

## Supporting Information

### Copper -Promoted Regioselective Intermolecular Diamination of Ynamides: Synthesis of Imidazo[1,2-*a*]pyridines

Vikas Dwivedi<sup>a</sup>, Ravi Kumar<sup>a,b</sup>, Kavita Sharma<sup>c</sup>, Balasubramanian, Sridhar<sup>d</sup> and Maddi

Sridhar Reddy<sup>a,b\*</sup>

<sup>a</sup>Medicinal & Process Chemistry Division, CSIR-Central Drug Research Institute, B.S. 10/1, Sector 10, Jankipuram Extension, Sitapur Road, Lucknow, 226031, India. <sup>b</sup>Academy of Scientific and Innovative Research, New Delhi, 110001, India. <sup>c</sup>National Institute of Pharmaceutical Education and Research, Raebareli, 229010, India. <sup>d</sup>X-Ray Crystallography Division, CSIR-IICT, Tarnaka, Hyderabad-500007, India

\*Corresponding author E-mail: [msreddy@cdri.res.in](mailto:msreddy@cdri.res.in)

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## X-Ray Crystallographic data-

Data for compounds **3u** and **5b** were collected at room temperature on a Bruker D8 QUEST instrument with an I $\mu$ S Mo microsource ( $\lambda = 0.7107$  Å) and a PHOTON-100 detector. The raw data frames were reduced and corrected for absorption effects using the Bruker Apex 3 software suite programs [1]. The structure was solved using intrinsic phasing method [2] and further refined with the SHELXL [2] program and expanded using Fourier techniques. Anisotropic displacement parameters were included for all non-hydrogen atoms. The hydrogen atoms attached to water molecule of **3u** were located in a difference density map and refined isotropically. All other H atoms were positioned geometrically and treated as riding on their parent C atoms [C-H = 0.93-0.98 Å and  $U_{\text{iso}}(\text{H}) = 1.5U_{\text{eq}}(\text{C})$  for methyl H or  $1.2U_{\text{eq}}(\text{C})$  for other H atoms]. The methyl groups were allowed to rotate but not to tip.

**Crystal Data for 3u:** C<sub>34</sub>H<sub>30</sub>N<sub>6</sub>O<sub>5</sub>F<sub>2</sub> ( $M = 640.64$  g/mol): monoclinic, space group P2<sub>1</sub>/c (no. 14),  $a = 11.975(2)$  Å,  $b = 6.8162(11)$  Å,  $c = 18.711(3)$  Å,  $\beta = 98.345(4)^\circ$ ,  $V = 1511.2(4)$  Å<sup>3</sup>,  $Z = 2$ ,  $T = 294.15$  K,  $\mu(\text{MoK}\alpha) = 0.105$  mm<sup>-1</sup>,  $D_{\text{calc}} = 1.408$  g/cm<sup>3</sup>, 23789 reflections measured ( $5.176^\circ \leq 2\theta \leq 56.778^\circ$ ), 3739 unique ( $R_{\text{int}} = 0.0584$ ,  $R_{\text{sigma}} = 0.0468$ ) which were used in all calculations. The final  $R_1$  was 0.0649 ( $I > 2\sigma(I)$ ) and  $wR_2$  was 0.1637 (all data). **CCDC 1527958** contains supplementary Crystallographic data for the structure.

**Crystal Data for 5b:** C<sub>24</sub>H<sub>19</sub>N<sub>3</sub>O<sub>2</sub> ( $M = 381.44$  g/mol): monoclinic, space group P2<sub>1</sub>/n (no. 14),  $a = 14.0790(7)$  Å,  $b = 9.5407(4)$  Å,  $c = 15.4359(7)$  Å,  $\beta = 111.764(1)^\circ$ ,  $V = 1925.61(15)$  Å<sup>3</sup>,  $Z = 4$ ,  $T = 294.15$  K,  $\mu(\text{Mo K}\alpha) = 0.086$  mm<sup>-1</sup>,  $D_{\text{calc}} = 1.3156$  g/cm<sup>3</sup>, 47362 reflections measured ( $5.42^\circ \leq 2\theta \leq 56.72^\circ$ ), 4782 unique ( $R_{\text{int}} = 0.0323$ ,  $R_{\text{sigma}} = 0.0198$ ) which were used in all calculations. The final  $R_1$  was 0.0585 ( $I > 2\sigma(I)$ ) and  $wR_2$  was 0.1854 (all data). **CCDC 1527959** contains supplementary Crystallographic data for the structure. These data can be obtained free of charge at [www.ccdc.cam.ac.uk/conts/retrieving.html](http://www.ccdc.cam.ac.uk/conts/retrieving.html) [or from the Cambridge Crystallographic Data Centre (CCDC), 12 Union Road, Cambridge CB2 1EZ, UK; fax: +44(0) 1223 336 033; email: [deposit@ccdc.cam.ac.uk](mailto:deposit@ccdc.cam.ac.uk)].

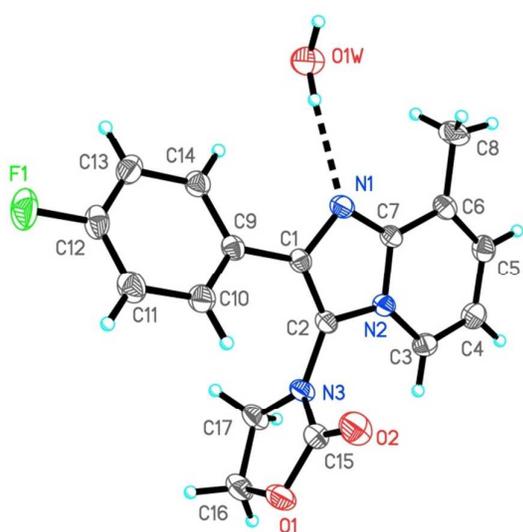
1. Bruker (2016). APEX3, SAINT and SADABS. Bruker AXS, Inc., Madison, Wisconsin, USA.

2. Sheldrick G. M. (2015) ActaCrystallogrC71:3-8.

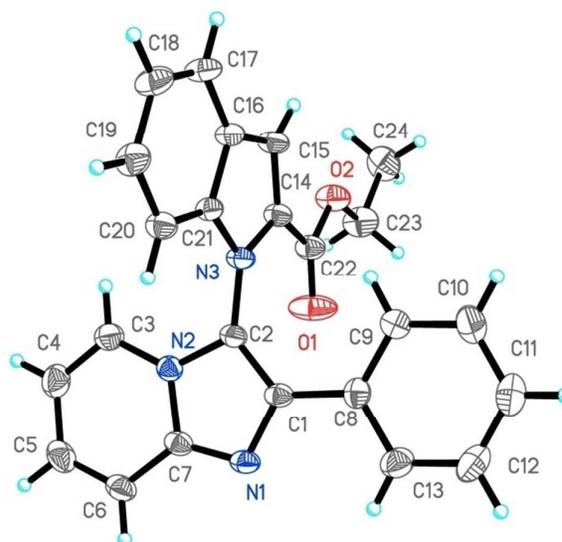
### Figure Captions

Figure1. A view of **3u**, showing the atom-labelling scheme. Displacement ellipsoids are drawn at the 30% probability level and H atoms are represented by circles of arbitrary radii. Hydrogen bond is shown as dashed lines.

Figure2. A view of **5b**, showing the atom-labelling scheme. Displacement ellipsoids are drawn at the 30% probability level and H atoms are represented by circles of arbitrary radii.

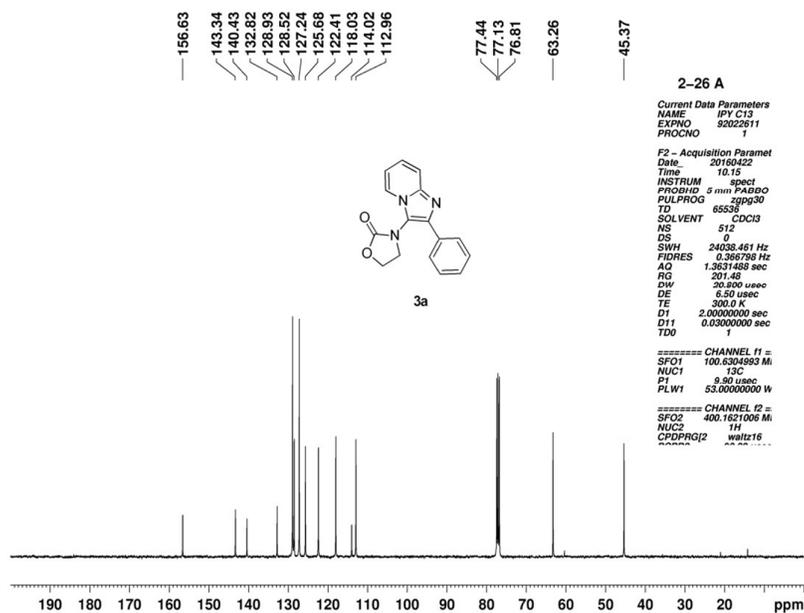
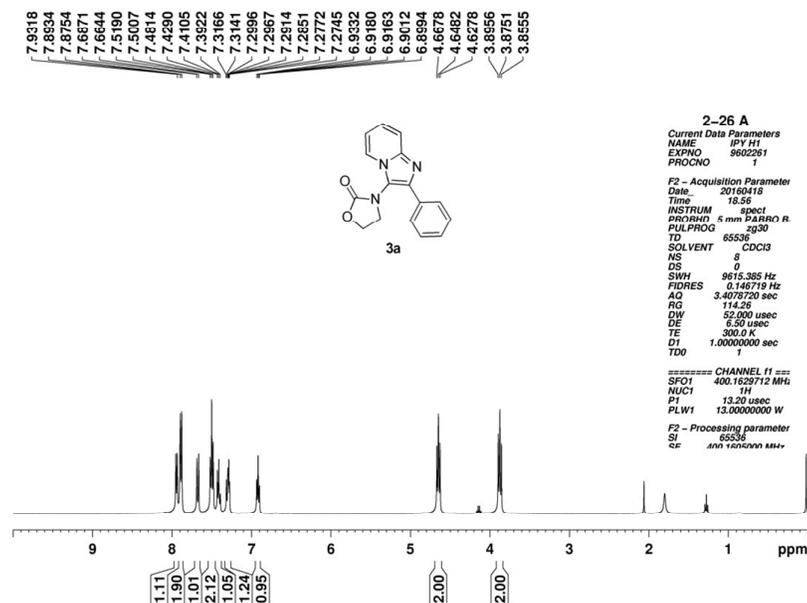


**Figure1: 3u**

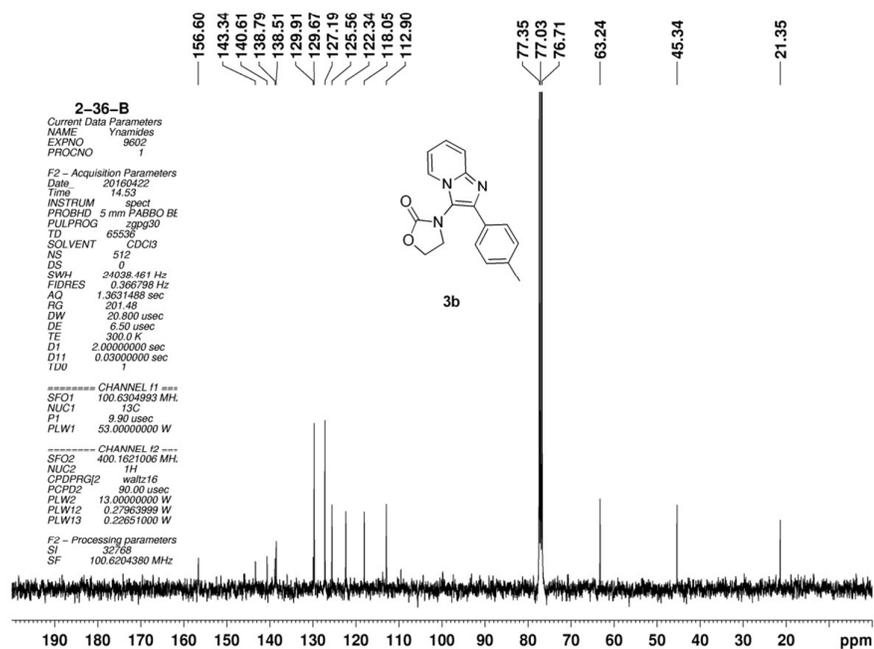
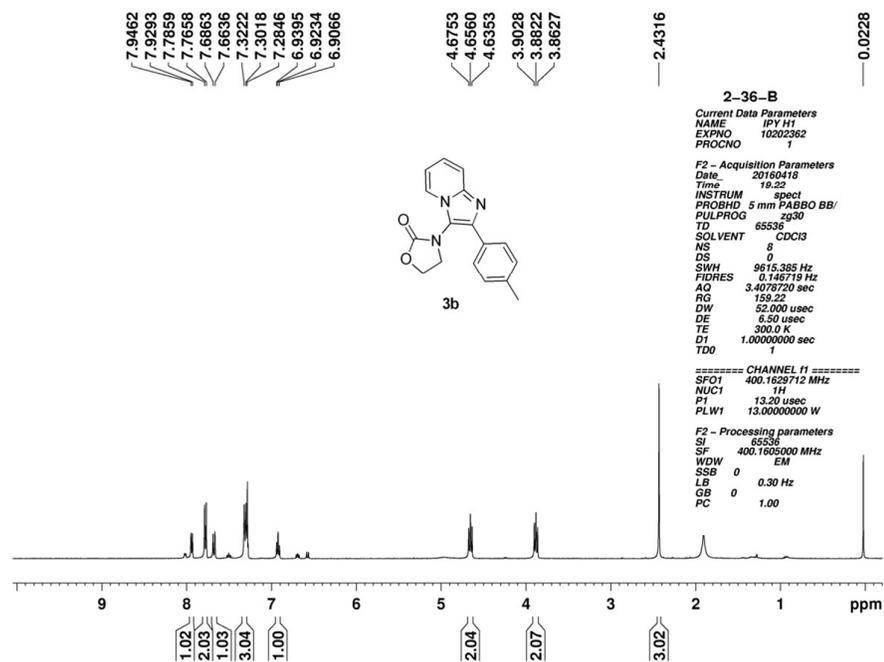


**Figure2: 5b**

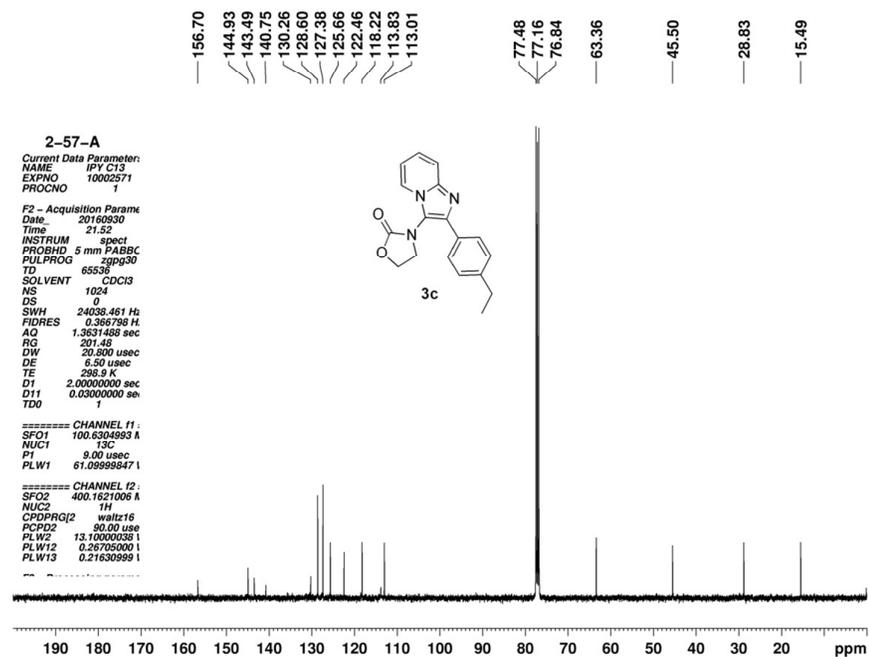
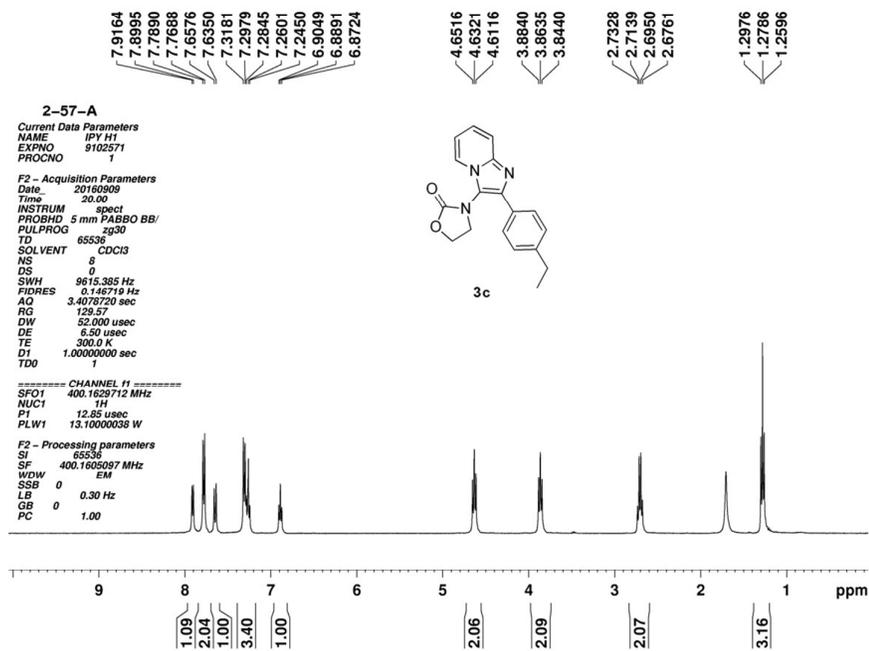
FigureS1. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3a)



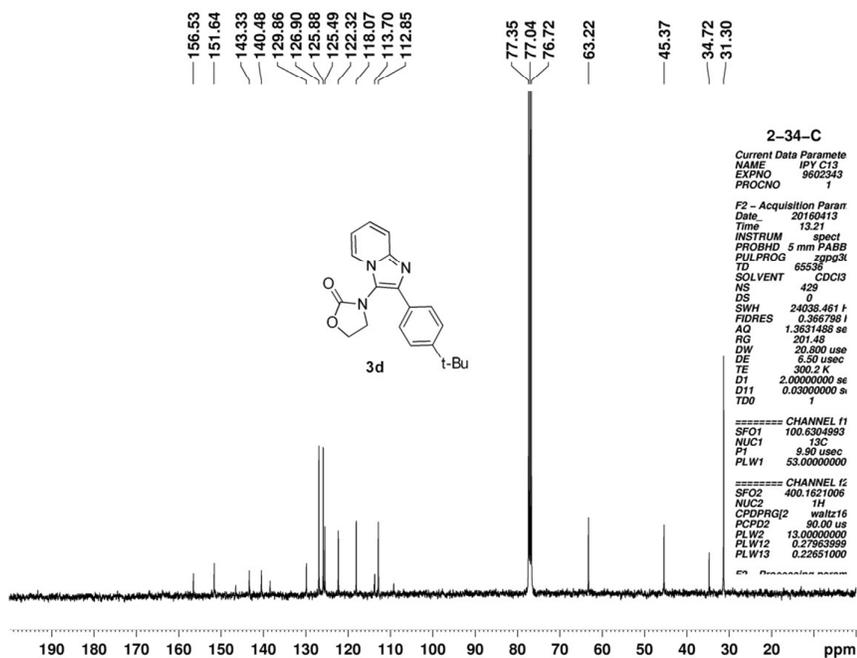
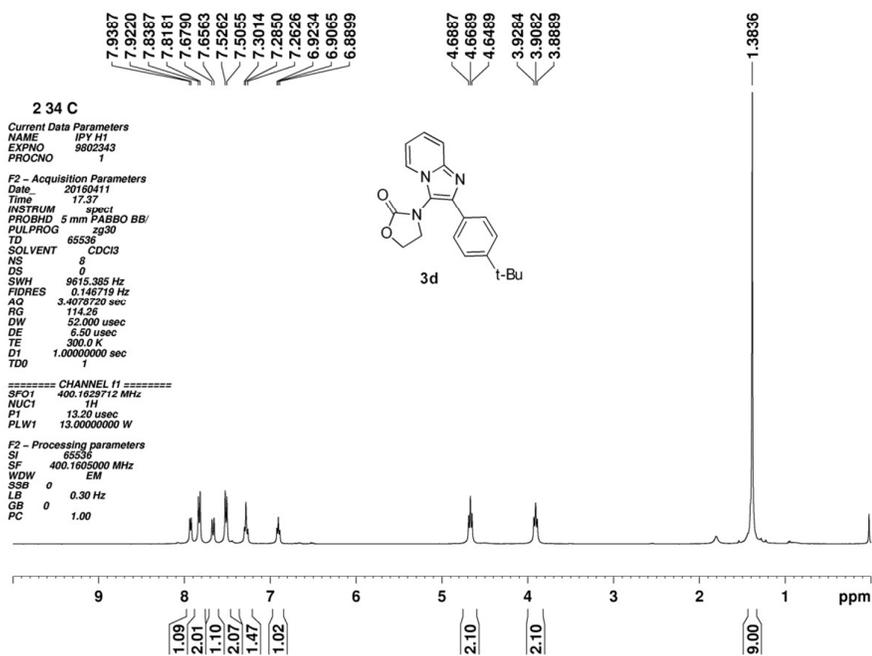
FigureS2. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(p-tolyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3b)



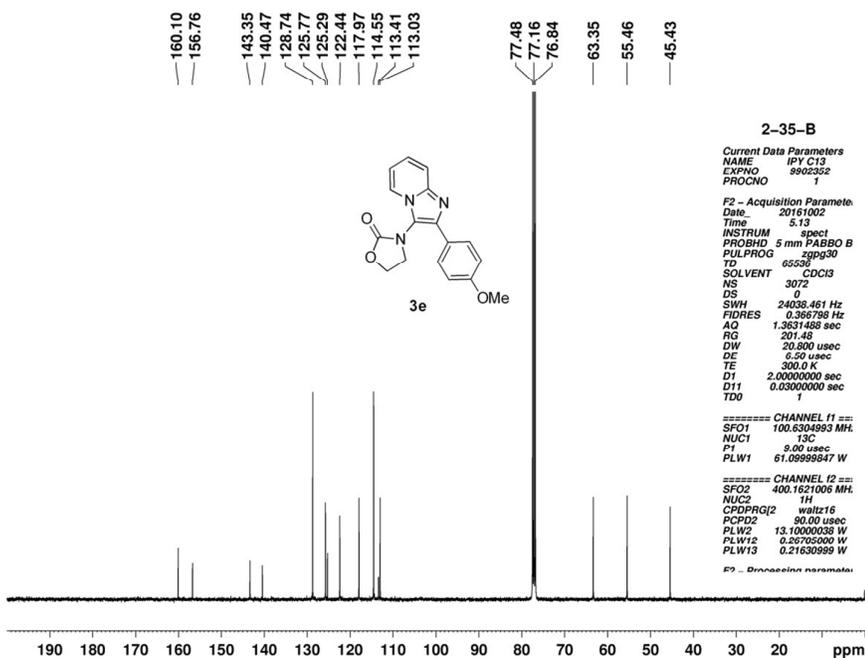
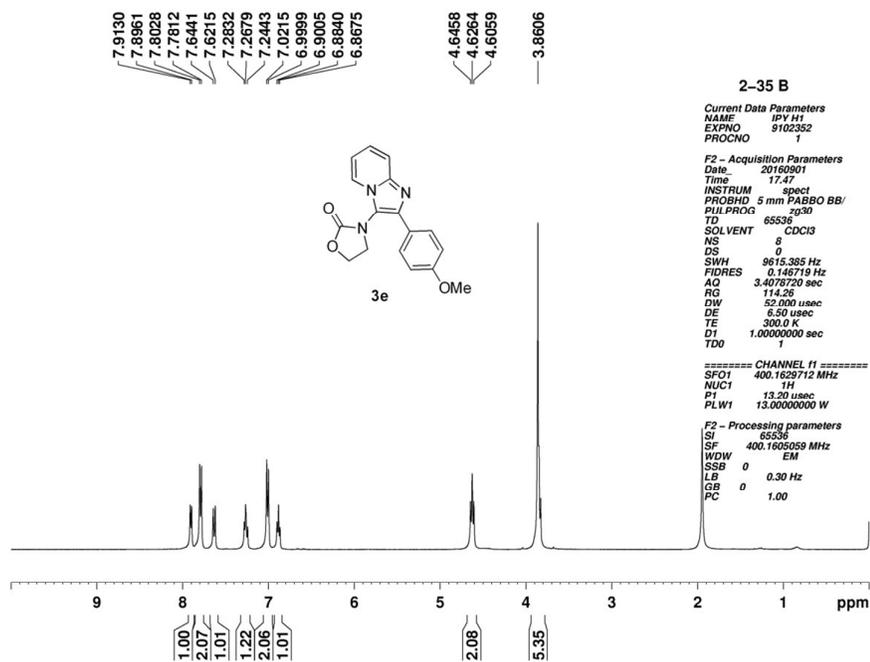
FigureS3. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-ethylphenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3c)



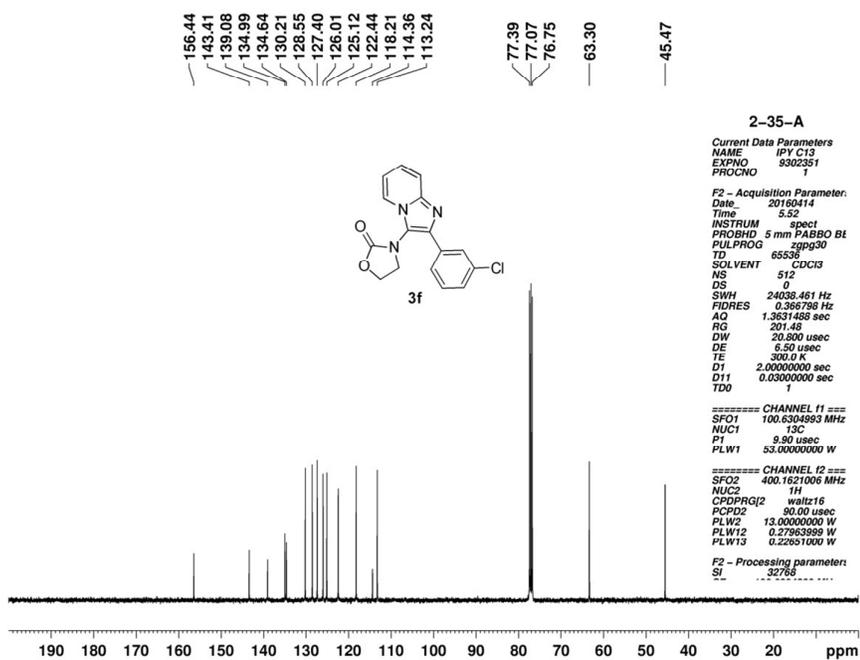
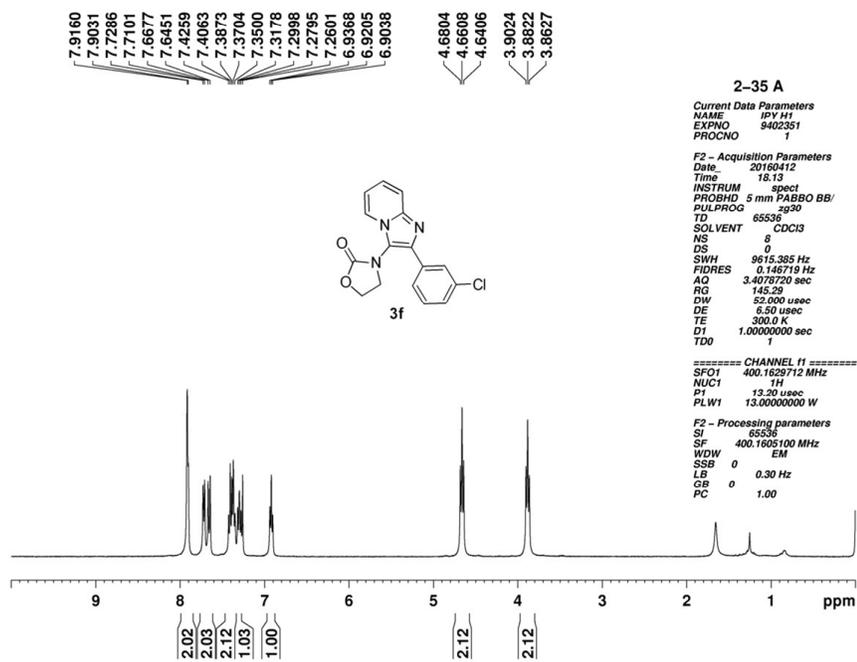
FigureS4. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-(tert-butyl)phenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3d)



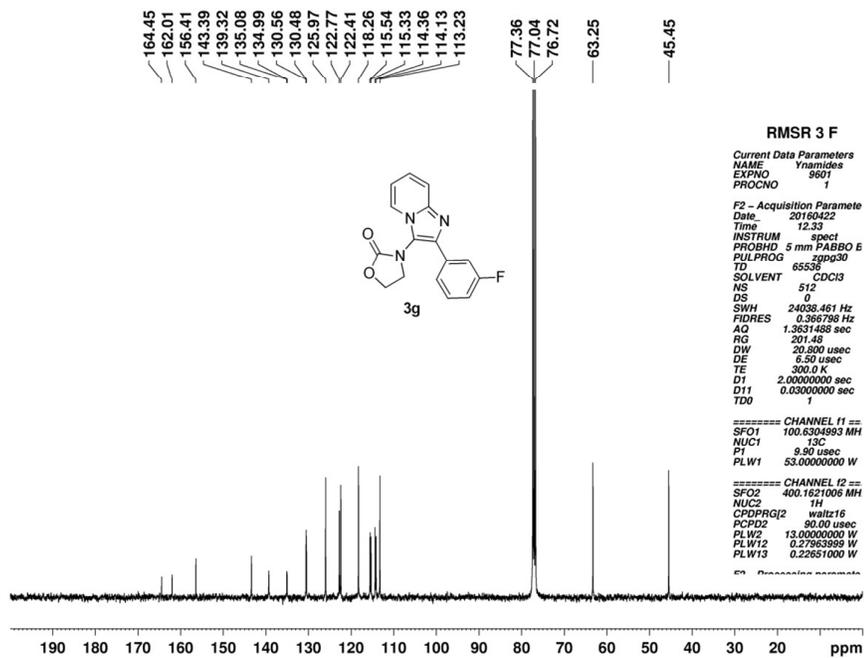
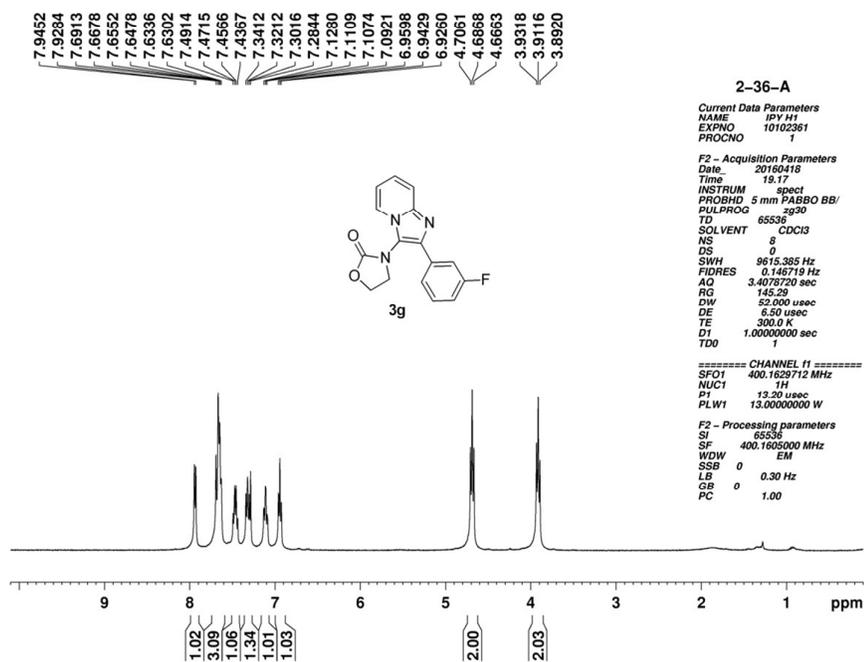
FigureS5. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-methoxyphenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3e)



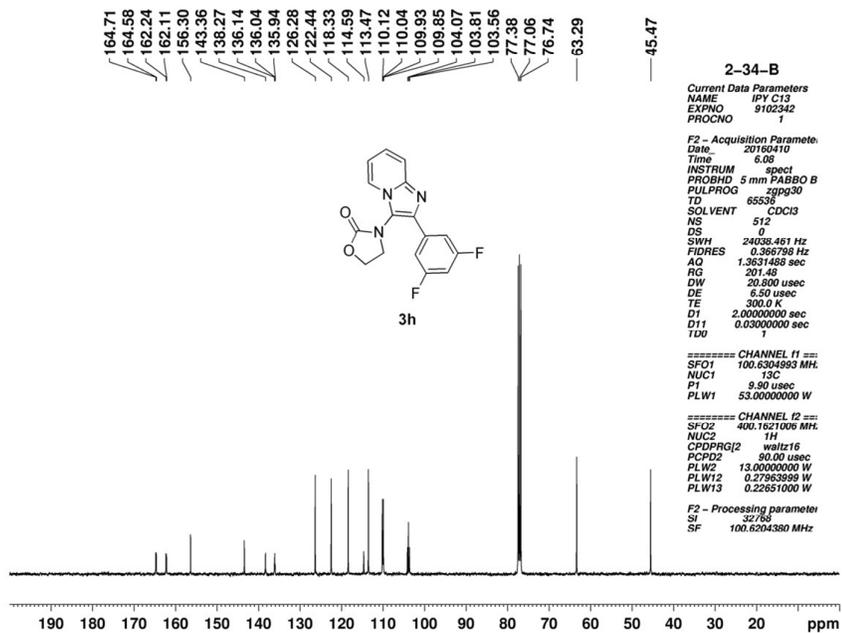
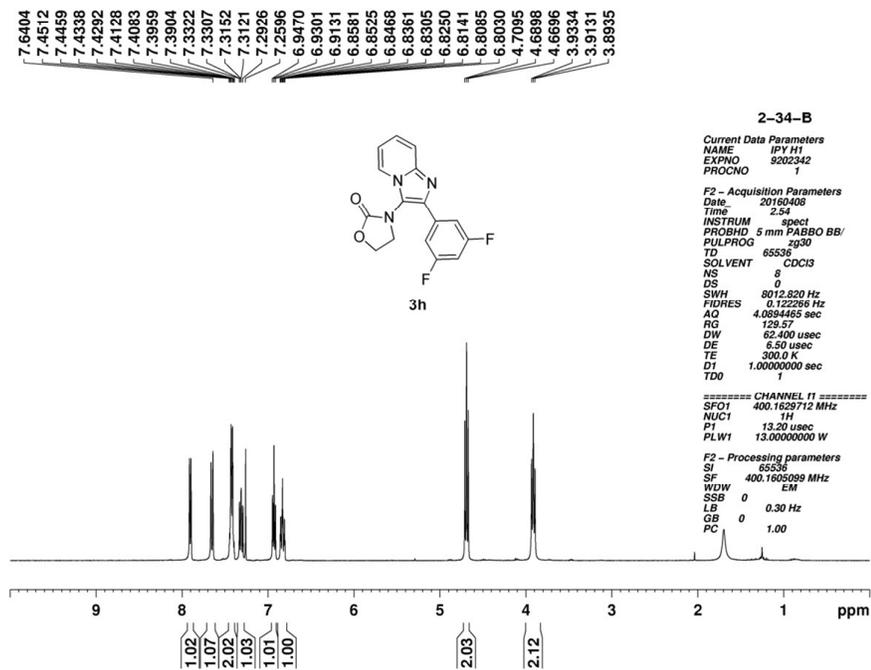
FigureS6. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(3-chlorophenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3f)



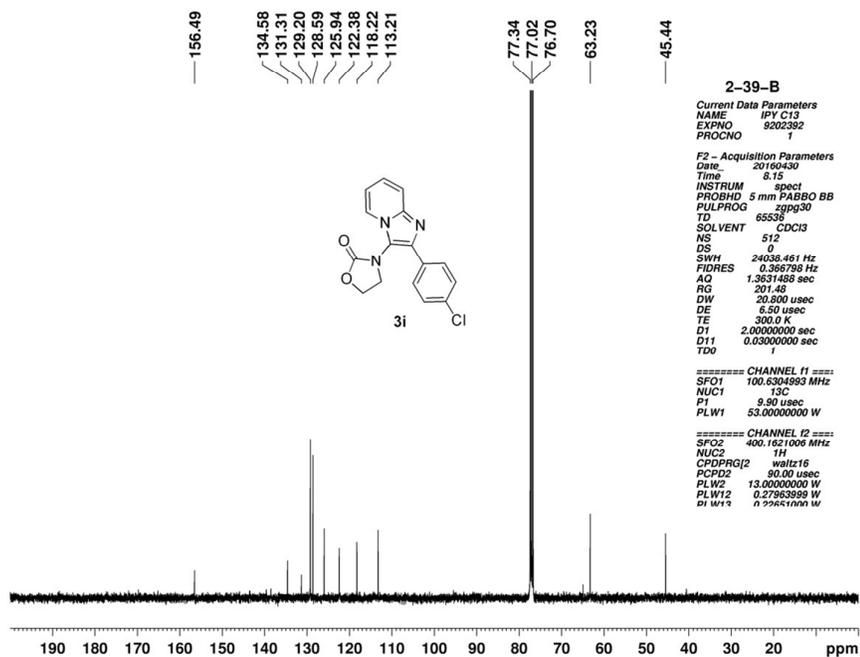
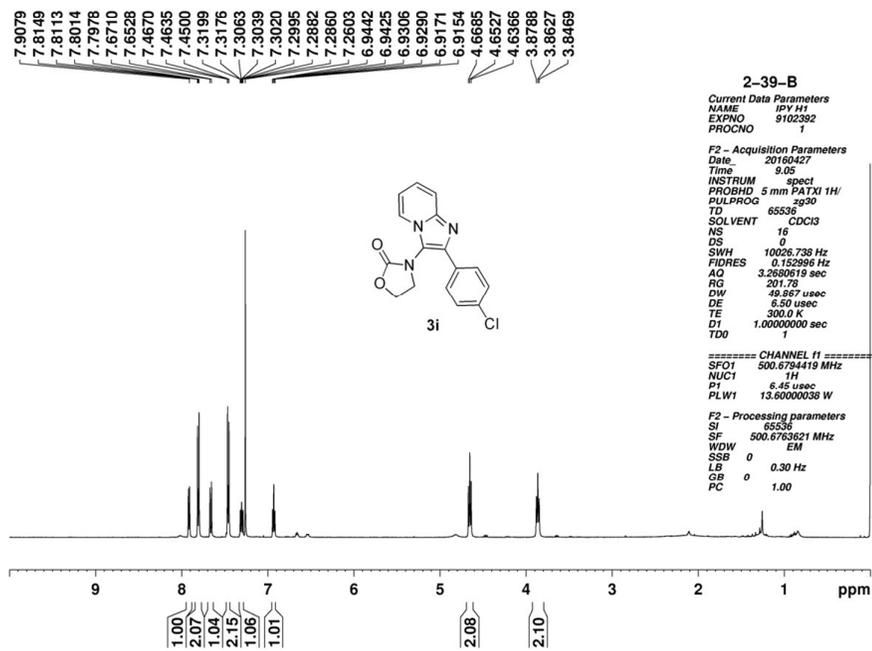
FigureS7. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(3-fluorophenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3g)



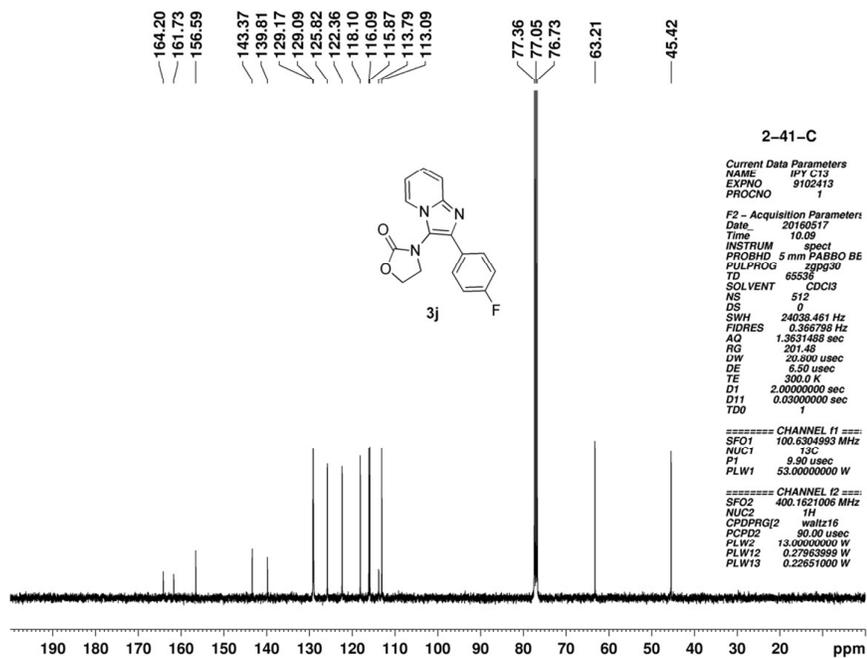
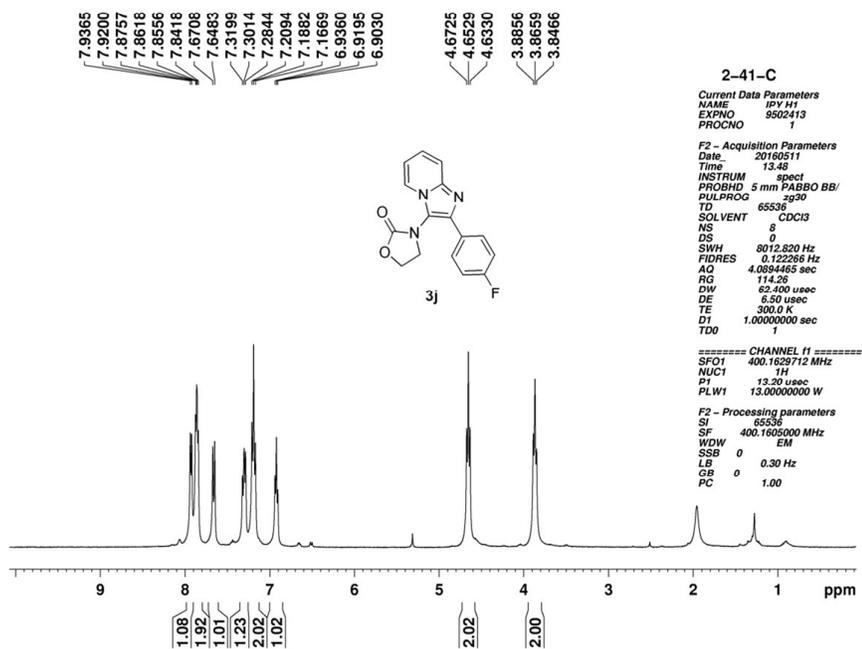
FigureS8. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(3,5-difluorophenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3h)



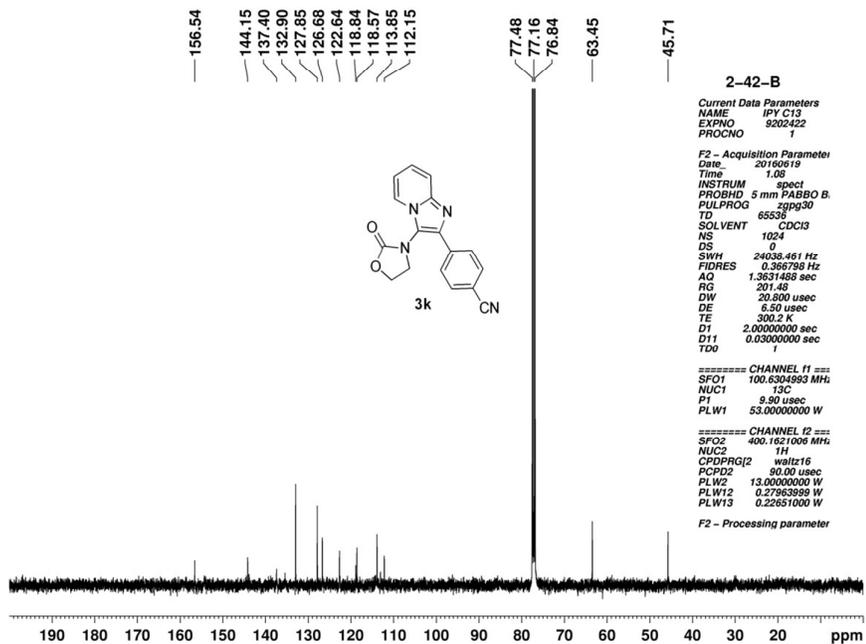
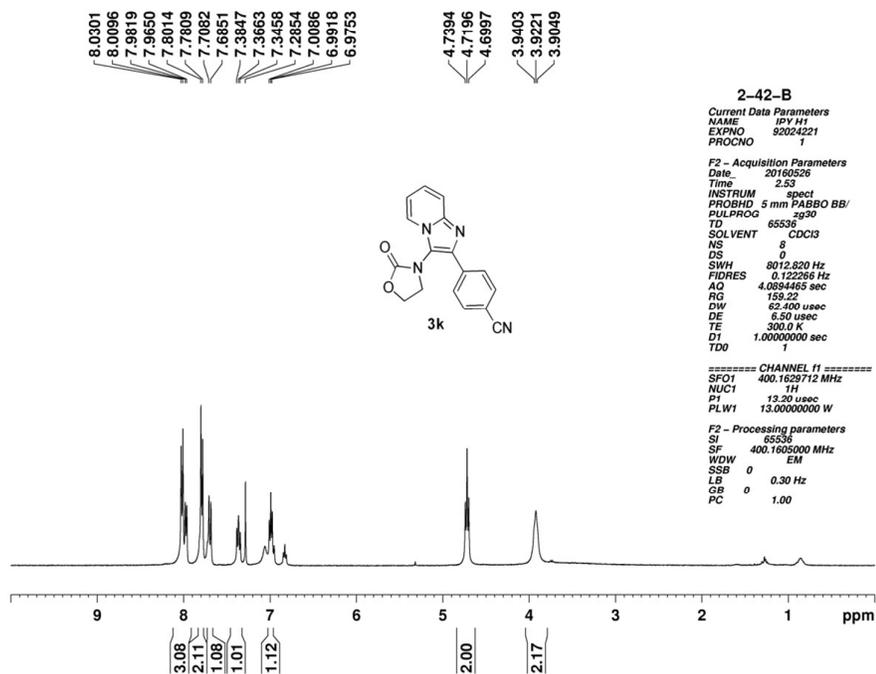
FigureS9. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-chlorophenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3i)



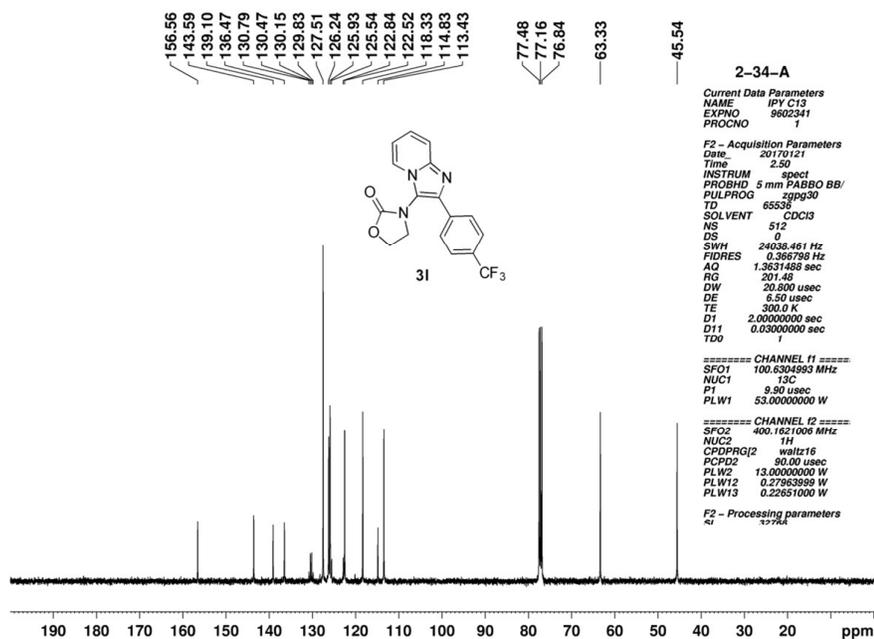
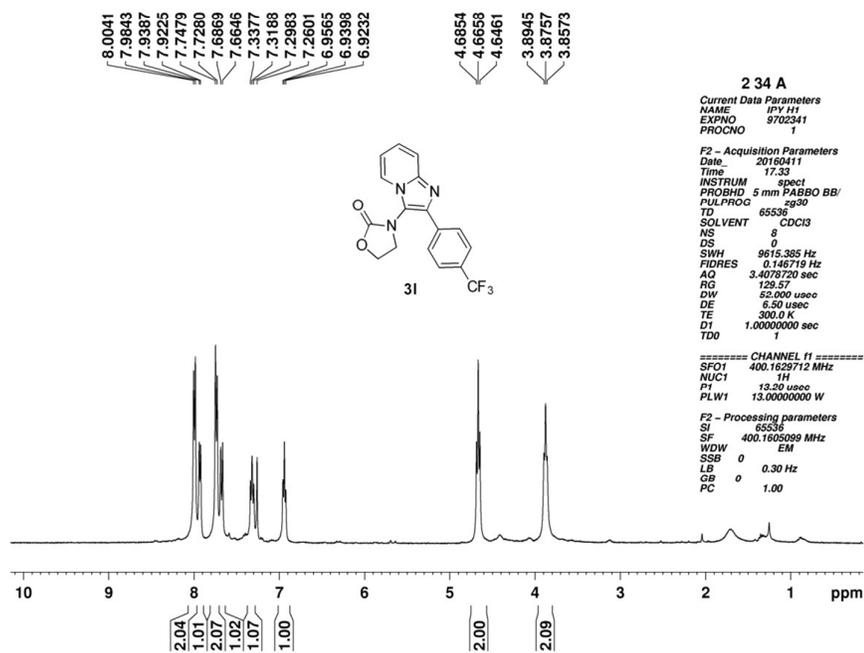
FigureS10. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3j)



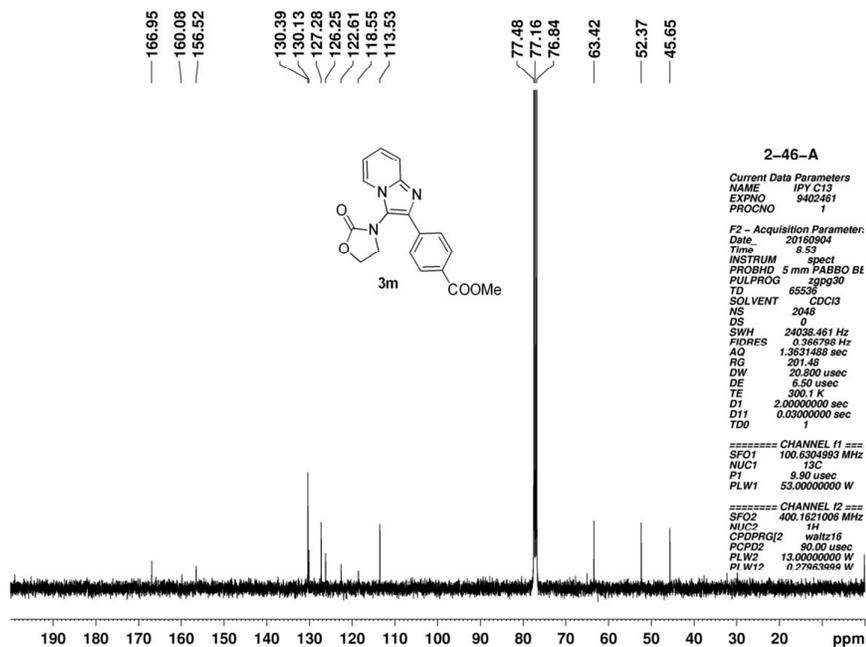
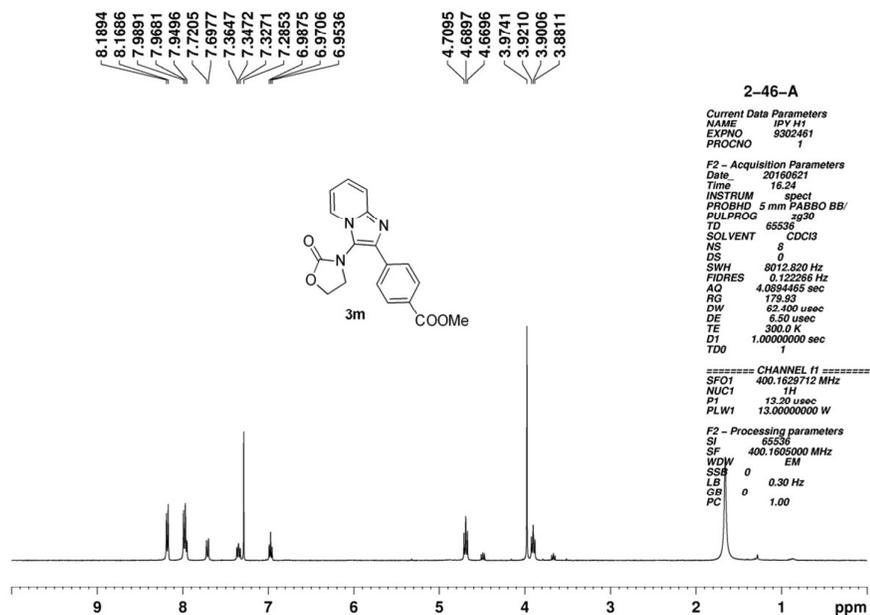
FigureS11. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 4-(3-(2-oxooxazolidin-3-yl)imidazo[1,2-a]pyridin-2-yl)benzonitrile(3k)



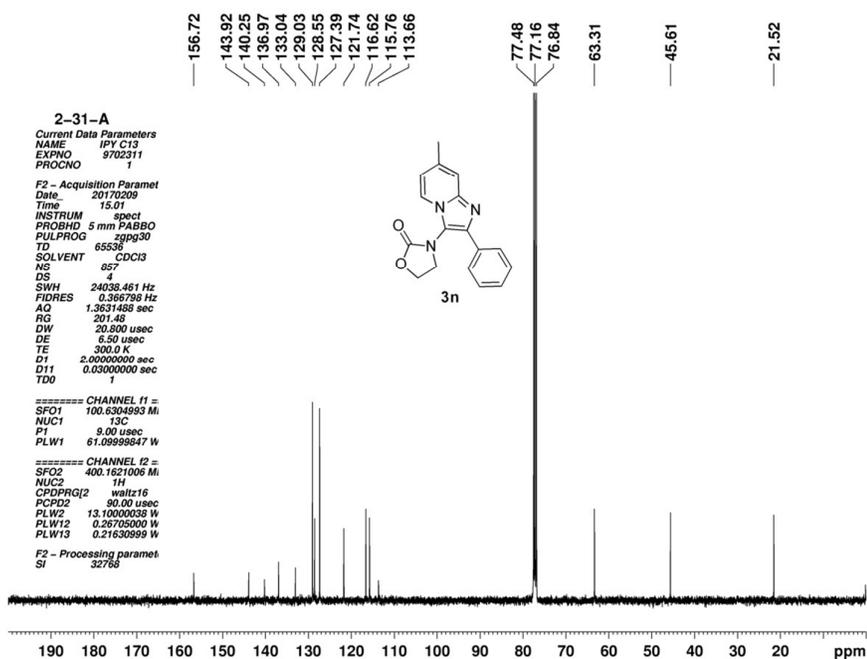
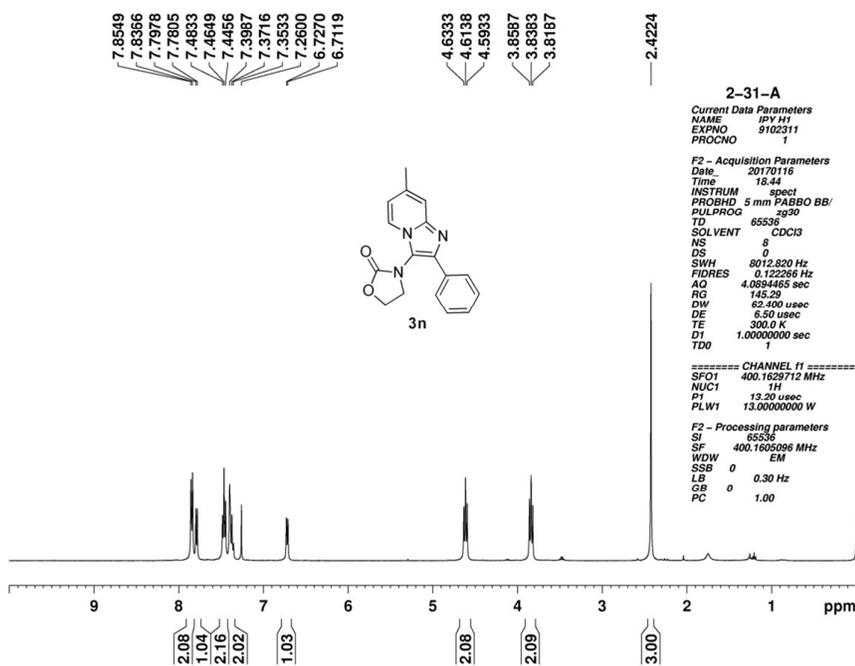
FigureS12. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-(trifluoromethyl)phenyl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3I)



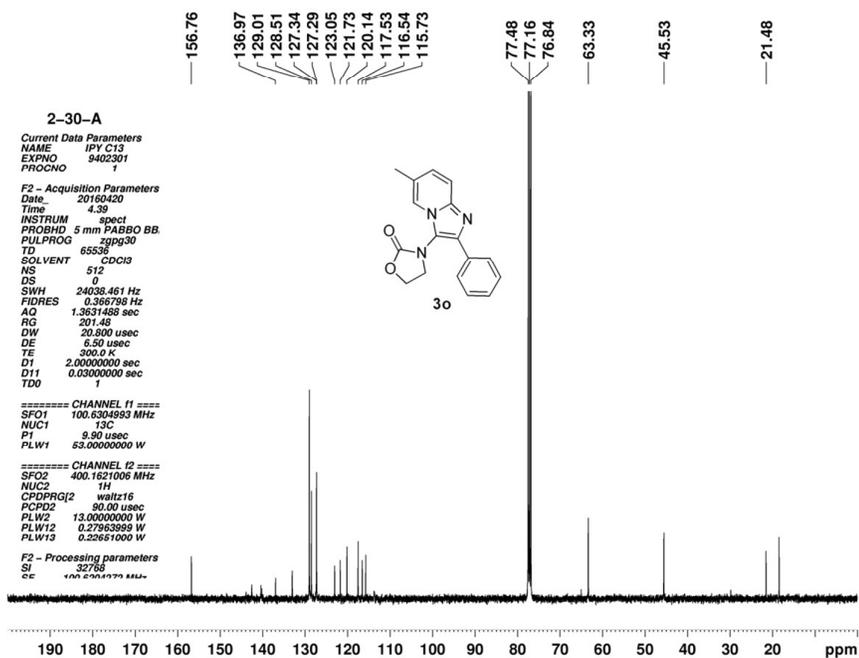
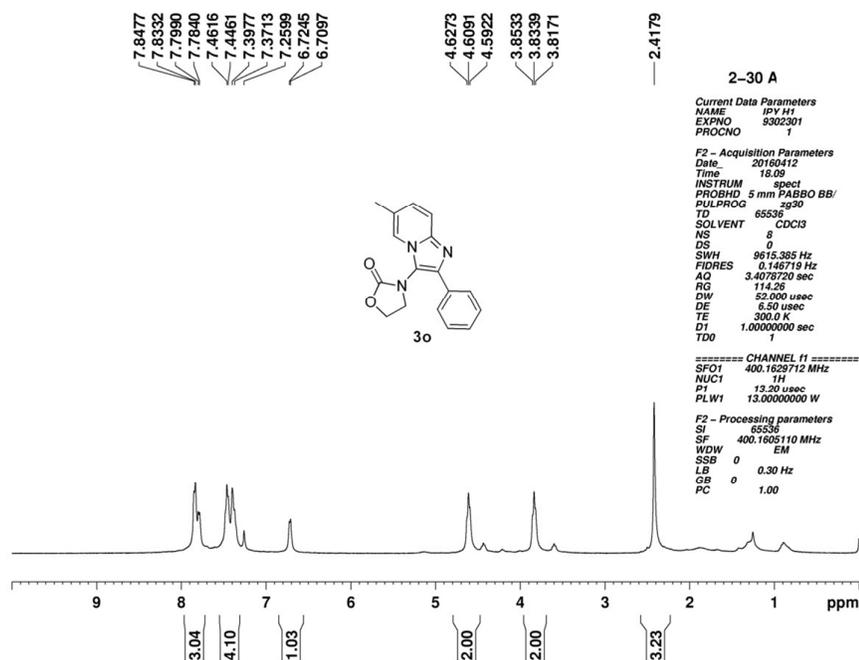
FigureS13. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of Methyl 4-(3-(2-oxooxazolidin-3-yl)imidazo[1,2-a]pyridin-2-yl)benzoate(3m)



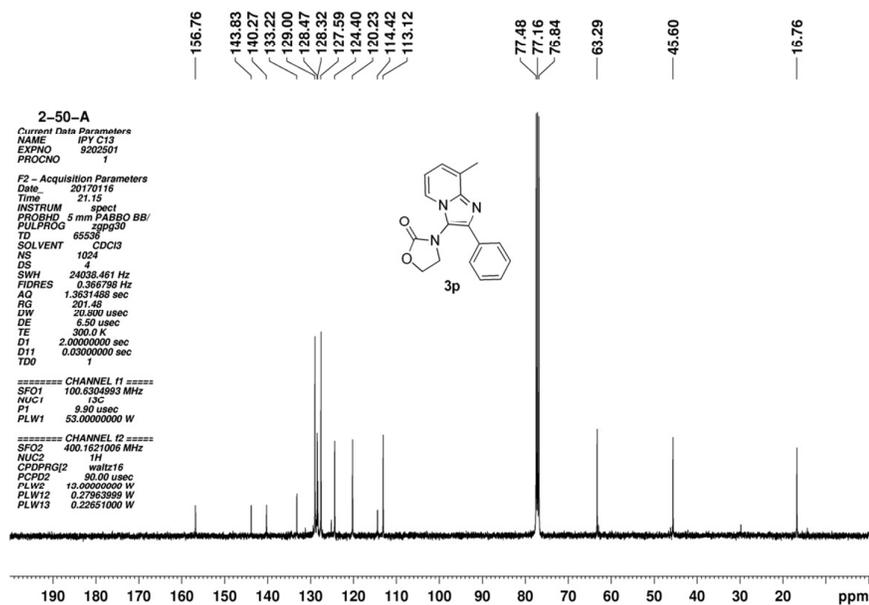
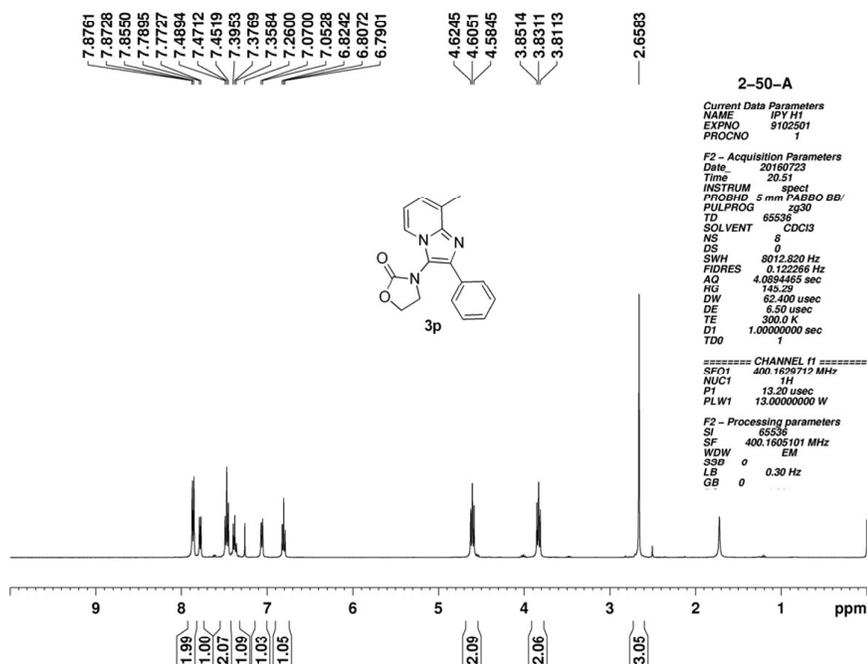
FigureS14. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(7-methyl-2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3n)



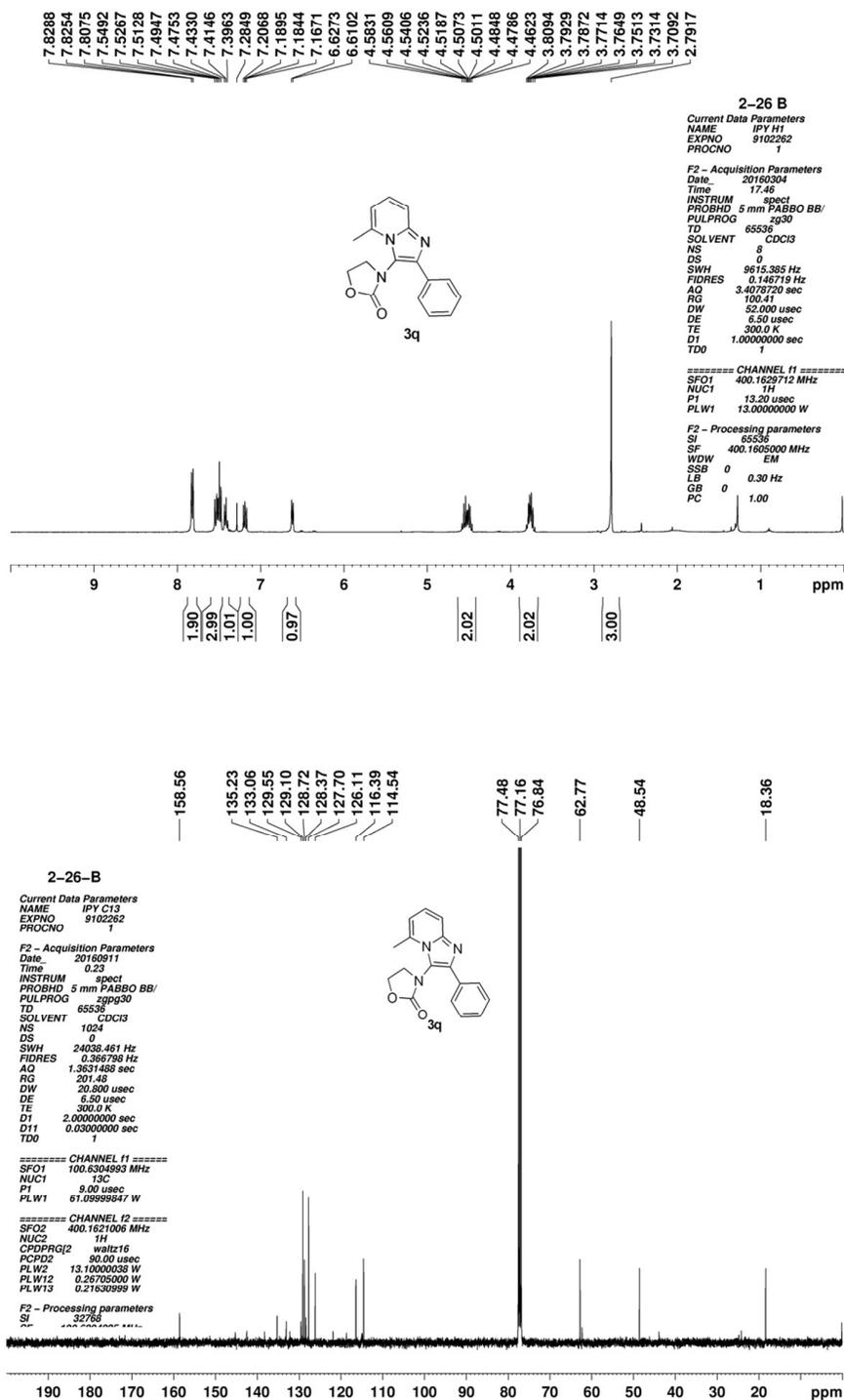
FigureS15. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(6-methyl-2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3o)



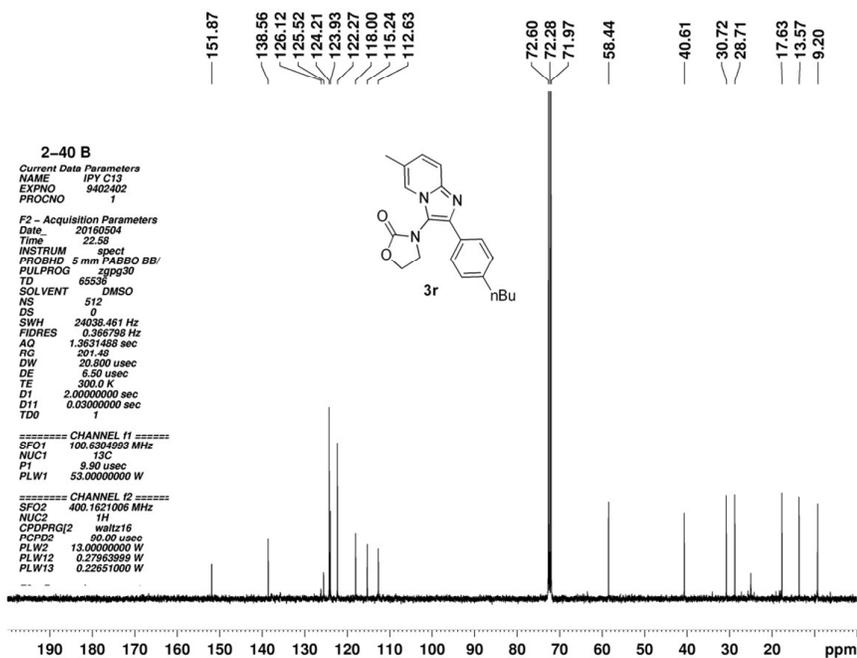
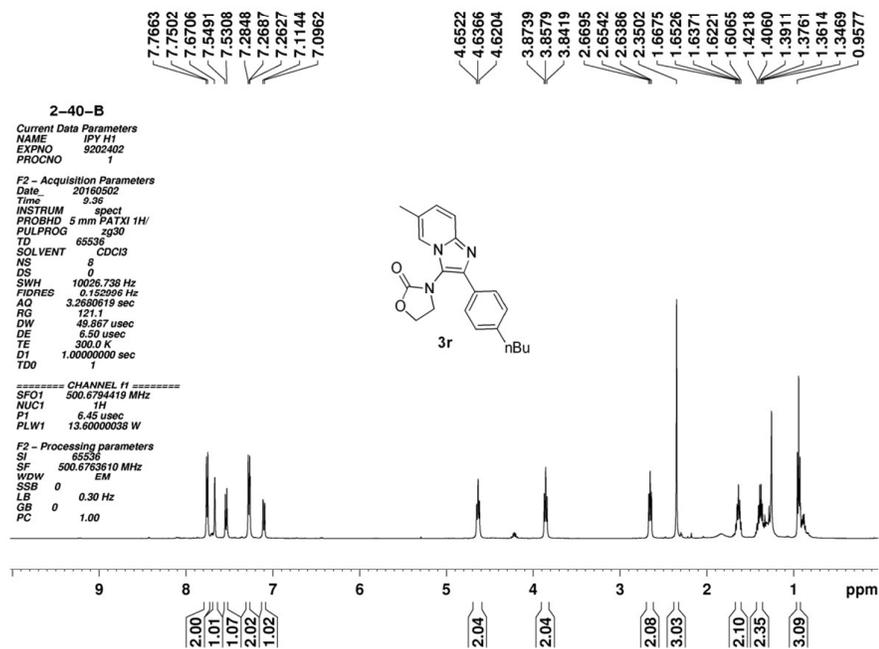
FigureS16. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(8-methyl-2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3p)



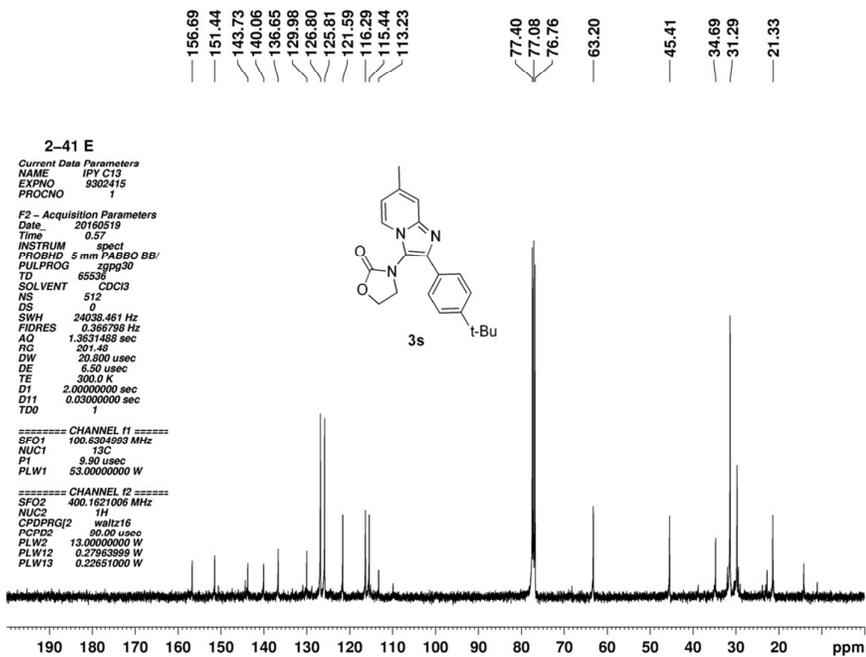
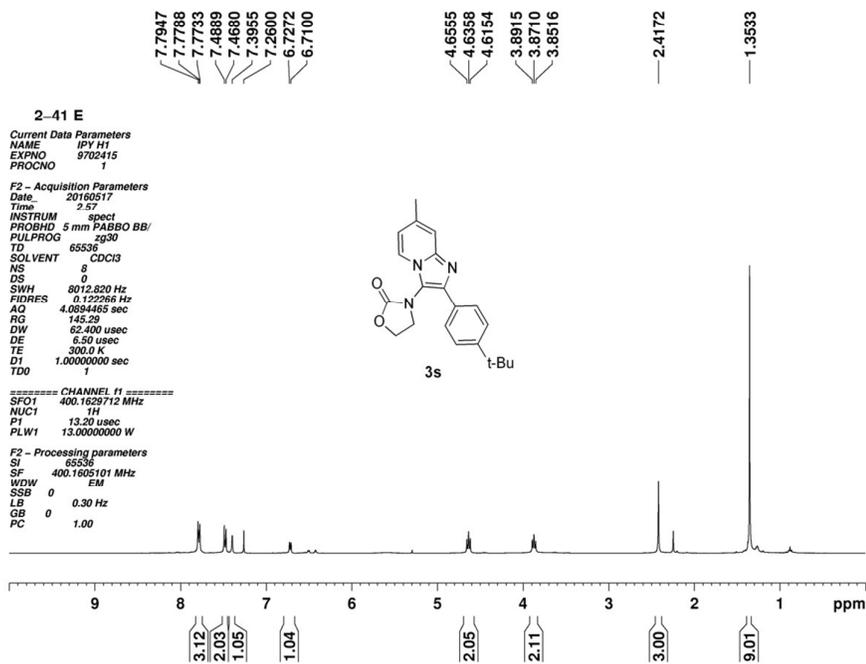
FigureS17. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(5-methyl-2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3q)



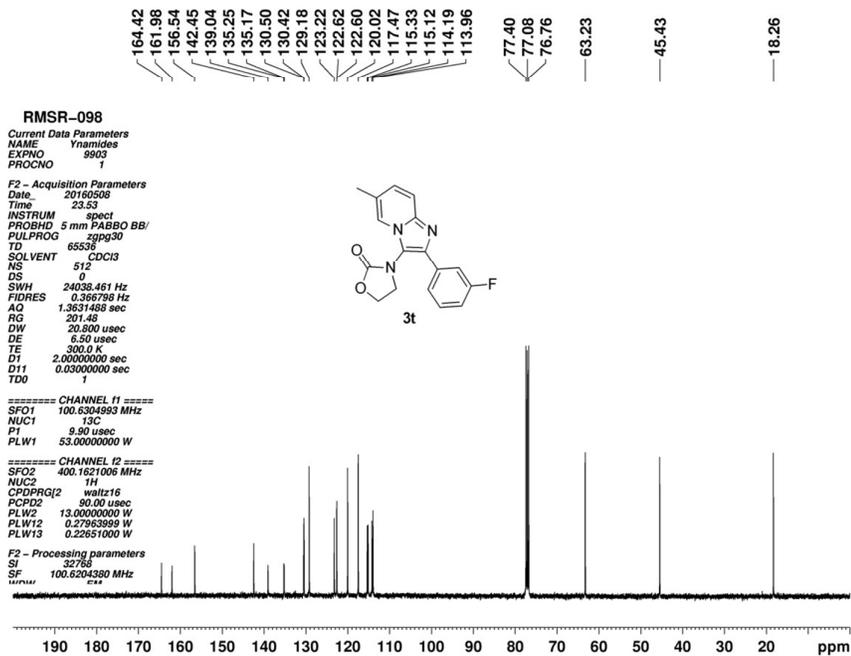
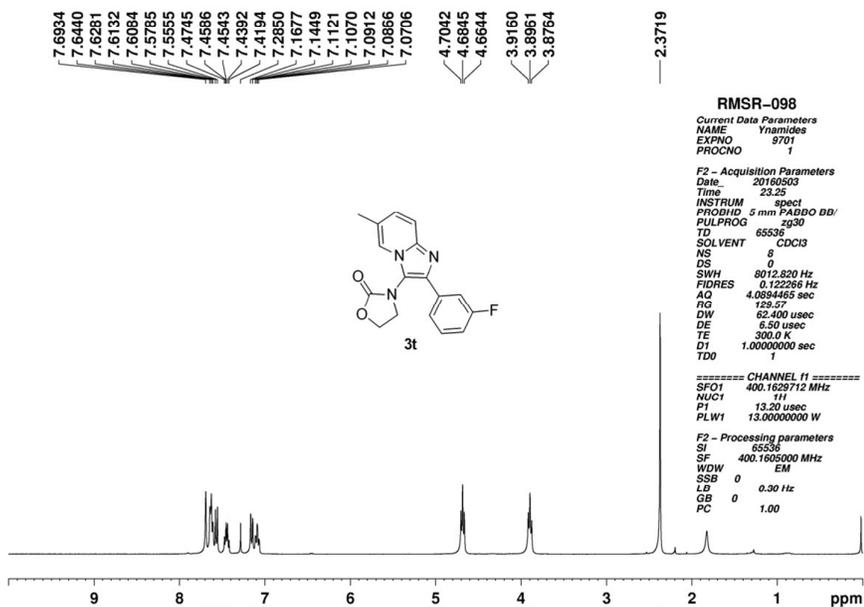
FigureS18. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-butylphenyl)-6-methylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3r)



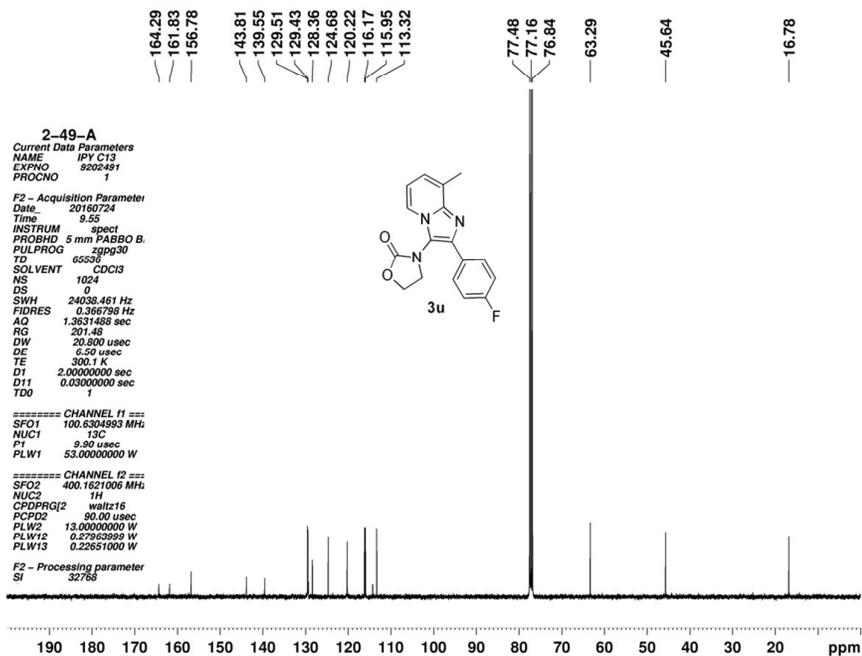
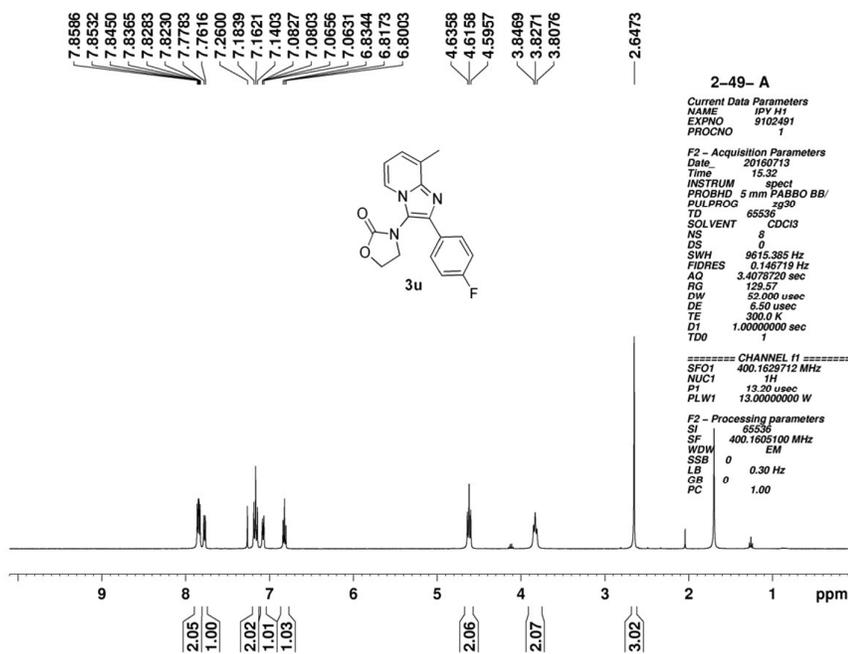
FigureS19. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-(tert-butyl)phenyl)-7-methylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3s)



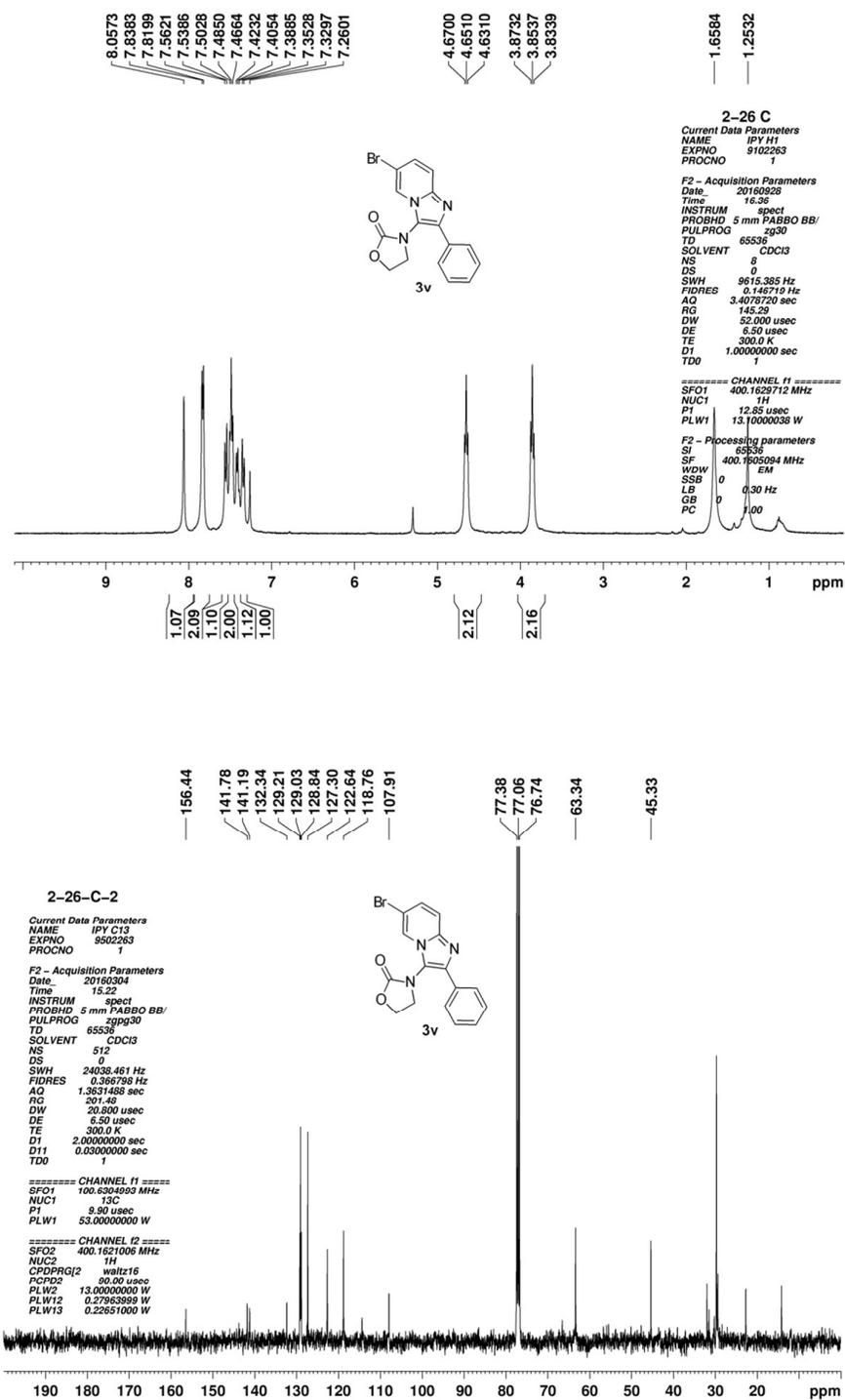
FigureS20. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(3-fluorophenyl)-6-methylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3t)



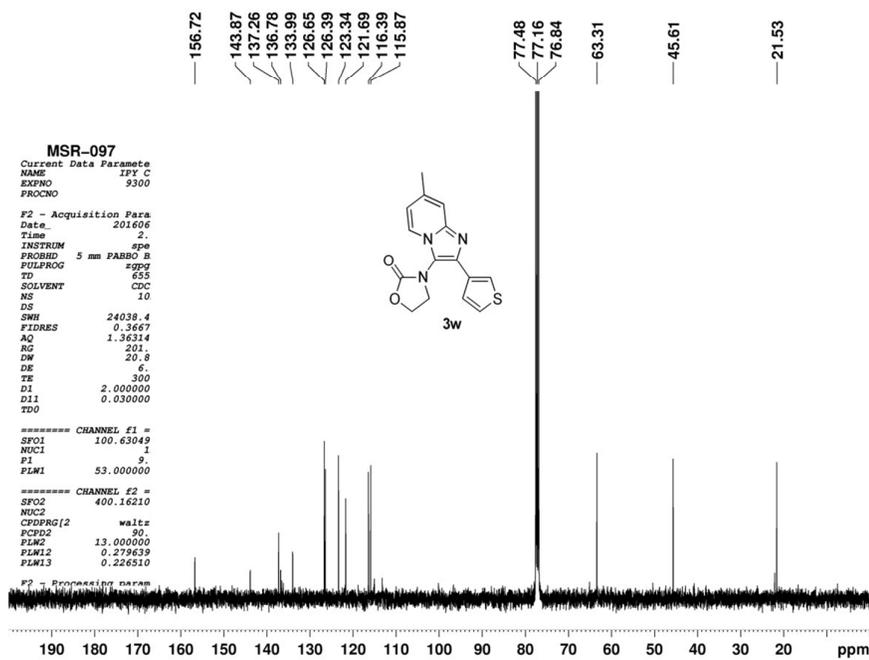
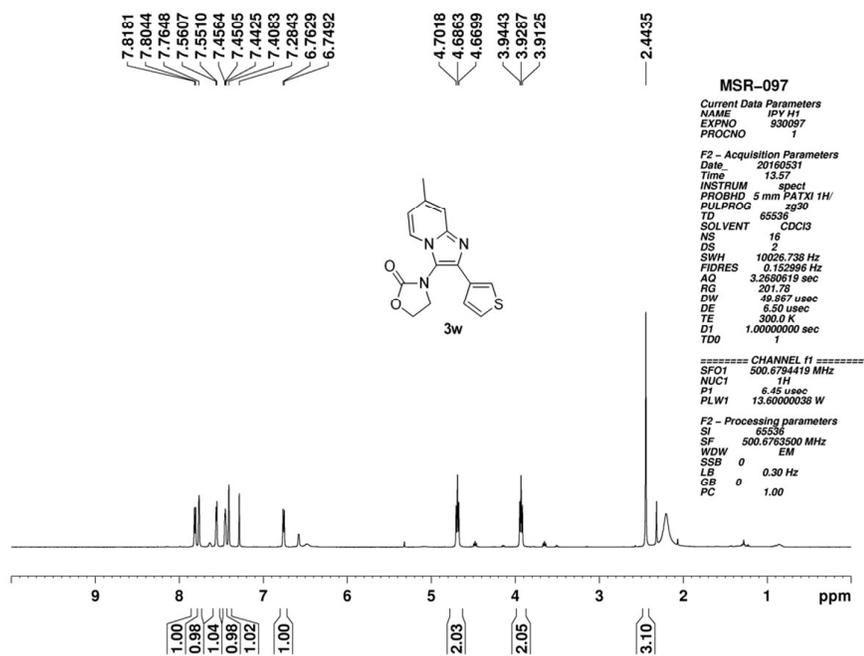
FigureS21. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(2-(4-fluorophenyl)-8-methylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3u)



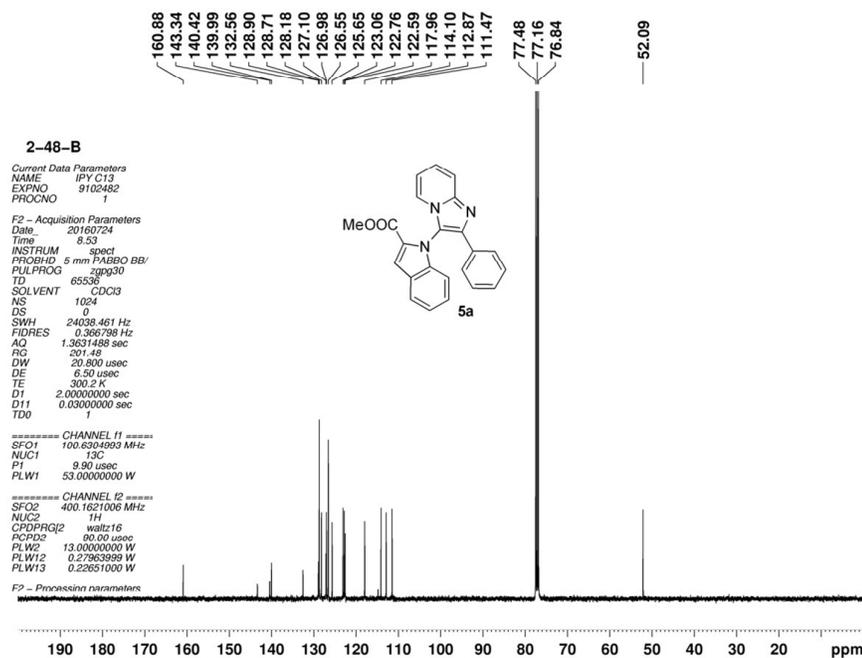
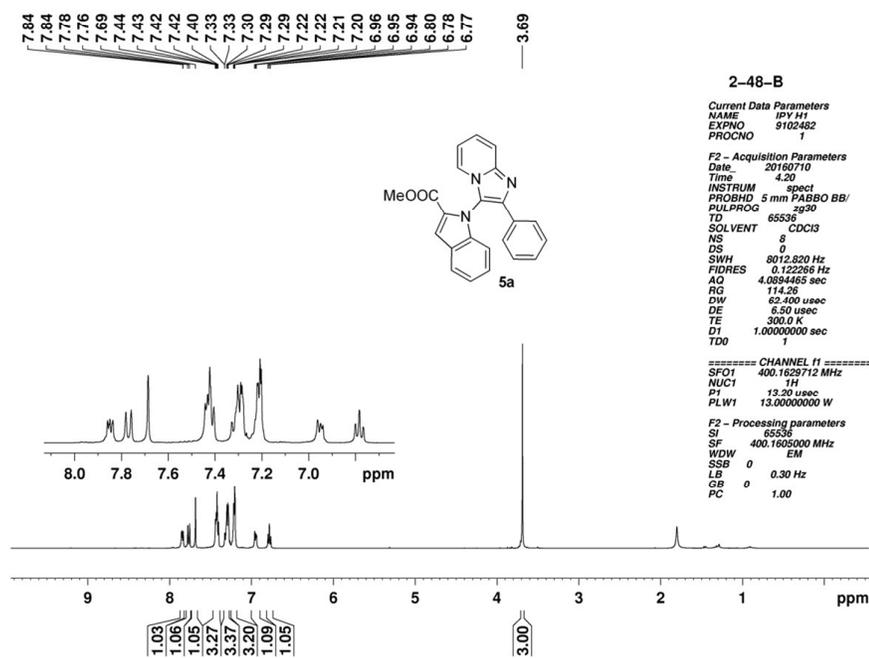
FigureS22. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(6-bromo-2-phenylimidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one (3v)



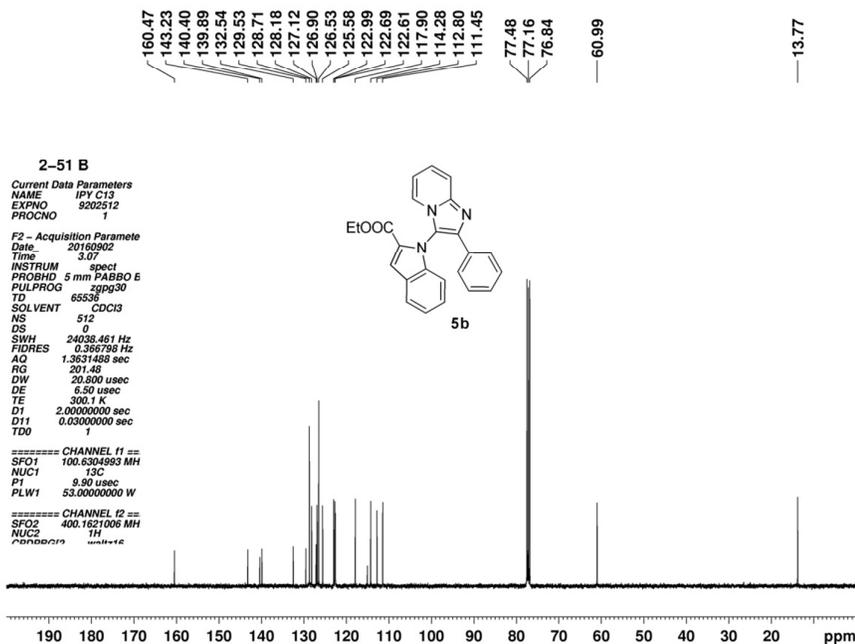
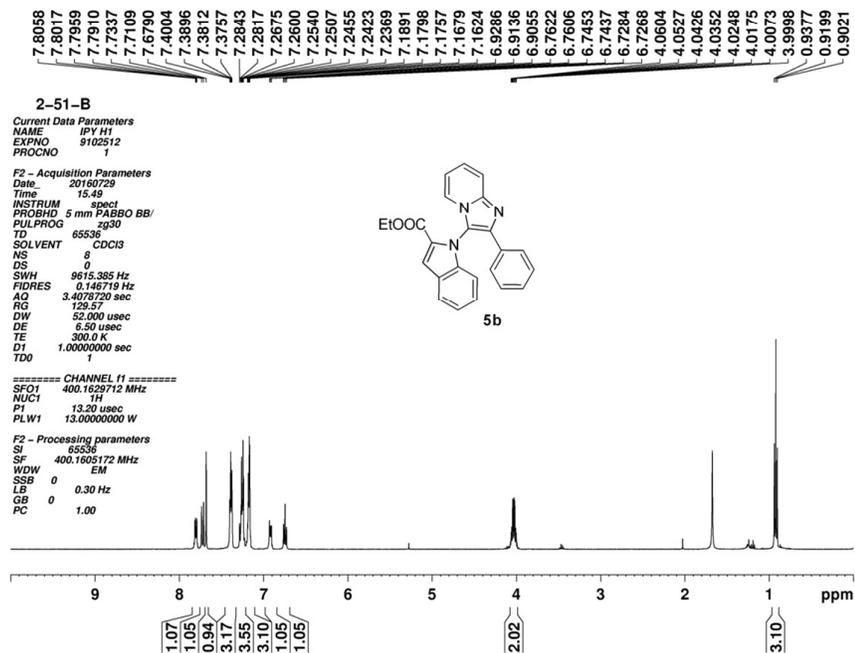
FigureS23. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-(7-methyl-2-(thiophen-3-yl)imidazo[1,2-a]pyridin-3-yl)oxazolidin-2-one(3w)



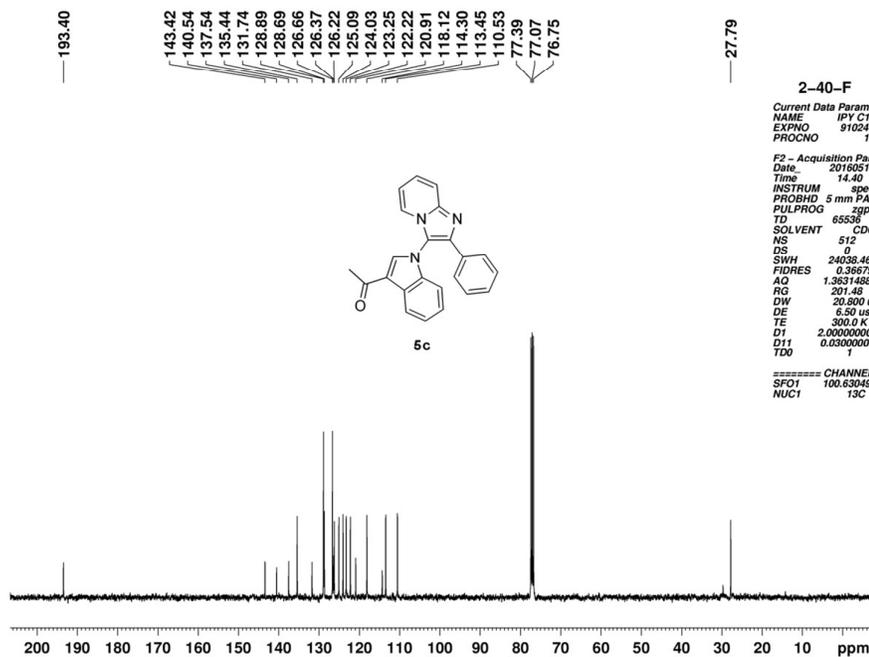
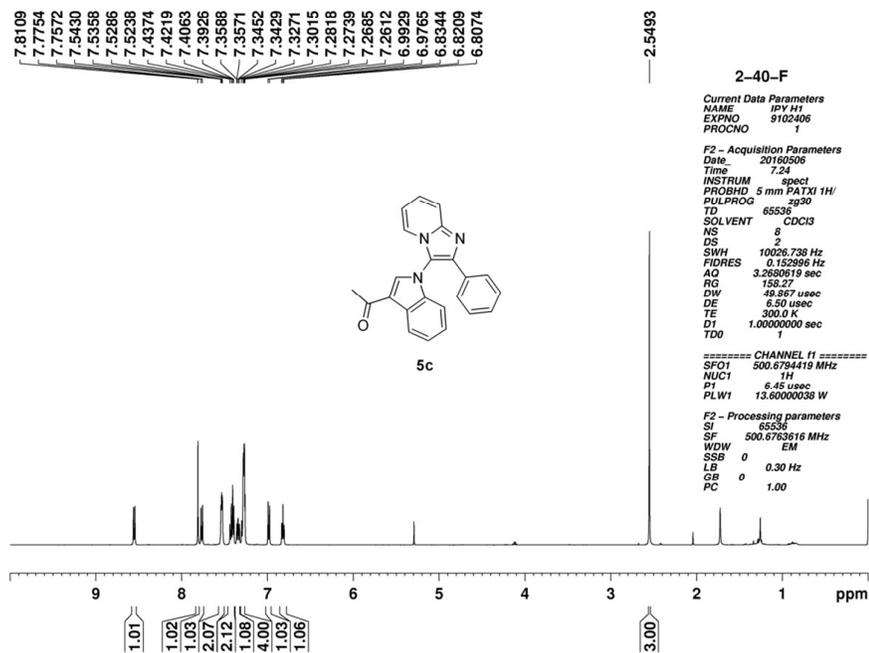
FigureS24. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of Methyl 1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-indole-2-carboxylate (5a)



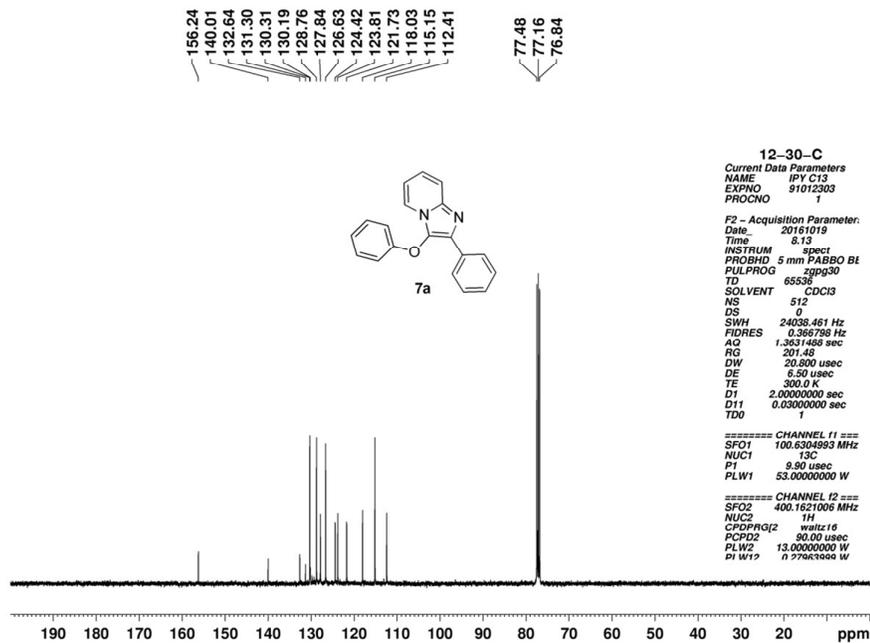
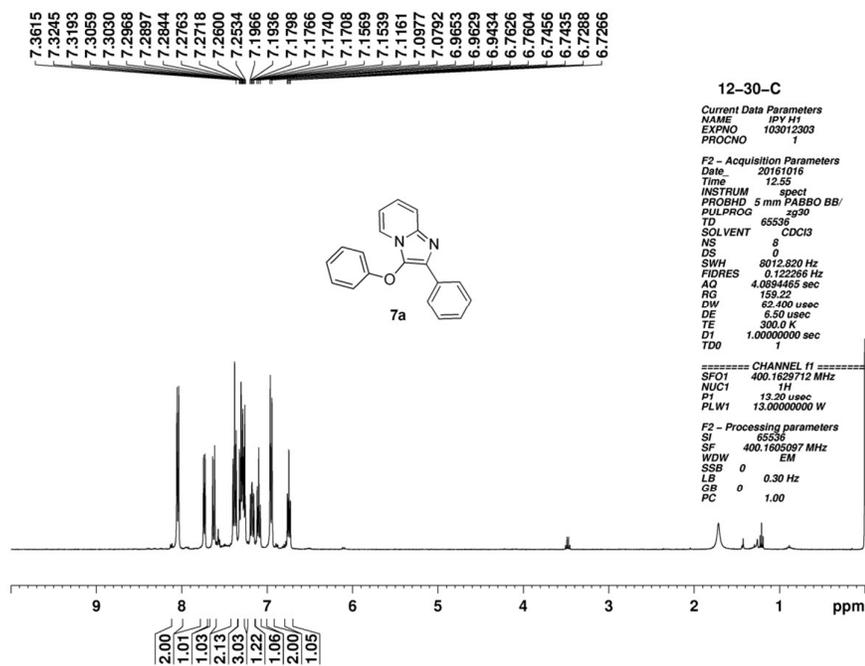
FigureS25. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of Ethyl 1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-indole-2-carboxylate (5b)



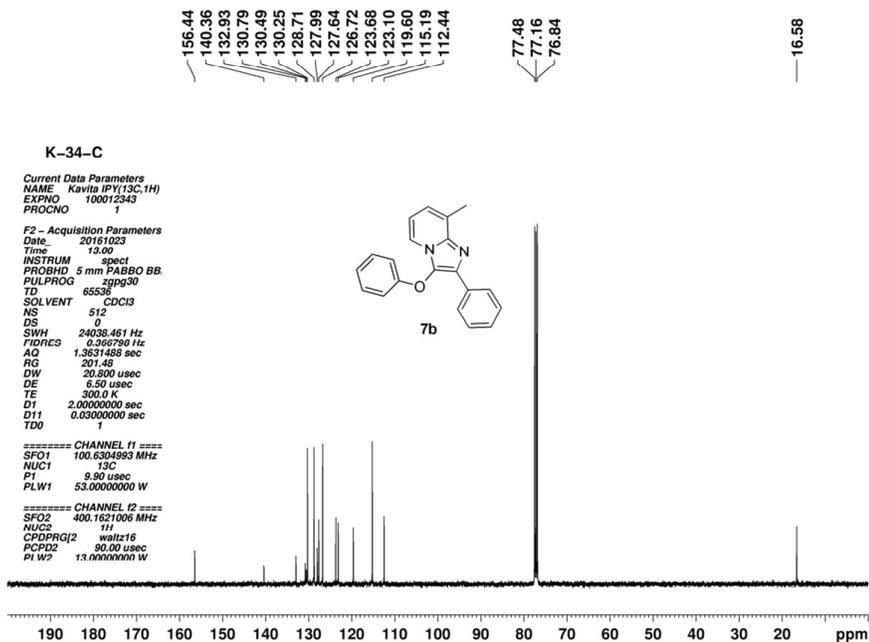
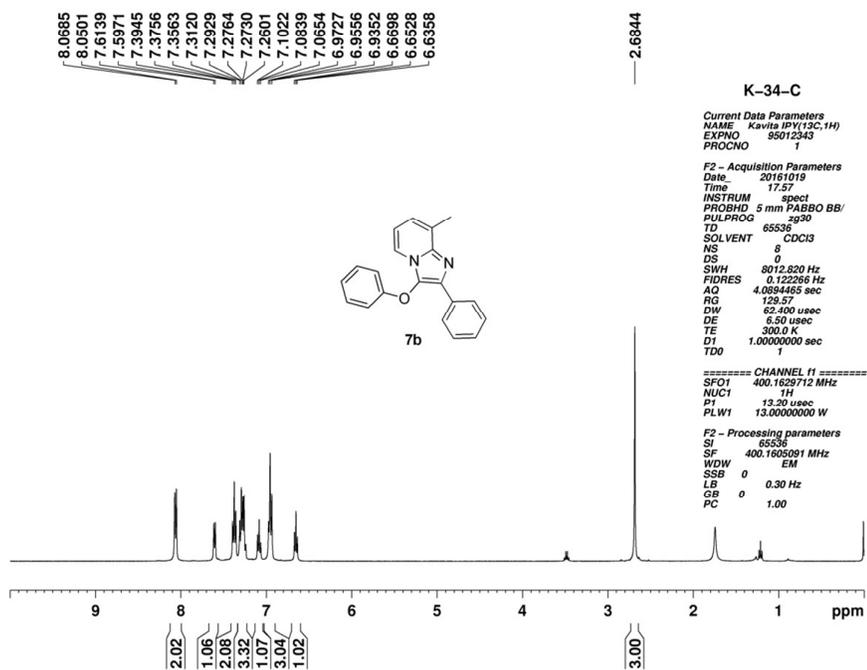
FigureS26. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 1-(1-(2-phenylimidazo[1,2-a]pyridin-3-yl)-1H-indol-3-yl)ethan-1-one (5c)



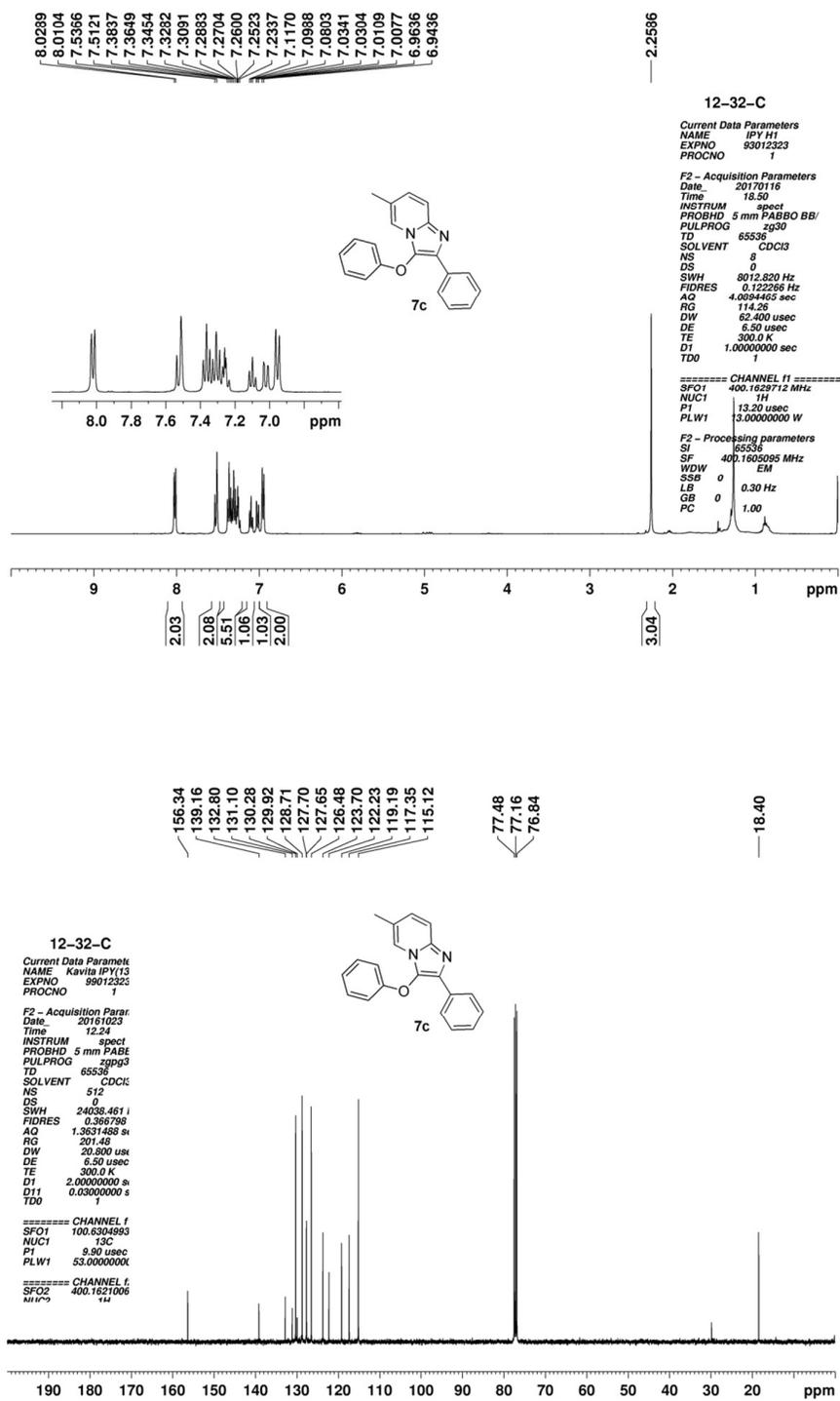
FigureS27. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-phenoxy-2-phenylimidazo[1,2-a]pyridine (7a)



FigureS28. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 8-methyl-3-phenoxy-2-phenylimidazo[1,2-a]pyridine (7b)



FigureS29. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 6-methyl-3-phenoxy-2-phenylimidazo[1,2-a]pyridine (7c)



FigureS30. <sup>1</sup>H and <sup>13</sup>C NMR spectrum of 3-phenoxy-2-(p-tolyl)imidazo[1,2-a]pyridine (7d)

