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Straightforward synthesis of 2-propylquinolines under multicomponent conditions in fluorinated alcohols

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ABSTRACT

The synthesis of 2-propylquinolines, a family of antileishmanial agents, is reported. Among the pathways explored, the 3-component Povarov reaction between butyraldehyde, aromatic amines and ethyl vinyl ether in trifluoroethanol (TFE), followed by an oxidation, offers a convenient entry to 2-propylquinolines with various substituents on positions 5–8.

1. Introduction

Quinolines represent an important class of heterocycles with applications as ligands for catalysis and as active ingredients in pharmacy [1]. However, their activity is closely related to the nature and position of the substituents on the ring. Notably, 2-substituted quinolines exhibit antitrypanosomal [2,3], antiretroviral [4], antiplasmodial [5], nematocidal and trichomonacidal activities [6]. Among them, the chimanines, which are structurally simple naturally occurring quinolines isolated from *Galipea longiflora* trees [7], have shown significant activity against several leishmania strains, and *in vivo* tests have demonstrated their oral leismanicide property [8–10]. Moreover, pharmacomodulation revealed that quinolines bearing a *n*-propyl chain in position 2 were promising candidates [9]. To date, few methods have been reported for the synthesis of such 2-propylquinolines [11,12]. Inspired by the work of Webb [13], one of us reported that reacting the quinolinium salt **2a** with *n*-propyl magnesium bromide afforded the target 2-propylquinoline **3a** in 67% yield (Scheme 1) [14].

However, due to the limited availability of the starting materials this path has not been intensively developed. Along these lines we now describe the synthesis of novel 2-substituted quinolines bearing various substituents on positions 5–8.

2. Results and discussion

The fluorinated alcohols trifluoroethanol (TFE) and hexafluoroisopropanol (HFIP) exhibit a booster effect as reaction medium, and they thus promote various reactions by pure solvent effect [15–24]. For example, we recently reported that electron-rich aromatic amines and methyl vinyl ketone (MVK) reacted in refluxing HFIP under air atmosphere to yield quinolines with a methyl substituent in position 4 [25]. This synthesis went through a domino aza-Michael addition/cyclization/dehydration/oxidation sequence. In this context, our work was oriented toward the preparation of novel quinolines with substituents in position 5–8 by reacting substituted aromatic amines with acrolein in HFIP, furnishing thus precursors of novel 2-propylquinolines (Scheme 2, path a).

First assessments were performed with 2,3-dimethoxyaniline in refluxing HFIP, as previously described with methyl vinyl ketone: no reaction took place between the aromatic amine and the Michael acceptor, but the full polymerization of acrolein occurred. Unfortunately, polymerization process was so fast in HFIP that even decreasing the temperature to 0 °C did not avoid this competitive path to take place. Best results were attained by slowly adding a solution of acrolein in dichloromethane to a solution of dimethoxyaniline in HFIP at 0 °C: low conversion of the aniline into 6,7-dimethoxyquinoline **1b** was obtained (25% yield,

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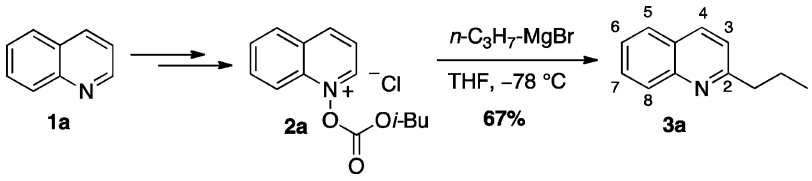
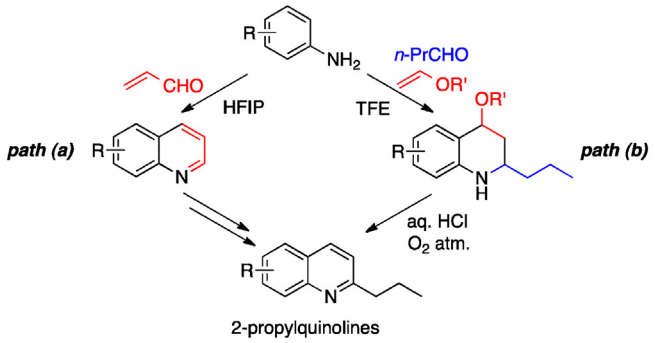


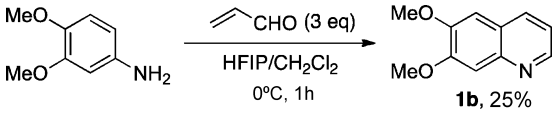
Scheme 1. Synthesis of 2-propylquinoline [14].



Scheme 2. Strategies for the synthesis of novel 2-propylquinolines.

Scheme 3). Several other attempts (higher amounts of acceptor, lower temperature, reaction in darkness) did not lead to any significant improvement on the reaction outcome. Unfortunately, assessing less reactive amines (aniline, 4-chloroaniline, 4-nitroaniline, ...) did not afford any conversion of the nucleophile that remained unchanged in the medium.

Due to these disappointing results, which did not furnish enough materials to make this pathway viable for the synthesis of 2-propylquinolines, it was decided to switch to another strategy. The Povarov reaction between aryl imines and enol ethers provides

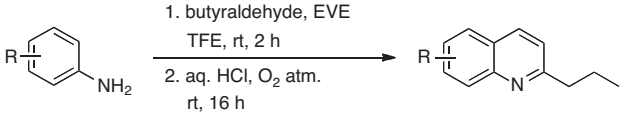


Scheme 3. Synthesis of 6,7-dimethoxyquinoline (**1b**) through Michael addition.

a convenient access to tetrahydroquinolines [26,27]. Advantageously, this reaction can be performed in a 3-component fashion (3-CR) by directly mixing aldehyde (even enolizable), aryl amine and enol ether in TFE as solvent [28,29]. Recently, we reported an extension of this method for the synthesis of 2-substituted 8-aminoquinolines as 2,9-disubstituted phenanthroline precursors [30]. These aminoquinolines were obtained by using our 3-CR approach, followed by a one-pot β-elimination/oxidation sequence. Hence, we reasoned that this strategy could be applied to the synthesis of 2-propylquinolines starting from butyraldehyde (Scheme 2, path b). Thus, butyraldehyde was reacted with a variety of substituted anilines and ethyl vinyl ether (EVE) in TFE to afford the corresponding tetrahydroquinolines. It is worth noting that, as previously reported, the Povarov reaction did not occur in other solvents (CH_2Cl_2, MeCN, EtOH) than TFE or HFIP [15,31].

After evaporation of the solvent, the obtained compounds were immediately oxidized into quinolines by mean of aq. HCl 6N under O_2 atmosphere (Table 1).

Table 1
One pot synthesis of 2-propylquinolines from anilines through Povarov reaction.[a]



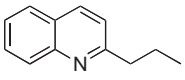
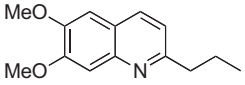
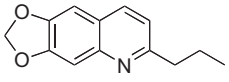
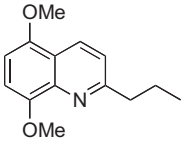
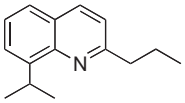
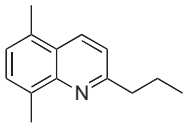
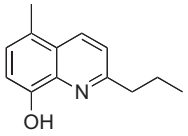
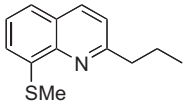
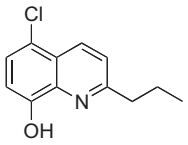
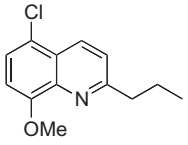
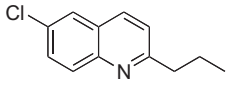
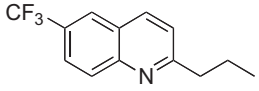
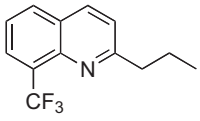
Entry	Product		Yield (%)
1		**3a**	51
2		**3b**	38
3		**3c**	39
4		**3d**	37
5		**3e**	55

Table 1 (*Continued*)

Entry	Product		Yield (%)
6		**3f**	54
7		**3g**	61
8		**3h**	52
9		**3i**	34
10		**3j**	40
11		**3k**	41
12		**3l**	43
13		**3m**	42

[a] *Conditions*: (1) aryl amine, butyraldehyde (1.5 eq.), EVE (3 eq.) in TFE at r.t. for 2 h, then (2) aq. HCl 6 N (3 eq.), O_2 atm. in MeCN at r.t. for 16 h.

The reaction with simple aniline proceeded well and afforded the propylquinoline **3a** in a good 51% yield (entry 1). Yields in products were lower when starting from aryl amines bearing alkoxy electron donating groups, whatever the positions of the substituents were (quinolines **3b–d**: 37–39%; Entries 2–4). In contrast, the presence of alkyl chains (2-isopropoxy- or 2,5-dimethylaniline) gave better yields in quinolines **3e** and **3f** (55% and 54% yields, respectively; entries 5 and 6) as well as hydroxy and thioether moieties (starting from 2-hydroxy-5-methyl- and 2-(methylthio)aniline): 61% and 52% yields in **3g** and **3h**, respectively (entries 7 and 8). Chlorinated quinolines **3i–k** were obtained from 5-chloro-5-hydroxy-, 5-chloro-5-methoxy- and 4-chloroanilines in 34–41% yields (entries 9–11). Finally, this process allowed the synthesis of CF_3-containing quinolines **3l** and **3m** in 43% and 42% yields respectively (entries 12 and 13).

Thus, by performing two consecutive tandem processes (first imine formation/Povarov reaction then β-elimination/oxidation), a straightforward synthesis of several 2-propylquinolines bearing substituents on positions 5–8, including 10 new molecules, has been performed (37–61% yields overall).

3. Conclusions

In summary, two pathways have been explored to prepare new 2-propylquinolines, a family of antileishmanial compounds. In a first instance, the reaction between electron-rich anilines and acrolein afforded quinolines in poor yields. Conversely, we have been able to prepare a set of 2-propylquinolines from inexpensive chemicals (anilines, butyraldehyde and ethyl vinyl ether) in a one-pot sequence. Among these molecules, ten of them are new and should be further biologically assessed against leishmania strains.

4. Experimental

4.1. Synthesis of quinoline *1b*

To a solution of the aromatic amine (1.5 mmol) in HFIP (1.5 mL) at 0 °C, a solution of acrolein (4.5 mmol) in dichloromethane (4.5 mL) was added dropwise. The reaction was stirred at 0 °C for 1 h after which the solvent was evaporated under vacuum and the product was purified by column chromatography on silica gel (cyclohexane/AcOEt 50:50).

*6,7-Dimethoxyquinoline (***1b***)* [32]. Orange oil (71 mg, 25% yield). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 3.91 (s, 3H), 3.94 (s, 3H), 6.93 (s, 1H), 7.15 (dd, J = 4.5, 8.3 Hz, 1H), 7.33 (s, 1H), 7.88 (dd, J = 1.5, 8.2 Hz, 1H), 8.62 (dd, J = 1.6, 4.5 Hz, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 56.0, 105.1, 107.9, 119.4, 123.8, 134.1, 145.3, 148.0, 149.7, 152.4. ESI m/z (rel int): 190 $[M+H]^+$ (100).

4.2. General procedure for the synthesis of 2-propylquinolines ***3a–m***

To a stirred solution of aryl amine (5 mmol) and *n*-butyraldehyde (7.5 mmol, 541 mg) in trifluoroethanol (5 mL), ethyl vinyl ether (15 mmol, 1.08 g) was added and the mixture was stirred for 2 h at 20 °C. Then the reaction mixture was concentrated to dryness under reduced pressure and then diluted with acetonitrile (5 mL). The mixture was cooled to 0 °C and then aqueous HCl 6 N (15 mmol, 2.5 mL) was added. The reaction mixture was allowed to attain room temperature and stirred under oxygen atmosphere (1 atm.). After 16 h, the medium was neutralized with a saturated aqueous solution of $NaHCO_3$ and then extracted with dichloromethane (3 × 30 mL). Combined organic layer were washed brine solution (40 mL) and dried over anhydrous $MgSO_4$. The crude product was concentrated under vacuum and purified by column chromatography over silica gel (60–120 mesh; cyclohexane/ethyl acetate 75:25).

*2-Propylquinoline (***3a***)* [14]. Pale yellow oil (436 mg, 51% yield). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.02 (t, J = 7.5 Hz, 3H), 1.85 (sex, J = 7.2 Hz, 2H), 2.95 (t, J = 7.5 Hz, 2H), 7.29 (d, J = 7.5 Hz, 1H), 7.47 (t, J = 6 Hz, 1H), 7.67 (t, J = 6 Hz, 1H), 7.76 (d, J = 9 Hz, 1H), 8.06 (d, J = 9 Hz, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 14.0, 23.3, 41.3, 121.4, 125.6, 126.7, 127.5, 128.8, 129.3, 136.2, 147.9, 162.9.

*6,7-Dimethoxy-2-propylquinoline (***3b***)*. Dark yellow liquid (426 mg, 38%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 7.81 (d, J = 8.4 Hz, 1H), 7.31 (s, 1H), 7.06 (d, J = 8.4 Hz, 1H), 6.92 (s, 1H), 3.93 (s, 3H), 3.90 (s, 3H), 2.83–2.78 (m, 2H), 1.74 (sext, J = 7.5 Hz, 2H), 0.93 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 160.6, 152.3, 149.2, 144.9, 134.6, 122.0, 119.6, 107.8, 105.2, 56.2, 56.0, 41.2, 23.6, 14.1. HRMS m/z = calcd. for $C_{14}H_{18}NO_2$ $[M+H^+]$: 232.1338; found: 232.1347.

*6-Propyl-[1,3]dioxolo[4,5-g]quinoline (***3c***)*. Gray solid (415 mg, 39%). mp: 110 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 7.86 (d, J = 8.4 Hz, 1H), 7.34 (s, 1H), 7.13 (d, J = 8.4 Hz, 1H), 7.01 (s, 1H), 6.07 (s, 2H), 2.89–2.84 (m, 2H), 1.81 (sext, J = 7.5 Hz, 2H), 1.00 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 160.5, 150.4, 147.0, 146.0, 134.9, 123.3, 119.4, 105.4, 102.5, 101.4, 40.9, 23.3, 14.0. Anal. Calcd. for $C_{13}H_{13}NO_2$: C, 72.54; H, 6.09; N, 6.51. Found: C, 72.17; H, 6.36; N, 6.17.

*5,8-Dimethoxy-2-propylquinoline (***3d***)*. Pale yellow crystals (438 mg, 37%). mp: 51 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.37 (d, J = 8.7 Hz, 1H), 7.25 (d, J = 8.4 Hz, 1H), 6.82 (d, J = 8.4 Hz, 1H), 6.60 (d, J = 8.4 Hz, 1H), 3.94 (s, 3H), 3.85 (s, 3H), 2.96–2.91 (m, 2H), 1.77 (sext, J = 7.2 Hz, 2H), 0.94 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 162.4, 149.1, 148.7, 140.1, 130.9, 120.8, 120.0, 106.9, 102.8, 56.1, 55.6, 41.3, 23.3, 14.1. HRMS m/z = calcd. for $C_{14}H_{18}NO_2$ $[M+H^+]$: 232.1338; found: 232.1338.

*8-Isopropyl-2-propylquinoline (***3e***)*. Yellow gum (590 mg, 55%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.04 (d, J = 8.4 Hz, 1H), 7.65–7.60 (m, 2H), 7.49–7.44 (m, 1H), 7.28 (d, J = 8.4 Hz, 1H), 4.44 (sept, J = 6.9 Hz, 1H), 3.03–2.98 (m, 2H), 1.95 (sext, J = 7.5 Hz, 2H), 1.45 (d, J = 6.9 Hz, 6H), 1.08 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 161.1, 147.1, 145.9, 136.2, 126.8, 125.6, 125.3, 124.9, 121.1, 41.3, 27.6, 27.1, 23.6, 22.7, 14.2. HRMS m/z = calcd. for $C_{15}H_{20}N$ $[M+H^+]$: 214.1596; found: 214.1598.

*5,8-Dimethyl-2-propylquinoline (***3f***)*. Dark yellow liquid (529 mg, 54%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.05 (t, J = 7.5 Hz, 3H), 1.91 (sex, J = 7.2 Hz, 2H), 2.64 (s, 3H), 2.79 (s, 3H), 3.00 (t, J = 7.5 Hz, 2H), 7.20 (d, J = 9 Hz, 1H), 7.30 (d, J = 9 Hz, 1H), 7.42 (d, J = 9 Hz, 1H), 8.20 (d, J = 9 Hz, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 14.0, 17.9, 18.5, 22.8, 41.1, 120.6, 125.7, 125.8, 128.9, 131.9, 132.5, 134.7, 147.2, 160.9. HRMS m/z = calcd. for $C_{14}H_{18}N$ $[M+H^+]$: 200.1439; found: 200.1444.

*5-Methyl-2-propylquinolin-8-ol (***3g***)*. Dark yellow liquid (610 mg, 61%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.02 (t, J = 7.5 Hz, 3H), 1.87 (sex, J = 7.8 Hz, 2H), 2.56 (s, 3H), 2.95 (t, J = 7.5 Hz, 2H), 7.03 (d, J = 9 Hz, 1H), 7.17 (t, J = 7.7 Hz, 1H), 7.33 (d, J = 7.7 Hz, 1H), 8.17 (d, J = 9 Hz, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 13.9, 17.8, 22.7, 40.4, 109.0, 121.8, 124.1, 126.5, 133.1, 135.9, 137.9, 150.1, 160.0. Anal. Calcd. for $C_{13}H_{15}NO$: C, 77.58; H, 7.51; N, 6.96. Found: C, 77.17; H, 7.88; N, 6.42.

*8-(Methylthio)-2-propylquinoline (***3h***)*. Yellow liquid (566 mg, 52%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 7.93 (d, J = 8.4 Hz, 1H), 7.43 (dd, J = 7.8, 0.9 Hz, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.28–7.18 (m, 2H), 2.93–2.88 (m, 2H), 1.82 (sext, J = 7.5 Hz, 2H), 0.96 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 161.8, 144.9, 139.3, 136.1, 126.3, 125.6, 123.2, 122.4, 122.0, 40.9, 22.7, 14.2, 14.0. HRMS m/z = calcd. for $C_{13}H_{15}NNaS$ $[M+Na^+]$: 240.0823; found: 240.0825.

*5-Chloro-2-propylquinolin-8-ol (***3i***)*. Dark yellow liquid (380 mg, 34%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.39 (d, J = 8.4 Hz, 1H), 7.45–7.39 (m, 2H), 7.06 (d, J = 8.1 Hz, 1H), 2.98–2.91 (m, 2H), 1.93–1.80 (sext, J = 7.5 Hz, 2H), 1.01 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 161.3, 150.9, 138.0, 133.3, 126.3, 124.6, 123.0, 120.2, 109.7, 40.3, 22.5, 13.9. HRMS m/z = calcd. for $C_{12}H_{13}ClNO$ $[M+H^+]$: 222.0686; found: 222.0687.

*5-Chloro-8-methoxy-2-propylquinoline (***3j***)*. Yellow solid (469 mg, 40%). mp: 68 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.41 (d, J = 8.7 Hz, 1H), 7.43 (d, J = 8.7 Hz, 1H), 7.42 (d, J = 8.7 Hz, 1H), 6.92 (d, J = 8.4 Hz, 1H), 4.04 (s, 3H), 3.05–2.99 (m, 2H), 1.84 (sext, J = 7.2 Hz, 2H), 1.01 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 162.4, 154.1, 140.1, 132.9, 125.2, 122.4, 122.0, 107.4, 56.0, 41.1, 23.2, 14.0. HRMS m/z: calcd. for $C_{13}H_{14}ClNNaO$ $[M+Na^+]$: 258.0662; found: 258.0663.

*6-Chloro-2-propylquinoline (***3k***)*. Pale yellow oil (421 mg, 41% yield). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 1.01 (t, J = 7.5 Hz, 3H), 1.83 (sex, J = 7.8 Hz 2H), 2.93 (t, J = 7.5 Hz, 2H), 7.30 (d, J = 9 Hz, 1H), 7.60 (dd, J = 9, 3 Hz, 1H), 7.73 (d, J = 3 Hz, 1H), 7.96 (d, J = 9 Hz, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 14.0, 23.1, 41.2, 122.3, 126.1, 127.3, 130.1, 130.5, 131.2, 135.2, 146.3, 163.2. Anal. Calcd. for $C_{12}H_{12}ClN$: C, 70.07; H, 5.88; Cl, 17.24; N, 6.81. Found: C, 70,44; H, 5.65; Cl, 17,26; N, 6,74.

*2-Propyl-6-(trifluoromethyl)quinoline (***3l***)* [12]. Dark yellow liquid (510 mg, 43%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.07–8.01 (m, 3H), 7.77 (dd, J = 8.7, 2.1 Hz, 1H), 7.39 (d, J = 8.4 Hz, 1H), 2.93–2.88 (m, 2H), 1.79 (sext, J = 7.5 Hz, 2H), 0.95 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 165.1, 149.2, 136.7, 130.0, 127.5 (q, $^2J_{C,F}$ = 32.2 Hz, CF_3-C), 125.4 (q, $^3J_{C,F}$ = 3.8 Hz), 124.9 (q, $^3J_{C,F}$ = 3.0 Hz), 124.1 (q, $^1J_{C,F}$ = 270.0 Hz, CF_3), 122.6, 111.5, 41.3, 23.0, 13.8. ^{19}F NMR (188 MHz, $CDCl_3$) δ = −60.3. HRMS m/z = calcd. for $C_{13}H_{13}F_3N$ $[M+H^+]$: 240.1000; found: 240.1004.

*2-Propyl-8-(trifluoromethyl)quinoline (***3m***)* [12]. Dark yellow liquid (500 mg, 42%). ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.06 (d, J = 8.4 Hz, 1H), 8.02 (d, J = 7.2 Hz, 1H), 7.93 (d, J = 8.1 Hz, 1H), 7.49 (t, J = 7.5 Hz, 1H), 7.35 (d, J = 8.7 Hz, 1H), 3.02–2.97 (m, 2H), 1.92 (sext, J = 7.2 Hz, 2H), 1.04 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): δ = 163.7, 144.5, 135.8, 131.9, 127.8 (q, $^2J_{C,F}$ = 30 Hz), 127.2, 127.0, 124.3 (q, $^1J_{C,F}$ = 271.5 Hz, CF_3), 124.0, 122.3, 41.0, 22.1, 13.8. ^{19}F NMR (188 MHz, $CDCl_3$) δ = −58.2. Anal. Calcd. for $C_{13}H_{12}F_3N$: C, 65.27; H, 5.06; N, 5.85. Found: C, 64.95; H, 5.24; N, 5.73.

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