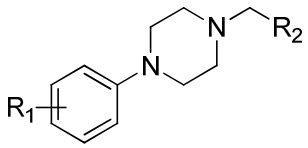
SUPPORTING INFORMATION

Supplementary Table 1. PrP^{Sc} reduction by HTS, antiprion potency (EC_{50}) using ELISA, and cell viability (LD_{50}) using calcein for selected arylpiperazine analogs.*



	R^1	R^2	PrP^{Sc} reduction (%)	EC_{50} ± SEM (μM)	LD_{50} (μM)	Tests (*n*)
1	4-MeCO-	Phenyl	94	0.71 ± 0.11	>32	4
7	4-MeCO-	3-Pyridyl	73	2.94 ± 0.55	>32	4
11	4-F	3-Pyridyl	27	>32	>32	3
12	4-MeO	3-Pyridyl	10	>32	>32	3
13	4-MeCO-	2-Thiophenyl	91	1.95 ± 0.64	>32	5
19	4-MeCO-	5-Mefuran-2-yl	78	4.62 ± 1.46	>32	3
23	3-MeO	3-Pyridyl	ND	>32	>32	3
24	2-MeO	3-Pyridyl	0	>32	>32	3
25	2-F	3-Pyridyl	26	>32	>32	3
26	3-Cl	3-Pyridyl	ND	>32	>32	3

*** ND, not determined.**

EXPERIMENTAL SECTION

Assays. The methods employed to evaluate the effects of compounds on PrP^{Sc} levels and cell viability were similar to previously published protocols ({Gallardo-Godoy, 2011 #8948} and Silber et al., manuscript in preparation).

***In vivo* Studies**. Procedures and analysis for PK studies have been reported ({Gallardo-Godoy, 2011 #8948} and Silber et al., manuscript in preparation).

***In vitro* Microsomal Stability Studies**. Procedures and analysis for human and mouse microsomal studies have been reported (Silber et al., manuscript in preparation).

Chemistry/Compound Characterization. Reagents and solvents were purchased from Aldrich Chemical, Acros Organics, Alfa Aesar, AK Scientific, or TCI America and used as received unless otherwise indicated. Air- and/or moisture-sensitive reactions were carried out under an argon atmosphere in oven-dried glassware using anhydrous solvents from commercial suppliers. Air- and/or moisture-sensitive reagents were transferred via syringe or cannula, and were introduced into reaction vessels through rubber septa. Solvent removal was accomplished with a rotary evaporator at ~10–50 Torr. Microwave irradiation was carried out with a CEM Intellivent Explorer system. Automated silica gel column chromatography was carried out using a Biotage SP1 system and silica gel cartridges from Biotage. Analytical thin layer chromatography (TLC) plates from EM Science (Silica Gel 60 F_{254}) were employed for TLC analyses. ^{1}H NMR spectra were recorded on a Bruker Avance III plus 400 MHz. Chemical shifts are reported in δ units (ppm) relative to TMS as an internal standard. Coupling constants (*J*) are reported in hertz (Hz). Characterization data are reported as follows: chemical shift, multiplicity (s=singlet, d=doublet, t=triplet, q=quartet, br=broad, m=multiplet), coupling constants, number of protons, mass to charge ratio.

All analogs submitted for testing were judged to be of 95% or higher purity based on analytical LC/MS analysis performed on an Agilent LC/MSD 1200 Series quadruple mass spectrometer equipped with a Welchrom XB-C18 column (50 × 4.6 mm, 5 μm) at ambient temperature using a mobile phase of water-acetonitrile containing 0.05% TFA with a flow rate of 1.5 mL/min. Gradient elution was employed wherein the acetonitrile-water ratio was increased linearly from 5 to 95% acetonitrile over 2.5 min, then maintained at 95% acetonitrile for 1.5 min, and then decreased to 5% acetonitrile over 0.5 min, and maintained at 5% acetonitrile for 0.5 min. Compound purity was determined by integrating peak areas of the liquid chromatogram, monitored at 254 nm.

Synthesis of oxazoles (38–40) and benzoxazoles (41–43) (Schemes 1 and 2 of main text)**.** The oxazole analogs were synthesized according to straightforward synthetic transformations. Amination of commercial 2-(4-bromophenyl)oxazole **27** with *N*-Boc-piperazine using palladium dibenzylideneacetone (DBA) and 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl (BINAP) as catalyst and ligand gave the *N*-Boc–protected arylpiperazine intermediate **28**, which was deprotected by treating with TFA in DCM

at room temperature to give the free piperazine **29**. Reductive amination of the piperazine **29** with heteroaryl aldehydes resulted in the desired oxazole analogs **38–40** in good yield.

Synthesis of benzoxazoles (41–43 and 45–47). The synthesis of benzoxazole analogs (Scheme 2 of main text) began with the coupling of commercially available aldehyde **30** with 2-aminophenol; the reaction was carried out in the presence of the oxidizing agent 2,2,6,6-Tetramethylpiperidin-1-yl)oxyl (TEMPO) to give the *N*-Boc–protected benzoxazole intermediate **31**. Removal of the *N*-Boc group by TFA, followed by reductive amination of the resulting piperazin**e 32** with various heteroaryl aldehydes, produced the benzoxazole analogs **41–43** in good yield. Coupling of the piperazine intermediate **32** with the corresponding substituted 3-pyridine carboxaldehydes produced the desired analogs **45–47.**

Synthesis of benzothiazole analog 44 (Scheme 2 of main text). Buchwald amination of commercial 2-(4-bromophenyl)benzo[d]thiazole **35** with *N*-Boc-piperazine produced the *N*-Boc–protected aryl piperazine derivative **36**. Deprotection with TFA followed by reductive amination with 3-pyridine-carboxaldehyde yielded the final benzothiazole **44**.

Synthesis of methyl benzoxazole analog 48 (Scheme 2 of main text)**.** Similar to the procedures for the unsubstituted benzoxazoles (**41–43**,), coupling of 2-amino-4-methylphenol with the commercial aldehyde **30** produced the methyl-substituted benzoxazole intermediate **33**. Reductive amination of piperazine **34** with 3-pyridine-carboxyldehyde yielded the methylbenzoxazole **48**.

General Procedure A for removing *N*-Boc–protecting group. To a solution of *N*-Boc piperazine (10 mmol) in DCM (20 mL), TFA (10 mL) was added dropwise at 0 °C. The reaction mixture was stirred at room temperature (RT) for 3 h or until the reaction was complete as shown by TLC. After removing solvents on a rotary evaporator, the resulting residue was dissolved in DCM (60 mL), washed with saturated aqueous $NaHCO_3$ and brine, dried over Na_2SO_4, and concentrated to give free piperazine, which can be used without further purification.

General Procedure B for reductive amination of *N*-arylpiperazine with aldehyde. To a solution of *N*-arylpiperazine (1.0 mmol) and aldehyde (1.5 mmol) in anhydrous dimethylformamide (5 mL), formic acid (92 mg, 2.0 mmol) was added. The reaction mixture was stirred at 100 °C for 3 h. After cooling, the reaction mixture was diluted with DCM (50 mL), washed with water and brine, dried over Na_2SO_4, and concentrated to give the crude product, which was purified by preparative HPLC to afford the final product.

***Tert*-butyl 4-(4-(oxazol-2-yl)phenyl)piperazine-1-carboxylate (28).** A mixture of commercial aryl bromide **27** (2-(4-bromophenyl)oxazole) (224 mg, 1.0 mmol), *tert*-butyl piperazinecarboxylate (279 mg, 1.5 mmol), KOtBu (168 mg, 1.5 mmol), $Pd_2(dba)_3$ (46 mg, 0.05 mmol) and BINAP (93 mg, 0.15 mmol) in toluene (8 mL) was heated to reflux for 12 h under N_2 atmosphere. The reaction mixture was cooled to RT and diluted with ethyl acetate (20 mL). The resulting mixture was filtered to remove any insoluble mass. The filtrate was concentrated to give a brown residue, which was purified on silica gel chromatography (petroleum ether/ethyl acetate, 2:1) to afford compound **28** (230 mg; 70% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.49 (9H, s), 3.26 (4H, t, *J* = 4.8 Hz), 3.59 (4H, t, *J* = 4.8 Hz), 6.95 (2H, d, *J* = 8.8 Hz), 7.17 (1H, s), 7.64 (1H, s), 7.94 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 330 (MH+).

2-(4-(Piperazin-1-yl)phenyl)oxazole (29). Compound **28** (3.4 g, 10.3 mmol) was treated with TFA according to General Procedure A to afford the title compound as a light brown oil (2.2 g) in 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.04 (4H, t, *J* = 4.8 Hz), 3.25 (4H, t, *J* = 4.8 Hz), 6.95 (2H, d, *J* = 8.8 Hz), 7.17 (1H, s), 7.64 (1H, s), 7.92 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 230 (MH+).

2-(4-(4-(Pyridin-3-ylmethyl)piperazin-1-yl)phenyl)oxazole (38). Piperazine **29** (229 mg, 1.0 mmol) was reacted with pyridine-3-carbaldehyde (161 mg, 1.5 mmol) in the presence of formic acid (92 mg, 2.0 mmol) according to General Procedure B to afford the title compound as a yellow solid (21 mg) in 21% yield after HPLC purification (retention time = 3.030 min). ^{1}H NMR (400 MHz, DMSO-d_6) δ 2.5 (4H, t, *J* = 4.8 Hz), 3.26 (4H, t, *J* = 4.8 Hz), 3.57 (2H, s), 7.03 (2H, d, *J* = 8.8 Hz), 7.26 (1H, s), 7.38 (1H, dd, *J1* = 7.6 Hz, *J2* = 4.8 Hz), 7.74 (1H, d, *J* = 8.0 Hz), 7.79 (2H, d, *J* = 9.2 Hz), 8.09 (1H, d, *J* = 8.4 Hz), 8.48 (1H,d, *J* = 8.8 Hz), 8.53 (1H, d, *J* = 1.6 Hz); LCMS (ESI) m/z 321(MH+).

2-(4-(4-((5-Methylfuran-2-yl)methyl)piperazin-1-yl)phenyl)oxazole (39). Piperazine **29** (229 mg, 1.0 mmol) was reacted with 5-methylfuran-2-carbaldehyde (165 mg, 1.5 mmol) in the presence of formic acid (92 mg, 2.0 mmol) according to General Procedure B to afford the title compound as a yellow solid (115 mg) in 36% yield after HPLC purification (retention time = 3.699 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.30 (3H, s), 2.64 (4H, t, *J* = 5.2 Hz), 3.33 (4H, t, *J* = 4.8 Hz), 3.55 (2H, s), 5.90 (1H, d, *J* = 2.0 Hz), 6.10 (1H, d, *J* = 2.8 Hz), 6.93 (2H, d, *J* = 9.2 Hz), 7.17 (1H, s), 7.63 (1H, s), 7.91 (1H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 324(MH+).

2-(4-(4-(Thiophen-2-ylmethyl)piperazin-1-yl)phenyl)oxazole (40). Piperazine **29** (229 mg, 1.0 mmol) was reacted with thiophene-2-carbaldehyde (168 mg, 1.5 mmol) in the presence of formic acid (92 mg, 2.0 mmol) according to General Procedure B to afford the title compound as a yellow solid (119 mg) in 37% yield after HPLC purification (retention time = 3.130 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.65 (4H, t, *J* = 5.2 Hz), 3.32 (4H, t, *J* = 4.8 Hz), 3.79 (2H, s), 6.93–6.97 (4H, m), 7.17 (1H, s), 7.26 (1H, s), 7.64 (1H, s), 7.94 (2H, d, *J* = 9.2 Hz); LCMS (ESI) m/z 326 (MH+).

***Tert*-butyl 4-(4-(benzo[d]oxazol-2-yl)phenyl)piperazine-1-carboxylate (31)**. To a solution of the commercial aryl aldehyde compound **30** (*tert*-butyl 4-(4-formylphenyl)piperazine-1-carboxylate**)** (5.0 g, 17.24 mmol) and 2-aminophenol (2.07 g, 18.97 mmol) in toluene (70 mL), TEMPO (500 mg, 3.56 mmol) was added. The reaction mixture was heated at 120 °C under O_2 for 12 h. The reaction mixture was cooled and portioned between water (80 mL) and ethyl acetate (200 mL). The organic layer was separated

and washed with water, brine, and dried over Na_2SO_4. It was then concentrated to yield the crude product as a yellow residue, which was triturated in (hexane/ethyl acetate, 30:1) to give 5.3 g (81% yield) of compound **31** as a yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.47 (9H, s), 3.32 (4H, br s), 3.59 (4H, t, *J* = 4.8 Hz), 6.98 (2H, d, *J* = 8.8 Hz), 7.29–7.33 (2H, m), 7.53–7.55 (1H, m), 7.71–7.73 (1H, m), 8.14 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 380 (MH+).

2-(4-(Piperazin-1-yl)phenyl)benzo[d]oxazole (32). Compound **31** (5.3 g, 14.0 mmol) was treated with TFA according to General Procedure A to afford the title compound as a light brown oil (3.0 g) in 77% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.03–3.06 (4H, m), 3.31–3.37 (4H, m), 6.99 (2H, d, *J* = 8.8 Hz), 7.28–7.33 (2H, m), 7.53–7.55 (1H, m), 7.69–7.73 (1H, m), 8.13 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 280 (MH+).

2-(4-(4-(Pyridin-3-ylmethyl)piperazin-1-yl)phenyl)benzo[d]oxazole (41). Piperazine **32** (200 mg, 0.717 mmol) was reacted with pyridine-3-carbaldehyde (115 mg, 1.076 mmol) in the presence of formic acid (66 mg, 1.434 mmol) according to General Procedure B to afford the title compound as a yellow solid (21 mg) in 8% yield after HPLC purification (retention time = 3.253 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.61 (4H, t, *J* = 4.8 Hz), 3.37 (4H, t, *J* = 4.8 Hz), 3.58 (2H, s), 6.97 (2H, d, *J* = 8.8 Hz), 7.32–7.26 (3H, m), 7.53 (1H, d, *J* = 6.8 Hz), 7.70 (2H, d, *J* = 7.2 Hz), 8.12 (2H, d, *J* = 8.8 Hz), 8.52 (1H, d, *J* = 3.6 Hz), 8.59 (1H, s); LCMS (ESI) m/z 371(MH+).

2-(4-(4-((5-Methylfuran-2-yl)methyl)piperazin-1-yl)phenyl)benzo[d]oxazole (42). Piperazine **32** (200 mg, 0.717 mmol) was reacted with 5-methylfuran-2-carbaldehyde (118 mg, 1.076 mmol) in the presence of formic acid (66 mg, 1.434 mmol) according to General Procedure B to afford the title compound as a yellow solid (58 mg) in 22% yield after HPLC purification (retention time = 3.318 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.30 (3H, s), 2.64 (4H, t, *J* = 5.2 Hz), 3.39 (4H, t, *J* = 4.8 Hz), 3.56 (2H, s), 5.91 (1H, s), 6.11 (1H, d, *J* = 2.8 Hz), 6.97 (2H, d, *J* = 8.8 Hz), 7.31–7.28 (2H, m), 7.52 (1H, t, *J* = 2.0 Hz), 7.71 (1H, t, *J* = 2.0 Hz), 8.12 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 374(MH+).

2-(4-(4-(Thiophen-2-ylmethyl)piperazin-1-yl)phenyl)benzo[d]oxazole (43). Piperazine **32** (200 mg, 0.717 mmol) was reacted with thiophene-2-carbaldehyde (121 mg, 1.076 mmol) in the presence of formic acid (66 mg, 1.434 mmol) according to General Procedure B to afford the title compound as a yellow solid (118 mg) in 44% yield after HPLC purification (retention time = 2.959 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.58 (4H, s), 3.30 (4H, s), 3.73 (2H, s), 6.89 (4H, s), 7.12 (3H, s), 77.46 (1H, s), 7.64 (1H, s), 8.05 (2H, s); LCMS (ESI) m/z 376(MH+).

2-(4-(4-((6-Methylpyridin-3-yl)methyl)piperazin-1-yl)phenyl)benzo[d]oxazole (45). Piperazine **32** (250 mg, 0.90 mmol) was reacted with 6-methylnicotinaldehyde (163 mg, 1.34 mmol) in the presence of formic acid (82 mg, 1.79 mmol) according to General Procedure B to afford the title compound as a yellow solid (132 mg) in 38% yield after HPLC purification (retention time = 3.553 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.56 (3H, s), 2.61 (4H, t), 3.36 (4H, t), 3.55 (2H, s), 6.97 (2H, d), 7.14 (1H, d), 7.31–7.27 (2H, m), 7.54 (1H, d, *J* = 2.8 Hz), 7.59 (1H, d, *J* = 8.0 Hz), 7.71 (1H, d), 8.12 (2H, d), 8.45 (1H, s); LCMS (ESI) m/z 385(MH+).

2-(4-(4-((6-Fluoropyridin-3-yl)methyl)piperazin-1-yl)phenyl)benzo[d]oxazole (46). Piperazine **32** (250 mg, 0.90 mmol) was reacted with 6-methoxynicotinaldehyde (185 mg, 1.34 mmol) in the presence of formic acid (82 mg, 1.79 mmol) according to General Procedure B to afford the title compound as a yellow solid (21 mg) in 6% yield after HPLC purification (retention time = 2.112 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.62 (4H, t), 2.36 (4H, t), 3.57 (2H, s), 6.99–6.92 (3H, m), 7.32 (2H, m), 7.53 (1H, t), 7.72 (1H, t), 7.86–7.81 (1H,m), 8.17–8.12 (3H, m); LCMS (ESI) m/z 389(MH+).

2-(4-(4-((6-methoxypyridin-3-yl)methyl)piperazin-1-yl)phenyl)benzo[d]oxazole (47). Piperazine **32** (250 mg, 0.90 mmol) was reacted with 6-fluoronicotinaldehyde (169 mg, 1.34 mmol) in the presence of formic acid (82 mg, 1.79 mmol) according to General Procedure B to afford the title compound as a yellow solid (95 mg) in 26% yield after HPLC purification (retention time = 2.363 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.60 (4H, t), 2.35 (4H, t), 3.50 (2H, s), 3.94 (3H, s), 6.75 (1H, d), 6.98 (2H, d), 7.31–7.27 (2H, m), 7.54 (1H, d), 7.60 (1H, d), 7.70 (1H, d), 8.13–8.08 (3H, m); LCMS (ESI) m/z 400.1(MH+).

***Tert*-butyl 4-(4-(5-methylbenzo[d]oxazol-2-yl)phenyl)piperazine-1-carboxylate (33).** To a solution of compound **30** (1.44 g, 5.0 mmol) and compound **3** (700 mg, 5.5 mmol) in toluene (70 mL), TEMPO (150 mg, 1.07 mmol) was added. The reaction mixture was heated at 120 °C under O_2 for 12 h. The reaction mixture was cooled and portioned between water (50 mL) and ethyl acetate (100 mL). The organic layer was separated, washed with water and brine, and dried over Na_2SO_4. It was then concentrated to yield a residue, which was purified by silica gel column chromatography (petroleum ether/ethyl acetate, 7:1) to give 450 mg (23% yield) of compound **33** as a yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.49 (9H, s), 3.31 (4H, t, *J* = 5.2 Hz), 3.60 (4H, t, *J* = 5.2 Hz), 6.98 (2H, d, *J* = 8.8 Hz), 7.10 (1H, dd, *J* = 0.8, 8.4 Hz), 7.40 (1H, d, *J* = 8.4 Hz), 7.49 (1H, s), 8.14 (2H, d, *J* = 8.8 Hz); LCMS (ESI) m/z 394(MH+).

5-Methyl-2-(4-(piperazin-1-yl)phenyl)benzo[d]oxazole (34). Compound **33** (450 mg, 1.1 mmol) was treated with TFA according to General Procedure A to afford the title compound as a yellow solid (220 mg) in 66% yield. LCMS (ESI) m/z 294 (MH+).

5-Methyl-2-(4-(4-(pyridin-3-ylmethyl)piperazin-1-yl)phenyl)benzo[d]oxazole (48). Piperazine **34** (200 mg, 0.66 mmol) was reacted with pyridine-3-carbaldehyde (107 mg, 1.00 mmol) in the presence of formic acid (62 mg, 1.33 mmol) according to a modified General Procedure B. After work up, the crude product was purified by recrystallization from a mixture of ethyl acetate and petroleum ether (1:1). The title compound was obtained as a yellow solid (110 mg) in 29% yield (Retention time = 2.819 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.46 (3H, s), 2.61 (4H, t), 3.35 (4H, t), 3.58 (2H, s), 6.96 (2H, d), 7.07–7.10 (1H, m), 7.26–7.30 (1H, m), 7.39 (1H, d), 7.49 (1H, s), 7.71 (1H, d), 8.10 (2H, d), 8.53–8.59 (2H, m); LCMS (ESI) m/z 385(MH+).

***Tert*-butyl 4-(4-(benzo[d]thiazol-2-yl)phenyl)piperazine-1-carboxylate (36).** To a mixture of aryl bromide **35** (1.0 g, 3.4 mmol), *N*-tert-butyl piperazinecarboxylate (0.77 g, 4.1 mmol), BINAP (215 mg, 0.34 mmol), $Pd_2(dba)_3$ (160 mg, 0.17 mmol) in toluene (40 mL), sodium-*tert*-butoxide (460 mg, 4.8 mmol) was added under N_2. The reaction mixture was heated at 100 °C for 12 h and cooled to RT. It was portioned between water (50 mL) and ethyl acetate (160 mL), then the organic layer was separated, washed with brine, and dried over Na_2SO_4. After removing solvents in vacuum, the crude product was purified by silica gel column chromatography (petroleum ether/ethyl acetate, 8:1) to give 800 mg (60% yield) of the title compound **36** as an orange solid. ^{1}H NMR (400 MHz, CDCl3) δ 1.49 (9H, s), 3.29 (4H, t, *J* = 5.2 Hz), 3.60 (4H, t, *J* = 5.2 Hz), 6.96 (2H, d, *J* = 8.8 Hz), 7.33 (1H, t, *J* = 8.0 Hz), 7.45 (1H, t, *J* = 8.0 Hz), 8.86 (1H, d, *J* = 8.0 Hz), 7.98 (2H, d, *J* = 8.8 Hz), 8.00 (1H, d, *J* = 8.0 Hz); LCMS (ESI) m/z 396 (MH+).

2-(4-(Piperazin-1-yl)phenyl)benzo[d]thiazole (37). Compound **36** (400 mg, 1.01 mmol) was treated with TFA according to General Procedure A to afford the title compound as a yellow solid (250 mg) in 85% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 3.31 (4H, t, *J* = 4.8 Hz), 3.56 (4H, t, *J* = 4.8 Hz), 7.14 (2H, d, *J* = 8.8 Hz), 7.40 (1H, t, *J* = 8.0 Hz), 7.50 (1H, t, *J* = 8.0 Hz), 7.92–7.98 (3H, m), 8.08 (1H, d, *J* = 8.0 Hz); LCMS (ESI) m/z 380 (MH+).

2-(4-(4-(Pyridin-3-ylmethyl)piperazin-1-yl)phenyl)benzo[d]thiazole (44). Piperazine **37** (250 mg, 0.845 mmol) was reacted with pyridine-3-carbaldehyde (136 mg, 1.27 mmol) in the presence of formic acid (78 mg, 1.70 mmol) according to General Procedure B to afford the title compound as a white solid (70 mg) in 21% yield after HPLC purification (retention time = 3.780 min). ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.63 (4H, t), 3.34 (4H, t), 3.59 (2H, s), 6.94–6.97 (2H, m), 7.26–7.35 (2H, m), 7.42–7.45 (1H, m), 7.72 (1H, d), 7.85 (1H, d), 7.96–8.01 (3H, m), 8.53–8.59 (1H, m), 8.59 (1H, s); LCMS (ESI) m/z 387(MH+).