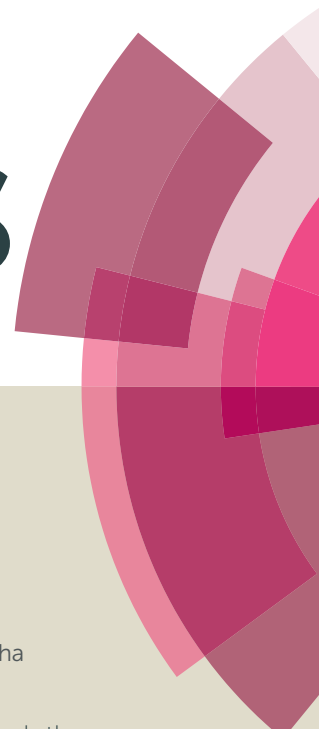


RSC Advances



This article can be cited before page numbers have been issued, to do this please use: R. Gattu, S. Basha R, P. Ray Bagdi and A. T. Khan, *RSC Adv.*, 2016, DOI: 10.1039/C5RA23413A.



This is an *Accepted Manuscript*, which has been through the Royal Society of Chemistry peer review process and has been accepted for publication.

Accepted Manuscripts are published online shortly after acceptance, before technical editing, formatting and proof reading. Using this free service, authors can make their results available to the community, in citable form, before we publish the edited article. This *Accepted Manuscript* will be replaced by the edited, formatted and paginated article as soon as this is available.

You can find more information about *Accepted Manuscripts* in the [Information for Authors](#).

Please note that technical editing may introduce minor changes to the text and/or graphics, which may alter content. The journal's standard [Terms & Conditions](#) and the [Ethical guidelines](#) still apply. In no event shall the Royal Society of Chemistry be held responsible for any errors or omissions in this *Accepted Manuscript* or any consequences arising from the use of any information it contains.



Journal Name

ARTICLE

One-pot three component regioselective synthesis of C1-functionalised 3-arylbenzo[f]quinoline

Radhakrishna Gattu,^a R. Sidick Basha,^a Prasanta Ray Bagdi^a and Abu T. Khan^{*a,b}Received 00th January 20xx,
Accepted 00th January 20xx

DOI: 10.1039/x0xx00000x

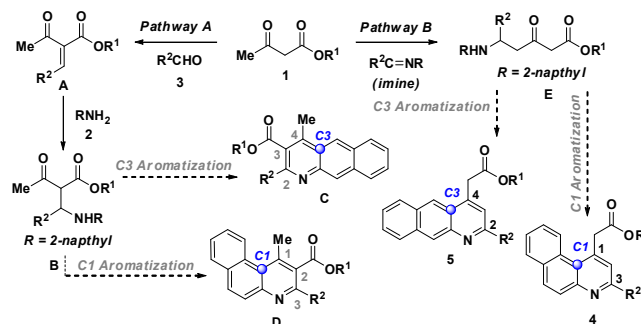
www.rsc.org/

An efficient method for C1-functionalised regioselective synthesis of 3-arylbenzo[f]quinoline has been demonstrated *via* δ -selective inferred aromatization using β -ketoester, 2-naphthylamine and aromatic aldehyde by employing 10 mol% camphorsulfonic acid as catalyst in acetonitrile at 70 °C. In this approach, two C-C bond formation will attain functionalised benzo[f]quinoline in one-pot three component reaction. In addition, the present protocol access a diverse substrate scope tolerance with good yields. Furthermore, the protocol was directly utilised for the synthesis of alkyl 2-(3-(naphthalen-2-yl)benzo[f]quinolin-1-yl)acetate, allyl 2-(3-(heteroaromatic)benzo[f]quinolin-1-yl)acetate and functionalised 1,2,3-tri substituted benzo[f]quinoline.

Introduction

A C4-functionalized quinoline are recognized as one of the forefront of heterocycles^{1a} that found in many alkaloid natural products, bioactive scaffolds and in potent marketed drugs (Figure 1).^{1b-e} Around the active quinoline equip skeleton,^{2,1e} its integral core derive unit of benzoquinoline feature as eminent biological probe in pharmaceuticals which viewed as selective 5-HT₃ receptor ligands,³ CFTR activators,⁴ D₃ dopamine agonists,⁵ vesicular glutamate transporter inhibitors,⁶ dopaminergic activity in nerve assay,⁷ α_1 -receptors agonistic activity⁸ and *in vitro* human type 1 & 2 steroid 5 α -reductase inhibitors.⁹ Certainly, few of them are found to possess antibacterial,¹⁰ antimicrobial,¹¹ antipsychotic,¹² and antimalarial¹³ activities. These emerging wide avenue of

applications demand the future bioactive scaffold of functionalised benzoquinoline on development of facile synthetic method. The design and synthesise of functionalised benzoquinoline using β -ketoester **1**, 2-naphthylamine **2** and an aldehyde **3** favours the possible reaction site-pathways as shown in Scheme 1.



Scheme 1. Possible reaction site-pathways.

In pathway A, β -ketoester with aldehyde prefer to attain species A which react with amine *via* Michael addition desires to B and it may aromatize either at C3 or C1 position of the naphthylamine to form alkyl 4-methyl-2-arylbenzo[g]quinoline-3-carboxylate C and alkyl 1-methyl-3-arylbenzo[f]quinoline-2-carboxylate D. On the other hand in pathway B, alkyl-5-(naphthalen-2-ylamino)-3-oxo-5-arylpentanoate E prefer to form alkyl 2-(3-arylbenzo[f] quinolin-1-yl)acetate 4 and alkyl 2-(2-arylbenzo[g]quinolin-4-yl)acetate 5. Among the possible reaction site-pathways, the regioselective synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate 4 are highly desirable, which may act as a scaffold in drug discovery unit. Since the reported methods in literature¹⁴ are limited, we were interested to find out an elegant method for the synthesis of functionalised benzo[f]quinoline 4. The insight schematic route leading to the synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate 4 is shown in Scheme 2.

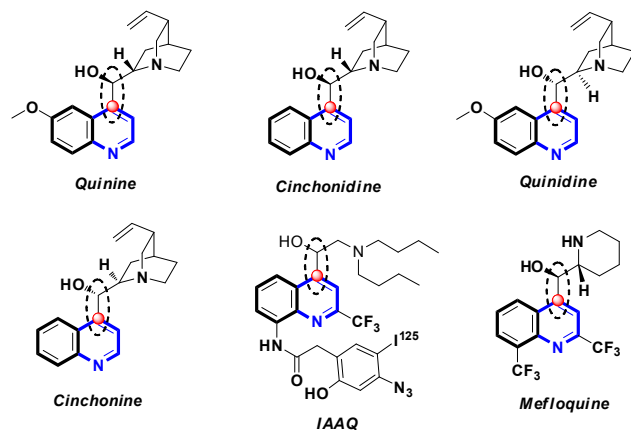


Figure 1. Biologically active molecules containing C4-functionalized quinoline skeleton.

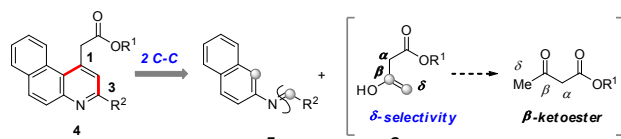
^a Department of Chemistry, Indian Institute of Technology Guwahati, Guwahati 781 039, India. Tel.: +91 361 2582305; fax: +91 361 2582349. E-mail: atk@iitg.ernet.in

^b Vice-Chancellor, Aliah University, IIA/27, New Town, Kolkata-700 156, West Bengal, India.

Electronic Supplementary Information (ESI) available: [XRD of 4g CCDC no is 1434638. ¹H & ¹³C NMR copies]. See DOI: 10.1039/x0xx00000x

ARTICLE

Journal Name

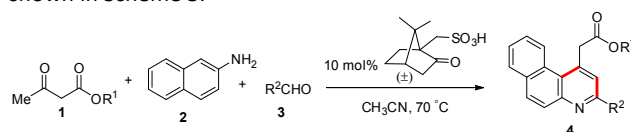


Scheme 2. Synthetic route and its pre-centre of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate.

The role of δ -selectivity in synthetic precursor plays a vital key transformation to obtain complex heterocycles¹⁵ in pharmaceuticals.¹⁶ In particular, the δ -selective of β -ketoester^{17a,b} through multicomponent reactions^{17c-f} remains a challenge puzzle to synthetic chemists. Multicomponent reactions are often considered as sheer growing molecular diversity¹⁸ to assemble complex molecules having diverse range of biomedical applications.¹⁹ The existing demand in these reactions is to achieve the significant biomolecular scaffolds which widely access through intermolecular C-C bond formation.²⁰ We envisaged that functionalised benzo[f]quinoline may pursuit through progressive MCRs intermolecular C-C bond formation.

The expedient cheap and readily available camphorsulfonic acid has well-defined versatile application in organic transformations²¹ and it is used extensively in cyclisation reactions,²² alkylation of anilines,²³ asymmetric²⁴ and in natural product synthesis.^{25,22} We believed that driven camphorsulfonic acid might be suitable for demanded δ -selectivity in the regioselective synthesis of functionalised benzo[f]quinoline.

Over all, herein we wish to report the efficient synthesis of C1-functionalised 3-arylbenzo[f]quinoline from β -ketoester, 2-naphthylamine and aromatic aldehyde using 10 mol% camphorsulfonic acid as catalyst in acetonitrile at 70 °C as shown in Scheme 3.



Scheme 3. Synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate.

Results and discussion

In attempt to optimise the reaction conditions, we had tested a series of reactions with ethyl acetoacetate **1a**, 2-naphthylamine **2** and benzaldehyde **3a** as depicted in Table 1. It is to be noted that the 10 mol% camphorsulfonic acid catalyst in acetonitrile at 70 °C which gave best yield (Table 1, entry 5). However, different solvents such as DCE, THF and *n*-BuOH affords lower yield. (Table 1, entries 8-10). It is also noteworthy that in our present protocol we have not observed any other byproducts such as **C**, **D** and **5** in the reaction medium. After optimisation, we conducted reactions with 2-naphthylamine (**2**), methyl acetoacetate (**1b**) and with a diverse para-substituted benzaldehyde such as 4-methyl (**3b**), 4-chloro (**3c**), 4-bromo (**3d**), 4-methoxy (**3e**) and 4-hydroxy (**3f**) moiety which afforded the desired product **4b-f** in 86-96%

yield. Subsequent reaction with *tert*-butyl acetoacetate (**1c**), 4-fluorobenzaldehyde (**3g**)/furfural (**3h**) delivered the required product **4g** and **4h** in 88% and 76% yield.

Table 1. Optimization of the reaction conditions^a

Entry	Catalyst (mol%)	Solvent	Time (h)	Yield (%) ^b
01	AcOH (10)	CH ₃ CN	8.0	55
02	<i>p</i> -TSA (10)	CH ₃ CN	12	NR
03	Iodine (10)	CH ₃ CN	2.5	60
04	L-Proline (10)	CH ₃ CN	12	NR
05	(±)-CSA (10)	CH ₃ CN	2.0	92
06	(±)-CSA (05)	CH ₃ CN	3.0	80
07	(±)-CSA (15)	CH ₃ CN	2.5	89
08	(±)-CSA (10)	DCE	12	35
09	(±)-CSA (10)	THF ^c	06	65
10	(±)-CSA (10)	<i>n</i> -BuOH	12	25

^aAll the reactions were carried out using ethyl acetoacetate (**1a**, 0.5 equiv), 2-naphthylamine (**2**, 0.5 equiv) and benzaldehyde (**3a**, 0.5 equiv). ^bIsolated yield. ^cReaction temperature at 55°C. NR = no reaction.

Further, the reaction was examined with 2/3-halobenzaldehyde (**3i/3j**)/2,4-dimethoxy benzaldehyde (**3k**), 2-naphthylamine and methyl acetoacetate (**1b**) and the resultant product **4i-k** were obtained in 78-88% yield. In addition, 2/3-(allyloxy) benzaldehyde (**3l/3m**) underwent reactions with allyl acetoacetate (**1d**) and 2-naphthylamine which gave the corresponding product **4l** and **4m** in 74% and 76% yield respectively. Reactions with different substituted benzaldehyde, ethyl acetoacetate (**1a**) and 2-naphthylamine resulted in **4n-r** in 72-90% yield.

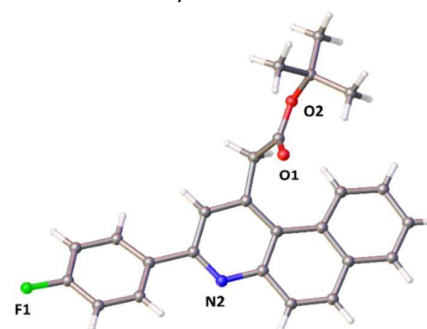
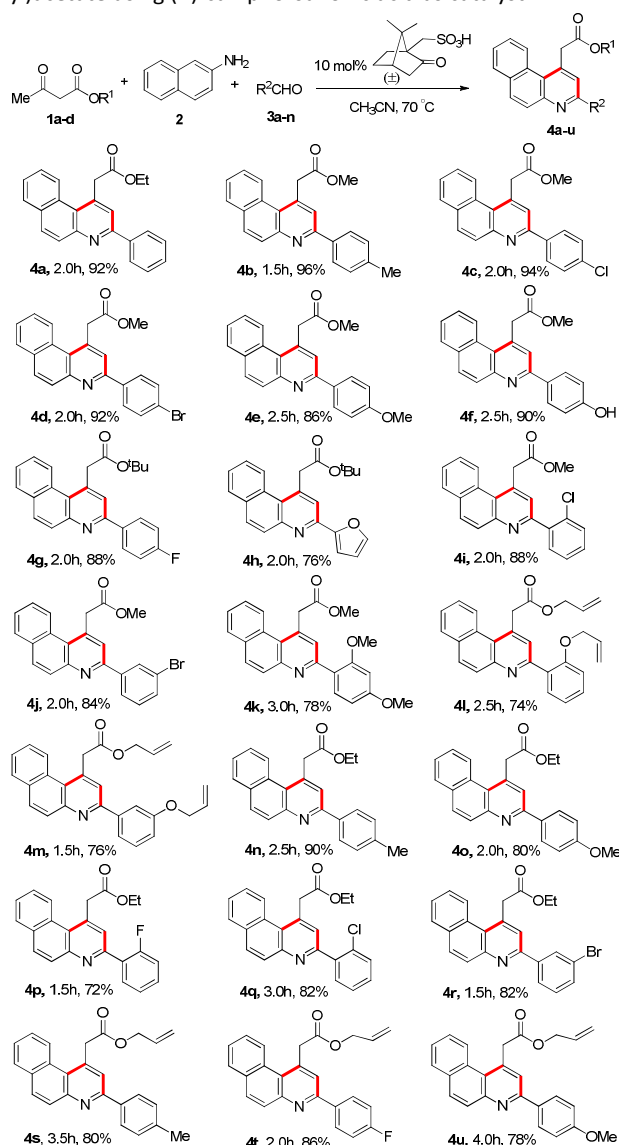


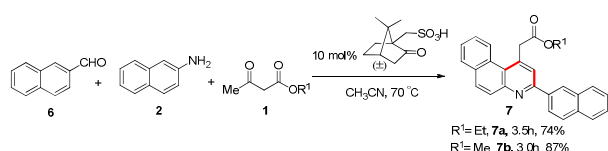
Figure 2. X-ray crystal of **4g**.

Inspired by these results, we performed reactions of allyl acetoacetate (**1d**), 2-naphthylamine and with a variety of para-substituted benzaldehyde such as 4-methyl (**3b**), 4-fluoro (**3g**) and 4-methoxy (**3e**) under the optimized reaction condition which afford the required benzo[f]quinolines **4s-u** in 78-86% yield as shown in Table 2. The crystal structure of **4g** was determined through single XRD analysis which is shown in Figure 2.

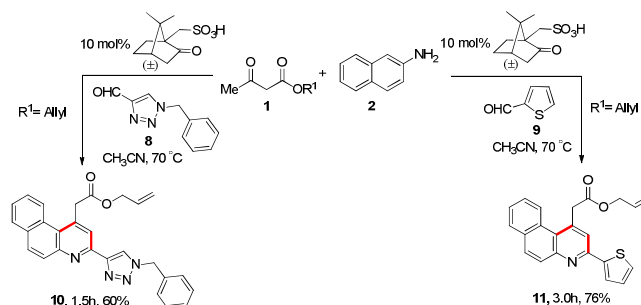
Table 2. Synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate using (±)-camphorsulfonic acid as catalyst^{a,b}

^aAll the reactions were carried out using β -ketoester (0.5 equiv), 2-naphthylamine (0.5 equiv), and aromatic aldehyde (0.5 equiv). ^bIsolated yield.

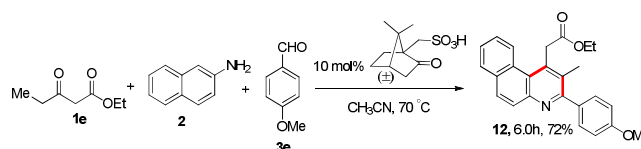
We have also performed reaction with fused aromatic aldehyde such as 2-naphthaldehyde (6), 2-naphthylamine (2) and with different β -ketoesters in the presence of 10 mol% camphorsulfonic acid as catalyst in acetonitrile at 70 °C and the desired product alkyl 2-(3-(naphthalen-2-yl)benzo[f]quinolin-1-yl)acetate 7 was obtained in good yield as shown in Scheme 4.

**Scheme 4.** Synthesis of alkyl 2-(3-(naphthalen-2-yl)benzo[f]quinolin-1-yl)acetate.

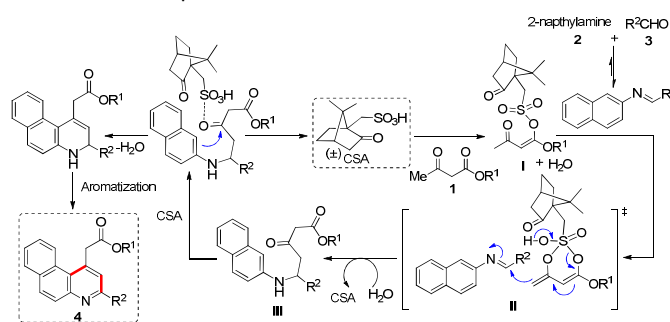
The protocol in hand was further investigated with heteroaromatic aldehyde such as 1-benzyl-1,2,3-triazole-4-carbaldehyde (8)/2-thiophenecarboxaldehyde (9) with 2-naphthylamine (2) and allyl acetoacetate (1d) using catalytic amount of camphorsulfonic acid and the expected product allyl 2-(3-(heteroaromatic)benzo[f]quinolin-1-yl)acetate 10 and 11 were obtained in 60% and 76% yield as shown in Scheme 5.

**Scheme 5.** Synthesis of allyl 2-(3-(heteroaromatic)benzo[f]quinolin-1-yl)acetate.

Furthermore, we have synthesized the functionalised 1,2,3-trisubstituted benzo[f]quinoline from ethyl 3-oxopentanoate (1e), 2-naphthylamine (2) and 4-methoxybenzaldehyde (3e) using 10 mol% camphorsulfonic acid in acetonitrile at 70 °C which afford the resultant product 12 in 72% yield as shown in Scheme 6.

**Scheme 6.** Synthesis of 1,2,3-trisubstituted benzo[f]quinoline.

A plausible mechanism is described as follows: The β -ketoester 1 most likely to react with camphorsulfonic acid to form an intermediate I, which subsequently undergoes rearrangement and reacts with the generated imine to form a Michael type addition product from δ -selective of β -ketoester with imine *via* II^{17a} to attain III. Further, III favors to prefer aromatization to form the desired product 4 as shown in Scheme 7. It is to be

**Scheme 7.** Proposed mechanism for the formation of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate.

ARTICLE

Journal Name

highlighted here, that we have observed the HRMS of the intermediate **III** of **4p** after 10 min under reflux condition which indirectly support the proposed mechanism (see supporting information).

Conclusions

In summary, the regioselective synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate has been achieved using camphorsulfonic acid through δ -selective of β -ketoester. It is a straight forward methodology which provide flexible access to diverse range of substrates. The prominent aspect of this present method was that two new C-C bonds were formed in a one-pot fashion under mild reaction conditions. In addition, the protocol enables the synthesis of naphthalen-2-yl, hetero aromatic and trisubstituted benzo[f]quinoline analogues in good yields.

General Procedure

Synthesis of alkyl 2-(3-arylbenzo[f]quinolin-1-yl)acetate (**4**)

A mixture of β -ketoester (**1**, 0.5 mmol), 2-naphthylamine (**2**, 0.5 mmol) and aromatic aldehyde (**3**, 0.5 mmol) was taken in 5 ml acetonitrile. To that camphorsulfonic acid (0.011g, 0.05 mmol) was added in to it and it allow to stir at 70 °C. After completion of the reaction, the solvent was removed under reduced pressure and it was extract with DCM, washed with water, dried over sodium sulphate and concentrate under reduced pressure. Then, the residue was purified through column chromatography to obtain the pure product **4**. Similarly, the compound **7** and **10-12** were synthesized by following the above reaction procedure.

Ethyl 2-(3-phenylbenzo[f]quinolin-1-yl)acetate (4a): Yield 92%, white solid, mp 131-132 °C, ^1H NMR (400 MHz, CDCl_3): δ 8.54 (d, J = 8.8 Hz, 1H), 8.22 (d, J = 8.8 Hz, 2H), 8.09 (d, J = 9.2 Hz, 1H), 7.99-7.97 (m, 2H), 7.87 (s, 1H), 7.67-7.64 (m, 2H), 7.56 (t, J = 7.2 Hz, 2H), 7.49 (t, J = 6.8 Hz, 1H), 4.49 (s, 2H), 4.25 (q, J = 7.2 Hz, 2H), 1.23 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 170.8, 155.9, 150.3, 141.1, 139.2, 133.2, 131.5, 130.0, 129.8, 129.5, 129.4, 129.1, 127.6, 127.0, 126.9, 126.8, 124.6, 123.2, 61.7, 44.0, 14.4; IR (KBr) ν_{max} 3056, 2980, 2902, 1735, 1584, 1552, 1484, 1455, 1391, 1367, 1322, 1255, 1194, 1156, 1029 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{23}\text{H}_{20}\text{NO}_2$ 342.1489 (M + H^+); Found 342.1489.

Methyl 2-(3-(p-tolyl)benzo[f]quinolin-1-yl)acetate (4b):^{14a} Yield 96%, light yellow, mp 168-169 °C, ^1H NMR (400 MHz, CDCl_3): δ 8.49 (d, J = 7.6 Hz, 1H), 8.12 (t, J = 8.0 Hz, 2H), 8.08 (s, 1H), 7.97-7.93 (m, 2H), 7.83 (s, 1H), 7.68-7.61 (m, 2H), 7.35 (d, J = 8.0 Hz, 2H), 4.47 (s, 2H), 3.77 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 171.3, 155.8, 150.2, 140.8, 139.6, 136.2, 133.1, 131.4, 129.9, 129.7, 129.6, 129.4, 128.2, 127.5, 126.8, 126.7, 124.3, 122.9, 52.7, 43.7, 21.5; IR (KBr) ν_{max} 3029, 2952, 2922, 2853, 1737, 1579, 1548, 1481, 1452, 1437, 1392, 1353, 1259, 1184, 1132, 1057 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{23}\text{H}_{20}\text{NO}_2$ 342.1489 (M + H^+); Found 342.1505.

Methyl 2-(3-(4-chlorophenyl)benzo[f]quinolin-1-yl)acetate (4c):^{14a} Yield 94%, white solid, mp 138-139 °C, ^1H NMR (400 MHz, CDCl_3): δ 8.49 (d, J = 7.2 Hz, 1H), 8.17 (d, J = 8.8 Hz, 2H), 8.12 (d, J = 8.8 Hz, 1H), 8.00-7.96 (m, 2H), 7.83 (s, 1H), 7.69-7.66 (m, 2H), 7.51 (d, J = 8.8 Hz, 2H), 4.51 (s, 2H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 171.1, 154.3, 149.9, 141.4, 137.0, 135.9, 133.2, 131.9, 129.7, 129.5, 129.2, 128.9, 127.2, 127.0, 126.8, 124.7, 122.9, 52.8, 43.7; IR (KBr) ν_{max} 3056, 2942, 2924, 2852, 1741, 1585, 1550, 1481, 1435, 1390, 1328, 1257, 1198, 1157, 1091, 1012 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{22}\text{H}_{17}\text{ClNO}_2$ 362.0943 (M + H^+); Found 362.0942.

Methyl 2-(3-(4-bromophenyl)benzo[f]quinolin-1-yl)acetate (4d):^{14a} Yield 92%, light yellow solid, mp 143-144 °C, ^1H NMR (400 MHz, CDCl_3): δ 8.51 (d, J = 9.0 Hz, 1H), 8.11 (d, J = 8.4 Hz, 2H), 8.06 (d, J = 9.0 Hz, 1H), 7.99-7.96 (m, 2H), 7.83 (s, 1H), 7.68-7.66 (m, 4H), 4.51 (s, 2H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 171.2, 154.5, 150.3, 141.1, 137.9, 133.2, 132.2, 131.7, 129.8, 129.6, 129.5, 129.1, 127.1, 126.9, 126.8, 124.7, 124.1, 122.7, 52.8, 43.6; IR (KBr) ν_{max} 3051, 2951, 2915, 2854, 1736, 1584, 1549, 1481, 1455, 1434, 1387, 1356, 1328, 1257, 1199, 1159, 1087, 1008 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{22}\text{H}_{17}\text{BrNO}_2$ 406.0437 (M + H^+); Found 406.0437.

Methyl 2-(3-(4-methoxyphenyl)benzo[f]quinolin-1-yl)acetate (4e): Yield 86%, light yellow solid, mp 149-150 °C, ^1H NMR (600 MHz, CDCl_3): δ 8.47 (d, J = 8.4 Hz, 1H), 8.18 (d, J = 8.4 Hz, 2H), 8.09 (d, J = 9.0 Hz, 1H), 7.93 (t, J = 9.0 Hz, 2H), 7.78 (s, 1H), 7.65-7.56 (m, 2H), 7.04 (d, J = 8.4 Hz, 2H), 4.46 (s, 2H), 3.87 (s, 3H), 3.76 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3): δ 171.2, 161.1, 155.3, 149.9, 140.9, 132.9, 131.8, 131.5, 131.2, 129.9, 129.4, 128.9, 126.8, 126.7, 126.6, 124.1, 122.7, 114.4, 55.5, 52.7, 43.6; IR (KBr) ν_{max} 3068, 2995, 2948, 2937, 2830, 1737, 1604, 1544, 1503, 1434, 1354, 1337, 1252, 1202, 1183, 1161, 1028 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{23}\text{H}_{20}\text{NO}_3$ 358.1438 (M + H^+); Found 358.1430.

Methyl 2-(3-(4-hydroxyphenyl)benzo[f]quinolin-1-yl)acetate (4f): Yield 90%, white solid, mp 141-142 °C, ^1H NMR (600 MHz, CDCl_3): δ 9.30 (s, 1H), 8.40 (d, J = 6.0 Hz, 1H), 8.02 (d, J = 6.6 Hz, 2H), 7.93 (d, J = 2.4, 1H), 7.92 (d, J = 1.8 Hz, 2H), 7.75 (s, 1H), 7.56-7.53 (m, 2H), 6.91 (d, J = 6.0 Hz, 2H), 4.44 (s, 2H), 3.69 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3): δ 170.4, 158.5, 154.8, 149.2, 140.1, 132.0, 129.1, 129.0, 128.6, 128.5, 128.1, 128.0, 126.1, 125.9, 125.7, 122.9, 121.8, 121.7, 115.4, 115.3, 51.8, 42.8; IR (KBr) ν_{max} 3058, 2995, 2953, 2838, 1738, 1608, 1588, 1549, 1514, 1484, 1451, 1353, 1258, 1171, 1130, 1058 cm^{-1} ; HRMS (ESI) Calcd For $\text{C}_{22}\text{H}_{18}\text{NO}_3$ 344.1281 (M + H^+); Found 344.1286.

Tert-butyl 2-(3-(4-fluorophenyl)benzo[f]quinolin-1-yl)acetate (4g): Yield 88%, white solid, mp 140-141 °C, ^1H NMR (600 MHz, CDCl_3): δ 8.58 (d, J = 7.8 Hz, 1H), 8.23-8.21 (m, 2H), 8.06 (d, J = 8.4 Hz, 1H), 7.98-7.95 (m, 2H), 7.81 (s, 1H), 7.67-7.64 (m, 2H), 7.23-7.20 (m, 2H), 4.41 (s, 2H), 1.44 (s, 9H); ^{13}C NMR (150 MHz, CDCl_3): δ 169.5, 165.2, 163.5, 154.1, 133.5, 133.1, 131.2, 131.1, 130.3, 130.1, 129.7, 129.6, 129.3, 128.3, 127.3, 127.2, 127.1, 127.0, 126.6, 124.8, 123.6, 116.3, 116.1, 115.6, 115.5, 82.6, 45.6, 28.2; IR (KBr) ν_{max} 3057, 2976, 2927, 2850, 1727, 1602,

1585, 1553, 1532, 1510, 1483, 1455, 1392, 1368, 1329, 1226, 1149, 1073, 1014 cm⁻¹; HRMS (ESI) Calcd For C₂₅H₂₃FNO₂ 388.1708 (M + H⁺); Found 388.1707.

Tert-butyl 2-(3-(furan-2-yl)benzo[f]quinolin-1-yl)acetate (4h): Yield 76%, brown solid, mp 94-95 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.56 (d, *J* = 8.4 Hz, 1H), 8.04 (d, *J* = 9.2 Hz, 1H), 7.96-7.95 (m, 3H), 7.84 (s, 1H), 7.66-7.64 (m, 2H), 7.27 (d, *J* = 3.2 Hz, 1H), 6.62-6.61 (m, 1H), 4.41 (s, 2H), 1.43 (s, 9H); ¹³C NMR (150 MHz, CDCl₃): δ 169.8, 153.6, 150.2, 147.8, 144.1, 141.7, 133.1, 131.6, 129.4, 129.4, 126.9, 126.8, 126.7, 124.6, 121.6, 112.4, 110.0, 82.2, 45.3, 28.2; IR (KBr)_v_{max} 3029, 2974, 2926, 1728, 1601, 1551, 1491, 1454, 1393, 1368, 1325, 1254, 1224, 1072 cm⁻¹; HRMS (ESI) Calcd For C₂₃H₂₂NO₃ 360.1594 (M + H⁺); Found 360.1609.

Methyl 2-(3-(2-chlorophenyl)benzo[f]quinolin-1-yl)acetate (4i): Yield 88%, brown solid, mp 79-80 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.56 (d, *J* = 8.0 Hz, 1H), 8.19 (d, *J* = 8.0 Hz, 1H), 8.00 (t, *J* = 8.4 Hz, 2H), 7.84 (s, 2H), 7.69 (s, 2H), 7.53 (d, *J* = 7.2 Hz, 1H), 7.42 (t, *J* = 8.4 Hz, 2H), 4.52 (s, 2H), 3.77 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 170.9, 155.0, 149.4, 133.3, 132.7, 132.3, 132.2, 130.4, 129.6, 128.6, 127.5, 127.4, 127.2, 127.1, 126.9, 124.8, 52.8, 43.6; IR (KBr)_v_{max} 3059, 2987, 2953, 2850, 1738, 1596, 1580, 1550, 1476, 1435, 1395, 1351, 1330, 1258, 1201, 1160, 1094, 1057 cm⁻¹; HRMS (ESI) Calcd For C₂₂H₁₇ClNO₂ 362.0943 (M + H⁺); Found 362.0943.

Methyl 2-(3-(3-bromophenyl)benzo[f]quinolin-1-yl)acetate (4j): Yield 84%, white solid, mp 130-131 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.50 (d, *J* = 12.0 Hz, 1H), 8.40 (s, 1H), 8.12 (d, *J* = 12.0 Hz, 1H), 8.06 (d, *J* = 12.0 Hz, 1H), 7.97 (d, *J* = 12.0 Hz, 2H), 7.81 (s, 1H), 7.69-7.65 (m, 2H), 7.59 (d, *J* = 12.0 Hz, 1H), 7.39 (t, *J* = 12.0 Hz, 1H), 4.49 (s, 2H), 3.78 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 171.4, 154.1, 150.3, 141.1, 141.0, 133.3, 132.4, 131.8, 130.6, 130.5, 129.8, 129.6, 129.5, 127.1, 126.9, 126.8, 126.1, 124.9, 123.3, 122.9, 52.8, 43.7; IR (KBr)_v_{max} 3031, 2950, 2916, 2848, 1724, 1605, 1582, 1549, 1488, 1454, 1424, 1383, 1357, 1323, 1306, 1247, 1161, 1053 cm⁻¹; HRMS (ESI) Calcd For C₂₂H₁₇BrNO₂ 406.0437 (M + H⁺); Found 406.0435.

Methyl 2-(3-(2,4-dimethoxyphenyl)benzo[f]quinolin-1-yl)acetate (4k): Yield 78%, brown solid, mp 111-112 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J* = 7.6 Hz, 1H), 8.12 (d, *J* = 8.0 Hz, 1H), 8.02-7.94 (m, 4H), 7.64 (s, 2H), 6.69 (d, *J* = 6.8 Hz, 1H), 6.60 (s, 1H), 4.49 (s, 2H), 3.87 (s, 6H), 3.75 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 171.3, 162.1, 158.8, 154.6, 149.7, 139.8, 133.0, 132.7, 131.2, 129.9, 129.4, 129.2, 127.3, 126.7, 123.9, 105.7, 99.2, 55.9, 55.7, 52.6, 43.7; IR (KBr)_v_{max} 3059, 3003, 2950, 2837, 1736, 1608, 1579, 1547, 1505, 1455, 1437, 1300, 1283, 1209, 1160, 1030 cm⁻¹; HRMS (ESI) Calcd For C₂₄H₂₂NO₄ 388.1544 (M + H⁺); Found 388.1542.

allyl 2-(3-(2-(allyloxy)phenyl)benzo[f]quinolin-1-yl)acetate (4l): Yield 74%, light yellow solid, mp 169-170 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.55 (d, *J* = 8.0 Hz, 1H), 8.17 (s, 1H), 8.07 (s, 1H), 8.04 (d, *J* = 7.6 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 2H), 7.66-7.64 (m, 2H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.04 (d, *J* = 8.4 Hz, 1H), 6.09-6.00 (m, 1H), 5.91-5.81 (m, 1H), 5.38 (d, *J* =

17.2 Hz, 1H), 5.26 (d, *J* = 13.6 Hz, 2H), 5.22-5.18 (m, 1H), 4.67-4.63 (m, 4H), 4.51 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 170.5, 156.5, 155.1, 150.1, 139.3, 133.3, 133.1, 131.8, 131.7, 131.0, 130.5, 129.9, 129.7, 129.3, 129.2, 127.8, 126.8, 126.7, 126.6, 124.2, 121.7, 118.9, 117.2, 113.3, 69.5, 66.1, 43.8; IR (KBr)_v_{max} 3055, 2969, 2948, 2930, 2835, 1741, 1687, 1624, 1577, 1544, 1480, 1451, 1352, 1316, 1257, 1224, 1182, 1148, 1059 cm⁻¹; HRMS (ESI) Calcd For C₂₇H₂₄NO₃ 410.1751 (M + H⁺); Found 410.1755.

allyl 2-(3-(3-(allyloxy)phenyl)benzo[f]quinolin-1-yl)acetate (4m): Yield 76%, white solid, mp 81-82 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.53 (d, *J* = 6.0 Hz, 1H), 8.08 (d, *J* = 6.0 Hz, 1H), 7.98-7.95 (m, 2H), 7.86-7.84 (m, 2H), 7.76 (d, *J* = 6.0 Hz, 1H), 7.66-7.63 (m, 2H), 7.44 (t, *J* = 6.0 Hz, 1H), 7.04 (d, *J* = 6.0 Hz, 1H), 6.17-6.10 (m, 1H), 5.92-5.85 (m, 1H), 5.49 (d, *J* = 18.0 Hz, 1H), 5.33 (d, *J* = 6.0 Hz, 1H), 5.28 (d, *J* = 18.0 Hz, 1H), 5.22 (d, *J* = 12.0 Hz, 1H), 4.68 (d, *J* = 6.0 Hz, 4H), 4.52 (s, 2H); ¹³C NMR (150 MHz, CDCl₃): δ 170.4, 159.4, 155.6, 150.2, 140.8, 140.5, 133.5, 133.2, 131.8, 131.5, 130.0, 129.9, 129.7, 129.4, 126.9, 126.8, 126.8, 124.7, 123.3, 120.2, 119.1, 117.9, 116.3, 113.7, 69.2, 66.3, 43.8; IR (KBr)_v_{max} 3056, 2924, 2896, 1733, 1647, 1583, 1552, 1488, 1455, 1423, 1358, 1319, 1281, 1231, 1195, 1154, 1024, 991 cm⁻¹; HRMS (ESI) Calcd For C₂₇H₂₄NO₃ 410.1756 (M + H⁺); Found 410.1754.

Ethyl 2-(3-(*p*-tolyl)benzo[f]quinolin-1-yl)acetate (4n): Yield 90%, brown solid, mp 102-103 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.53 (d, *J* = 8.0 Hz, 1H), 8.13 (d, *J* = 8.4 Hz, 2H), 8.08 (s, 1H), 7.96 (d, *J* = 9.6 Hz, 2H), 7.85 (s, 1H), 7.67-7.63 (m, 2H), 7.34 (d, *J* = 7.6 Hz, 2H), 4.48 (s, 2H), 4.24 (q, *J* = 6.8 Hz, 2H), 2.45 (s, 3H), 1.23 (t, *J* = 6.8 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 170.8, 155.8, 150.2, 141.1, 139.7, 136.2, 133.1, 131.4, 130.0, 129.8, 129.7, 129.4, 127.5, 126.9, 126.8, 126.7, 124.4, 123.0, 61.7, 43.9, 21.6, 14.4; IR (KBr)_v_{max} 3053, 3025, 2980, 2923, 2855, 1734, 1606, 1585, 1550, 1512, 1482, 1455, 1391, 1366, 1322, 1248, 1217, 1184, 1156, 1094, 1054 cm⁻¹; HRMS (ESI) Calcd For C₂₄H₂₂NO₂ 356.1645 (M + H⁺); Found 356.1646.

Ethyl 2-(3-(4-methoxyphenyl)benzo[f]quinolin-1-yl)acetate (4o): Yield 80%, white solid, mp 120-121 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.53 (d, *J* = 6.0 Hz, 1H), 8.19 (d, *J* = 6.0 Hz, 2H), 8.06 (d, *J* = 12.0 Hz, 1H), 7.96 (d, *J* = 6.0 Hz, 1H), 7.95-7.94 (m, 1H), 7.81 (s, 1H), 7.66-7.63 (m, 2H), 7.07 (d, *J* = 6.0 Hz, 2H), 4.48 (s, 2H), 4.24 (q, *J* = 6.0 Hz, 2H), 3.90 (s, 3H), 1.23 (t, *J* = 6.0 Hz, 3H); ¹³C NMR (150 MHz, CDCl₃): δ 170.8, 161.0, 155.5, 150.2, 140.9, 133.0, 131.7, 131.3, 130.1, 129.7, 129.4, 128.9, 126.8, 126.7, 126.7, 124.1, 122.6, 114.4, 61.7, 55.6, 44.0, 14.4; IR (KBr)_v_{max} 3027, 2957, 2924, 2852, 1732, 1631, 1606, 1583, 1550, 1531, 1512, 1482, 1455, 1392, 1364, 1324, 1248, 1224, 1175, 1145, 1030 cm⁻¹; HRMS (ESI) Calcd For C₂₄H₂₂NO₃ 372.1594 (M + H⁺); Found 372.1594.

Ethyl 2-(3-(2-fluorophenyl)benzo[f]quinolin-1-yl)acetate (4p): Yield 72%, semisolid, ¹H NMR (600 MHz, CDCl₃): δ 8.57 (d, *J* = 6.0 Hz, 1H), 8.24-8.21 (m, 1H), 8.08 (d, *J* = 6.0 Hz, 1H), 7.98 (d, *J* = 6.0 Hz, 2H), 7.97-7.95 (m, 1H), 7.68-7.66 (m, 2H), 7.43 (t, *J* = 6.0 Hz, 1H), 7.34 (t, *J* = 6.0 Hz, 1H), 7.23-7.20 (m, 1H), 4.50 (s, 2H), 4.24 (q, *J* = 6.0 Hz, 2H), 1.23 (t, *J* = 6.0 Hz, 3H); ¹³C NMR

(150 MHz, CDCl₃): δ 170.7, 161.9, 160.2, 152.2, 150.2, 140.7, 133.3, 131.6, 131.6, 131.5, 131.1, 131.0, 129.9, 129.6, 129.4, 127.1, 127.0, 126.8, 124.9, 124.9, 124.7, 116.6, 116.4, 61.7, 44.0, 14.3; IR (KBr) $\nu_{\max}$ 3028, 2956, 2923, 2852, 1733, 1586, 1582, 1550, 1484, 1452, 1371, 1364, 1320, 1249, 1215, 1155, 1080, 1030 cm⁻¹; HRMS (ESI) Calcd For C₂₃H₁₉FNO₂ 360.1395 (M + H⁺); Found 360.1396.

Ethyl 2-(3-(2-chlorophenyl)benzo[f]quinolin-1-yl)acetate (4q): Yield 82%, white solid, mp 151-152 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.60 (d, *J* = 8.4 Hz, 1H), 8.12 (d, *J* = 7.2 Hz, 1H), 8.01-7.97 (m, 2H), 7.83-7.81 (m, 2H), 7.70-7.67 (m, 2H), 7.53 (d, *J* = 7.8 Hz, 1H), 7.45-7.31 (m, 1H), 7.43-7.38 (m, 1H), 4.49 (s, 2H), 4.24 (q, *J* = 7.2 Hz, 2H), 1.23 (t, *J* = 7.2 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 170.4, 155.9, 149.3, 133.2, 132.7, 132.3, 132.1, 130.5, 130.4, 129.7, 129.6, 129.5, 128.6, 127.5, 127.4, 127.3, 127.1, 124.9, 61.8, 43.9, 14.3; IR (KBr) $\nu_{\max}$ 3060, 2982, 2933, 2849, 1734, 1627, 1596, 1578, 1550, 1475, 1442, 1394, 1369, 1350, 1330, 1244, 1210, 1160, 1133, 1094, 1055, 1038 cm⁻¹; HRMS (ESI) Calcd For C₂₃H₁₉ClNO₂ 376.1099 (M + H⁺); Found 376.1099.

Ethyl 2-(3-(3-bromophenyl)benzo[f]quinolin-1-yl)acetate (4r): Yield 82%, white solid, mp 125-126 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.54 (d, *J* = 12.0 Hz, 1H), 8.40 (s, 1H), 8.13 (d, *J* = 6.0 Hz, 1H), 8.07 (d, *J* = 6.0 Hz, 1H), 8.00-7.97 (m, 2H), 7.84 (s, 1H), 7.68-7.66 (m, 2H), 7.60 (d, *J* = 6.0 Hz, 1H), 7.41 (t, *J* = 12.0 Hz, 1H), 4.50 (s, 2H), 4.25 (q, *J* = 6.0 Hz, 2H), 1.25 (t, *J* = 6.0 Hz, 3H); ¹³C NMR (150 MHz, CDCl₃): δ 170.7, 154.2, 150.2, 141.4, 141.1, 133.3, 132.5, 131.7, 130.7, 130.6, 129.9, 129.6, 129.4, 126.9, 126.2, 126.1, 124.9, 123.4, 123.1, 123.0, 61.5, 43.9, 13.9; IR (KBr) $\nu_{\max}$ 3025, 2922, 2852, 1733, 1586, 1572, 1550, 1480, 1452, 1442, 1428, 1371, 1322, 1213, 1197, 1158, 1019 cm⁻¹; HRMS (ESI) Calcd For C₂₃H₁₉BrNO₂ 420.0594 (M + H⁺); Found 420.0623.

Allyl 2-(3-(p-tolyl)benzo[f]quinolin-1-yl)acetate (4s): Yield 80%, brown solid, mp 83-84 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J* = 8.8 Hz, 1H), 8.12 (d, *J* = 8.0 Hz, 2H), 8.08 (d, *J* = 9.2 Hz, 1H), 7.99-7.95 (m, 2H), 7.86 (s, 1H), 7.69-7.63 (m, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 5.92-5.83 (m, 1H), 5.30-5.17 (m, 2H), 4.68 (d, *J* = 5.2 Hz, 2H), 4.52 (s, 2H), 2.45 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 170.4, 155.8, 150.2, 140.7, 139.6, 136.2, 133.1, 131.8, 131.4, 130.0, 129.8, 129.4, 128.7, 127.5, 126.8, 124.4, 122.9, 119.0, 66.2, 43.8, 21.5; IR (KBr) $\nu_{\max}$ 3062, 3035, 2923, 2853, 1735, 1653, 1605, 1582, 1548, 1479, 1451, 1352, 1330, 1274, 1216, 1185, 1154, 1130, 1054 cm⁻¹; HRMS (ESI) Calcd For C₂₅H₂₂NO₂ 368.1645 (M + H⁺); Found 368.1649.

Allyl 2-(3-(4-fluorophenyl)benzo[f]quinolin-1-yl)acetate (4t): Yield 86%, white solid, mp 154-155 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.52-8.51 (m, 1H), 8.22-8.20 (m, 2H), 8.09 (d, *J* = 8.4 Hz, 1H), 7.98-7.95 (m, 2H), 7.83 (s, 1H), 7.67-7.63 (m, 2H), 7.22 (t, *J* = 8.4 Hz, 2H), 5.92-5.85 (m, 1H), 5.29 (t, *J* = 8.4 Hz, 1H), 5.23 (d, *J* = 11.4 Hz, 1H), 4.69 (d, *J* = 6.0 Hz, 2H), 4.52 (s, 2H); ¹³C NMR (150 MHz, CDCl₃): δ 170.3, 164.9, 163.3, 154.6, 150.0, 141.2, 133.2, 131.8, 131.7, 129.8, 129.6, 129.5, 129.5, 129.3, 127.0, 126.9, 126.8, 124.5, 122.9, 119.2, 116.1, 115.9, 66.3, 43.8; IR (KBr) $\nu_{\max}$ 3059, 2954, 2924, 2848, 1735, 1653, 1601,

1585, 1552, 1508, 1483, 1454, 1390, 1358, 1322, 1228, 1191, 1156, 1014 cm⁻¹; HRMS (ESI) Calcd For C₂₄H₁₉FNO₂ 372.1395 (M + H⁺); Found 372.1384.

Allyl 2-(3-(4-methoxyphenyl)benzo[f]quinolin-1-yl)acetate (4u): Yield 78%, pale yellow solid, mp 92-95 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.50 (d, *J* = 6.4 Hz, 1H), 8.18 (d, *J* = 8.0 Hz, 2H), 8.05 (d, *J* = 9.2 Hz, 1H), 7.95 (d, *J* = 7.6 Hz, 2H), 7.81 (s, 1H), 7.62 (d, *J* = 3.2 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 5.89-5.85 (m, 1H), 5.31-5.21 (m, 2H), 4.68 (s, 2H), 4.49 (s, 2H), 3.89 (s, 3H); ¹³C NMR (150 MHz, CDCl₃): δ 170.5, 161.0, 155.4, 150.2, 140.6, 133.0, 131.8, 131.6, 131.3, 129.9, 129.6, 129.3, 128.9, 126.7, 126.6, 124.1, 122.6, 119.0, 114.4, 66.1, 55.5, 43.8; IR (KBr) $\nu_{\max}$ 3051, 2959, 2933, 2836, 1735, 1675, 1653, 1606, 1583, 1550, 1530, 1511, 1482, 1455, 1410, 1358, 1323, 1306, 1176, 1155, 1111, 1030 cm⁻¹; HRMS (ESI) Calcd For C₂₅H₂₂NO₃ 384.1594 (M + H⁺); Found 384.1612.

Ethyl 2-(3-(naphthalen-2-yl)benzo[f]quinolin-1-yl)acetate (7a): Yield 74%, pale yellow solid, mp 76-77 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.69 (s, 1H), 8.56 (d, *J* = 8.8 Hz, 1H), 8.41 (d, *J* = 8.4 Hz, 1H), 8.18 (d, *J* = 8.8 Hz, 1H), 8.03-8.02 (m, 3H), 8.00-7.96 (m, 2H), 7.92-7.89 (m, 1H), 7.69-7.64 (m, 2H), 7.55-7.53 (m, 2H), 4.54 (s, 2H), 4.27 (q, *J* = 7.2 Hz, 2H), 1.25 (t, *J* = 7.2 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 170.8, 155.6, 150.2, 141.4, 136.2, 134.1, 133.7, 133.2, 131.7, 130.0, 129.5, 129.4, 129.1, 128.8, 127.9, 127.3, 127.0, 126.9, 126.9, 126.8, 126.5, 125.1, 124.7, 123.5, 61.7, 44.1, 14.4; IR (KBr) $\nu_{\max}$ 3056, 2978, 2923, 2851, 1734, 1583, 1552, 1509, 1482, 1452, 1389, 1367, 1321, 1256, 1215, 1195, 1156, 1029; cm⁻¹; HRMS (ESI) Calcd For C₂₇H₂₂NO₂ 392.1645 (M + H⁺); Found 392.1648.

Methyl 2-(3-(naphthalen-2-yl)benzo[f]quinolin-1-yl)acetate (7b): Yield 87%, white solid, mp 80-81 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.68 (s, 1H), 8.52 (d, *J* = 6.0 Hz, 1H), 8.41-8.39 (m, 1H), 8.14 (d, *J* = 6.0 Hz, 1H), 8.02-8.01 (m, 4H), 7.99-7.96 (m, 1H), 7.90 (t, *J* = 6 Hz, 1H), 7.68-7.65 (m, 2H), 7.55-7.53 (m, 2H), 4.54 (s, 2H), 3.79 (s, 3H); ¹³C NMR (150 MHz, CDCl₃): δ 171.3, 155.6, 150.3, 141.0, 136.3, 134.1, 133.7, 133.2, 131.6, 129.9, 129.6, 129.4, 129.0, 128.8, 127.9, 127.2, 127.0, 126.9, 126.8, 126.8, 126.6, 125.1, 124.6, 123.4, 52.8, 43.7; IR (KBr) $\nu_{\max}$ 3057, 2986, 2952, 2848, 1736, 1595, 1549, 1472, 1432, 1391, 1347, 1198, 1158, 1088, 1055 cm⁻¹; HRMS (ESI) Calcd For C₂₆H₂₀NO₂ 378.1489 (M + H⁺); Found 378.1486.

Allyl 2-(3-(1-benzyl-1H-1,2,3-triazol-4-yl)benzo[f]quinolin-1-yl)acetate (10): Yield 60%, brown solid, mp 124-125 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.53 (d, *J* = 8.1 Hz, 1H), 8.45 (s, 1H), 8.02-7.97 (m, 2H), 7.69 (s, 2H), 7.40-7.39 (m, 6H), 7.28 (s, 1H), 5.86-5.83 (m, 1H), 5.65 (s, 2H), 5.26 (d, *J* = 17.4 Hz, 1H), 5.20 (d, *J* = 10.8 Hz, 1H), 4.66 (d, *J* = 5.6 Hz, 2H), 4.57 (s, 2H); ¹³C NMR (150 MHz, CDCl₃): δ 170.3, 150.2, 148.9, 148.7, 141.3, 134.7, 133.2, 131.8, 131.7, 130.1, 129.4, 129.1, 129.0, 128.5, 128.1, 127.0, 126.8, 125.3, 123.4, 123.1, 122.9, 119.1, 66.3, 54.7, 43.9; IR (KBr) $\nu_{\max}$ 3148, 3062, 2924, 2853, 1736, 1647, 1597, 1557, 1497, 1454, 1430, 1362, 1338, 1296, 1232, 1187, 1156, 1092, 1043, 1017 cm⁻¹; HRMS (ESI) Calcd For C₂₇H₂₃N₄O₂ 435.1821 (M + H⁺); Found 435.1813.

Allyl 2-(3-(thiophen-2-yl)benzo[*q*]quinolin-1-yl)acetate (11): Yield 76%, brown solid, mp 114-115 °C, ¹H NMR (600 MHz, CDCl₃): δ 8.48 (d, *J* = 9.6 Hz, 1H), 8.01 (d, *J* = 9.0 Hz, 1H), 7.95-7.93 (m, 2H), 7.78 (s, 1H), 7.76 (d, *J* = 3.4 Hz, 1H), 7.65-7.61 (m, 2H), 7.47 (d, *J* = 5.4 Hz, 1H), 7.18-7.16 (m, 1H), 5.91-5.84 (m, 1H), 5.29-5.26 (m, 1H), 5.22 (d, *J* = 9.6 Hz, 1H), 4.68 (d, *J* = 6.0 Hz, 2H), 4.48 (s, 2H); ¹³C NMR (150 MHz, CDCl₃): δ 170.3, 151.1, 150.2, 144.7, 140.8, 133.1, 131.8, 131.7, 129.9, 129.5, 129.3, 128.6, 128.3, 126.9, 126.8, 126.7, 125.9, 124.9, 121.7, 119.1, 66.2, 43.7; IR (KBr)ν_{max} 3071, 2958, 2930, 2857, 1739, 1584, 1552, 1523, 1482, 1455, 1423, 1365, 1326, 1259, 1155, 1071, 1015 cm⁻¹; HRMS (ESI) Calcd For C₂₂H₁₈NO₂S 360.1053 (M + H⁺); Found 360.1052.

Ethyl 2-(3-(4-methoxyphenyl)-2-methylbenzo[*q*]quinolin-1-yl)acetate (12): Yield 72%, white solid, mp 76-77 °C, ¹H NMR (400 MHz, CDCl₃): δ 8.37 (d, *J* = 6.8 Hz, 1H), 7.94 (d, *J* = 8.8 Hz, 2H), 7.88 (d, *J* = 8.8 Hz, 1H), 7.63-7.62 (m, 3H), 7.58 (s, 1H), 7.03 (d, *J* = 8.4 Hz, 2H), 4.42 (s, 2H), 4.38 (q, *J* = 7.6 Hz, 2H), 3.89 (s, 3H), 2.47 (s, 3H), 1.38 (t, *J* = 7.6 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 171.2, 159.9, 158.9, 147.1, 139.4, 133.9, 133.4, 130.9, 130.4, 129.8, 129.6, 129.2, 129.1, 128.9, 127.2, 126.9, 126.3, 125.0, 122.1, 114.0, 61.7, 55.6, 40.1, 17.7, 14.6; IR (KBr)ν_{max} 3055, 2957, 2932, 2836, 1738, 1607, 1577, 1516, 1549, 1510, 1477, 1451, 1427, 1368, 1301, 1178, 1109, 1019 cm⁻¹; HRMS (ESI) Calcd For C₂₅H₂₄NO₃ 386.1751 (M + H⁺); Found 386.1753.

Acknowledgements

RK is grateful to IIT Guwahati for providing research fellowship. RSB acknowledge CSIR, New Delhi for Research Associate Fellowship. PRB thank UGC, New Delhi for his SRF Fellowship. We thank the director of IIT Guwahati for creating general laboratory facilities. We acknowledge gratefully for financial support (grant no.: 02(0181)/14/EMR-II) from Council of Scientific and Industrial Research (CSIR), New Delhi. We are grateful to Department of Science and Technology, New Delhi for issuing single XRD under FIST program.

Notes and references

- (a) T. Iwai and M. Sawamura, *ACS Catal.*, 2015, **5**, 5031; (b) J. P. Michael, *Nat. Prod. Rep.*, 2008, **25**, 166; (c) A. Lilienkamp, J. Mao, B. Wan, Y. Wang, S. G. Franzblau and A. P. Kozikowski, *J. Med. Chem.*, 2009, **52**, 2109; (d) V. K. Zishiri, M. C. Joshi, R. Hunter, K. Chibale, P. J. Smith, R. L. Summers, R. E. Martin and T. J. Egan, *J. Med. Chem.*, 2011, **54**, 6956; (e) J. B. Bharate, R. A. Vishwakarma and S. B. Bharate, *RSC Adv.*, 2015, **5**, 42020.
- R. V. Patel and S. W. Park, *Eur. J. Med. Chem.*, 2014, **71**, 24.
- A. Cappelli, M. Anzini, S. Vomero, L. Mennuni, F. Makovec, E. Doucet, M. Hamon, G. Bruni, M. R. Romeo, M. C. Menziani, P. G. De Benedetti and T. Langer, *J. Med. Chem.*, 1998, **41**, 728.
- M. Murthy, N. Pedemonte, L. MacVinish, L. Galiotta and A. Cuthbert, *Eur. J. Pharmacol.*, 2005, **516**, 118.
- A. H. Clark, J. D. McCorvy, J. M. Conley, W. K. Williams, M. Bekkam, V. J. Watts and D. E. Nichols, *Bioorg. Med. Chem.*, 2012, **20**, 6366.
- C. N. Carrigan, S. A. Patel, H. D. Cox, E. S. Bolstad, J. M. Gerdes, W. E. Smith, R. J. Bridges and C. M. Thompson, *Bioorg. Med. Chem. Lett.*, 2014, **24**, 850.
- J. G. Cannon, K. A. Walker, A. Montanari, J. P. Long and J. R. Flynn, *J. Med. Chem.*, 1990, **33**, 2000.
- J. Nozulak, J. M. Vigouret, A. L. Jaton, A. Hofmann, A. R. Dravid, H. P. Weber, H. O. Kalkman and M. D. Walkinshaw, *J. Med. Chem.*, 1992, **35**, 480.
- A. D. Abell, K. F. Erhard, H. K. Yen, D. S. Yamashita, M. Brandt, H. Mohammed, M. A. Levy and D. A. Holt, *Bioorg. Med. Chem. Lett.*, 1994, **4**, 1365.
- (a) G. Selvi and S. P. Rajendran, *J. Asian Chem.*, 2004, **16**, 1017; (b) R. P. Bahuguna and B. C. Joshi, *Indian J. Heterocycl. Chem.*, 1994, **3**, 265.
- R. P. Bahuguna, B. C. Joshi and H. N. Mangal, *J. Indian Chem. Soc.*, 1992, **69**, 401.
- J. Szmuszkowicz, W. H. Darlington and P. F. Von Voigtlander, 1988, WO 8804292 A1, *Chem. Abstr.*, 1988, **110**, 75335.
- F. S. Mikhailitsyn, N. P. Kozyreva, S. A. Rabinovich, Ye. V. Maksakovskaya, I. M. Kulikovskaya, N. R. Dadasheva, M. N. Lebedeva, A. F. Bekhli, N. D. Lychko and N. A. Uvarova, *Med. Parazit. Parazit. Bolezni*, 1992, **1**, 50.
- (a) X-S. Wang, Q. Li, J-R. Wu, Y-L. Li, C-S. Yao and S-J. Tu, *Synthesis*, 2008, 1902; (b) N. G. Kozlov, R. D. Sauts and K. N. Gusak, *Russ. J. Org. Chem.*, 2000, **36**, 531; (c) N. G. Kozlov, K. N. Gusak, and A. P. Kadutskii, *Chem. Heterocycl. Comp.*, 2010, **46**, 505; (d) K. N. Gusak and N. G. Kozlov, *Russ. J. Org. Chem.*, 2007, **43**, 706.
- (a) P. Langer, *Chem. Eur. J.*, 2001, **7**, 3858; (b) P. Langer and E. Bellur, *J. Org. Chem.*, 2003, **68**, 9742.
- H. B. Jannet, A. Al Mourabit, A. Gateau-Olesker, C. Marazano and Z. Mighri, *Tetrahedron: Asymmetry*, 1999, **10**, 2381.
- (a) M. Chen and J. F. Hartwig, *Angew. Chem. Int. Ed.* 2014, **53**, 12172; (b) P. B. Thakur, K. Sirisha, A. V. S. Sarma, J. B. Nanubolu and H. M. Meshram, *Tetrahedron*, 2013, **69**, 6415; (c) M. Lal, R. S. Basha, S. Sarkar and A. T. Khan, *Tetrahedron Lett.*, 2013, **54**, 4264; (d) E. Sotoca, C. Allais, T. Constantieux and J. Rodriguez, *Org. Biomol. Chem.*, 2009, **7**, 1911; (e) K. Murai, R. Nakatani, Y. Kita and H. Fujioka, *Tetrahedron*, 2008, **64**, 11034; (f) H. Fujioka, K. Murai, O. Kubo, Y. Ohba and Y. Kita, *Org. Lett.*, 2007, **9**, 1687.
- C. Kalinski, M. Umkehrer, L. Weber, J. Kolb, C. Burdack and G. Ross, *Mol. Divers.*, 2010, **14**, 513.
- (a) A. Dömling, W. Wang and K. Wang, *Chem. Rev.*, 2012, **112**, 3083; (b) A. Dömling, *Chem. Rev.*, 2006, **106**, 17.
- C. M. R. Volla, I. Atodiresei and M. Rueping, *Chem. Rev.*, 2014, **114**, 2390.
- (a) G. Shen, H. Zhou, P. Du, S. Liu, K. Zou and Y. Uozumi, *RSC Adv.*, 2015, **5**, 85646; (b) S-J. Chen, G-P. Lu and C. Cai, *Chem.*

ARTICLE

Journal Name

- Commun.*, 2015, **51**, 11512; (c) S. N. R. Mule, S. K. Battula, G. Velupula, D. R. Guda and H. B. Bollikolla, *RSC Adv.*, 2014, **4**, 58397; (d) N. Paul, M. Murugavel, S. Muthusubramanian and D. Sriram, *Bioorg. Med. Chem. Lett.*, 2012, **22**, 1643; (e) B. K. Gorityala, S. Cai, J. Ma and X-W. Liu, *Bioorg. Med. Chem. Lett.*, 2009, **19**, 3093.
- 22 D. A. Powell and R. A. Batey, *Org. Lett.*, 2002, **4**, 2913.
- 23 D. R. Wallach, P. C. Stege, J. P. Shah and J. D. Chisholm, *J. Org. Chem.*, 2015, **80**, 1993.
- 24 (a) S. Mekala and R. C. Hahn, *J. Org. Chem.*, 2015, **80**, 1610; (b) C. Liu, Q. Zhu, K-W. Huang and Y. Lu, *Org. Lett.*, 2011, **13**, 2638; (c) C. Liu and Y. Lu, *Org. Lett.*, 2010, **12**, 2278; (d) W. Zhou, L-W. Xu, L. Li, L. Yang and C-G. Xia, *Eur. J. Org. Chem.*, 2006, **23**, 5225; (e) M. Kubryk and K. B. Hansen, *Tetrahedron: Asymmetry*, 2006, **17**, 205.
- 25 (a) G. R. Pettit, B. R. Moser, D. L. Herald, J. C. Knight, J-C. Chapuis and X. Zheng, *J. Nat. Prod.*, 2015, **78**, 1067; (b) Y. Shi and J. G. Pierce, *Org. Lett.*, 2015, **17**, 968; (c) S. Mondal and K. M. Sureshan, *Org. Biomol. Chem.*, 2014, **12**, 7279; (d) G. Pattenden, N. J. Ashweek, C. A. G. Baker-Glenn, J. Kempson, G. M. Walker and J. G. K. Yee, *Org. Biomol. Chem.*, 2008, **6**, 1478; (e) M. Cases, F. G-L. de Turiso, M. S. Hadjisoteriou and G. Pattenden, *Org. Biomol. Chem.*, 2005, **3**, 2786; (f) G. Pattenden, M. A. González, P. B. Little, D. S. Millan, A. T. Plowright, J. A. Tornos and T. Ye, *Org. Biomol. Chem.*, 2003, **1**, 4173; (g) T. B. Lowinger, J. Chu and P. L. Spence, *Tetrahedron Lett.*, 1995, **36**, 8383.

Graphical Abstract

One-pot three component regioselective synthesis of C1-functionalised 3-arylbenzo[f]quinoline

Radhakrishna Gattu, R. Sidick Basha, Prasanta Ray Bagdi and Abu T. Khan*

