**Supporting Information for**

**One Pot Synthesis of Pyrrolo[3,4-c]quinolinone/Pyrrolo[3,4-c]quinoline Derivatives from 2-Aminoarylacrylates/2-Aminochalcones and Tosylmethyl isocyanide (TosMIC)**

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Experimental Section

I. General

Melting points were recorded on an Electrothermal digital melting point apparatus and were uncorrected. IR spectra were recorded on a Varian FT-1000 spectrophotometer using KBr optics. $^1$H NMR and $^{13}$C NMR spectra were recorded on a BRUKER 400 MHz ($^1$H NMR) and 100 MHz ($^{13}$C NMR) spectrometer using DMSO-$d_6$ as solvent and TMS as internal standard. High resolution mass spectra were obtained using WATERS instrument with CI- source.

II. Preparation of Substrates

Substrates 1 were prepared according to the procedures in references 1.

\[
\begin{align*}
R^1\text{I} & \quad + \quad \text{O}R^2 \\
\text{NH}_2 & \quad \xrightarrow{\text{Pd(OAc)}_2 (5\text{mol\%})} \quad \text{O}R^2 \\
& \quad \xrightarrow{\text{TOP (12mol\%)} \quad \text{Et}_3\text{N (1.2eq)} \quad \text{N}_2, \text{CH}_3\text{CN} \quad \text{reflux}} \quad \text{NH}_2
\end{align*}
\]

Substrates 4 were prepared according to the procedures in references 2, and 3.

\[
\begin{align*}
\text{CHO} & \quad + \quad \text{O}R \\
\text{NO}_2 & \quad \xrightarrow{1) \text{NaOH (0.1eq), EtOH, rt} \quad 2) \text{2.5\% H}_2\text{SO}_4, \text{EtOH, reflux}} \quad \text{NO}_2 \\
& \quad \xrightarrow{\text{Fe, HCl} \quad \text{EtOH/H}_2\text{O, reflux}} \quad \text{NH}_2
\end{align*}
\]

References

III. Synthetic procedures and analytical data of compounds
**Typical synthetic procedure:** To a solution of (E)-methyl-3-(2-aminophenyl)acrylate 1a (0.3 mmol, 53 mg) and TosMIC 2a (0.36 mmol, 70 mg) in THF (2 mL) was added tBuOK (0.6 mmol, 67 mg) and stirred at 25 °C monitored by TLC. After 2a disappeared, the resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted with ethyl acetate (10 mL x 2). The organic layer was combined and dried over Na$_2$SO$_4$ and concentrated. Then, the crude mixture was added 2.5 mL MeOH and stirred for 9h at 60 °C monitored by TLC. The resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted with ethyl acetate (10 mL x 2). The organic layer was combined and dried over Na$_2$SO$_4$ and concentrated. Purification of the crude product with flash column chromatography gave 3a in 62% yield as a yellow solid.

**Typical synthetic procedure:** To a solution of (E)-4-(2-aminophenyl)but-3-en-2-one 4a (0.3 mmol, 53 mg) and TosMIC 2a (0.36 mmol, 70 mg) in THF (2 mL) at 25 °C was added tBuOK (0.6 mmol, 67 mg). After stirred for 2h, the resulting mixture was concentrated to remove the solvent. The crude mixture was added 2.5 mL MeOH and stirred for 9h at 60 °C, the resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted twice with ethyl acetate (10 mL). The organic layer was combined and dried over Na$_2$SO$_4$ and concentrated. Purification of the crude product with flash column chromatography gave 5a in 79 % yield as a yellow solid.
iv. Copies of $^1$H and $^{13}$C NMR Spectra for Compounds

$^1$H NMR Spectrum of Compound 3a

$^{13}$C NMR Spectrum of Compound 3a
$^1$H NMR Spectrum of Compound 3b

$^{13}$C NMR Spectrum of Compound 3b
$^1$H NMR Spectrum of Compound 3c

$^{13}$C NMR Spectrum of Compound 3c
$^1$H NMR Spectrum of Compound 3d

$^{13}$C NMR Spectrum of Compound 3d
$^1$H NMR Spectrum of Compound 3e

$^{13}$C NMR Spectrum of Compound 3e
$^1$H NMR Spectrum of Compound 3f

$^{13}$C NMR Spectrum of Compound 3f
$^1$H NMR Spectrum of Compound 3g

$^{13}$C NMR Spectrum of Compound 3g
$^1$H NMR Spectrum of Compound 5a

$^{13}$C NMR Spectrum of Compound 5a
$^1$H NMR Spectrum of Compound 5b

$^{13}$C NMR Spectrum of Compound 5b
$^1$H NMR Spectrum of Compound 5c

$^{13}$C NMR Spectrum of Compound 5c
$^1$H NMR Spectrum of Compound 5d

$^{13}$C NMR Spectrum of Compound 5d
$^1$H NMR Spectrum of Compound 5e

$^{13}$C NMR Spectrum of Compound 5e
1H NMR Spectrum of Compound 5f

13C NMR Spectrum of Compound 5f
\(^1\text{H NMR Spectrum of Compound } 5g\)

\(^{13}\text{C NMR Spectrum of Compound } 5g\)
$^1$H NMR Spectrum of Compound 5i

$^{13}$C NMR Spectrum of Compound 5i
H NMR Spectrum of Compound 5j

\( ^1H \) NMR Spectrum of Compound 5j

\( ^{13}C \) NMR Spectrum of Compound 5j
$^1$H NMR Spectrum of Compound 5k

$^{13}$C NMR Spectrum of Compound 5k
$^1$H NMR Spectrum of Compound 5l

$^{13}$C NMR Spectrum of Compound 5l