Following the general procedure, compound 4p (0.047 g, 0.1 mmol) was transformed into tri-substituted olefin 9a in 86% yield (0. 044 g, gummy solid) as inseparable mixture of isomers (Z/E > 20:1). Rf 0.35 (EtOAc: Hexane 2:8); ¹H NMR (CDCl₃, 400 MHz) δ 8.01 (d, J = 7.9 Hz, 1H), 7.62 - 7.44 (m, 2H), 7.46 (dd, J = 7.3, 1.7 Hz, 1H), 7.31 (d, J = 7.2 Hz, 2H), 7.27 - 7.20 (m, 5H), 7.07 - 7.03 (m, 2H), 6.93 (dd, J = 7.1, 2.1 Hz, 1H), 6.46 (s, 1H), 3.71 (s, 3H), 3.67 (s, 3H), 3.47 (s, 3H); ¹³C ¹H NMR (CDCl₃,100 MHz) δ 170.6, 169.4, 139.4, 138.2, 137.8, 137.3, 132.7, 131.6, 129.2, 129.0, 128.1, 127.7, 126.6, 125.9, 124.7, 123.5, 122.2, 121.0, 120.4, 117.4, 109.7, 63.4, 53.3, 52.8, 33.0; HRMS (ESI-TOF) m/z: [M+H]⁺ C₂₈H₂₅BrNO₄ Calcd. 518.0967, Found 518.0967.

Dimethyl 2-(2-(2-bromophenyl)-2-(1-methyl-1H-indol-3-yl)vinyl)-2-phenylmalonate (9b):

Following the general procedure, compound 5w (0.047 g, 0.1 mmol) was transformed into tri-substituted olefin 9b in 90% yield (0. 042 g) as gummy solid. Rf 0.30 (EtOAc: Hexane 2:8); FT-IR (ν cm⁻¹): 2951, 1734, 1582, 1240, 745; ¹H NMR (CDCl₃, 400 MHz) δ 7.74 (d, J = 8.0 Hz, 1H), 7.24 (s, 1H), 7.21 - 7.17 (m, 4H), 7.15 -
7.09 (m, 6H), 6.99 (d, J = 7.3 Hz, 2H), 6.98(s, 1H) 6.77 - 6.74 (m, 1H), 6.59 (s, 1H), 3.69 (s, 3H), 3.64 (s, 3H), 3.42 (s, 6H); $^{13}$C $^{1}$H NMR (CDCl$_3$,100 MHz) δ 170.3, 159.2, 139.7, 139.3, 138.7, 137.7, 129.9, 129.8, 129.0, 127.6, 126.2, 122.2, 122.0, 121.6, 121.1, 120.3, 115.3, 113.2, 109.6, 63.8, 55.4, 52.9, 33.0; HRMS (ESI-TOF) m/z: [M+H]$^{+}$ C$_{29}$H$_{28}$NO$_5$ Calcd. 470.1967, Found 470.1962.

Dimethyl 2-(3-(4-(benzylxloxy)phenyl)-3-(2,4,6-trimethoxyphenyl)allyl)-2-(3-methoxyphenyl)malonate (9c):

Following the general procedure, compound 7h (0.063 g, 0.1 mmol) was transformed into tri-substituted olefin 9c in 91% yield (0.057 g) as gummy solid. $R_f$ 0.35 (EtOAc: Hexane 2:8); $^1$H NMR (CDCl$_3$, 400 MHz) δ 7.41 - 7.34 (m, 4H), 7.30 (d, J = 7.1 Hz, 1H), 7.23 (t, J = 8.0 Hz, 1H), 7.07 - 7.01 (m, 4H), 6.84 - 6.77 (m, 3H), 6.18 (s, 2H), 5.97 (t, J = 6.5 Hz, 1H), 5.00 (s, 2H), 3.86 (s, 3H), 3.78 (s, 3H), 3.71 (s, 6H), 3.67 (s, 6H), 2.99 (d, J = 6.5 Hz, 2H); $^{13}$C $^{1}$H NMR (CDCl$_3$,100 MHz) δ 171.3, 161.0, 159.3, 158.7, 157.8, 138.2, 137.4, 135.3, 135.1, 129.0, 128.6, 128.0, 127.6, 127.2, 123.7, 120.9, 115.1, 114.4, 112.9, 109.5, 90.9, 70.1, 62.4, 55.9, 55.4, 55.3, 52.8, 35.4; HRMS (ESI-TOF) m/z: [M+H]$^{+}$ C$_{37}$H$_{39}$O$_9$ Calcd. 627.2594, Found 627.2591.
11. HPLC data of the compounds

Synthesis of enantiopure (R)-4a: The enantiopure compound (R)-4b was prepared from (S)-1b following the general procedure as described for the preparation of the racemic compound 4b.

Scheme S1. Stereoselective 1,3-bisarylation reaction

HPLC data for (R)-4b: Enantiomeric excess (94%) was determined by HPLC analysis using a Chiralcel OJ-H-3 column, with IPA/Hex = 5:95 at a flow rate 1.0 mL/min detected at 254 nm wavelength. Elution time: 9.68 min.

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12. NMR spectra of new compounds

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\[ 4a \quad 4a \]

(400 MHz, CDCl\textsubscript{3})

13.

\[ \text{MeO} \quad \text{Me} \quad \text{CO}_2\text{Me} \]
\[ 4a \quad 4a \]

(100 MHz, CDCl\textsubscript{3})
(400 MHz, CDCl₃)

(100 MHz, CDCl₃)
(400 MHz, CDCl₃)

(100 MHz, CDCl₃)
(400 MHz, CDCl₃)

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(400 MHz, CDCl₃)

(100 MHz, CDCl₃)
(400 MHz, CDCl$_3$)

(100 MHz, CDCl$_3$)
(400 MHz, CDCl₃)

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(100 MHz, CDCl₃)
\[(400 \text{ MHz, CDCl}_3)\]

\[(100 \text{ MHz, CDCl}_3)\]
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MeO

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(400 MHz, CDCl$_3$)

(100 MHz, CDCl$_3$)
14. Crystallographic Data

Compound 5a was crystalized from the mixture of solvent CH$_2$Cl$_2$: MeOH (100:1) via slow evaporation. Crystal structures of 5a was determined on Bruker D8 Quest equipped with a micro-focus source to generate Mo Kα radiation ($\lambda = 0.71073$ Å) and a PHOTON II CMOS detector. Data were collected at 298K. Integration and scaling of data were performed by SAINT$^1$ and SADABS program$^2$ respectively. The structures were solved by direct methods using SHELXT-2018$^3$ and refined by full-matrix least-squares on F$^2$ using SHELXL-2018/3 version$^3$. All non-hydrogen atoms were refined anisotropically and all hydrogen atoms were placed at calculated positions using riding models.

ORTEP diagram of 5a (CCDC No 2003418) : Atoms are shown with 30% probability of thermal ellipsoids

Table S2. Crystal data and refinement parameters

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References:

2. SADABS, Version 2.05; Bruker AXS Inc.: Madison, WI, 2002.
15. References

