Supporting Information for

Transition-metal-free, visible-light-induced multicomponent synthesis of allylic amines and tetrahydroquinolines

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1. General Experimental Details

Unless otherwise noted, reagents were purchased from Aldrich Chemical Co. (Darmstadt, Germany), Adamas-beta (Shanghai, China), and Energy Chemical (Shanghai, China) and used without further purification. Commercially available activated powdered 4Å MS, anhydrous dichloromethane (DCM) and acetonitrile (MeCN) were used directly. All known compounds are prepared according to the literature. All reactions were run under an inert atmosphere (N₂) unless otherwise stated, with oven-dried glassware, using standard techniques. Irradiation of the reaction mixture was achieved using a 40 W Kessil A160WE LED - Tuna blue aquarium light (setup: max blue, max intensity). Clear glass vials (3 mL) with PTFE/silicon septum lined screw caps were used as the standard reaction vessel. Proton nuclear magnetic resonance (¹H NMR, 600 MHz) and carbon-13 nuclear magnetic resonance (¹³C NMR, 150 MHz) spectra were measured on a JNM-ECZ600R/S1 (JEOL, Tokyo, Japan) with CDCl₃ as solvent and recorded in ppm relative to an internal tetramethylsilane standard. High-resolution mass spectra (HRMS) were recorded on a 6520 Q-TOF MS system (Agilent, Santa Clara, CA, USA) using an electrospray (ESI) ionization source. Low-resolution mass spectra were obtained on an Agilent 1260-6120 ESI-LC/MS. Cyclic voltammetry measurements were performed in dry DCM or CH₃CN on a CHI 610E electrochemical analyzer with a three-electrode cell, using 0.1 M Bu₄NPF₆ as supporting electrolyte, AgCl/Ag as reference electrode, platinum disk as working electrode, Pt wire as counter electrode, and a scan rate at 100 mV/s. Stern-Volmer quenching was performed using a Techcomp FL970 spectrofluorometer with a 150W xenon lamp.

2. Setup for Photocatalytic Reactions





Figure S1. (a) General reaction setup using Kessil lamp as light sources, (b) General reaction setup using strips of blue LEDs as light sources.

3. Reaction Optimizations

3.1 Photocatalytic Radical Alkenylation to Synthesize Tertiary Allylic Amines

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with dibenzylamine (1aa), 3-phenylpropanal (2ab), (E)-(2-

(phenylsulfonyl)vinyl)benzene (**3ac**), Hantzsch ester **5a**, 4Å MS and the respective photocatalyst. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N_2 (3 cycles), followed by the addition of the anhydrous dichloromethane via syringe. Acid additive was then added using a micro-syringe in some cases. Then it was irradiated for indicated time with the respective light source. Upon completion, the reaction mixture was analyzed by TLC and directly loaded onto the prepared TLC glass plate using EtOAc/P.E. as an eluent to afford product **4aa**.

Table S1. Reaction Optimizations of Photocatalytic Radical Alkenylation to Synthesize

 Tertiary Allylic Amines

	Bn ^N _{Bn} + 0	∽ ^{Ph} + _{Ph} ∕∕∕	SO ₂ Phadditive, 4 Å mol. sieves	e LED Bn´	Bn .NPh	
	1aa ;	2ab 3a	CH ₂ Cl ₂ , N ₂ , rt		 Ph 4aa (<i>E/Z</i>)	
Entry	1a, 2a, 3a (mmol)	Photocatalyst	Additive	Time	Yield ^a	$E:Z^b$
1	0.2, 0.2, 0.1	Ir(ppy) ₃	propionic acid (20 mol%)	24 h	82%	41:52
2	0.2, 0.2, 0.1	Ir(ppy) ₃	propionic acid (20 mol%)	48 h	78%	21:79
3	0.2, 0.2, 0.1	CzIPN	propionic acid (20 mol%)	2 h	93%	>99:1
4	0.2, 0.2, 0.1	CzIPN	none	2 h	94%	>99:1
5	0.12, 0.12, 0.1	CzIPN	none	2 h	73%	>99:1
6	0.1, 0.1, 0.2	CzIPN	none	2 h	67%	>99:1
7	0.2, 0.2, 0.1	none	none	2 h	0%	-
8 ^c	0.2, 0.2, 0.1	CzIPN	none	2 h	11%	>99:1
9 ^d	0.2, 0.2, 0.1	CzIPN	none	2 h	80%	>99:1
10 ^e	0.2, 0.2, 0.1	CzIPN	none	2 h	65%	>99:1
11 ^f	0.2, 0.2, 0.1	CzIPN	none	2 h	86%	>99:1
12	0.2, 0.2, 0.1	None	none	2 h	0%	-
13 ^g	0.2, 0.2, 0.1	CzIPN	none	2 h	8%	>99:1
(a) Isolated yields; (b) the isomer could be isolated from each other by prepared TLC glass plate (PE:EA = 100:1) (c) reaction run without $5a$; (d) reaction run without 4Å mol. sieves; (e) reaction run without nitrogen protection; (f) using						

strips of blue LEDs as light sources, (g) reaction run without 5a.

3.2 Photocatalytic Addition of Aniline to Vinyl Sulfone

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with *N*-Methyl-*p*-toluidin (**6b**), (*E*)-(2-(phenylsulfonyl)vinyl)benzene (**3ac**), and the respective photocatalyst and base. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N₂ (3 cycles), followed by the addition of the anhydrous CH₃CN via syringe. Then it was irradiated for indicated time with the respective light source. Upon completion, the reaction mixture was analyzed by TLC and the solvent was removed in vacuo. The residue was purified by the prepared TLC glass plate (EtOAc/P.E.) to afford the product **9b**.





	00	Jac	55		
Entry	11a, 3a (mmol)	Photocatalyst	Additive	Time	Yield ^a
1	0.2, 0.1	Ir(dMeppy) ₃	NaOAc (2.0 equiv)	2 h	45%
2	0.1, 0.2	Ir(dMeppy) ₃	NaOAc (2.0 equiv)	2 h	35%
3	0.2, 0.1	Ir(dMeppy) ₃	DABCO (2.0 equiv)	2 h	49%
4	0.2, 0.1	Ir(dMeppy) ₃	quinuclidine (2.0 equiv)	2 h	37%
5	0.2, 0.1	Ir(dMeppy) ₃	Et ₃ N (2.0 equiv)	2 h	31%
6	0.2, 0.1	Ir(dMeppy) ₃	piperidine (2.0 equiv)	2 h	20%
7	0.2, 0.1	Ir(dMeppy) ₃	K ₃ PO ₄ (2.0 equiv)	2 h	9%
8	0.2, 0.1	Ir(dMeppy) ₃	Cs ₂ CO ₃ (2.0 equiv)	2 h	0%
9	0.5, 0.1	Ir(dMeppy) ₃	DABCO (5.0 equiv)	2 h	53%
10	0.5, 0.1	Ir(ppy) ₃	DABCO (5.0 equiv)	2 h	55%
11	0.5, 0.1	Ir(dtbbpy)(ppy) ₂ PF ₆	DABCO (5.0 equiv)	15 h	48%
12	0.5, 0.1	Ir(dF(CF ₃)ppy) ₂ (dtbbpy)PF ₆	DABCO (5.0 equiv)	2 h	0%
13	0.5, 0.1	Ir(dF(Me)ppy) ₂ (dtbbpy)PF ₆	DABCO (5.0 equiv)	2 h	0%

14	0.5, 0.1	CzIPN	DABCO (5.0 equiv)	2 h	trace
15 ^b	0.5, 0.1	Ir(ppy) ₃	DABCO (5.0 equiv)	2 h	16%
16°	0.5, 0.1	Ir(ppy)3	DABCO (5.0 equiv)	2 h	58%
17°	0.5, 0.1	Ir(ppy) ₃	DABCO (1.0 equiv)	2 h	57%
18°	0.2, 0.1	Ir(ppy) ₃	DABCO (1.0 equiv)	2 h	53%
19 ^d	0.5, 0.1	Ir(ppy) ₃	DABCO (5.0 equiv)	2 h	46%

(a) Isolated yields; (b) reaction run without nitrogen protection; (c) reaction run without 4Å mol. sieves; (d) using strips of blue LEDs as light sources.

4. Synthetic Procedures

4.1 General Procedure A: Photocatalytic Radical Alkenylation to Synthesize Tertiary Allylic Amines

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with all solid reagents such as vinyl sulfone (3), Hantzsch ester 5a, 4Å MS and 4CzIPN. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N₂ (3 cycles), followed by the addition of the anhydrous dichloromethane via syringe. The liquid amine (1) and aldehyde (2) were added using a microsyringe. Then it was irradiated for 2 hours with the Kessil lamp with vigorous stirring. Upon completion, the reaction mixture was analyzed by TLC and directly loaded onto the column or prepared TLC glass plate using EtOAc/P.E. as an eluent to afford the desired product.

4.2 General Procedure B: Photocatalytic Addition of Aniline to Vinyl Sulfone

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with aniline (6), vinyl sulfone (3), DABCO, and $Ir(ppy)_3$. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N₂ (3 cycles), followed by the addition of the anhydrous CH₃CN via syringe. Then it was irradiated for 2 hours with the Kessil lamp with vigorous stirring. Upon completion, the reaction mixture was analyzed by TLC and the solvent was removed in vacuo. The residue was purified by flash chromatography on silica gel or prepared TLC glass plate (EtOAc/P.E.) to afford the desired product.

4.3 General Procedure C: Elimination of Arenesulfinate from 9 to 2-Vinylanilines

A 3 mL dry and clear glass vial equipped with a magnetic stir bar was charged with 9 and DMF. The vial was purged with N_2 , and KOtBu was added slowly. Then the mixture was stirred

vigorously for 1 hours at room temperature. Upon completion, the reaction mixture was analyzed by TLC and poured into water. The mixture was extracted with EA. The combined organic layer was dried over NaSO₄. After removal of solvent, the residue was purified by flash chromatography on silica gel or prepared TLC glass plate (EtOAc/P.E.) to afford the desired product.

4.4 General Procedure D: The One-Pot Two-Step Method to Synthesize 2-Vinylanilines from Aniline and Vinyl Sulfone

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with aniline (6), vinyl sulfone (3), DABCO, and Ir(ppy)₃. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N_2 (3 cycles), followed by the addition of the anhydrous CH₃CN via syringe. Then it was irradiated for 2 hours with the Kessil lamp with vigorous stirring. Upon completion, the reaction mixture was analyzed by TLC and KO*t*Bu was added under the protection of N_2 flow. Then the reaction mixture was stirred vigorously for another 1 hours at room temperature. After that, the reaction mixture was poured into water and extracted with EA. The combined organic layer was dried over NaSO₄. After removal of solvent, the residue was purified by flash chromatography on silica gel or prepared TLC glass plate (EtOAc/P.E.) to afford the desired product.

4.5 General Procedure E: Cyclization Reaction between 2-Vinylaniline and Aldehyde to Synthesize Tetrahydroquinolines

A 3 mL PTFE/Silicone-lined septa screw cap (PP) clear glass vial equipped with a magnetic stir bar was charged with 2-Vinylaniline (10), Hantzsch ester 5a, 4Å MS and 4CzIPN. The vial was sealed and a needle was inserted through the septa and the contents evacuated/backfilled with N_2 (3 cycles), followed by the addition of the anhydrous dichloromethane via syringe. The liquid aldehyde (2) was added using a micro-syringe. Then it was irradiated for 24 hours with the Kessil lamp with vigorous stirring. Upon completion, the reaction mixture was analyzed by TLC and directly loaded onto the column or prepared TLC glass plate using EtOAc/P.E. as an eluent to afford the desired product.

5. Sope of the one-pot two-step reaction to obtain the 2-vinylaniline



^{*a*}Reaction conditions: **6** (2.5 mmol), **3** (0.5 mmol), DABCO (2.5 mmol), Ir(ppy)₃ (1mol%), CH₃CN (10 mL), rt, N₂, 40 W blue LED, 2 h. ^{*b*}KOtBu (1.0 mmol), rt, N₂, 1 h. ^{*c*}the regioisomers were isolated after the first step, then the eliminations were done separately under condition a.

6. Mechanism of the addition of N-monoalkylated anilines to vinyl sulfone

6.1 Mass Spectrometry for Detection of Reaction Intermediates



Figure S2. Mass Spectrometry for Detection of Reaction Intermediates

6.2 Stern-Volmer Quenching Experiments

Stern-Volmer fluorescence quenching experiments were run with freshly prepared solutions of 0.1 mM $Ir(ppy)_3$ in degassed dry CH₃CN at room temperature. The solutions were irradiated at 395 nm and fluorescence was measured from 450 nm to 700 nm. Control experiments showed that the excited state $Ir(ppy)_3^*$ was mainly quenched by vinyl sulfone **3ac**.



Figure S3. Fluorescence quenching spectra of Ir(ppy)₃ with variable (a) vinyl sulfone **3ac** and (b) *N*-Methyl-*p*-toluidine **6b**.(c) Stern–Volmer plots for **3ac** and **6b** using Ir(ppy)₃.

6.3 Mechanism proposal



Figure S4. Mechanism of the photocatlyic synthesis of 2-(1-phenyl-2-(phenylsulfonyl)ethyl)anilines

7. Detection of Ring-Opening Product in Radical Clock Experiment



Generated at 1:58 AM on 4/15/2022

8. Cyclic Voltammetry Measurements of 3ac



Figure S5. Cyclic Voltammetry Measurements of 3ac in CH₃CN

9. Stern-Volmer Quenching Experiments

Stern-Volmer fluorescence quenching experiments were run with freshly prepared solutions of 0.05 mM 4CzIPN in degassed dry DCM or CH₃CN at room temperature. The solutions were irradiated at 435 nm and fluorescence was measured from 450 nm to 700 nm. Control experiments showed that the excited state $Ir(ppy)_3^*$ was mainly quenched by vinyl sulfone **3ac**.



Figure S6. Fluorescence quenching spectra of 4CzIPN with variable (a) Hantzscher 5a in DCM and (b) IM-1 in CH₃CN. (c) Stern–Volmer plots for 5b using 4CzIPN.
10. X-ray crystallographic data of 4ab

Single crystals of $C_{30}H_{29}N$ [mo- wj-0320-0m] (CCDC 2132946) were obtained by slow solvent evaporation from ethyl acetate solution. A suitable crystal was selected and measured on a Bruker APEX-II CCD diffractometer. The crystal was kept at 296.0 K during data collection. Using Olex2^[1], the structure was solved with the ShelXT^[2] structure solution program using Intrinsic Phasing and refined with the ShelXL^[3] refinement package using Least

Squares minimisation.



Table S3. Crystal data and structure refinement for 4ab.

Identification code	mo_WJ_0320_0m
Empirical formula	C30H29N
Formula weight	403.54
Temperature/K	296.0
Crystal system	triclinic
Space group	P-1
a/Å	7.5023(9)
b/Å	12.0636(15)
c/Å	13.0703(12)
α/\circ	95.483(4)
β/°	97.326(4)
γ/°	94.209(5)
Volume/Å ³	1163.5(2)
Z	2
$\rho_{calc}g/cm^3$	1.152
μ/mm^{-1}	0.066
F(000)	432.0
Crystal size/mm ³	$0.3\times0.2\times0.2$
Radiation	MoKa ($\lambda = 0.71073$)

20 range for data collection/° 4.392 to 55.202		
Index ranges	$\textbf{-9} \le h \le 9, \textbf{-15} \le k \le 15, \textbf{-17} \le \textbf{l} \le 16$	
Reflections collected	52107	
Independent reflections	5384 [$R_{int} = 0.1058$, $R_{sigma} = 0.0594$]	
Data/restraints/parameters	5384/0/280	
Goodness-of-fit on F ²	1.007	
Final R indexes $[I \ge 2\sigma(I)]$	$R_1 = 0.0570, wR_2 = 0.1212$	
Final R indexes [all data]	$R_1 = 0.1270, wR_2 = 0.1506$	
Largest diff. peak/hole / e Å ⁻³ 0.12/-0.21		

11. Characteristic of the Obtained New Compounds

(E)-N,N-dibenzyl-1,5-diphenylpent-1-en-3-amine (4aa)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (39 mg, 94%); ¹H NMR (600 MHz, CDCl₃): δ 7.46-7.43 (m, 6H), 7.39-7.33 (m, 6H), 7.30-7.24 (m, 5H), 7.17 (t, *J* = 7.2 Hz, 1H), 7.13 (d, *J* = 7.2 Hz, 2H), 6.43 (d, *J* = 15.6 Hz, 1H), 6.30 (dd, *J* = 16.2, 9.0 Hz, 1H), 3.93 (d, *J* = 13.8 Hz, 2H), 3.49 (d, *J* = 13.2 Hz, 2H), 3.31 (q, *J* = 7.4 Hz, 1H), 2.87-2.82 (m, 1H), 2.62-2.57 (m, 1H), 2.17-2.11 (m, 1H), 1.92-1.86 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.5, 137.3, 133.4, 128.9, 128.8, 128.5, 128.4, 128.4, 128.3, 127.6, 126.9, 126.5, 125.8, 60.4, 54.0, 34.8, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₂N: m/z = 418.2532; found, 418.2529.

(E)-N-benzyl-N-(2-bromobenzyl)-1,5-diphenylpent-1-en-3-amine (4ba)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (37 mg, 75%); ¹H NMR (600 MHz, CDCl₃): δ 7.73 (d, *J* = 7.8 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 7.8 Hz, 2H), 7.43 (d, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.6, 2H), 7.34 (t, *J* = 7.8, 2H), 7.32-7.28 (m, 2H), 7.27-7.25 (m, 3H), 7.18 (t, *J* = 6.6 Hz, 1H), 7.14 (d, *J* = 7.5 Hz, 2H), 7.11 (t, *J* = 7.8 Hz, 1H), 6.47 (d, *J* = 15.9 Hz, 1H), 6.33 (dd, *J* = 15.9, 8.8 Hz, 1H), 3.93 (d, *J* = 13.8 Hz, 1H), 3.84 (s, 2H), 3.58 (d, *J* = 13.9 Hz, 1H), 3.30 (q, *J* = 7.5 Hz, 1H), 2.82-2.77 (m, 1H), 2.67-2.59 (m, 1H), 2.21-2.13 (m, 1H), 1.99-1.89 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.5, 140.1, 139.3, 137.2, 133.8, 132.7, 130.5, 128.9, 128.7, 128.5, 128.4, 128.2, 128.1, 127.7, 127.5, 127.0, 126.6, 125.8, 124.3, 61.2, 54.4, 53.5, 34.7, 33.3;

HRMS (ESI): $[M+H]^+$ calcd. for $C_{31}H_{31}BrN$: m/z = 496.1634; found, 496.1636.

(*E*)-*N*-benzyl-*N*-(2-fluorobenzyl)-1,5-diphenylpent-1-en-3-amine (4ca)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (41 mg, 94%); ¹H NMR (600 MHz, CDCl₃): δ 7.44 (d, *J* = 7.4 Hz, 2H), 7.42-7.32 (m, 8H), 7.29 (t, *J* = 7.2 Hz, 1H), 7.27-7.24 (m 3H), 7.18 (t, *J* = 7.5, 1H), 7.12 (d, *J* = 7.2, 2H), 7.02-6.99 (m, 2H), 6.41 (d, *J* = 15.9 Hz, 1H), 6.28 (dd, *J* = 15.9, 8.7 Hz, 1H), 3.90 (d, *J* = 13.7 Hz, 1H), 3.83 (d, *J* = 13.7 Hz, 1H), 3.46 (t, *J* = 14.3 Hz, 2H), 3.26 (q, *J* = 7.8 Hz, 1H), 2.82-2.77 (m, 1H), 2.62-2.57 (m, 1H), 2.15-2.08 (m, 1H), 1.93-1.87 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 162.0 (d, *J* = 243.2 Hz), 142.5, 140.3, 137.2, 136.0 (d, *J* = 2.9 Hz), 133.5, 130.3, 130.2 (d, *J* = 7.5 Hz), 128.8, 128.8, 128.5, 128.4, 128.2, 127.7, 127.0, 126.5, 125.8, 115.2 (d, *J* = 21.0 Hz), 60.3, 53.9, 53.3, 34.7, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₁FN: m/z = 436.2435; found, 436.2428.

(*E*)-*N*-benzyl-1,5-diphenyl-*N*-(pyridin-4-ylmethyl)pent-1-en-3-amine (**4da**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:20); colorless oil (36 mg, 86%); ¹H NMR (600 MHz, CDCl₃): δ 8.51 (dd, *J* = 4.3, 1.4 Hz, 2H), 7.43-7.27 (m, 12H), 7.24 (t, *J* = 7.8 Hz, 2H), 7.19-7.16 (m 1H), 7.11 (d, *J* = 7.2, 2H), 6.39 (d, *J* = 15.9 Hz, 1H), 6.24 (dd, *J* = 15.9, 8.8 Hz, 1H), 3.89 (d, *J* = 13.8 Hz, 1H), 3.83 (d, *J* = 14.4 Hz, 1H), 3.59-3.48 (m, 2 H), 3.23 (q, *J* = 7.8 Hz, 1H), 2.79-2.72 (m, 1H), 2.64-2.58 (m, 1H), 2.14-2.08 (m, 1H), 1.95-1.89 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 150.0, 149.8, 142.2, 139.7, 137.0, 133.9, 128.9, 128.8, 128.8, 128.5, 128.5, 128.5, 127.8, 127.6, 127.2, 126.5, 126.0, 123.8, 123.8, 60.9, 54.3, 53.3, 34.7, 33.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₃₁N₂: m/z = 419.2482; found, 419.2477.

(*E*)-*N*-benzyl-*N*-(furan-2-ylmethyl)-1,5-diphenylpent-1-en-3-amine (**4ea**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:20); colorless oil (29 mg, 71%); ¹H NMR (600 MHz, CDCl₃): δ 7.43-7.41 (m, 5H), 7.37-7.33 (m, 4H), 7.28-7.26 (m, 4H), 7.19-7.16 (m 3H), 6.46 (d, *J* = 15.9 Hz, 1H), 6.34 (t, *J* = 1.2 Hz, 1H), 6.21-6.17 (m, 2H), 3.96 (d, *J* = 14.0 Hz, 1H), 3.83 (d, *J* = 14.7 Hz, 1H), 3.64 (d, *J* =

14.7 Hz, 1H), 3.57 (d, J = 14.0 Hz, 1H), 3.34 (q, J = 7.5 Hz, 1H), 2.87-2.81 (m, 1H), 2.67-2.60 (m, 1H), 2.17-2.09 (m, 1H), 1.93-1.85 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 153.9, 142.7, 141.8, 140.3, 137.2, 133.2, 128.8, 128.7, 128.6, 128.6, 128.4, 128.4, 127.6, 126.9, 126.5, 125.8, 110.3, 108.1, 61.4, 54.2, 46.7, 34.8, 32.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₀NO: m/z = 408.2322; found, 408.2323.

(E)-N-benzyl-N-methyl-1,5-diphenylpent-1-en-3-amine (4fa)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (26 mg, 76%); ¹H NMR (600 MHz, CDCl₃): δ 7.44 (d, *J* = 7.7 Hz, 2H), 7.38-7.33 (m, 6H), 7.32-7.27 (m, 4H), 7.24-7.20 (m 3H), 6.49 (d, *J* = 15.9 Hz, 1H), 6.30 (dd, *J* = 15.9, 8.8 Hz, 1H), 3.76 (d, *J* = 13.4 Hz, 1H), 3.52 (d, *J* = 13.4 Hz, 1H), 3.21 (q, *J* = 7.8 Hz, 1H), 2.79-2.73 (m, 2H), 2.27 (s, 3H), 2.16-2.13 (m, 1H), 1.93-1.89 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.6, 140.0, 137.2, 133.1, 128.9, 128.7, 128.7, 128.6, 128.5, 128.4, 127.6, 127.0, 126.5, 125.8, 65.2, 58.3, 37.7, 34.8, 32.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₅H₂₈N: m/z = 342.2216; found, 342.2212.

(*E*)-*N*-benzyl-*N*-phenethyl-1,5-diphenylpent-1-en-3-amine (**4ga**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (39 mg, 90%); ¹H NMR (600 MHz, CDCl₃): δ 7.44-7.35 (m, 8H), 7.32-7.29 (m, 6H), 7.24-7.20 (m, 2H), 7.18-7.17 (m, 4H), 6.46 (d, *J* = 15.9 Hz, 1H), 6.26 (ddd, *J* = 15.9, 8.5, 2.6 Hz, 1H), 4.00 (d, *J* = 14.0 Hz, 1H), 3.61 (d, *J* = 14.0 Hz, 1H), 3.33 (q, *J* = 7.7 Hz, 1H), 2.97-2.94 (m, 1H), 2.83-2.73 (m, 4H), 2.65-2.60 (m, 1H), 2.07-2.03 (m, 1H), 1.88-1.85 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.9, 140.7, 137.3, 132.8, 129.0, 128.9, 128.8, 128.7, 128.6, 128.4, 128.4, 128.3, 127.5, 126.8, 126.4, 126.0, 125.8, 61.8, 55.0, 52.1, 35.7, 34.7, 33.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₂H₃₄N: m/z = 432.2686; found, 432.2685.

(E)-N-benzyl-N-(but-3-en-1-yl)-1,5-diphenylpent-1-en-3-amine (4ha)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (35 mg, 92%);¹H NMR (600 MHz, CDCl₃): δ 7.45-7.40 (m, 4H), 7.38-7.34 (m, 4H), 7.30-7.27 (m, 4H), 7.21-7.18 (m, 3H), 6.45 (d, *J* = 15.9 Hz, 1H), 6.26 (dd, *J* = 15.9,

8.7 Hz, 1H), 5.88-5.82 (m, 1H), 5.06 (d, J = 16.2 Hz, 1H), 5.02 (d, J = 9.6 Hz, 1H), 3.92 (d, J = 14.1 Hz, 1H), 3.52 (d, J = 14.0 Hz, 1H), 3.27 (q, J = 7.4 Hz, 1H), 2.85-2.72 (m, 2H), 2.66-2.59 (m, 1H), 2.57-2.53 (m, 1H), 2.27 (q, J = 7.0 Hz, 2H), 2.09-2.02 (m, 1H), 1.89-1.83 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.9, 137.3, 137.3, 132.7, 128.8, 128.8, 128.7, 128.6, 128.4, 128.3, 127.5, 126.8, 126.4, 125.8, 115.6, 61.6, 54.8, 49.6, 34.8, 33.4, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₂₈H₃₂N: m/z = 382.2529; found, 382.2526.

(E)-N-benzyl-1,5-diphenyl-N-((trimethylsilyl)methyl)pent-1-en-3-amine (4ia)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (22 mg, 53%);¹H NMR (600 MHz, CDCl₃): δ 7.42-7.38 (m, 4H), 7.36-7.31 (m, 4H), 7.27-7.24 (m, 4H), 7.18-7.14 (m, 3H), 6.37 (d, *J* = 16.0 Hz, 1H), 6.28 (dd, *J* = 15.9, 8.5 Hz, 1H), 3.81 (d, *J* = 13.9 Hz, 1H), 3.43 (d, *J* = 13.9 Hz, 1H), 3.13 (q, *J* = 7.4 Hz, 1H), 2.78-2.73 (m, 1H), 2.58-2.53 (m, 1H), 2.20 (d, *J* = 14.6 Hz, 1H), 1.99-1.96 (m, 2H), 1.83-1.77 (m, 1H), 0.08 (s, 9H); ¹³C NMR (150 MHz, CDCl₃): δ 142.8, 140.8, 137.4, 133.2, 128.9, 128.7, 128.5, 128.4, 128.3, 127.5, 126.8, 126.4, 125.8, 62.5, 57.8, 40.6, 35.0, 33.1, 1.0; HRMS (ESI): [M+H]⁺ calcd. for C₂₈H₃₆NSi: m/z = 414.2612; found, 414.2610.

(E)-N-benzyl-2-(benzyl(1,5-diphenylpent-1-en-3-yl)amino)acetamide (4ja)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); colorless oil (39 mg, 82%); ¹H NMR (600 MHz, CDCl₃): δ 7.52 (t, *J* = 5.7 Hz, 1H), 7.40 (d, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.32-7.25 (m, 9H), 7.20-7.16 (m, 5H), 7.07 (d, *J* = 7.5 Hz, 2H), 6.46 (d, *J* = 15.8 Hz, 1H), 6.14 (dd, *J* = 15.8, 9.0 Hz, 1H), 4.41-4.34 (m, 2H), 3.78 (d, *J* = 13.2 Hz, 1H), 3.57 (d, *J* = 13.2 Hz, 1H), 3.38 (d, *J* = 17.0 Hz, 1H), 3.24-3.18 (m, 2H), 2.59-2.56 (m, 2H), 2.03-1.97 (m, 1H), 1.94-1.88 (m, 1H), 0.08 (s, 9H); ¹³C NMR (150 MHz, CDCl₃): δ 171.4, 141.6, 138.5, 138.3, 136.6, 134.7, 129.0, 128.9, 128.8, 128.8, 128.6, 128.4, 128.0, 127.7, 127.6, 126.8, 126.6, 126.1, 63.1, 56.2, 54.1, 43.2, 34.6, 33.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₃H₃₅N₂O: m/z = 475.2744; found, 475.2738.

(E)-N-benzyl-1,5-diphenyl-N-(1-phenylethyl)pent-1-en-3-amine (4ka)



The titled compound was synthesized following the general procedure A. EtOAc/n-hexane

(1:50); colorless oil (36 mg, 84%, 1.6:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.55-7.54 (m, 2H), 7.50-7.35 (m, 9H), 7.31-7.26 (m, 6H), 7.20-7.06 (m, 3H), [6.44-6.36 (m), 6.27 (dd, *J* = 15.9, 3.1 Hz), 6.09-6.05 (m), total 2 H], [4.23-4.06 (m), 3.92-3.72 (m), total 3 H], 3.43-3.39 (m, 1H), 2.82-2.46 (m, 2H), 2.10-2.02 (m, 1H), 1.89-1.85 (m, 1H), [1.49 (dd, *J* = 6.6, 3.3 Hz), 1.31 (dd, *J* = 6.5, 3.4 Hz), total 3 H]; ¹³C NMR (150 MHz, CDCl₃): δ 145.8 (major), 145.1 (minor), 143.3 (major), 142.7 (major), 142.6 (minor), 141.6 (minor), 137.5 (minor), 137.4 (major), 132.1 (minor), 131.4 (major), 129.6 (minor), 128.7 (major), 128.7 (major), 128.6 (major), 128.5 (major), 128.4 (major), 128.4 (minor), 127.4 (major), 126.9 (minor), 126.7 (minor), 126.7 (minor), 126.4 (minor), 125.8 (major), 125.7 (minor), 61.0 (major), 60.5 (major), 59.7 (minor), 56.1 (minor), 51.0 (major), 50.5 (minor), 35.6 (major), 35.3 (minor), 33.4 (major), 33.2 (minor), 22.0 (major), 16.7 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₃₂H₃₄N: m/z = 432.2686; found, 432.2686.

(E)-N-benzyl-N-(1,5-diphenylpent-1-en-3-yl)cyclopentanamine (4la)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (36 mg, 91%); ¹H NMR (600 MHz, CDCl₃): δ 7.42-7.39 (m, 4H), 7.36-7.31 (m, 4H), 7.26-7.23 (m, 4H), 7.16 (t, *J* = 7.3 Hz, 1H), 7.12 (d, *J* = 7.4 Hz, 2H), 6.39 (d, *J* = 15.8 Hz, 1H), 6.20 (dd, *J* = 15.8, 8.8 Hz, 1H), 3.86 (d, *J* = 15.1 Hz, 1H), 3.59 (d, *J* = 15.0 Hz, 1H), 3.44 (t, *J* = 8.1 Hz, 1H), 3.27 (q, *J* = 7.5 Hz, 1H), 2.76-2.71 (m, 1H), 2.57-2.52 (m, 1H), 2.02-1.97 (m, 1H), 1.84-1.77 (m, 2H), 1.72-1.68 (m, 1H), 1.62-1.56 (m, 3H), 1.55-1.51 (m, 1H), 1.48-1.44 (m, 2H); ¹³C NMR (150 MHz, CDCl₃): δ 142., 142.5, 137.5, 131.5, 130.5, 128.7, 128.5, 128.4, 128.2, 127.4, 126.5, 126.4, 125.7, 61.8, 60.5, 50.9, 35.3, 33.2, 32.1, 29.7, 24.8, 24.2; HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₄N: m/z = 396.2686; found, 396.2677.

(*E*)-*N*-benzyl-*N*-(1,5-diphenylpent-1-en-3-yl)cyclopropanamine (**4ma**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (32 mg, 87%); ¹H NMR (600 MHz, CDCl₃): δ 7.41 (d, *J* = 7.5 Hz, 2H),, 7.37-7.29 (m, 6H), 7.28-7.24 (m, 4H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.14 (d, *J* = 7.3 Hz, 2H), 6.45 (d, *J* = 15.9 Hz, 1H), 6.36 (dd, *J* = 15.9, 8.6 Hz, 1H), 3.96 (d, *J* = 13.8 Hz, 1H), 3.70 (d, *J* = 13.8 Hz, 1H), 3.30 (q, *J* = 7.6 Hz, 1H), 2.69-2.65 (m, 1H), 2.64-2.55 (m, 1H), 2.16-2.10 (m, 1H), 2.07-2.03 (m, 1H), 1.93-1.87 (m, 1H), 0.48-0.42 (m, 3H), 0.31-0.30 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.8, 137.4, 132.7, 129.5, 129.2, 128.7, 128.5, 128.4, 128.1, 127.5, 126.7, 126.5, 125.8, 64.0, 56.5, 34.8, 33.9, 33.2, 9.1, 6.1; HRMS (ESI): [M+H]⁺ calcd.

for $C_{27}H_{30}N$: m/z = 368.2373; found, 368.2374.

(*E*)-*N*-benzyl-1,5-diphenylpent-1-en-3-amine (**4na**)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:10); colorless oil (28 mg, 86%); ¹H NMR (600 MHz, CDCl₃): δ 7.43 (d, *J* = 7.3 Hz, 2H), 7.38-7.33 (m, 6H), 7.30-7.25 (m, 4H), 7.19 (t, *J* = 8.1 Hz, 3H), 6.51 (d, *J* = 15.9 Hz, 1H), 6.11 (dd, *J* = 15.8, 8.4 Hz, 1H), 3.89 (d, *J* = 13.2 Hz, 1H), 3.71 (d, *J* = 13.2 Hz, 1H), 3.30-3.26 (m, 1H), 2.76-2.66 (m, 2H), 1.99-1.93 (m, 1H), 1.91-1.85 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.2, 140.6, 137.2, 132.8, 132.0, 129.5, 128.7, 128.5, 128.5, 128.3, 127.6, 127.0, 126.5, 125.9, 60.3, 51.5, 37.7, 32.4; HRMS (ESI): [M+H]⁺ calcd. for C₂₄H₂₆N: m/z = 328.2060; found, 328.2061.

methyl (E)-2-((1,5-diphenylpent-1-en-3-yl)amino)-2-phenylacetate (40a)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:10); colorless oil (32 mg, 83%); ¹H NMR (600 MHz, CDCl₃): 7.40-7.33 (m, 9H), 7.27-7.23 (m, 3H), 7.18 (t, J = 7.6 Hz, 1H), 7.12 (d, J = 7.5 Hz, 1H), 6.34 (d, J = 15.8 Hz, 1H), 6.01 (dd, J = 15.8, 8.9 Hz, 1H), 4.47 (s, 1H), 3.57 (s, 3H), 3.06 (q, J = 7.2 Hz, 1H), 2.66 (t, J = 7.8 Hz, 2H), 1.98-1.92 (m, 1H), 1.89-1.84 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 173.9, 142.0, 138.5, 136.9, 132.2, 132.1, 128.8, 128.7, 128.5, 128.4, 128.2, 127.8, 127.7, 126.5, 125.9, 62.9, 58.6, 52.4, 37.7, 32.4; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₂₈NO₂: m/z = 386.2115; found, 386.2107.

(*E*)-*N*-benzhydryl-1,5-diphenylpent-1-en-3-amine (**4pa**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:10); colorless oil (34 mg, 84%); ¹H NMR (600 MHz, CDCl₃): 7.43-7.32 (m, 10H), 7.29-7.25 (m, 6H), 7.20-7.16 (m, 4H), 6.34 (d, J = 15.8 Hz, 1H), 6.03 (dd, J = 15.8, 8.6 Hz, 1H), 4.98 (s, 1H), 3.19-3.16 (m, 1H), 2.78-2.74 (m, 1H), 2.72-2.67 (m, 1H), 1.99-1.93 (m, 1H), 1.89-1.83 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 145.0, 143.8, 142.4, 137.2, 132.8, 131.7, 128.7, 128.6, 128.6, 128.5, 128.4, 127.8, 127.6, 127.4, 127.1, 127.0, 126.5, 125.8, 63.9, 58.2, 38.2, 32.5; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₃₀N: m/z = 404.2373; found, 404.2366.

(*E*)-*N*-(2,2-dimethoxyethyl)-*N*-methyl-1,5-diphenylpent-1-en-3-amine (**4qa**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:10); colorless oil (23 mg, 68%); ¹H NMR (600 MHz, CDCl₃): 7.39 (d, J = 7.6 Hz, 2H), 7.33 (t, J = 7.6 Hz, 2H), 7.30-7.23 (m, 3H), 7.21-7.17 (m, 3H), 6.47 (d, J = 15.9 Hz, 1H), 6.17 (dd, J = 15.9, 8.9 Hz, 1H), 4.47 (t, J = 5.3 Hz, 1H), 3.37 (d, J = 5.5 Hz, 6H), 3.11 (q, J = 8.5 Hz, 1H), 2.77-2.60 (m, 3H), 2.53 (dd, J = 13.4, 5.0 Hz, 1H), 2.37 (s, 3H), 2.07-2.01 (m, 1H), 1.87-1.81 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.5, 137.1, 133.3, 128.7, 128.6, 128.5, 128.3, 127.6, 126.5, 125.9, 103.7, 66.6, 55.3, 53.7, 53.7, 39.6, 34.6, 32.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₂H₃₀NO₂: m/z = 340.2271; found, 340.2266.

(*E*)-4-(1,5-diphenylpent-1-en-3-yl)morpholine (**4ra**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); colorless oil (23 mg, 75%); ¹H NMR (600 MHz, CDCl₃): 7.40 (d, J = 7.5 Hz, 2H), 7.34 (t, J = 7.6 Hz, 2H), 7.29-7.24 (m, 3H), 7.20-7.18 (m, 3H), 6.47 (d, J = 15.9 Hz, 1H), 6.17 (dd, J = 15.9, 9.0 Hz, 1H), 3.76-3.70 (m, 4H), 2.95-2.91 (m, 1H), 2.75-2.70 (m, 1H), 2.65-2.60 (m, 3H), 2.59-2.52 (m, 2H), 2.12-2.07 (m, 1H), 1.87-1.80 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 142.3, 136.9, 133.5, 129.2, 128.8, 128.6, 128.5, 127.7, 126.5, 125.9, 67.6, 67.4, 50.5, 33.7, 32.6; HRMS (ESI): [M+H]⁺ calcd. for C₂₁H₂₆NO: m/z = 308.2009; found, 308.2002.

Methyl (*E*)-7-(4-(1,5-diphenylpent-1-en-3-yl)piperazin-1-yl)-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylate (**4sa**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); white solid (34 mg, 61%); mp 74-76 °C; ¹H NMR (600 MHz, CDCl₃): 8.40 (d, J = 2.9 Hz, 1H), 8.04 (dd, J = 13.3, 3.1 Hz, 1H), 7.41 (d, J = 7.6 Hz, 2H), 7.33 (t, J = 7.6 Hz, 2H), 7.30-7.24 (m, 3H), 7.21-7.18 (m, 3H), 6.70 (d, J = 6.7 Hz, 1H), 6.50 (d, J = 15.9 Hz, 1H), 6.20 (dd, J = 15.9, 9.1 Hz, 1H), 4.17 (d, J = 7.2 Hz, 2H), 3.90 (s, 3H), 3.29-3.23 (m, 4H), 3.08-3.04 (m,

1H), 2.88-2.86 (m, 2H), 2.75-2.72 (m, 3H), 2.67-2.62 (m, 1H), 2.14-2.09 (m, 1H), 1.92-1.86 (m, 1H), 4.17 (t, J = 7.2 Hz, 3H); ¹³C NMR (150 MHz, CDCl₃): δ 173.2, 166.7, 153.4 (d, J = 247.5 Hz), 152.5, 148.3, 144.9 (d, J = 10.5 Hz), 142.2, 136.8, 136.2, 133.7, 128.7, 128.6, 128.5, 127.8, 126.5, 126.0, 123.8, 113.7 (d, J = 22.5 Hz), 110.2, 103.9, 67.0, 52.2, 50.5, 49.4, 49.1, 33.9, 32.6, 14.5; HRMS (ESI): [M+H]⁺ calcd. for C₃₄H₃₇FN₃O₃: m/z = 554.2814; found, 554.2811.

(E)-N,N-dibenzyl-1,4-diphenylbut-3-en-2-amine (4ab)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); white solid (36 mg, 89%); mp 84-86 °C; ¹H NMR (600 MHz, CDCl₃): δ 7.39 (d, *J* = 7.5 Hz, 2H), 7.34 (t, *J* = 7.7 Hz, 2H), 7.27-7.21 (m, 14H), 7.08 (d, *J* = 7.1 Hz, 2H), 6.37 (d, *J* = 15.9 Hz, 1H), 6.31 (dd, *J* = 15.9, 8.2 Hz, 1H), 3.90 (d, *J* = 13.9 Hz, 2H), 3.58-3.50 (m, 3H), 3.12 (dd, *J* = 13.8, 7.7 Hz, 1H), 2.89 (dd, *J* = 13.8, 7.4 Hz, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 140.2, 139.6, 137.2, 133.3, 129.7, 128.7, 128.7, 128.3, 128.2, 128.1, 127.6, 126.8, 126.5, 126.1, 61.9, 53.8, 39.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₃₀N: m/z = 404.2373; found, 404.2376.

(*E*)-*N*,*N*-dibenzyl-1-phenylhex-1-en-3-amine (**4bb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (27 mg, 76%); ¹H NMR (600 MHz, CDCl₃): δ 7.45-7.43 (m, 6H), 7.38-7.33 (m, 6H), 7.29-7.24 (m, 3H), 6.39 (d, *J* = 15.9 Hz, 1H), 6.26 (dd, *J* = 15.9, 8.9 Hz, 1H), 3.90 (d, *J* = 13.8 Hz, 2H), 3.47 (d, *J* = 13.8 Hz, 2H), 3.22 (q, *J* = 7.4 Hz, 1H), 1.84-1.78 (m, 1H), 1.57-1.45 (m, 2H), 1.40-1.34 (m, 1H), 0.87 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 140.7, 137.4, 132.9, 128.9, 128.8, 128.7, 128.3, 127.5, 126.8, 126.4, 60.3, 53.9, 35.1, 19.9, 14.3; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₃₀N: m/z = 356.2373; found, 356.2372.

(*E*)-*N*,*N*-dibenzyl-1-phenylhept-1-en-3-amine (**4cb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (27 mg, 73%); ¹H NMR (600 MHz, CDCl₃): δ 7.46-7.43 (m, 6H), 7.39-7.33 (m, 6H), 7.29-7.24 (m, 3H), 6.39 (d, *J* = 15.8 Hz, 1H), 6.26 (dd, *J* = 15.7, 9.0 Hz, 1H), 3.90 (d, *J* = 13.8 Hz, 2H), 3.46 (d, *J* = 13.8 Hz, 2H), 3.19 (q, *J* = 8.1 Hz, 1H), 1.84-1.79 (m, 1H), 1.59-1.54 (m, 1H), 1.43-1.42 (m, 1H), 1.33-1.25 (m, 3H), 0.89 (t, *J* = 6.9 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 140.7, 137.4, 132.9, 128.9, 128.8, 128.7, 128.3, 127.5, 126.8, 126.4, 60.5, 53.9, 32.5, 28.9, 22.8, 14.2; HRMS (ESI): [M+H]⁺ calcd. for C₂₇H₃₂N: m/z = 370.2530; found, 370.2530.

methyl (E)-6-(dibenzylamino)-8-phenyloct-7-enoate (4db)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:20); colorless oil (36 mg, 84%); ¹H NMR (600 MHz, CDCl₃): δ 7.43-7.39 (m, 6H), 7.37-7.31 (m, 6H), 7.28-7.22 (m, 3H), 6.37 (d, *J* = 15.9 Hz, 1H), 6.22 (dd, *J* = 15.9, 8.9 Hz, 1H), 3.86 (d, *J* = 13.8 Hz, 2H), 3.64 (s, 3H), 3.43 (d, *J* = 13.8 Hz, 2H), 3.17 (q, *J* = 7.4 Hz, 1H), 2.28 (t, *J* = 7.5 Hz, 2H), 1.84-1.78 (m, 1H), 1.57-1.52 (m, 3H), 1.50-1.44 (m, 1H), 1.37-1.30 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 174.3, 140.6, 137.3, 133.2, 128.8, 128.7, 128.5, 128.4, 127.6, 126.9, 126.5, 60.3, 53.9, 51.6, 34.2, 32.5, 26.2, 25.0; HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₄NO₂: m/z = 428.2584; found, 428.2578.

(E)-N,N-dibenzyl-1-phenyl-6-((triisopropylsilyl)oxy)hex-1-en-3-amine (4eb)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (38 mg, 72%); ¹H NMR (600 MHz, CDCl₃): δ 7.45-7.42 (m, 6H), 7.38-7.32 (m, 6H), 7.29-7.23 (m, 3H), 6.41 (d, *J* = 15.9 Hz, 1H), 6.27 (dd, *J* = 15.9, 8.8 Hz, 1H), 3.90 (d, *J* = 13.7 Hz, 2H), 3.69-3.61 (m, 2H), 3.47 (d, *J* = 13.8 Hz, 2H), 3.22 (q, *J* = 7.6 Hz, 1H), 1.93-1.87 (m, 1H), 1.77-1.72 (m, 1H), 1.70-1.64 (m, 1H), 1.58-1.55 (m, 1H), 1.10-1.06 (m, 21H). ¹³C NMR (150 MHz, CDCl₃): δ 140.6, 137.4, 133.0, 128.9, 128.7, 128.3, 127.5, 126.8, 126.5, 63.3, 60.4, 53.9, 30.2, 28.9, 18.2, 12.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₅H₅₀NOSi: m/z = 528.3656; found, 528.3653.

(E)-N,N-dibenzyl-5-(methylthio)-1-phenylpent-1-en-3-amine (4fb)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (31 mg, 80%); ¹H NMR (600 MHz, CDCl₃): δ 7.45-7.43 (m, 6H), 7.38-7.33 (m, 6H), 7.29-7.24 (m, 3H), 6.39 (d, *J* = 15.9 Hz, 1H), 6.26 (dd, *J* = 15.9, 8.9 Hz, 1H), 3.90 (d, *J* = 13.8 Hz, 2H), 3.47 (d, *J* = 13.8 Hz, 2H), 3.22 (q, *J* = 7.4 Hz, 1H), 1.84-1.78 (m, 1H), 1.57-1.46 (m, 2H), 1.40-1.34 (m, 1H), 0.87 (d, *J* = 7.3 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 140.7, 137.4, 133.0, 128.9, 128.8, 128.7, 128.3, 127.5, 126.8, 126.4, 60.3, 53.9, 35.1, 19.9, 14.3; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₃₀NS: m/z = 388.2093; found, 388.2091.

(*E*)-*N*,*N*-dibenzyl-1-phenyl-5-(pyridin-4-yl)pent-1-en-3-amine (**4gb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:20); colorless oil (31 mg, 80%); ¹H NMR (600 MHz, CDCl₃): δ 8.40 (d, J = 5.5 Hz, 2H), 7.43 (d, J = 7.6 Hz, 2H), 7.39-7.37 (m, 4H), 7.36-7.31 (m, 5H), 7.29-7.25 (m, 4H), 6.97 (d, J = 5.9 Hz, 2H), 6.39 (d, J = 15.9 Hz, 1H), 6.26 (dd, J = 15.9, 8.9 Hz, 1H), 3.90 (d, J = 13.7 Hz, 2H), 3.45 (d, J = 13.7 Hz, 2H), 3.24 (q, J = 7.5 Hz, 1H), 2.82-2.77 (m, 1H), 2.60-2.55 (m, 1H), 2.14-2.08 (m, 1H), 1.88-1.82 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 151.6, 149.7, 140.2, 137.0, 133.8, 128.9, 128.8, 128.5, 127.8, 127.7, 127.1, 126.5, 124.0, 60.1, 54.1, 33.6, 32.3; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₃₁N₂: m/z = 419.2482; found, 419.2483.

(*E*)-*N*,*N*-dibenzyl-3-phenylprop-2-en-1-amine (**4hb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (24 mg, 77%); ¹H NMR (600 MHz, CDCl₃): δ 7.40(d, *J* = 7.7 Hz, 4H), 7.38 (d, *J* = 7.7 Hz, 2H), 7.35-7.31 (m, 6H), 7.27-7.22 (m, 3H), 6.56 (d, *J* = 15.9 Hz, 1H), 6.33 (dt, *J* = 15.9, 6.5 Hz, 1H), 3.65 (s, 4H), 3.25 (d, *J* = 6.4 Hz, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 139.8, 137.3, 132.6, 128.9, 128.7, 128.4, 127.9, 127.4, 127.0, 126.4, 58.1, 55.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₃H₂₄N: m/z = 314.1903; found, 314.1907.

(E)-N,N-dibenzyl-4-methyl-1-phenylpent-1-en-3-amine (4ib)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (25 mg, 70%); ¹H NMR (600 MHz, CDCl₃): δ 7.45-7.42 (m, 6H), 7.36 (t, *J* = 7.7 Hz, 2H), 7.34-7.31 (m, 4H), 7.28-7.22 (m, 3H), 6.30 (d, *J* = 15.9 Hz, 1H), 6.16 (dd, *J* = 15.8, 9.8 Hz, 1H), 3.92 (d, *J* = 13.8 Hz, 2H), 3.36 (d, *J* = 13.8 Hz, 2H), 2.65 (d, *J* = 10.0 Hz, 1H), 2.01-1.95 (m, 1H), 1.13 (d, *J* = 6.5 Hz, 3H), 0.78 (d, *J* = 6.7 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 140.6, 137.3, 134.2, 128.9, 128.8, 128.3, 127.8, 127.5, 126.8, 126.4, 67.8, 53.9, 29.7, 21.1, 20.6; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₃₀N: m/z = 356.2373; found, 356.2372.

(*E*)-*N*,*N*-dibenzyl-1-cyclohexyl-3-phenylprop-2-en-1-amine (**4jb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (32 mg, 81%); ¹H NMR (600 MHz, CDCl₃): δ 7.45-7.42 (m, 6H), 7.39-7.33 (m, 6H), 7.29-7.24 (m, 3H), 6.30 (d, *J* = 15.8 Hz, 1H), 6.18 (dd, *J* = 15.8, 10.0 Hz, 1H), 3.94 (d, *J* = 13.8 Hz, 2H), 3.39 (d, *J* = 13.8 Hz, 2H), 2.80 (t, *J* = 10.0 Hz, 1H), 2.47 (d, *J* = 12.9 Hz, 1H), 1.76 (d, *J* = 13.1 Hz, 1H), 1.69 (d, *J* = 10.6 Hz, 1H), 1.65-1.62 (m, 3H), 1.28-1.17 (m, 2H), 1.14-1.10 (m, 1H), 0.92-0.85 (m, 1H), 0.75-0.69 (m, 1H) ¹³C NMR (150 MHz, CDCl₃): δ 140.6, 137.3, 134.3, 128.9, 128.8, 128.3, 127.6, 127.5, 126.8, 126.4, 66.5, 53.9, 39.1, 31.6, 30.7, 26.9, 26.5; HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₄N: m/z = 396.2686; found, 396.2689.

(*E*)-*N*,*N*-dibenzyl-1-cyclopropyl-3-phenylprop-2-en-1-amine (**4kb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (19 mg, 54%); ¹H NMR (600 MHz, CDCl₃): δ 7.44-7.41 (m, 6H), 7.35-7.30 (m, 6H), 7.25-7.21 (m, 3H), 6.47 (d, *J* = 15.9 Hz, 1H), 6.33 (dd, *J* = 15.8, 6.7 Hz, 1H), 3.94 (d, *J* = 13.9 Hz, 2H), 3.64 (d, *J* = 13.8 Hz, 2H), 2.62 (t, *J* = 7.8 Hz, 1H), 1.12-1.10 (m, 1H), 0.64-0.58 (m, 1H), 0.55-0.50 (m, 1H), 0.32-0.28 (m, 1H), 0.11-0.06 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 140.8, 137.5, 132.4, 129.0, 128.7, 128.3, 127.4, 126.8, 126.5, 64.9, 54.4, 12.7, 4.3, 3.3; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₂₈N: m/z = 354.2216; found, 354.2215.

(*E*)-4-(1-styrylcyclohexyl)morpholine (**4lb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); colorless oil (21 mg, 77%);¹H NMR (600 MHz, CDCl₃): δ 7.38 (d, *J* = 7.5 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 2H), 7.22 (t, *J* = 7.3 Hz, 1H), 6.37 (d, *J* = 16.6 Hz, 1H), 6.11 (d, *J* = 16.6 Hz, 1H), 3.74-3.63 (m, 4H), 2.65-2.53 (m, 4H), 1.77-1.65 (m, 6H), 1.44-1.38 (m, 4H). ¹³C NMR (150 MHz, CDCl₃): δ 137.5, 132.7, 130.7, 128.7, 127.4, 126.3, 68.0, 58.9, 45.7, 33.3, 26.4, 22.1; HRMS (ESI): [M+H]⁺ calcd. for C₁₈H₂₆NO: m/z = 272.2009; found, 272.2007.

(*E*)-4-(4-styryltetrahydro-2H-pyran-4-yl)morpholine (**4mb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); white solid (23 mg, 84%); mp 110-113 °C;¹H NMR (600 MHz, CDCl₃): δ 7.38 (d, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.6 Hz, 2H), 7.27-7.22 (m, 1H), 6.38 (d, *J* = 16.6 Hz, 1H), 6.08 (d, *J* = 16.6 Hz, 1H), 3.92-3.89 (m, 2H), 3.70-3.68 (m, 4H), 3.58-3.55 (m, 2H), 2.60-2.58 (m, 4H), 1.92-1.85 (m, 4H). ¹³C NMR (150 MHz, CDCl₃): δ 136.9, 132.0, 130.6, 128.8, 127.8, 126.4, 67.8, 64.2, 57.3, 45.7, 34.0; HRMS (ESI): [M+H]⁺ calcd. for C₁₇H₂₄NO₂: m/z = 274.1802; found, 274.1803.

tert-butyl (*E*)-4-morpholino-4-styrylpiperidine-1-carboxylate (**4nb**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:5); colorless oil (33 mg, 89%);¹H NMR (600 MHz, CDCl₃): δ 7.38 (d, *J* = 7.4 Hz, 2H), 7.32 (t, *J* = 7.3 Hz, 2H), 7.25 (t, *J* = 7.6 Hz, 1H), 6.39 (d, *J* = 16.6 Hz, 1H), 6.14 (d, *J* = 16.6 Hz, 1H), 3.71-3.68 (m, 4H), 3.57-3.54 (m, 2H), 3.42-3.38 (m, 2H), 2.60-2.58 (m, 4H), 1.91-1.87 (m, 2H), 1.77-1.73 (m, 2H), 1.46 (s, 9H). ¹³C NMR (150 MHz, CDCl₃): δ 155.1, 136.9, 131.5, 130.6, 128.8, 127.8, 126.4, 79.5, 67.8, 57.5, 40.3, 39.4, 32.7, 28.6; HRMS (ESI): [M+H]⁺ calcd. for C₂₂H₃₃N₂O₃: m/z = 373.2486; found, 373.2478.

(E)-N,N-dibenzyl-5-phenyl-1-(p-tolyl)pent-1-en-3-amine (4ac)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); white solid (36 mg, 84%); mp 78-81 °C; ¹H NMR (600 MHz, CDCl₃): δ 7.44 (d, *J* = 7.4 Hz, 4H), 7.38-7.32 (m, 6H), 7.28-7.25 (m, 4H), 7.19 (dd, *J* = 14.5, 7.6 Hz, 3H), 7.14-7.13 (m, 2H), 6.41 (d, *J* = 15.9 Hz, 1H), 6.25 (dd, *J* = 15.8, 8.9 Hz, 1H), 3.93 (d, *J* = 13.7 Hz, 2H), 3.49 (d, *J* = 13.8 Hz, 2H), 3.30 (q, *J* = 7.4 Hz, 1H), 2.88-2.83 (m, 1H), 2.63-2.58 (m, 1H), 2.40 (s, 3H), 2.17-2.11 (m, 1H), 1.92-1.86 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.5, 137.4, 134.5, 133.2, 129.4, 128.9, 128.5, 128.4, 128.4, 127.2, 126.9, 126.4, 125.8, 60.4, 54.0, 34.9, 33.2, 21.3; HRMS (ESI): [M+H]⁺ calcd. for C₃₂H₃₄N: m/z = 432.2686; found, 432.2679.

(*E*)-*N*,*N*-dibenzyl-1-(4-methoxyphenyl)-5-phenylpent-1-en-3-amine (4bc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (39 mg, 87%); ¹H NMR (600 MHz, CDCl₃): δ 7.40 (d, *J* = 7.3 Hz, 4H), 7.37-7.34 (m, 2H), 7.32-7.28 (m, 4H), 7.24-7.20 (m, 4H), 7.15-7.12 (m, 1H), 7.09 (d, *J* = 7.2 Hz, 2H), 6.90-6.86 (m, 2H), 6.33 (d, *J* = 15.8 Hz, 1H), 6.11 (dd, *J* = 15.8, 8.9 Hz, 1H), 3.88 (d, *J* = 13.7 Hz, 2H), 3.81 (s, 3H), 3.45 (d, *J* = 13.8 Hz, 2H), 3.24 (q, *J* = 7.3 Hz, 1H), 2.83-2.78 (m, 1H), 2.59-2.53 (m, 1H), 2.13-2.06 (m, 1H), 1.87-1.81 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 159.3, 142.8, 140.6, 132.8, 130.0, 129.0, 128.9, 128.5, 128.4, 128.4, 127.6, 126.9, 126.0, 125.7, 60.5, 55.5, 54.0, 34.9, 33.2; HRMS (ESI): [M+H]⁺ calcd. for C₃₂H₃₄NO: m/z = 448.2635; found, 448.2626.

(*E*)-*N*,*N*-dibenzyl-1-(4-(*tert*-butyl)phenyl)-5-phenylpent-1-en-3-amine (**4cc**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (40 mg, 85%); ¹H NMR (600 MHz, CDCl₃): δ 7.43-7.39 (m, 8H), 7.33 (t, *J* = 7.6 Hz, 4H), 7.26-7.22 (m, 4H), 7.16 (t, *J* = 7.3 Hz, 1H), 7.12 (t, *J* = 7.2 Hz, 2H), 6.40 (d, *J* = 15.9 Hz, 1H), 6.25 (dd, *J* = 15.9, 8.9 Hz, 1H), 3.90 (d, *J* = 13.8 Hz, 2H), 3.46 (d, *J* = 13.8 Hz, 2H), 3.28 (q, *J* = 7.4 Hz, 1H), 2.84-2.79 (m, 1H), 2.60-2.55 (m, 1H), 2.15-2.09 (m, 1H), 1.90-1.84 (m, 1H), 1.36 (s, 9H). ¹³C NMR (150 MHz, CDCl₃): δ 150.8, 142.8, 140.5, 134.5, 133.2, 128.9, 128.5, 128.4, 128.4, 127.4, 126.9, 126.2, 125.8, 125.7, 60.5, 54.0, 34.9, 34.7, 33.2, 31.5; HRMS (ESI): [M+H]⁺ calcd. for C₃₅H₄₀N: m/z = 474.3155; found, 474.3148.

(*E*)-*N*,*N*-dibenzyl-1-(4-bromophenyl)-5-phenylpent-1-en-3-amine (4dc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (43 mg, 87%); ¹H NMR (600 MHz, CDCl₃): δ 7.48-7.46 (m, 2H), 7.41 (d, J = 7.3 Hz, 4H), 7.33 (t, J = 7.6 Hz, 4H), 7.29-7.22 (m, 6H), 7.16 (t, J = 7.4 Hz, 1H), 7.11 (d, J = 7.3 Hz, 2H), 6.34 (d, J = 15.9 Hz, 1H), 6.27 (dd, J = 15.9, 8.5 Hz, 1H), 3.90 (d, J = 13.7 Hz, 2H), 3.46 (d, J = 13.8 Hz, 2H), 3.28 (q, J = 7.4 Hz, 1H), 2.85-2.80 (m, 1H), 2.60-2.55 (m, 1H), 2.15-2.09 (m, 1H), 1.89-1.83 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.5, 140.3, 136.1, 132.1, 131.8, 129.3, 128.9, 128.5, 128.4, 128.0, 127.0, 125.8, 121.3, 60.3, 54.0, 34.6, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₁BrN: m/z = 496.1634; found, 496.1627.

(*E*)-*N*,*N*-dibenzyl-1-(4-fluorophenyl)-5-phenylpent-1-en-3-amine (**4ec**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (28 mg, 64%); ¹H NMR (600 MHz, CDCl₃): δ 7.42-7.37 (m, 6H), 7.33 (t, *J* = 7.4 Hz, 4H), 7.26-7.23 (m, 4H), 7.16 (t, *J* = 7.4 Hz, 1H), 7.11 (d, *J* = 6.7 Hz, 2H), 7.06-7.03 (m, 2H), 6.37 (d, *J* = 15.9 Hz, 1H), 6.19 (dd, *J* = 15.9, 8.8 Hz, 1H), 3.90 (d, *J* = 13.7 Hz, 2H), 3.47 (d, *J* = 13.8 Hz, 2H), 3.28 (q, *J* = 7.4 Hz, 1H), 2.85-2.80 (m, 1H), 2.60-2.55 (m, 1H), 2.16-2.09 (m, 1H), 1.89-1.83 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 162.4 (d, *J* = 246.2 Hz), 142.6, 140.4, 133.4, 132.1, 128.9, 128.5, 128.4, 128.4, 128.1, 128.0 (d, *J* = 8.2 Hz), 126.9, 125.8, 115.6 (d, *J* = 21.6 Hz), 60.4, 54.0, 34.7, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₁FN: m/z = 436.2435; found, 436.2427.

(E)-N,N-dibenzyl-5-phenyl-1-(4-(trifluoromethyl)phenyl)pent-1-en-3-amine (4fc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (40 mg, 82%); ¹H NMR (600 MHz, CDCl₃): δ 7.61 (d, *J* = 8.2 Hz, 2H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 7.4 Hz, 4H), 7.35 (t, *J* = 7.6 Hz, 4H), 7.28-7.24 (m, 4H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.14-7.10 (m, 2H), 6.45 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 15.9, 8.2 Hz, 1H), 3.93 (d, *J* = 13.7 Hz, 2H), 3.49 (d, *J* = 13.8 Hz, 2H), 3.33 (q, *J* = 7.3 Hz, 1H), 2.87-2.82 (m, 1H), 2.62-2.57 (m, 1H), 2.19-2.13 (m, 1H), 1.92-1.86 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.5, 140.6, 140.2, 131.9, 131.4, 129.4 (q, *J* = 32.7 Hz), 128.9, 128.5, 128.5, 127.0, 126.6, 125.9, 125.7, 125.7, 124.4 (q, *J* = 271.9 Hz), 60.3, 54.1, 34.5, 33.1; HRMS (ESI):

 $[M+H]^+$ calcd. for $C_{32}H_{31}F_3N$: m/z = 486.2403; found, 486.2396.

(*E*)-4-(3-(dibenzylamino)-5-phenylpent-1-en-1-yl)benzonitrile (4gc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (23 mg, 52%); ¹H NMR (600 MHz, CDCl₃): δ 7.63 (d, *J* = 7.6 Hz, 2H), 7.48 (d, *J* = 7.6 Hz, 2H), 7.40 (d, *J* = 7.3 Hz, 4H), 7.33 (t, *J* = 7.2 Hz, 4H), 7.27-7.23 (m, 4H), 7.17 (t, *J* = 7.3 Hz, 1H), 7.10 (d, *J* = 7.3 Hz, 2H), 6.43-6.40 (m, 2H), 3.91 (d, *J* = 13.7 Hz, 2H), 3.47 (d, *J* = 13.7 Hz, 2H), 3.32 (q, *J* = 5.5 Hz, 1H), 2.85-2.80 (m, 1H), 2.60-2.55 (m, 1H), 2.18-2.13 (m, 1H), 1.90-1.84 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.3, 141.6, 140.1, 133.0, 132.6, 131.6, 128.8, 128.5, 127.1, 127.0, 125.9, 119.1, 110.8, 60.2, 54.1, 34.3, 33.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₂H₃₁N₂: m/z = 443.2482; found, 443.2474.

(*E*)-*N*,*N*-dibenzyl-1-(2-chlorophenyl)-5-phenylpent-1-en-3-amine (**4hc**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (41 mg, 91%); ¹H NMR (600 MHz, CDCl₃): δ 7.56 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.41 (d, *J* = 7.4 Hz, 4H), 7.42-7.39 (m, 1H), 7.34 (t, *J* = 7.6 Hz, 4H), 7.28-7.20 (m, 6H), 7.17 (t, *J* = 7.3 Hz, 1H), 7.13 (d, *J* = 7.2 Hz, 2H), 6.81 (d, *J* = 15.8 Hz, 1H), 6.22 (dd, *J* = 15.8, 8.9 Hz, 1H), 3.92 (d, *J* = 13.7 Hz, 2H), 3.48 (d, *J* = 13.7 Hz, 2H), 3.33 (q, *J* = 7.4 Hz, 1H), 2.88-2.83 (m, 1H), 2.62-2.57 (m, 1H), 2.17-2.10 (m, 1H), 1.92-1.86 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.6, 140.3, 135.6, 133.1, 131.3, 129.9, 128.9, 128.6, 128.5, 128.5, 128.4, 127.1, 127.0, 127.0, 125.8, 60.3, 54.0, 34.6, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₁ClN: m/z = 452.2140; found, 452.2135.

(*E*)-*N*,*N*-dibenzyl-1-(3-fluorophenyl)-5-phenylpent-1-en-3-amine (4ic)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (39 mg, 90%); ¹H NMR (600 MHz, CDCl₃): δ 7.43 (d, *J* = 7.4 Hz, 4H), 7.37-7.30 (m, 5H), 7.29-7.23 (m, 4H), 7.19-7.12 (m, 5H), 6.98 (td, *J* = 8.3, 2.5 Hz, 1H), 6.39 (d, *J* = 15.9 Hz, 1H), 6.30 (dd, *J* = 15.9, 8.5 Hz, 1H), 3.92 (d, *J* = 13.7 Hz, 2H), 3.48 (d, *J* = 13.8 Hz, 2H), 3.30 (q, *J* = 7.5 Hz, 1H), 2.86-2.81 (m, 1H), 2.62-2.57 (m, 1H), 2.17-2.11 (m, 1H), 1.91-1.85 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 163.3 (d, *J* = 245.1 Hz), 142.5, 140.3,

139.6 (d, J = 7.3 Hz), 132.2, 130.2 (d, J = 8.3 Hz), 129.9, 128.9, 128.5, 128.5, 128.4, 127.0, 125.8, 122.4, 114.4 (d, J = 21.2 Hz), 112.9 (d, J = 21.7 Hz), 60.2, 54.0, 34.6, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₁FN: m/z = 436.2435; found, 436.2428.

(*E*)-*N*,*N*-dibenzyl-5-phenyl-1-(pyridin-2-yl)pent-1-en-3-amine (**4jc**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:20); colorless oil (25 mg, 60%); ¹H NMR (600 MHz, CDCl₃): δ 8.61 (d, *J* = 4.5 Hz, 1H), 7.66 (td, *J* = 7.7, 1.6 Hz, 1H), 7.41 (d, *J* = 7.5 Hz, 4H), 7.33-7.29 (m, 5H), 7.25-7.21 (m, 4H), 7.18-7.13 (m, 2H), 7.10 (d, *J* = 7.2 Hz, 2H), 6.84 (dd, *J* = 15.7, 8.9 Hz, 1H), 6.51 (d, *J* = 15.7 Hz, 1H), 3.91 (d, *J* = 13.8 Hz, 2H), 3.52 (d, *J* = 13.8 Hz, 2H), 3.34 (q, *J* = 7.4 Hz, 1H), 2.86-2.79 (m, 1H), 2.61-2.56 (m, 1H), 2.17-2.11 (m, 1H), 1.93-1.87 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 155.4, 149.7, 142.6, 140.4, 136.7, 133.1, 133.1, 128.9, 128.5, 128.4, 128.4, 126.9, 125.8, 122.3, 121.9, 60.0, 54.0, 34.4, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₃₁N₂: m/z = 419.2482; found, 419.2475.

(*E*)-*N*,*N*-dibenzyl-5-phenyl-1-(thiophen-2-yl)pent-1-en-3-amine (4kc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (40 mg, 95%); ¹H NMR (600 MHz, CDCl₃): δ 7.43 (d, *J* = 7.5 Hz, 4H), 7.34 (t, *J* = 7.5 Hz, 4H), 7.28-7.23 (m, 4H), 7.20-7.16 (m, 2H), 7.12 (d, *J* = 7.4 Hz, 2H), 7.03-7.00 (m, 1H), 6.99 (d, *J* = 3.2 Hz, 1H), 6.55 (d, *J* = 15.7 Hz, 1H), 6.14 (dd, *J* = 15.7, 8.7 Hz, 1H), 3.91 (d, *J* = 13.8 Hz, 2H), 3.49 (d, *J* = 13.8 Hz, 2H), 3.26 (q, *J* = 7.4 Hz, 1H), 2.86-2.81 (m, 1H), 2.62-2.57 (m, 1H), 2.15-2.09 (m, 1H), 1.90-1.84 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 144.6, 142.4, 140.4, 128.9, 128.5, 128.4, 128.4, 128.2, 127.5, 127.0, 126.4, 125.8, 125.5, 124.0, 60.2, 54.0, 34.6, 33.1; HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₀NS: m/z = 424.2093; found, 424.2085.

(*E*)-*N*,*N*-dibenzyl-1-(naphthalen-1-yl)-5-phenylpent-1-en-3-amine (**4lc**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (42 mg, 90%); ¹H NMR (600 MHz, CDCl₃): δ 8.16 (d, J = 8.3 Hz, 1H), 7.93-7.90 (m, 1H), 7.85 (d, J = 8.2 Hz, 1H), 7.68 (d, J = 7.0 Hz, 1H), 7.62-7.47 (m, 7H), 7.39

(t, *J* = 7.6 Hz, 4H), 7.33-7.27 (m, 4H), 7.24-7.15 (m, 4H), 6.33 (dd, *J* = 15.7, 8.9 Hz, 1H), 4.01 (d, *J* = 13.8 Hz, 2H), 3.59 (d, *J* = 13.8 Hz, 2H), 3.47 (q, *J* = 7.4 Hz, 1H), 2.97-2.92 (m, 1H), 2.72-2.67 (m, 1H), 2.26-2.20 (m, 1H), 2.03-1.97 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.7, 140.5, 135.2, 133.8, 131.8, 131.3, 130.8, 128.9, 128.7, 128.5, 128.4, 128.0, 127.0, 126.2, 125.9, 125.8, 125.8, 124.1, 124.0, 60.7, 54.1, 34.8, 33.3; HRMS (ESI): [M+H]⁺ calcd. for C₃₅H₃₄N: m/z = 468.2686; found, 468.2678.

N,*N*-dibenzyl-1,1,5-triphenylpent-1-en-3-amine (**4mc**)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); white solid (46 mg, 93%); mp 85-87 °C; ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.34 (m, 5H), 7.32-7.26 (m, 1H), 7.25-7.17 (m, 11H), 7.14-7.13 (m, 4H), 6.98 (d, *J* = 7.4 Hz, 2H), 6.91-6.85 (m, 2H), 6.21 (d, *J* = 10.5 Hz, 1H), 3.91 (d, *J* = 13.6 Hz, 2H), 3.57-3.51 (m, 1H), 3.48 (d, *J* = 13.5 Hz, 2H), 2.81-2.76 (m, 1H), 2.61-2.56 (m, 1H), 2.23-2.17 (m, 1H), 1.86-1.80 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 144.8, 143.5, 142.7, 140.4, 139.7, 130.2, 129.0, 128.8, 128.6, 128.4, 128.3, 128.3, 128.1, 127.9, 127.5, 127.1, 126.7, 125.6, 55.6, 54.1, 35.1, 32.5; HRMS (ESI): [M+H]⁺ calcd. for C₃₇H₃₆N: m/z = 494.2842; found, 494.2835.

N,*N*-dibenzyl-1,5-diphenylpent-1-yn-3-amine (**4nc**)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (7.4 mg, 18%); ¹H NMR (600 MHz, CDCl₃): δ 7.50 (d, *J* = 6.7 Hz, 2H), 7.41 (d, *J* = 7.4 Hz, 4H), 7.34-7.30 (m, 7H), 7.25-7.23 (m, 2H), 7.21-7.19 (m, 2H), 7.13 (t, *J* = 7.1 Hz, 1H), 7.06 (d, *J* = 7.2 Hz, 2H), 3.92 (d, *J* = 13.7 Hz, 2H), 3.67 (t, *J* = 7.4 Hz, 1H), 3.51 (d, *J* = 13.7 Hz, 2H), 2.84-2.79 (m, 1H), 2.70-2.65 (m, 1H), 2.15-2.09 (m, 1H), 2.06-1.99 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 142.0, 139.8, 132.0, 129.1, 128.6, 128.4, 128.1, 127.1, 125.9, 123.6, 87.8, 85.7, 55.3, 52.1, 35.9, 32.9; HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₀N: m/z = 416.2373; found, 416.2382.

1-(benzo[*d*]thiazol-2-yl)-*N*,*N*-dibenzyl-3-phenylpropan-1-amine (4oc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (36 mg, 80%); ¹H NMR (600 MHz, CDCl₃): δ 8.07 (d, J = 8.0 Hz, 1H), 7.93 (d, J = 7.9 Hz, 1H), 7.51-7.47 (m, 1H), 7.42-7.39 (m, 5H), 7.35-7.32 (m, 4H), 7.30-7.32

(m, 4H), 7.23-7.19 (m, 3H), 4.14-4.12 (m, 1H), 3.75 (d, J = 13.8 Hz, 2H), 3.68 (d, J = 13.7 Hz, 2H), 2.97-2.94 (m, 1H), 2.91-2.86 (m, 1H), 2.54-2.48 (m, 1H), 2.44-2.38 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 173.6, 153.4, 142.1, 139.4, 135.5, 129.0, 128.7, 128.6, 128.5, 127.2, 126.0, 125.8, 125.0, 123.2, 121.7, 59.9, 54.2, 33.5, 31.2; HRMS (ESI): [M+H]⁺ calcd. for C₃₀H₂₉N₂S: m/z = 449.2046; found, 449.2044.

N,*N*-dibenzyl-3-phenyl-1-(thiazol-2-yl)propan-1-amine (**4pc**)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (28 mg, 70%); ¹H NMR (600 MHz, CDCl₃): δ 7.82 (d, *J* = 3.4 Hz, 1H), 7.39 (d, *J* = 7.4 Hz, 4H), 7.33-7.30 (m, 5H), 7.25 (t, *J* = 7.7 Hz, 4H), 7.19 (t, *J* = 7.4 Hz, 1H), 7.13 (d, *J* = 7.2 Hz, 2H), 4.07 (t, *J* = 6.9 Hz, 1H), 3.78 (d, *J* = 13.8 Hz, 2H), 3.52 (d, *J* = 13.8 Hz, 2H), 2.85-2.76 (m, 2H), 2.37 (q, *J* = 7.6 Hz, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 171.7, 142.4, 142.2, 139.6, 129.0, 128.6, 128.5, 128.4, 127.1, 126.0, 118.9, 59.1, 54.1, 33.4, 32.4; HRMS (ESI): [M+H]⁺ calcd. for C₂₆H₂₇N₂S: m/z = 399.1889; found, 399.1885.

N-(1-(benzo[*d*]thiazol-2-yl)-3-phenylpropyl)-*N*-methylaniline (4qc)



The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (20 mg, 56%); ¹H NMR (600 MHz, CDCl₃): δ 8.01 (d, *J* = 8.2 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.49-7.44 (m, 1H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.30-7.24 (m, 4H), 7.19 (t, *J* = 7.3 Hz, 1H), 7.14 (d, *J* = 7.2 Hz, 2H), 6.87 (d, *J* = 8.2 Hz, 2H), 6.83 (t, *J* = 7.3 Hz, 1H), 5.20-5.18 (m, 1H), 2.95 (s, 3H), 2.85-2.79 (m, 2H), 2.76-2.71 (m, 1H), 2.50-2.45 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 175.0, 153.7, 149.9, 141.2, 135.5, 129.4, 128.8, 128.5, 126.2, 126.0, 125.1, 123.0, 121.8, 118.3, 113.9, 61.6, 33.6, 32.8, 32.6; HRMS (ESI): [M+H]⁺ calcd. for C₂₃H₂₃N₂S: m/z = 359.1577; found, 359.1578.

(*E*)-2-(dibenzylamino)-4-phenylbutanal *O*-benzyl oxime (4rc)

The titled compound was synthesized following the general procedure A. EtOAc/*n*-hexane (1:50); colorless oil (35 mg, 78%); ¹H NMR (600 MHz, CDCl₃): δ 7.56 (d, *J* = 7.0 Hz, 1H), 7.44 (d, *J* = 7.3 Hz, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.35-7.30 (m, 9H), 7.26-7.23 (m, 3H), 7.17 (t, *J* = 7.3 Hz, 1H), 7.07 (d, *J* = 7.4 Hz, 2H), 5.16 (s, 2H), 3.82 (d, *J* = 13.6 Hz, 2H), 3.47 (d, *J* = 13.7 Hz, 2H), 3.36 (q, *J* = 7.4 Hz, 1H), 2.77-2.72 (m, 1H), 2.56-2.51 (m, 1H), 2.08-2.02 (m, 1H), 1.95-1.89 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 150.3, 142.2, 139.7, 138.0, 129.0,

128.5, 128.5, 128.5, 128.4, 128.0, 127.1, 125.9, 76.0, 56.9, 54.1, 32.7, 32.0; HRMS (ESI): $[M+H]^+$ calcd. for $C_{31}H_{33}N_2O$: m/z = 449.2587; found, 449.2590.

(S)-N-(1-(benzo[d]thiazol-2-yl)butyl)aniline (7)



The titled compound was synthesized following procedure similar to the general procedure B. EtOAc/*n*-hexane (1:20); colorless oil (7.2 mg, 13%); ¹H NMR (600 MHz, CDCl₃): δ 8.00 (d, *J* = 8.2 Hz, 1H), 7.81 (d, *J* = 7.9 Hz, 1H), 7.46 (t, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 2H), 6.73 (t, *J* = 7.2 Hz, 1H), 6.66 (d, *J* = 7.9 Hz, 2H), 4.82 (t, *J* = 6.1 Hz, 1H), 4.28 (brs, 1H), 2.13-2.07 (m, 1H), 2.00-1.94 (m, 1H), 1.62-1.50 (m, 2H), 0.99 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 178.7, 153.8, 146.8, 135.1, 129.4, 126.0, 124.9, 122.9, 122.0, 118.7, 113.5, 57.4, 39.6, 19.4, 13.9; HRMS (ESI): [M+H]⁺ calcd. for C₁₇H₁₉N₂S: m/z = 283.1263; found, 283.1260.

(S,E)-2-(phenylamino)pentanal O-benzyl oxime (8)



The titled compound was synthesized following procedure similar to the general procedure B. EtOAc/*n*-hexane (1:20); colorless oil (5.6 mg, 10%); ¹H NMR (600 MHz, CDCl₃): δ 7.35-7.33 (m, 4H), 7.31-7.28 (m, 1H), 7.27-7.22 (m, 1H), 7.13 (t, *J* = 7.8 Hz, 2H), 6.71 (t, *J* = 7.2 Hz, 1H), 6.63 (d, *J* = 8.2 Hz, 2H), 5.08 (s, 2H), 4.01 (q, *J* = 6.9 Hz, 1H), 3.76 (brs, 1H), 1.69-1.62 (m, 2H), 1.47-1.39 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 152.4, 147.1, 137.7, 129.3, 128.5, 128.3, 127.9, 117.9, 113.5, 76.0, 52.8, 36.1, 18.8, 14.0; HRMS (ESI): [M+H]⁺ calcd. for C₁₈H₂₃N₂O: m/z = 283.1805; found, 283.1800.

(S)-N,4-dimethyl-2-(1-phenyl-2-(phenylsulfonyl)ethyl)aniline (9b)



The titled compound was synthesized following the general procedure B. EtOAc/*n*-hexane (1:5); pale yellow oil (106 mg, 58%); ¹H NMR (600 MHz, CDCl₃): δ 7.76 (d, *J* = 7.6 Hz, 2H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.28-7.22 (m, 2H), 7.09-7.00 (m, 4H), 6.68 (d, *J* = 8.5 Hz, 2H), 5.60 (dd, *J* = 9.2, 4.8 Hz, 1H), 3.95 (dd, *J* = 14.9, 9.3 Hz, 1H), 3.75 (dd, *J* = 15.0, 4.8 Hz, 1H), 2.28 (s, 3H), 2.14 (s, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 147.0, 139.9, 137.4, 133.5, 129.9, 129.0, 128.7, 128.2, 127.9, 127.9, 127.1, 115.2, 58.8, 57.4, 32.0, 20.5; HRMS (ESI): [M+H]⁺ calcd. for C₂₂H₂₄NO₂S: m/z = 366.1522; found, 366.1516. *N*-butyl-4-(1-phenyl-2-(phenylsulfonyl)ethyl)aniline (**9x-C4**)



The titled compound was synthesized following the general procedure B. EtOAc/*n*-hexane (1:5); pale yellow oil (11 mg, 14%); ¹H NMR (600 MHz, CDCl₃): δ 7.63 (d, *J* = 7.4 Hz, 2H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.38-7.31 (m, 2H), 7.17-7.14 (m, 2H), 7.11-7.09 (m, 3H), 6.90 (d, *J* = 8.4 Hz, 2H), 6.40 (d, *J* = 8.5 Hz, 2H), 4.51 (t, *J* = 7.2 Hz, 1H), 3.93-3.80 (m, 2H), 3.03 (t, *J* = 7.1 Hz, 2H), 1.58-1.53 (m, 2H), 1.43-1.37 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 147.5, 142.1, 139.9, 133.1, 129.7, 128.9, 128.7, 128.5, 128.1, 127.6, 126.8, 112.8, 62.0, 45.5, 43.7, 31.7, 20.4, 14.0; HRMS (ESI): [M+H]⁺ calcd. for C₂₄H₂₈NO₂S: m/z = 394.1835; found, 394.1842.

2-chloro-*N*-methyl-4-(1-phenylvinyl)aniline (10h-C4)



The titled compound was synthesized following the general procedure D. EtOAc/*n*-hexane (1:30); pale yellow oil (19 mg, 16%); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.30 (m, 5H), 7.28 (d, *J* = 2.1 Hz, 1H), 7.16 (dd, *J* = 8.4, 2.1 Hz, 1H), 6.60 (d, *J* = 8.6 Hz, 1H), 5.37 (s, 1H), 5.29 (d, 0.9 Hz, 1H), 4.41 (brs, 1H), 2.93 (s, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 149.1, 144.7, 141.8, 130.7, 128.8, 128.5, 128.3, 127.9, 127.8, 118.9, 112.4, 110.2, 30.6; HRMS (ESI): [M+H]⁺ calcd. for C₁₅H₁₅ClN: m/z = 244.0888; found, 244.0890.

N-(but-3-en-1-yl)-4-methyl-2-(1-phenylvinyl)aniline (10u)



The titled compound was synthesized following the general procedure D. EtOAc/*n*-hexane (1:30); pale yellow oil (28 mg, 21%); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.34 (m, 2H), 7.32-7.29 (m, 3H), 7.07 (dd, *J* = 8.2, 1.9 Hz, 1H), 6.94 (d, *J* = 1.9 Hz, 1H), 6.60 (d, *J* = 8.2 Hz, 1H), 5.77 (d, *J* = 1.4 Hz, 1H), 5.57-5.50 (m, 1H), 5.33 (d, *J* = 1.4 Hz, 1H), 4.93-4.84 (m, 2H), 3.54 (brs, 1H), 3.06 (t, *J* = 6.6 Hz, 2H), 2.28 (s, 3H), 2.16-2.13 (m, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 147.4, 143.5, 140.0, 135.6, 131.3, 129.5, 128.6, 128.1, 127.6, 126.8, 125.9, 116.9, 116.3, 111.0, 43.3, 33.6, 20.5; HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₂N: m/z = 264.1747; found, 264.1751.

N,4-dimethyl-2-(1-(pyridin-2-yl)vinyl)aniline (**10w**)



The titled compound was synthesized following the general procedure D. EtOAc/*n*-hexane (1:10); pale yellow oil (20 mg, 18%); ¹H NMR (600 MHz, CDCl₃): δ 8.63 (d, *J* = 4.8 Hz, 1H), 7.55 (td, *J* = 7.7, 1.9 Hz, 1H), 7.21-7.14 (m, 1H), 7.10 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.03 (d, *J* = 7.9 Hz, 1H), 6.92 (d, *J* = 1.8 Hz, 1H), 6.60 (d, *J* = 8.2 Hz, 1H), 6.50 (d, *J* = 2.1 Hz, 1H), 5.49 (d, *J* = 2.1 Hz, 1H), 2.72 (s, 3H), 2.27 (s, 3H). ¹³C NMR (150 MHz, CDCl₃): δ 156.7, 149.6, 146.2, 144.7, 136.8, 131.0, 129.7, 126.4, 126.0, 122.7, 121.8, 120.2, 110.2, 31.2 20.5; HRMS (ESI): [M+H]⁺ calcd. for C₁₅H₁₇N₂: m/z = 225.1386; found, 225.1384.

1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11aa)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (18 mg, 68%, 8:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.31 (m, 2H), 7.28-7.22 (m, 3H), 7.12-7.09 (m, 1H), [6.65 (d, *J* = 8.2 Hz), 6.63 (d, *J* = 7.5 Hz), total 1H], [6.59 (d, *J* = 8.2 Hz), 6.54-6.49 (m), total 2H], [4.04 (dd, *J* = 11.2, 6.1 Hz), 3.98 (dd, *J* = 11.2, 6.1 Hz), total 1H], [3.45-3.37 (m), 3.29-3.26 (m), total 1H], [2.99 (s), 2.97 (s), total 3H], [2.31-2.28 (m), 2.14-2.11 (m), total 1H], 2.08-2.04 (m, 1H), 1.74-1.68 (m, 1H), 1.46-1.31 (m, 1H), 1.32-1.22 (m, 2H), [0.96 (t, *J* = 7.3 Hz), 0.88 (t, *J* = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.5 (major), 144.8 (major), 129.4 (minor), 129.0 (minor), 128.9 (major), 128.6 (major), 128.3 (major), 127.9 (minor), 127.5 (major), 127.5 (major), 126.5 (major), 126.4 (minor), 116.1 (major), 115.5 (minor), 37.5 (major), 36.9 (major), 36.4 (major), 34.8 (minor), 34.0 (minor), 19.4 (minor), 18.4 (major), 14.5 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₄N: m/z = 266.1903; found, 266.1904.

1,6-dimethyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ba)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (15 mg, 54%, 5:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.32 (m, 2H),

7.29-7.21 (m, 3H), 6.99-6.92 (m, 1H), [6.60 (d, J = 8.2 Hz), 6.53 (d, J = 7.5 Hz), total 1H], [6.48 (s), 6.37 (s), total 1H], [4.04 (dd, J = 10.7, 6.3 Hz), 3.98 (dd, J = 11.3, 4.4 Hz), total 1H], [3.37-3.33 (m), 3.25-3.22 (m), total 1H], [2.96 (s), 2.95 (s), total 3H], [2.30-2.26 (m), 2.15-2.12 (m), total 1H], 2.11 (s, 3H), [2.10-2.08 (m), 2.06-2.00 (m), total 1H], 1.73-1.68 (m, 1H), [1.55-1.49 (m), 1.43-1.37 (m), total 1H], 1.34-1.22 (m, 2H), [0.96 (t, J = 7.4 Hz), 0.88 (t, J = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 146.4 (minor), 145.5 (major), 145.0 (major), 143.8 (minor), 130.0 (minor), 128.9 (major), 128.6 (major), 128.5 (major), 128.4 (major), 128.0 (minor), 127.9 (major), 126.5 (major), 126.3 (minor), 125.3 (major), 124.6 (minor), 112.7 (major), 37.1 (major), 36.3 (major), 35.2 (minor), 33.6 (minor), 20.5 (major), 20.4 (minor), 19.3 (minor), 18.4 (major), 14.5 (major), 14.5 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₂₀H₂₆N: m/z = 280.2060; found, 280.2060.

6-fluoro-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ca)



Me

The titled compound was synthesized following the general procedure E. EtOAc/n-hexane (1:50); colorless oil (24 mg, 85%, 5:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.32 (m, 2H), 7.30-7.21 (m, 1H), 7.23-7.20 (m, 2H), 6.81-6.78 (m, 1H), [6.56 (d, J = 8.9, 4.8 Hz), 6.48 (dd, J = 9.0, 4.8 Hz), total 1H], [6.40-6.37 (m), 6.28-6.25 (m), total 1H], [4.01 (t, J = 8.4 Hz), 3.93 (dd, J = 11.6, 4.3 Hz), total 1H], [3.39-3.34 (m), 3.26-3.23 (m), total 1H], [2.95 (s), 2.93 (s), total 3H], [2.32-2.28 (dt, J = 13.1, 4.8 Hz), 2.13-2.12 (m), total 1H], [2.11 (d, J = 4.0 Hz), 2.02-1.97 (m), total 1H], 1.74-1.63 (m, 1H), [1.54-1.46 (m), 1.42-1.36 (m), total 1H], 1.33-1.23 (m, 2H), [0.96 (t, J = 7.4 Hz), 0.89 (t, J = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 155.1 (d, J = 234.2 Hz) (major), 145.4 (minor), 144.0 (major), 143.9 (major), 142.3 (minor), 130.5 (minor), 130.5 (minor), 128.8 (minor), 128.8 (major), 128.8 (major), 128.7 (minor), 126.8 (major), 126.7 (minor), 115.9 (d, J = 22.7 Hz) (minor), 114.5 (d, J = 23.2 Hz) (major), 113.6 (d, J = 22.0 Hz) (minor), 113.3 (d, J = 21.8 Hz) (major), 113.1 (d, J = 7.4 Hz) (major), 111.4 (d, J = 7.4 Hz) (minor), 58.8 (major), 58.6 (minor), 43.4 (major), 40.5 (minor), 38.7 (minor), 37.6 (major), 37.2 (major), 36.2 (major), 34.7 (minor), 33.5 (minor), 19.3 (minor), 18.4 (major), 14.5 (major), 14.4 (minor); HRMS (ESI): $[M+H]^+$ calcd. for C₁₉H₂₃FN: m/z = 284.1809; found, 284.1808.

6-chloro-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11da)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (23 mg, 77%, 3.3:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.32 (m, 2H), 7.29-7.26 (m, 1H), 7.22-7.19 (m, 2H), 7.04-7.02 (m, 1H), [6.59-6.58 (m), 6.53 (d, *J* = 8.6 Hz), total 1H], 6.48-6.47 (m, 1H), [4.01-3.96 (m), 3.91 (dd, *J* = 11.3, 4.2 Hz), total 1H], [3.42-3.38 (m), 3.28-3.24 (m), total 1H], [2.95 (s), 2.93 (s), total 3H], [2.30-2.26 (m), 2.11-2.09 (m), total 1H], [2.09-2.08 (m), 2.04-1. 98 (m), total 1H], 1.72-1.63 (m, 1H), [1.53-1.43 (m), 1.41-1.34 (m), total 1H], 1.29-1.20 (m, 2H), [0.96 (t, *J* = 7.3 Hz), 0.87 (t, *J* = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 146.1 (major), 145.2 (minor), 144.3 (minor), 143.7 (major), 130.1 (major), 129.0 (minor), 128.8 (major), 127.4 (major), 127.3 (minor), 127.1 (major), 127.0 (minor), 126.9 (major), 126.7 (minor), 120.8 (major), 120.2 (minor), 113.3 (major), 36.9 (major), 36.2 (major), 34.5 (minor), 33.9 (minor), 19.3 (minor), 18.3 (major), 14.4 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1516.

6-bromo-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ea)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (28 mg, 82%, 4:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.32 (m, 2H), 7.30-7.26 (m, 1H), 7.22-7.20 (m, 2H), 7.19-7.15 (m, 1H), [6.72-6.71 (m), 6.62-6.60 (m), total 1H], [6.49 (d, *J* = 8.8 Hz), 6.43 (d, *J* = 8.9 Hz), total 1H], [4.00 (dd, *J* = 10.1, 7.2 Hz), 3.92 (dd, *J* = 11.2, 4.2 Hz), total 1H], [3.42-3.38 (m), 3.28-3.24 (m), total 1H], [2.95 (s), 2.93 (s), total 3H], [2.30-2.26 (m), 2.11-2.09 (m), total 1H], [2.09-2.08 (m), 2.04-1. 99 (m), total 1H], 1.72-1.63 (m, 1H), [1.53-1.43 (m), 1.41-1.34 (m), total 1H], 1.28-1.20 (m, 2H), [0.96 (t, *J* = 7.4 Hz), 0.87 (t, *J* = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 146.5 (major), 145.2 (minor), 144.8 (minor), 143.7 (major), 131.7 (minor), 130.5 (major), 130.1 (major), 130.1 (major), 128.8 (major), 127.5 (minor), 126.9 (major), 130.1 (major), 130.1 (major), 112.4 (minor), 108.0 (major), 107.4 (minor), 58.7 (major), 58.6 (minor), 43.1 (major), 40.2 (minor), 18.3 (major), 14.4 (major), 36.2 (major), 34.5 (minor), 33.9 (minor), 19.3 (minor), 18.3 (major), 14.4 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃BrN: m/z = 344.1009; found, 344.1003.

1-methyl-4,6-diphenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11fa)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (17 mg, 50%, 8.4:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.39-7.33 (m, 5H), 7.32-7.25 (m, 5H), 7.19-7.16 (m, 1H), [6.93-6.92 (m), 6.85-6.82 (m), total 1H], [6.72 (d, *J* = 8.5 Hz), 6.66 (d, *J* = 8.5 Hz), total 1H], [4.15-4.10 (m), 4.07-4.04 (m), total 1H], [3.46-3.42 (m), 3.32-3.29 (m), total 1H], [3.03 (s), 3.01 (s), total 3H], 2.34-2.30 (m, 1H), 2.14-2.08 (m, 1H), 1.73-1.69 (m, 1H), 1.44-1.38 (m, 1H), 1.30-1.24 (m, 2H), [0.98 (t, *J* = 7.4 Hz), 0.87 (t, *J* = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.0 (major), 144.7 (major), 141.6 (major), 128.9 (major), 128.9 (major), 128.7 (major), 128.7 (major), 128.6 (major), 128.1 (major), 126.7 (major), 126.6 (major), 126.3 (major), 40.4 (minor), 37.3 (major), 36.9 (major), 36.2 (major), 34.9 (minor), 34.1 (minor), 19.4 (minor), 18.4 (major), 14.5 (major); HRMS (ESI): [M+H]⁺ calcd. for C₂₅H₂₈N: m/z = 342.2216; found, 342.2213.

8-chloro-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ga)



Me

The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (22 mg, 74%, 1.7:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.35-7.29 (m, 2H), 7.27-7.13 (m, 4H), [6.77-6.74 (m), 6.67 (t, *J* = 7.8 Hz), 6.55 (d, *J* = 7.6 Hz), total 2H], [4.06 (dd, *J* = 9.2, 6.6 Hz), 4.01 (dd, *J* = 11.9, 5.5 Hz), total 1H], [3.21-3.17 (m), 2.98-2.95 (m), total 1H], [2.94 (s), 2.91 (s), total 3H], [2.19-2.15 (m), 2.12-2.08 (m), total 1H], 1.95-1.88 (m, 1H), [1.75-1.70 (m), 1.55-1.47 (m), total 1H], 1.46-1.40 (m, 3H), [0.96 (t, *J* = 7.1 Hz), 0.91 (t, *J* = 7.2 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.3 (minor), 146.5 (major), 145.8 (minor), 145.2 (major), 136.4 (major), 134.1 (minor), 129.1 (minor), 128.9 (major), 128.8 (major), 128.7 (major), 121.4 (major), 60.5 (major), 58.3 (minor), 45.4 (major), 43.1 (minor), 41.7 (major), 39.5 (major), 36.5 (major), 35.4 (minor), 35.0 (minor), 32.2 (minor), 19.6 (minor), 19.3 (major), 14.5 (major), 14.3 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1517.

7-chloro-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ha)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (16 mg, 54%, 7.7:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.35-7.31 (m, 2H), 7.28-7.25 (m, 1H), 7.21-7.18 (m, 2H), [6.58 (d, *J* = 1.9 Hz), 6.52-6.51 (m), total 1H], 6.47-6.45

(m, 1H), 6.44-6.41 (m, 1H), [3.97 (t, J = 8.7 Hz), 3.90 (dd, J = 11.0, 4.3 Hz), total 1H], [3.44-3.40 (m), 3.30-3.26 (m), total 1H], [2.96 (s), 2.94 (s), total 3H], [2.29-2.25 (m), 2.10-2.08 (m), total 1H], 2.05-1.99 (m, 1H), 1.71-1.66 (m, 1H), 1.40-1.34 (m, 1H), 1.28-1.20 (m, 2H), [0.96 (t, J = 7.3 Hz), 0.86 (t, J = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 148.4 (major), 144.2 (major), 133.1 (major), 130.4 (minor), 128.8 (minor), 128.8 (major), 128.7 (major), 126.7 (major), 126.6 (minor), 126.4 (major), 123.7 (minor), 115.5 (major), 115.1 (minor), 111.8 (major), 110.4 (minor), 58.7 (major), 42.7 (major), 39.7 (minor), 38.4 (minor), 37.0 (major), 36.7 (major), 36.2 (major), 34.5 (minor), 34.1 (minor), 19.3 (minor), 18.3 (major), 14.4 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1510.

5-chloro-1-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ia)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (17 mg, 57%, 7:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.06 (m, 6H), 6.71 (d, *J* = 7.7 Hz, 1H), [6.55 (d, *J* = 8.2 Hz), 6.50 (d, *J* = 8.1 Hz), total 1H], [4.55 (d, *J* = 5.2 Hz), 3.42-3.33 (m), total 1H], [3.25-3.18 (m), 3.14-3.12 (m), total 1H], [3.11 (s), 2.93 (s), total 3H], 2.46 (d, *J* = 13.8 Hz, 1H), 2.23 (dd, *J* = 13.0, 6.3 Hz, 1H), [1.30-1.09 (m), 0.92-0.82 (m), total 4H], [0.72 (t, *J* = 6.9 Hz), 0.50 (t, *J* = 6.9 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.1 (major), 144.7 (major), 135.7 (major), 128.6 (major), 128.4 (major), 128.2 (major), 127.9 (minor), 127.8 (major), 127.7 (minor), 125.9 (major), 119.3 (minor), 116.2 (major), 109.7 (minor), 108.7 (major), 65.2 (minor), 59.0 (major), 41.2 (minor), 38.6 (major), 38.1 (major), 34.2 (major), 30.7 (minor), 30.5 (major), 27.5 (minor), 26.0 (minor), 21.2 (minor), 19.2 (major), 14.4 (minor), 13.9 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1514.

1-benzyl-6-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ja)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (22 mg, 62%, 6:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.39-7.33 (m, 6H), 7.30-7.28 (m, 3H), 7.25-7.17 (m, 1H), [6.89-6.82 (m), 6.80 (dd, *J* = 8.3, 1.6 Hz), total 1H], 6.47 (d, *J* = 8.3 Hz, 1H), 6.42(s, 1H), [4.63 (d, *J* = 17.1 Hz), 4.62 (d, *J* = 16.7 Hz), total 1 H], [4.47 (d, *J* = 16.8 Hz), 4.44 (d, *J* = 17.1 Hz), total 1 H], 4.10-4.07 (m, 1H), [3.48-3.44 (m), 3.37-3.35 (m), total 1H], 2.37-2.34 (m, 1H), 2.20-2.11 (m, 1H), [2.09 (s), 2.08 (s), total 3H], 1.64-1.59 (m, 1H), 1.36-1.25 (m, 2H), 1.22-1.13 (m, 1H), [0.91 (t, *J* = 7.4 Hz), 0.76 (t, *J* = 7.3 Hz), total

3H]. ¹³C NMR (150 MHz, CDCl₃): δ 145.2 (major), 145.2 (major), 140.6 (major), 131.2 (minor), 130.2 (minor), 129.0 (major), 128.9 (major), 128.7 (minor), 128.6 (major), 128.6 (major), 128.5 (minor), 128.4 (minor), 128.1 (minor), 128.0 (minor), 128.0 (major), 127.7 (minor), 127.5 (major), 126.7 (major), 126.7 (major), 126.5 (major), 125.6 (major), 113.4 (major), 112.3 (minor), 58.7 (major), 57.2 (minor), 55.0 (major), 54.8 (minor), 43.5 (major), 40.3 (minor), 37.6 (major), 36.9 (major), 35.6 (minor), 35.0 (minor), 34.8 (minor), 20.4 (major), 19.3 (minor), 19.0 (major), 14.3 (minor), 14.3 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃BrN: m/z = 356.2373; found, 356.2373.

1-benzyl-6-(*tert*-butyl)-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ka)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (24 mg, 60%, 9:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.38-7.34 (m, 6H), 7.30-7.24 (m, 4H), 7.01 (dd, *J* = 8.6, 2.1 Hz, 1H), [6.72 (d, *J* = 2.2 Hz), 6.66 (d, *J* = 1.6 Hz), total 1H], [6.48 (d, *J* = 8.5 Hz), 6.44 (d, *J* = 8.7 Hz), total 1 H)], 4.60 (d, *J* = 17.3 Hz, 1H), 4.46 (d, *J* = 17.2 Hz, 1 H), 4.15 (dd, *J* = 10.7, 4.4 Hz, 1H), 3.47-3.43 (m, 1H), 2.39-2.35 (m, 1H), 2.20-2.15 (m, 1H), 1.62-1.57 (m, 1H), 1.34-1.23 (m, 2H), 1.20-1.14 (m, 1H), [1.13 (s), 1.10 (s), total 9H], [0.90 (t, *J* = 7.4 Hz), 0.73 (t, *J* = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 145.4 (major), 145.3 (major), 140.9 (major), 126.7 (major), 126.6 (major), 128.9 (major), 128.7 (minor), 128.6 (major), 128.5 (major), 126.7 (major), 37.0 (major), 59.0 (major), 57.0 (minor), 55.4 (major), 54.6 (minor), 43.7 (major), 37.5 (major), 37.0 (major), 35.0 (minor), 34.9 (minor), 33.8 (major), 31.5 (minor), 31.5 (major), 19.3 (minor), 19.1 (major), 14.4 (minor), 14.3 (major); HRMS (ESI): [M+H]⁺ calcd. for C₂₉H₃₆N: m/z = 398.2843; found, 398.2842.

1-methyl-2-propyl-4-(*p*-tolyl)-1,2,3,4-tetrahydroquinoline (**111a**)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (13 mg, 47%, 7.3:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.18-7.09 (m, 5H), 6.64 (d, *J* = 8.3 Hz, 1H), [6.58 (d, *J* = 8.2 Hz), 6.56-6.48 (m), total 2H], [4.01 (dd, *J* = 11.4, 5.9 Hz), 3.94 (dd, *J* = 11.5, 4.2 Hz), total 1H], [3.43-3.39 (m), 3.29-3.26 (m), total 1H], [2.98 (s), 2.96 (s), total 3H], [2.37 (s), 2.36 (s), total 3H], 2.27 (dt, *J* = 13.0, 4.7 Hz, 1H), 2.06-2.01 (m, 1H), 1.75-1.69 (m, 1H), 1.45-1.38 (m, 1H), 1.32-1.24 (m, 2H), [0.96 (t, *J* = 7.3 Hz), 0.89 (t, *J*
= 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.6 (major), 145.7 (minor), 143.1 (minor), 141.6 (major), 136.0 (major), 135.9 (minor), 129.3 (minor), 129.3 (major), 128.8 (minor), 128.8 (major), 128.6 (major), 127.7 (major), 127.4 (minor), 127.4 (major), 116.0 (major), 115.4 (minor), 112.3 (major), 110.7 (minor), 58.8 (major), 58.7 (minor), 42.9 (major), 39.7 (minor), 38.3 (minor), 37.7 (major), 36.8 (major), 36.4 (major), 34.7 (minor), 33.9 (minor), 21.2 (major), 19.3 (minor), 18.3 (major), 14.5 (major), 14.4 (minor); HRMS (ESI): $[M+H]^+$ calcd. for C₂₀H₂₆N: m/z = 280.2060; found, 280.2060.

4-(4-fluorophenyl)-1-methyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ma)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (20 mg, 71%, 8:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.20-7.16 (m, 2H), 7.13-7.10 (m, 1H), 7.05-6.99 (m, 2H), [6.65 (d, *J* = 8.2 Hz), 6.59 (dd, *J* = 12.5, 7.9 Hz), 6.52 (dt, *J* = 13.1, 7.2 Hz), total 3H], [4.04 (t, *J* = 8.6 Hz), 3.97 (dd, *J* = 11.1, 4.2 Hz), total 1H], [3.42-3.38 (m), 3.28-3.25 (m), total 1H], [2.98 (s), 2.96 (s), total 3H], [2.29-2.25 (m), 2.10-2.07 (m), 2.04-1.98 (m), total 2H], 1.73-1.68 (m, 1H), 1.42-1.35 (m, 1H), 1.31-1.22 (m, 2H), [0.96 (t, *J* = 7.4 Hz), 0.88 (t, *J* = 7.3 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 161.7 (d, *J* = 244.2 Hz) (major), 147.5 (major), 145.7 (minor), 141.8 (minor), 140.5 (major), 130.2 (d, *J* = 7.4 Hz) (major), 129.3 (minor), 128.0 (major), 127.7 (major), 127.7 (minor), 127.6 (major), 125.1 (minor), 110.9 (minor), 58.7 (major), 58.5 (minor), 42.5 (major), 39.5 (minor), 38.3 (minor), 37.5 (major), 14.4 (minor); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃FN: m/z = 284.1809; found, 284.1810.

4-(4-chlorophenyl)-1-methyl-2-propyl-1,2,3,4-tetrahydroquinoline (11na)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (24 mg, 80%, 5.3:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ [7.31 (d, *J* = 8.3 Hz), 7.28 (d, *J* = 8.3 Hz), total 2H], 7.17-7.10 (m, 3H), [6.65 (d, *J* = 8.2 Hz), 6.59 (t, *J* = 8.8 Hz), 6.52 (dt, *J* = 14.6, 7.4 Hz), total 3H], [4.03 (t, *J* = 8.6 Hz), 3.96 (dd, *J* = 11.0, 4.3 Hz), total 1H], [3.41-3.37 (m), 3.28-3.24 (m), total 1H], [2.97 (s), 2.96 (s), total 3H], [2.28-2.24 (m),

2.09-2.07 (m), 2.03-1.97 (m), total 2H], 1.73-1.64 (m, 1H), 1.41-1.35 (m, 1H), 1.32-1.21 (m, 2H), [0.96 (t, J = 7.3 Hz), 0.88 (t, J = 7.4 Hz), total 3H].¹³C NMR (150 MHz, CDCl₃): δ 147.5 (major), 145.7 (minor), 144.8 (minor), 143.4 (major), 132.2 (major), 132.1 (minor), 130.2 (major), 129.3 (minor), 128.7 (major), 127.8 (major), 127.7 (major), 127.6 (minor), 124.7 (major), 116.1 (major), 115.6 (minor), 112.4 (major), 111.0 (minor), 58.7 (major), 58.5 (minor), 42.7 (major), 39.8 (minor), 38.3 (minor), 37.4 (major), 36.9 (major), 36.3 (major), 34.9 (minor), 33.9 (minor), 19.4 (minor), 18.4 (major), 14.5 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1512.

4-(4-bromophenyl)-1-methyl-2-propyl-1,2,3,4-tetrahydroquinoline (110a)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (24 mg, 70%, 5.9:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ [7.47-7.45 (m), 7.44-7.42 (m), total 2H], 7.13-7.08 (m, 3H), [6.65 (d, J = 8.2 Hz), 6.59 (dd, J = 10.2, 8.3 Hz), 6.51 (dt, J = 14.6, 7.1 Hz), total 3H], [4.01 (t, J = 8.6 Hz), 3.95 (dd, J = 11.1, 4.4 Hz), total 1H], [3.41-3.37 (m), 3.28-3.24 (m), total 1H], [2.97 (s), 2.96 (s), total 3H], [2.28-2.24 (m), 2.08-2.06 (m), 2.03-1.97 (m), total 2H], 1.72-1.64 (m, 1H), 1.41-1.35 (m, 1H), 1.32-1.21 (m, 2H), [0.96 (t, J = 7.3 Hz), 0.88 (t, J = 7.4 Hz), total 3H].¹³C NMR (150 MHz, CDCl₃): δ 147.5 (major), 145.3 (minor), 143.9 (major), 131.7 (major), 130.6 (major), 129.3 (minor), 127.8 (major), 127.7 (major), 127.5 (major), 120.2 (major), 120.1 (minor), 116.1 (major), 115.6 (minor), 112.4 (major), 111.0 (minor), 58.6 (major), 58.5 (minor), 42.8 (major), 39.8 (minor), 38.3 (minor), 37.4 (major), 36.9 (major), 36.3 (major), 34.8 (minor), 33.9 (minor), 19.4 (minor), 18.4 (major), 14.5 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃BrN: m/z = 344.1009; found, 344.1005.

1-methyl-2-propyl-4-(4-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinoline (11pa)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (22 mg, 66%, 2.6:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ [7.60 (d, *J* = 8.0 Hz), 7.57 (d, *J* = 8.0 Hz), total 2H], [7.35 (d, *J* = 8.0 Hz), 7.33 (d, *J* = 7.8 Hz), total 2H], [7.26-7.23 (m), 7.14-7.11 (m), total 1H], [6.67 (d, *J* = 8.2 Hz), 6.59 (dd, *J* = 15.8, 7.8 Hz), 6.53 (q, *J* = 7.1 Hz), 6.48 (d, *J* = 7.3 Hz), total 3H], [4.01 (t, *J* = 8.6 Hz), 4.07 (dd, *J* = 10.7, 4.2 Hz), total 1H], [3.41-3.39 (m), 3.29-3.27 (m), total 1H], [2.99 (s), 2.97 (s), total 3H], [2.29 (dt, *J* = 12.8, 1.50 Hz), 1.50 Hz), 1.50 Hz

4.6 Hz), 2.29 (dd, J = 8.5, 3.9 Hz), 2.09-2.02 (m), total 2H], 1.73-1.66 (m, 1H), 1.41-1.31 (m, 1H), 1.30-1.21 (m, 2H), [0.96 (t, J = 7.3 Hz), 0.87 (t, J = 7.3 Hz), total 3H].¹³C NMR (150 MHz, CDCl₃): δ 150.6 (minor), 149.2 (major), 147.5 (major), 145.7 (minor), 129.4 (minor), 129.2 (major), 127.9 (minor), 127.9 (major), 127.8 (major), 126.9 (major), 125.5 (major), 125.4 (major), 124.5 (q, J = 270.0 Hz) (major), 124.2 (minor), 116.2 (major), 115.7 (minor), 112.5 (major), 111.1 (minor), 58.6 (major), 58.5 (minor), 43.2 (major), 40.3 (minor), 38.3 (minor), 37.5 (minor), 37.2 (major), 36.9 (major), 36.2 (major), 34.9 (minor), 33.8 (minor), 19.4 (minor), 18.4 (major), 14.4 (major); HRMS (ESI): [M+H]⁺ calcd. for C₂₀H₂₃F₃N: m/z = 334.1777; found, 334.1779.

4-(2-chlorophenyl)-1-methyl-2-propyl-1,2,3,4-tetrahydroquinoline (11qa)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (13 mg, 43%, 14:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.44-7.39 (m, 1H), 7.22-7.11 (m, 4H), [6.67 (d, *J* = 8.2 Hz), 6.65 (d, *J* = 7.3 Hz), total 1H], [6.61 (d, *J* = 8.2 Hz), 6.57-6.47 (m), total 2H], [4.68 (dd, *J* = 11.4, 5.5 Hz), 4.58-4.51 (m), total 1H], [3.43-3.39 (m), 3.28-3.22 (m), total 1H], [2.99 (s), 2.97 (s), total 3H], 2.28-2.24 (m, 1H), 2.18-2.02 (m, 1H), 1.73-1.67 (m, 1H), 1.43-1.36 (m, 1H), 1.28-1.21 (m, 2H), [0.97 (t, *J* = 7.3 Hz), 0.86 (t, *J* = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 147.7 (major), 142.4 (major), 134.7 (major), 130.4 (minor), 130.1 (major), 129.6 (major), 129.4 (minor), 129.2 (minor), 127.8 (major), 127.7 (major), 127.6 (major), 111.2 (minor), 58.7 (major), 58.5 (minor), 39.3 (minor), 38.3 (minor), 36.9 (major), 35.3 (major), 33.5 (minor), 33.1 (minor), 19.3 (minor), 18.4 (major), 14.5 (major); HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₃ClN: m/z = 300.1514; found, 300.1520.

1-(furan-2-ylmethyl)-6-methoxy-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11ra)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:20); colorless oil (14 mg, 39%, 12:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.37 (d, *J* = 2.0 Hz, 1H), 7.33 (t, *J* = 7.6 Hz, 2H), 7.26-7.21 (m, 3H), [6.67 (d, *J* = 8.9 Hz), 6.72 (dd, *J* = 8.9, 1.3 Hz), total 1H)], [6.68 (dd, *J* = 8.8, 2.6 Hz), 6.65 (dd, *J* = 8.8, 3.2 Hz), total 1H], [6.32 (dd,

J = 3.2, 1.9 Hz), 6.28 (dd, J = 6.7, 2.8 Hz), total 1H], 6.17-6.15 (m, 2H), 4.53 (d, J = 16.9 Hz, 1H), 4.36 (d, J = 16.8 Hz, 1H), 3.98 (dd, J = 11.6, 4.3 Hz, 1H), [3.59 (s), 3.58 (s), total 3H], 3.41-3.36 (m, 1H), 2.27-2.19 (m, 1H), 2.05-1.99 (m, 1H), 1.73-1.67 (m, 1H), 1.45-1.39 (m, 1H), 1.35-1.29 (m, 1H), 1.26-1.20 (m, 1H), [0.97 (t, J = 7.3 Hz), 0.84 (t, J = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 153.9 (major), 151.6 (major), 144.7 (major), 141.6 (major), 141.4 (major), 130.4 (major), 128.9 (major), 128.7 (major), 126.7 (major), 115.3 (major), 114.8 (major), 112.4 (major), 110.4 (major), 107.2 (major), 58.2 (major), 55.7 (major), 48.4 (major), 43.9 (major), 37.8 (major), 36.8 (major), 18.6 (major), 14.4 (major); HRMS (ESI): [M+H]⁺ calcd. for C₂₄H₂₈NO₂: m/z = 362.2115; found, 362.2112.

1-(but-3-en-1-yl)-6-methyl-4-phenyl-2-propyl-1,2,3,4-tetrahydroquinoline (11sa)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (20 mg, 63%, >20:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.34 (t, *J* = 7.6 Hz, 2H), 7.27-7.23 (m, 3H), 6.92-6.90 (m, 1H), 6.64 (d, *J* = 8.3 Hz, 1H), 6.39 (s, 1H), 5.90-5.83 (m, 1H), 5.12 (dd, *J* = 17.2, 1.3 Hz, 1H), 5.06 (dd, *J* = 10.3, 1.7 Hz, 1H), 3.97 (dd, *J* = 10.8, 4.5 Hz, 1H), 3.55-3.50 (m, 1H), 3.43-3.39 (m, 1H), 3.25-3.20 (m, 1H), 2.43-2.32 (m, 2H), 2.27-2.23 (m, 1H), 2.10 (s, 3H), 2.06-2.01 (m, 1H), 1.68-1.62 (m, 1H), 1.39-1.33 (m, 1H), 1.32-1.19 (m, 2H), 0.86 (t, *J* = 7.3 Hz, 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 145.2, 144.0, 136.3, 129.1, 128.9, 128.5, 128.2, 127.9, 126.4, 125.3, 116.2, 113.0, 57.3, 48.6, 43.4, 37.4, 36.5, 31.9, 20.5, 18.5, 14.5; HRMS (ESI): [M+H]⁺ calcd. for C₂₃H₃₀N: m/z = 320.2373; found, 320.2370.

1-phenyl-3-propyl-2,3,6,7-tetrahydro-1*H*,5*H*-pyrido[3,2,1-*ij*]quinoline (11ta)



Мe

The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (16 mg, 55%, 4.5:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.31 (m, 2H), 7.25-7.22 (m, 3H), [6.91 (t, *J* = 8.7 Hz), 6.83-6.80 (m), total 1H], [6.69 (t, *J* = 7.5 Hz), 6.43-6.35 (m), total 2H], [4.07 (dd, *J* = 10.5, 5.2 Hz), 4.00 (dd, *J* = 10.6, 6.4 Hz), total 1H], 3.47-3.43 (m, 1H), 3.34-3.30 (m, 1H), [3.20-3.17 (m), 2.97-2.94 (m), total 1H], [2.89-2.87 (m), 2.82-2.77 (m), total 1H], 2.73-2.69 (m, 1H), [2.23-2.13 (m), 2.09-2.03 (m), 2.02-1.99 (m), total 4H], 1.70-1.63 (m, 1H), 1.51-1.45 (m, 1H), 1.33-1.22 (m, 2H), [1.00-0.96 (m), 0.87 (t, *J* = 7.4 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 145.9 (major), 143.6 (major), 129.2 (minor), 129.0

(minor), 128.9 (major), 128.5 (major), 128.3 (minor), 127.4 (minor), 127.3 (major), 127.2 (major), 126.4 (major), 125.5 (major), 123.1 (major), 121.3 (minor), 120.0 (minor), 115.5 (major), 114.6 (minor), 57.8 (major), 57.4 (minor), 48.8 (minor), 46.4 (major), 43.7 (major), 40.2 (minor), 36.9 (major), 35.4 (major), 34.2 (minor), 33.7 (minor), 28.2 (major), 25.3 (minor), 22.9 (major), 22.3 (minor), 19.2 (minor), 17.9 (major), 14.6 (major), 14.5 (minor); HRMS (ESI): $[M+H]^+$ calcd. for C₂₁H₂₆N: m/z = 292.2060; found, 292.2060.

1-benzyl-6-methyl-2-phenethyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11ab)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (19 mg, 46%, 8:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.41-7.36 (m, 2H), 7.35-7.30 (m, 7H), 7.28-7.23 (m, 1H), 7.21-7.18 (m, 2H), 7.14-7.12 (m, 1H), [7.02-6.93 (m), 6.90 (d, 7.2 Hz), total 2H], 6.83 (dd, *J* = 8.2, 1.7 Hz, 1H), 6.51 (d, *J* = 8.3 Hz, 1H), 6.47-6.44 (m, 1H), 4.62-4.56 (m, 1H), 4.45-4.41 (m, 1H), 4.13 (dd, *J* = 10.0, 4.6 Hz, 1H), [3.53-3.45 (m), 3.39-3.35 (m), total 1H], [2.74-2.69 (m), 2.60-2.51 (m), total 1H], 2.45-2.39 (m, 2H), [2.32-2.28 (m), 2.26-2.23 (m), total 1H], [2.11 (s), 2.09 (s), total 3H], 1.95-1.89 (m, 1H), 1.72-1.65 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 145.2 (major), 145.0 (major), 142.1 (major), 140.3 (major), 129.2 (major), 129.0 (major), 128.7 (major), 128.6 (major), 128.5 (major), 128.4 (major), 128.3 (major), 128.1 (major), 127.2 (major), 126.9 (major), 126.8 (major), 126.6 (major), 125.8 (major), 13.5 (major), 58.3 (major), 55.0 (major), 43.2 (major), 40.4 (minor), 37.0 (major), 36.1 (major), 34.1 (minor), 32.3 (minor), 31.9 (major), 20.4 (major); HRMS (ESI): [M+H]⁺ calcd. for C₃₁H₃₂N: m/z = 418.2530; found, 418.2527.

1-benzyl-6-methyl-2-(2-(methylthio)ethyl)-4-phenyl-1,2,3,4-tetrahydroquinoline (11bb)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (19 mg, 49%, 5.8:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.38-7.32 (m, 6H), 7.29-7.23 (m, 4H), 6.83-6.80 (m, 1H), [6.51 (d, *J* = 8.3 Hz), 6.47 (d, *J* = 8.5 Hz), 6.45 (s), total 2H], [4.63 (d, 17.1 Hz), 4.61 (d, 16.6 Hz), total 1H], [4.49 (d, 16.7 Hz), 4.45 (d, 17.0 Hz), total 1H], 4.15-4.07 (m, 1H), [3.61-3.56 (m), 3.52-3.48 (m), total 1H], [2.57-2.53 (m), 2.48-2.45 (m), 2.39-2.30 (m), total 3H], 2.19-2.11 (m, 1H), [2.10 (s), 2.08 (s), total 3H], 1.89 (s, 3H), 1.91-1.85 (m, 1H), 1.68-1.62 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 145.0 (major), 144.8 (major), 140.2 (major), 130.3 (minor), 129.2 (major), 128.9 (major), 128.7 (major), 128.7 (major), 128.1 (major), 127.0 (minor), 126.9 (major), 126.8 (major), 126.6 (major), 126.0

(major), 113.6 (major), 113.0 (minor), 57.8 (major), 56.3 (minor), 55.2 (major), 43.1 (major), 40.4 (minor), 37.0 (major), 34.9 (minor), 33.9 (major), 32.0 (minor), 31.3 (minor), 31.0 (minor), 30.4 (major), 21.2 (minor), 20.4 (major), 15.8 (minor), 15.5 (major); HRMS (ESI): $[M+H]^+$ calcd. for C₂₆H₃₀NS: m/z = 388.2094; found, 388.2095.

1-benzyl-6-methyl-4-phenyl-2-(3-((triisopropylsilyl)oxy)propyl)-1,2,3,4-tetrahydroquinoline (**11cb**)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (25 mg, 47%, >20:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.36 (t, *J* = 7.6 Hz, 2H), 7.34-7.31 (m, 4H), 7.30-7.27 (m, 3H), 7.24-7.21 (m, 1H), 6.81-6.76 (m, 1H), 6.45 (d, *J* = 8.3 Hz, 1H), 6.39 (s, 1H), 4.62 (d, *J* = 17.2 Hz, 1H), 4.43 (d, *J* = 17.2 Hz, 1H), 4.07 (dd, *J* = 11.2, 4.1 Hz, 1H), 3.53-3.44 (m, 3H), 4.07 (dt, *J* = 13.0, 4.3 Hz, 1H), 2.19-2.11 (m, 1H), 2.07 (s, 3H), 1.78-1.74 (m, 1H), 1.50- 1.45 (m, 1H), 1.40-1.33 (m, 2H), 0.98-0.95 (m, 21H). ¹³C NMR (150 MHz, CDCl₃): δ 145.2, 145.0, 140.5, 128.9, 128.9, 128.6, 128.5, 128.0, 127.5, 126.7, 126.6, 125.6, 113.3, 57.8, 56.3, 55.2, 43.1, 40.4, 37.0, 63.3, 58.9, 55.0, 43.6, 37.7, 31.1, 29.1, 20.4, 18.1, 12.0; HRMS (ESI): [M+H]⁺ calcd. for C₃₅H₅₀NOSi: m/z = 528.3656; found, 528.3655.

1-benzyl-6-methyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11db)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (12 mg, 38%); ¹H NMR (600 MHz, CDCl₃): δ 7.34-7.29 (m, 6H), 7.25-7.20 (m, 2H), 7.14 (d, *J* = 7.4 Hz, 2H), 6.85 (dd, *J* = 8.3, 1.8 Hz, 1H), 6.64 (d, *J* = 1.4 Hz, 1H), 6.53 (d, *J* = 8.3 Hz, 1H), 4.51 (s, 2H), 4.17 (t, *J* = 5.5 Hz, 1H), 3.36-3.15 (m, 2H), 2.32-2.26 (m, 1H), 2.13 (s, 3H), 2.13-2.08 (m, 1H). ¹³C NMR (150 MHz, CDCl₃): δ 146.5, 143.7, 139.2, 130.8, 128.8, 128.7, 128.4, 128.3, 126.9, 126.8, 126.2, 125.1, 124.1, 111.4, 55.6, 46.6, 43.5, 31.0, 20.3; HRMS (ESI): [M+H]⁺ calcd. for C₂₃H₂₄N: m/z = 314.1903; found, 314.1904.

1-benzyl-2-cyclopropyl-6-methyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11eb)



The titled compound was synthesized following the general procedure E. EtOAc/n-hexane (1:50); colorless oil (19 mg, 54%, 2:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.38-7.31 (m, 6H), 7.29 (d, J = 7.2 Hz, 2H), 7.25-7.21 (m, 2H), [6.82 (dd, J = 8.5, 2.0 Hz), 6.79 (dd, J = 8.3, 1.8Hz), total 1H], [6.58 (d, J = 2.8 Hz), 6.48-6.45 (m), 6.42 (s), total 3H], [4.92 (d, J = 17.4 Hz), 4.71 (d, J = 2.5 Hz), 4.65 (d, J = 17.4 Hz), total 2H], [4.24 (dd, J = 8.8, 5.3 Hz), 4.11 (dd, J =10.8, 5.4 Hz), total 1H], [2.70 (td, J = 9.3, 4.3 Hz), 2.53-2.48 (m), total 1H], [2.40-2.34 (m), 2.29-2.23 (m), total 2H], [2.11 (s), 2.08 (s), total 3H], [1.04-0.98 (m), 0.72-0.67 (m), total 1H], [0.56-0.52 (m), 0.48-0.41 (m), 0.37-0.33 (m), total 2H], 0.31-0.23 (m, 1H), [0.05-0.02 (m), -0.04--0.08 (m), total 1H]. ¹³C NMR (150 MHz, CDCl₃): δ 146.3 (minor), 145.4 (major), 144.7 (major), 143.5 (minor), 140.9 (major), 140.2 (minor), 130.2 (minor), 129.4 (major), 128.9 (major), 128.9 (minor), 128.6 (major), 128.6 (minor), 128.5 (minor), 128.5 (major), 128.2 (minor), 128.1 (major), 126.7(minor), 126.6 (major), 126.5 (major), 126.4 (major), 126.3 (minor), 125.4 (major), 125.0 (major), 124.9 (minor), 112.5 (major), 112.0 (minor), 63.7 (major), 61.2 (minor), 53.6 (minor), 52.8 (major), 44.3 (major), 41.4 (minor), 39.7 (major), 37.3 (minor), 20.4 (major), 20.3 (minor), 16.8 (major), 15.4 (minor), 7.6 (major), 6.9 (minor), 1.7 (major), 0.8 (minor); HRMS (ESI): $[M+H]^+$ calcd. for C₂₆H₂₈N: m/z = 354.2216; found, 354.2218.

2-isopropyl-1,6-dimethyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11fb)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (16 mg, 57%, 5.7:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ [7.42-7.39 (m), 7.33-7.29 (m), 7.26-7.21 (m), 7.20-7.18 (m), total 5H], [6.96 (dd, J = 8.3, 1.9 Hz), 6.92 (dd, J = 8.4, 1.5 Hz), total 1H], [6.57 (d, J = 8.2 Hz), 6.29 (s), total 2H], [4.05 (dd, J = 10.2, 5.3 Hz), 3.88 (dd, J = 12.5, 3.6 Hz), total 1H], [3.38 (dt, J = 10.2, 5.2 Hz), 2.88-2.82 (m), total 1H], [3.05 (s), 2.98 (s), total 3H], [2.31-2.26 (m), 2.14 (s), 2.14-2.12 (m), 2.11(s), 2009-2.00 (m), 1.96 (td, J = 12.7, 11.3 Hz), total 6H], [0.94 (d, J = 6.9 Hz), 0.92 (d, J = 6.7 Hz), 0.77 (d, J = 6.8 Hz), total 6H]. ¹³C NMR (150 MHz, CDCl₃): δ 146.4 (minor), 146.0 (major), 144.3 (major), 144.2 (minor), 128.1 (minor), 129.7 (major), 129.0 (major), 128.7 (major), 128.7 (minor), 125.4 (minor), 124.9 (major), 124.4 (minor), 37.0 (major), 32.5 (minor), 32.1 (major), 30.9 (minor), 29.8 (major), 20.7 (minor), 20.5 (major), 20.4 (minor), 19.6 (major), 18.2 (minor),

14.9 (major); HRMS (ESI): $[M+H]^+$ calcd. for $C_{20}H_{26}N$: m/z = 280.2060; found, 280.2060.

1,6-dimethyl-4-phenyl-2-(1-phenylethyl)-1,2,3,4-tetrahydroquinoline (11gb)



The titled compound was synthesized following the general procedure E. EtOAc/n-hexane (1:50); colorless oil (18 mg, 53%, 2.2:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.35 (q, J = 7.9 Hz, 2H), 7.31-7.27 (m, 2H), 7.25-7.22 (m, 3H), 7.21-7.18 (m, 1H), 7.16-7.15 (m, 1H), 6.96-6.92 (m, 2H), [6.65 (d, J = 8.2 Hz), 6.61 (d, J = 8.1 Hz), total 1H], [6.38 (s), 6.29 (s), total 1H],[3.99 (dd, *J* = 9.5, 4.9 Hz), 3.78 (dd, *J* = 11.8, 3.6 Hz), total 1H], [3.65 (dt, *J* = 10.5, 5.2 Hz), 3.53 (dt, J = 8.9, 5.4 Hz), total 1H], [3.50-3.43 (m), 3.22-3.19 (m), total 1H], [3.17 (s), 3.07 (s), total 3H], [2.20-2.11 (m), 2.06-2.02 (m), total 1H], [2.11 (s), 2.11 (s), total 3H], [1.86-1.81 (m), 1.77-1.73 (m), total 1H], [1.31 (d, J = 7.4 Hz), 1.15 (d, J = 7.1 Hz), total 3H]. ¹³C NMR (150 MHz, CDCl₃): δ 145.7 (minor), 145.6 (major), 145.4 (minor), 144.0 (major), 143.8 (major), 143.6 (minor), 129.7 (minor), 129.1 (minor), 129.0 (major), 128.9 (minor), 128.6 (major), 128.6 (major), 128.4 (major), 128.2 (minor), 128.0 (minor), 127.9 (minor), 127.9 (major), 127.8 (major), 127.7 (major), 127.7 (minor), 126.6 (major), 126.4 (minor), 126.3 (major), 126.1 (minor), 125.6 (minor), 125.3 (major), 114.4 (minor), 112.6 (major), 65.7 (minor), 64.9 (major), 43.0 (major), 42.8 (minor), 41.9 (minor), 41.2 (minor), 41.0 (major), 37.8 (major), 33.1 (minor), 32.1 (major), 20.6 (major), 20.5 (minor), 18.4 (minor), 11.5 (major); HRMS (ESI): [M+H]⁺ calcd. for $C_{25}H_{28}N$: m/z = 342.2216; found, 342.2218.

2-cyclopropyl-1,6-dimethyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11hb)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (17 mg, 61%, 3:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.30 (m, 2H), 7.27-7.19 (m, 3H), 6.95-6.92 (m, 1H), [6.66 (d, *J* = 8.3 Hz), 6.60 (d, *J* = 8.6 Hz), total 1 H], [6.53 (d, *J* = 2.3 Hz), 6.40 (d, *J* = 2.3 Hz), total 1 H], [4.19 (dd, *J* = 9.57, 6.23 Hz), 4.00 (dd, *J* = 12.02, 4.97 Hz), total 1 H], [3.07 (s), 3.03 (s), total 3 H], [2.43-2.37 (m), 2.38-2.34 (m), total 2 H], 2.21-2.15 (m, 1H), [2.12 (s), 2.10 (s), total 3 H], [0.98-0.88 (m), 0.77-0.64 (m), total 2 H], 0.46-0.38 (m, 2H), [0.10-0.06 (m), -0.01--0.04 (m), total 1 H]; ¹³C NMR (150 MHz, CDCl₃): δ 146.6 (minor), 145.5 (major), 145.3 (major), 143.8 (minor), 130.2 (minor), 129.2 (major), 128.9 (minor), 128.9 (major), 128.6 (major), 125.6 (major), 125.5 (minor), 125.0 (minor), 112.3 (major), 111.4 (minor), 64.3 (major), 63.0 (minor), 44.1 (major), 41.3 (minor), 39.9

(major), 38.0 (minor), 37.5 (minor), 36.0 (major), 20.4 (major), 20.4 (minor), 16.5 (major), 13.8 (minor), 7.9 (major), 6.7 (minor), 1.4 (major), 0.3 (minor); HRMS (ESI): $[M+H]^+$ calcd. for C₂₀H₂₄N: m/z = 278.1903; found, 278.1903.

2-cyclohexyl-1,6-dimethyl-4-phenyl-1,2,3,4-tetrahydroquinoline (11ib)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (15 mg, 47%, >20:1 d.r.); ¹H NMR (600 MHz, CDCl₃): δ 7.39 (t, *J* = 7.5 Hz, 2H), 7.32-7.26 (m, 3H), 6.92 (d, *J* = 8.4 Hz, 1H), 6.55 (d, *J* = 8.2 Hz, 1H), 6.29 (s, 1H), 3.86 (dd, *J* = 12.17, 3.60 Hz, 1H), 3.35 (p, *J* = 5.17 Hz, 1H), 2.98 (s, 3H), 2.15-2.12 (m, 1H), 2.10 (s, 3H), 2.05-1.99 (m, 1H), 1.87-1.84 (m, 1H), 1.78-1,67 (m, 4H), 1.55 (d, *J* = 12.0 Hz, 1H), 1.46 (d, *J* = 12.0 Hz, 1H), 1.28-1.24 (m, 1H), 1.19-1.15 (m, 1H), 1.14-1.08 (m, 2H), 1.00-0.93 (m, 1H); ¹³C NMR (150 MHz, CDCl₃): δ 145.9, 144.3, 129.6, 129.0, 128.6, 127.8, 127.5, 126.6, 124.9, 112.2, 63.3, 43.4, 41.0, 37.2, 33.6, 30.5, 27.2, 27.0, 26.5, 25.8, 20.5; HRMS (ESI): [M+H]⁺ calcd. for C₂₃H₃₀N: m/z = 320.2373; found, 320.2374.

1'-methyl-4'-phenyl-3',4'-dihydro-1'H-spiro[cyclobutane-1,2'-quinoline] (11jb)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (12 mg, 46%); ¹H NMR (600 MHz, CDCl₃): δ 7.35 (t, *J* = 7.2 Hz, 2H), 7.28-7.25 (m, 3H), 7.09 (t, *J* = 7.2 Hz, 1H), 6.63 (d, *J* = 8.2 Hz, 1H), 6.51-6.48 (m, 2H), 3.90 (d, *J* = 11.4 Hz, 1H), 3.05 (s, 3H), 2.67 (q, *J* = 10.3 Hz, 1H), 2.50-2.36 (m, 2H), 2.14 (t, *J* = 12.6 Hz, 1H), 1.90 (t, *J* = 10.1 Hz, 1H), 1.82 (t, *J* = 10.2 Hz, 1H), 1.76-1.67 (m, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 146.0, 144.5, 129.0, 128.7, 127.8, 127.8, 127.5, 126.6, 115.8, 111.3, 59.8, 42.8, 41.1, 33.4, 33.4, 32.8, 12.9; HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₂N: m/z = 264.1747; found, 264.1745.

1',6'-dimethyl-4'-phenyl-3',4'-dihydro-1'*H*-spiro[cyclobutane-1,2'-quinoline] (11kb)



The titled compound was synthesized following the general procedure E. EtOAc/n-hexane

(1:50); colorless oil (15 mg, 54%); ¹H NMR (600 MHz, CDCl₃): δ 7.37 (t, J = 7.6 Hz, 2H), 7.31-7.24 (m, 3H), 6.92 (d, J = 6.2 Hz, 1H), 6.58 (d, J = 8.3 Hz, 1H), 6.38 (s, 1H), 3.93 (dd, J = 12.2, 3.9 Hz, 1H), 3.04 (s, 3H), 2.66 (q, J = 11.7 Hz, 1H), 2.45 (d, J = 10.3 Hz, 1H), 2.40 (dd, J = 13.0 Hz, 1H), 2.15 (td, J = 12.7, 1.7 Hz, 1H), 2.10 (s, 3H), 1.93-1.88 (m, 1H), 1.84-1.79 (m, 1H), 1.76-1.68 (m, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 144.8, 144.0, 129.0, 128.7, 128.6, 127.9, 127.8, 126.6, 125.1, 111.8, 59.8, 43.0, 41.2, 33.3, 33.0, 32.9, 20.4, 12.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₀H₂₄N: m/z = 278.1903; found, 278.1899.

6'-methoxy-1'-methyl-4'-phenyl-3',4'-dihydro-1'H-spiro[cyclobutane-1,2'-quinoline] (111b)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (14 mg, 48%); ¹H NMR (600 MHz, CDCl₃): δ 7.36-7.33 (m, 2H), 7.27-7.24 (m, 3H), 6.69 (dd, *J* = 8.9, 2.7 Hz, 1H), 6.62 (d, *J* = 8.9 Hz, 1H), 6.20-6.17 (m, 1H), 3.92 (dd, *J* = 12.3, 4.1 Hz, 1H), 3.59 (s, 3H), 2.99 (s, 3H), 2.63 (q, *J* = 9.8 Hz, 1H), 2.45-2.36 (m, 2H), 2.12 (td, *J* = 12.6, 1.6 Hz, 1H), 1.92-1.87 (m, 1H), 1.83-1.78 (m, 1H), 1.75-1.67 (m, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 151.1, 144.5, 140.8, 129.5, 129.0, 128.7, 126.7, 114.9, 113.0, 112.2, 59.8, 55.8, 42.5, 41.4, 33.6, 33.2, 32.7, 12.9; HRMS (ESI): [M+H]⁺ calcd. for C₂₀H₂₄NO: m/z = 294.1853; found, 294.1851.

6'-fluoro-1'-methyl-4'-phenyl-3',4'-dihydro-1'H-spiro[cyclobutane-1,2'-quinoline] (11mb)



The titled compound was synthesized following the general procedure E. EtOAc/*n*-hexane (1:50); colorless oil (15 mg, 53%); ¹H NMR (600 MHz, CDCl₃): δ 7.37-7.34 (m, 2H), 7.29-7.27 (m, 1H), 7.25-7.23 (m, 2H), 6.79-6.76 (td, *J* = 8.5, 2.8 Hz, 1H), 6.52 (dd, *J* = 9.0, 4.7 Hz, 1H), 6.27-6.24 (m, 1H), 3.86 (dd, *J* = 12.4, 3.7 Hz, 1H), 3.01 (s, 3H), 2.65 (q, *J* = 11.9 Hz, 1H), 2.45-2.39 (m, 2H), 2.10 (td, *J* = 12.7, 1.8 Hz, 1H), 1.91-1.86 (m, 1H), 1.84-1.79 (m, 1H), 1.75-1.66 (m, 2H). ¹³C NMR (150 MHz, CDCl₃): δ 155.0 (d, *J* = 234.0 Hz), 143.9, 142.5, 129.6 (d, *J* = 5.8 Hz), 128.9, 128.9, 126.9, 114.6 (d, *J* = 22.9 Hz), 113.4 (d, *J* = 21.8 Hz), 112.1 (d, *J* = 7.2 Hz), 59.8, 42.5, 41.2, 33.3, 33.1, 12.9; HRMS (ESI): [M+H]⁺ calcd. for C₁₉H₂₁FN: m/z = 282.1653; found, 282.1653.

12. Copies of Product 1H NMR and 13C NMR









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Bn Bn 'N Bn CN 4gc ¹H NMR (600 MHz, CDCI₃, 296K) 2.12 2.12 2.12 2.12 2.13 2.19 2.19 2.19 2.04-1.95 2.00 **.**66.0 1.02 0.94 1.01 2.0 8.0 7.5 7.0 6.5 5.5 4.0 3.5 3.0 2.5 1.5 9.0 8.5 6.0 5.0 1.0 0.5 0.0 -0.5 4.5 f1 (ppm) 142.31 141.60 141.60 140.08 133.00 132.59 131.59 131.59 131.59 128.81 128.81 128.81 128.81 128.81 126.95 119.14 -110.79 -60.23 -54.09 34.26 Bn Bn . Β'n CN 4gc 13C NMR (150 MHz, CDCl₃, 296K)

-1 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 f1 (ppm)







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8.02 8.02 8.04 8.04 8.04 8.05



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S105



S106





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S133

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13. References:

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