

# In-vitro Insecticidal and Antibacterial Investigation of Newly Synthesized Substituted imidazo[2,1-c][124]triazole Derivatives from Imidacloprid for Pest Management

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## Abstract

New molecules with promising insecticidal properties are being sought after, a set of Substituted imidazo[2,1-c][124]triazole analogues were synthesized, which were constructed by starting material imidacloprid and bio-assayed. Synthesized molecules be confirmed with diverse modern investigative method like FT-Infrared, Proton NMR, Carbon 13 NMR & Mass spectrometry data. The entire prepared molecule is screen to investigate their Insecticidal & anti-bacterial activity. The bioassay tests showed that synthesized compounds Chloro (4b&c) and nitro(4d&4e) substitution showed higher bioactivities than imidacloprid against *H. armigera* (Hub), Mealybugs, Mango hopppers and Tobacco and Tomato bacterial wilt. The results of biological activity were statistically interpreted. Compounds substituted with electron withdrawing group exhibited potential vector control agents.

**Key words:** Substituted triazole, Synthesis, Characterization, Biological activity, Vector control

*Helicoverpa armigera* (Hübner), Mealybugs (*Planococcus citri*) and Mango hopppers (*Idioscopus clypealis*) are recognized as an insect pest with a high potential for harm to many commercially significant crops worldwide, among them are tomatoes, cotton, corn, tobacco, and soybeans [1]. One of the earliest known insecticides with a plant origin and a remarkable insecticidal property is nicotine. The insects are quickly killed by nicotine within an hour, causing tremors, convulsions, and eventually paralysis. The crude extract of tobacco leaves' insecticidal properties were used to manage insects prior to 1746. According to Metcalf, 1.2 million pounds of free nicotine were used in farming in the United States during 19442. Some biological traits, like mobility, polyphagy, and facultative diapauses, can boost the pest's survival and population growth in agrosystem [2-3]. These pests, which attack over 150 different host species, are regarded as the most commercially important insect pests in a number of countries, including Japan, China, India, and Southeast Asia [4]. Because of their biological characteristics and higher damage potential, successful prevention and control of these pests is difficult. Chemical pesticides are primarily responsible for the prevention and management of *H. armigera* [5]. Triazole [6-16], Imidazole [17-19] derivatives showed antibacterial property. Nevertheless, relying solely on the use of synthetic insecticides to eradicate *H. armigera* has not been effective and has led to the emergence of pesticide resistance, environmental

contamination, disruption of ecological stability, and health risks [20]. Insecticides with neonicotinoid active ingredients, such as imidacloprid [21], are the newest class of synthetic insecticides to enter the market in the last two decades. As a result, efforts have been made to develop substitute techniques for its management. The discovery of novel insecticides recently highlighted the importance of a hetrocyclic moiety, and several modifications to its structure have been reported. In the current work, new insecticidal molecules are created by incorporating hydrazone's substructural unit into imidacloprid's chemical structure. A imidacloprid derivative with a substructure of substituted tri-azole is designed along with synthesized based on this supposition (scheme). Insecticidal activities of the synthesized compound against various insect species are excellent, according to biological tests.

## MATERIALS AND METHODS

No additional purification was performed on any of the chemicals or reagents that were purchased from Merck chemicals. An uncorrected measurement of melting points was made in open capillary tubes. With iodine as the spot developing chemical agent, chromatoplates is carrying on pre-coated TLC plates from E.Merck. On the Perkin-Elmer FTIR 783 spectrometer, FTIR spectra in KBr were captured. On a Bruker-Avance 2 (400 MHz) spectrometer, Proton NMR (400

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MHz) and Carbon 13 NMR (100 MHz) spectra is recorded with Deuterated chloroform solvent with internal standard Tetramethylsilane; elemental analyses be carried out taking place a PerkinElmer 2400. QP2010 (Shimadzu) spectrometer-produced mass spectra.

*Synthesis of 2-chloro-5-[(2-hydrazinylideneimidazolidin-1-yl)methyl]pyridine*

1-[(6-chloropyridin-3-yl)methyl]-N-nitroimidazolidin-2-imine{Imidacloprid (1 mmol)} and  $\text{SnCl}_2 \cdot 2\text{H}_2\text{O}$  (0.5 mmol) are combined in 10 milliliter of absolute Ethyl alcohol and heated on top of steam bath between 70°C and 80°C. After the reaction is complete, the reaction mixture cool & mixed a solution of ice and  $\text{H}_2\text{O}$  In order to extract the solution, 5% NaOH was added to the solution's pH to make it alkaline. To obtain a yellowish-brown coloured compound with a yield of 79%, the organic phase is properly rinsed with Braine solution and dried over sodium sulphate.

2-chloro-5-[(2-hydrazinylideneimidazolidin-1-yl)methyl]pyridine (2) yield-79%, Melting Point -148°C; FTIR (K Br,  $\nu \text{ cm}^{-1}$ ) : 3408, 3302(NH str), 2908 ( $\text{CH}_2$  str), 1617( $\text{C}=\text{N}$  str), 1444( $\text{CH}=\text{CH}$  str), 758( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  2.06 (s, 2H,  $\text{CH}_2$ ), 3.51(t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 7.72 (d, J=7.5 HZ, Py1H), 8.30 (s,Py1H), 8.99 (s, NH) ;  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 159, 151, 150, 137, 133, 124, 51, 50, 46; MS ( $\text{C}_{15}\text{H}_{13}\text{N}_5$ ), (m/z) : 225, 189, 183, 165, 125, 100, 99, 87, 84, 69 (M+).

*Synthesis of 2-chloro-5-[(2E)-2-[(2E)-(phenylmethylidene)hydrazono]imidazolidin-1-yl)methyl]pyridine Compound 3a*

The combination of 2-chloro-5-[(2-hydrazinylideneimidazolidin-1-yl) -methyl] pyridine (0.05mol.) and Benzaldehyde (0.05mol.) were refluxed in methanol for 4 hours while being monitored by TLC. A Small amount of Ethanoic acid was used in the process. In order to obtain 2-chloro-5-((2E)-2-[(2E)-(phenylmethylidene)hydrazono] imadazolidin-1-ylmethyl] pyridine, the reaction mixture was cooled after it was finished. The separated Synthesized compound was filtered, dried up and recrystallized using ethyl-alcohol. With the use of various substituted aromatic aldehydes, 3b-m is produced using a method similar to that of 3a.

2-chloro-5-[(2E)-2-[(2E)-(phenylmethylidene) hydrazono] imadazolidin-1-yl)methyl]pyridine (3a).

Yield-70%, melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ): 3304(NH str), 3006 (ArCH str), 2909( $\text{CH}_2$  str), 1616( $\text{C}=\text{N}$  str),1481,1442( $\text{CH}=\text{CH}$  str), 757 ( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H, $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62(t, J=7.5 HZ,  $\text{CH}_2$ ),7.12 (t, Py1NH), 7.30-7.87(m,ArH), 7.95(s,CH),8.30 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,158,151,150, 138,137,132,131,124,123,49,48,45;MS( $\text{C}_{16}\text{H}_{15}\text{ClN}_6\text{O}_2$ ), (m/z): 313,285,277,210,208,188,183,125,105,87,71 (M+).

*Compound 3b: 2-chloro-5-[(2E)-2-[(2E)-(4-chlorophenyl)methylidene] hydrazono} imidazolidin-1-yl)methyl]pyridine*

Yield-69%, Melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ) : 3304(NH str), 3008 (ArCH str), 2909( $\text{CH}_2$  str), 1616( $\text{C}=\text{N}$  str), 1481,1442( $\text{CH}=\text{CH}$  str), 757,702(  $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H,  $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 7.11 (t, Py1NH), 7.30-7.87(m,ArH),7.95(s,CH),8.31 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,159, 151, 150, 138,135, 133, 124,49,48,45 ; MS ( $\text{C}_{16}\text{H}_{15}\text{Cl}_2\text{N}_5$ ) (m/z) : 347, 319,311,222,210,183, 137, 125, 87,86, 71, 69 (M+).

*Compound 3c: 2-chloro-5-[(2E)-2-[(2E)-(2-chlorophenyl)methylidene] hydrazono}imidazolidin-1-yl)methyl]pyridine*

Yield-72%, Melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ) : 3304(NH str), 3008 (ArCH str), 2909( $\text{CH}_2$  str), 1616( $\text{C}=\text{N}$  str), 1481,1442( $\text{CH}=\text{CH}$  str), 757,698( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H,  $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 7.12 (t, Py1NH), 7.30-7.87(m,ArH), 7.95(s,CH),8.32 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,160, 152,151, 138,135, 133, 131,124,49,48,45; MS ( $\text{C}_{16}\text{H}_{15}\text{Cl}_2\text{N}_5$ ), (m/z) : 347, 319,311,222,210,183, 137, 125, 87,86, 71, 69 (M+).

*Compound 3d: 2-chloro-5-[(2E)-2-[(2E)-(4-nitrophenyl)methylidene] hydrazono} imidazolidin-1-yl)methyl]pyridine*

Yield 64%, Melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ) : 3304(NH str), 3008 (ArCH str), 2909( $\text{CH}_2$  str), 1616( $\text{C}=\text{N}$  str), 1572,1528( $\text{NO}_2$  str),1481,1442( $\text{CH}=\text{CH}$  str), 757( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H,  $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 7.12 (t, Py1NH), 7.30-7.87(m,ArH), 7.95(s,CH),8.31 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,158, 151,148, 138,134, 132, 126,125,123,49,48,45; MS ( $\text{C}_{16}\text{H}_{15}\text{ClN}_6\text{O}_2$ ), (m/z) : 358, 330, 322, 311, 210, 208, 183, 150, 148, 125, 97, 87, 80 (M+).

*Compound 3e: 2-chloro-5-[(2E)-2-[(2E)-(2-nitrophenyl)methylidene] hydrazono} imidazolidin-1-yl)methyl]pyridine*

Yield -70%, Melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ) : 3304(NH str), 3008 (ArCH str), 2909( $\text{CH}_2$  str), 1616( $\text{C}=\text{N}$  str), 1576,1536( $\text{NO}_2$  str),1481,1442( $\text{CH}=\text{CH}$  str), 757( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H,  $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 7.12 (t, Py1NH), 7.31-7.89(m,ArH), 7.95(s,CH),8.31 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,158, 152,151, 148,138,134, 132,127,125,123,49,48,45; MS ( $\text{C}_{16}\text{H}_{15}\text{ClN}_6\text{O}_2$ ), (m/z) : 358, 330, 322, 311, 210, 208, 183, 150, 148, 125, 97, 87, 80 (M+).

*Compound 3f: 2-chloro-5-[(2E)-2-[(2E)-(4-methoxyphenyl)methylidene] hydrazono} imidazolidin-1-yl)methyl]pyridine*

Yield-71%,Melting Point-148°C; FTIR (KBr,  $\nu \text{ cm}^{-1}$ ) : 3304(NH str), 3006 (ArCH str), 2909( $\text{CH}_2$  str), 2897( $\text{CH}_3$  str), 1616( $\text{C}=\text{N}$  str), 1481,1442( $\text{CH}=\text{CH}$  str),1102( $\text{COC}$  str), 757( $\text{C}-\text{Cl}$  str),  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , ppm)  $\delta$  4.50 (s, 2H,  $\text{CH}_2$ ), 3.51 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.62 (t, J=7.5 HZ,  $\text{CH}_2$ ), 3.76(s, $\text{OCH}_3$ ),7.12 (t, Py1NH), 7.31-7.87(m,ArH), 7.95(s,CH),8.30 (s,Py1H);  $^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , ppm) 173,162,158,151, 138,132, 130,126,124,115,55,49,48,45; MS ( $\text{C}_{17}\text{H}_{18}\text{ClN}_5\text{O}$ ), (m/z): 343, 315, 307, 218, 210, 208, 183, 135, 125, 87, 82, (M+).

*Synthesis of 7-[(6-chloropyridin-3-yl)methyl]-3-phenyl-2, 5, 6, 7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole(4a)*

In a RBF fixed through condenser (calcium chloride guard tube was used), 3a(1.2 gm, 0.0049 m) (10 MI, 0.107 Mole) of phosphorusoxy chloride ( $\text{POCl}_3$ ) was slowly added. The mixture was heated in oil bath at (130 - 140°C) for (5 hrs.), then the excess of ( $\text{POCl}_3$ ) be removed by vacuum distillation in an oil bath (80 -100 mm Hg)/50-60 °C). The residue was slowly poured on a well-stirred mixture of (25 ml.) conc. ammonia solution, (50 g.) of ice and (50 ml.) of chloroform. With continuous cooling a little ice and conc. ammonia solution was added until the solution become basic. When there were no longer any undissolved solid in the final mixture, the organic layer was separated & the aqueous layer also extracted using an additional 20 ml of chloroform. Final mixture was then left to sit in an ice bath for the duration of the night. The organic layer was dried using  $\text{CaCl}_2$  and the separated product were crystallized again from ethanol 4b-m is synthesized by similar process as 4a.

7-[(6-chloropyridin-3-yl)methyl]-3-phenyl-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole (4a)

Yield 74%, FTIR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3261(NH str), 3002 (ArCH str), 2901,2897(CH<sub>2</sub> str), 1619(C=N str), 1480,1446 (CH=CH str), 756(C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.22 (s, 2H, CH<sub>2</sub>), 3.71 (t, J=7.5 HZ, CH<sub>2</sub>), 3.61 (t, J=7.5 HZ, CH<sub>2</sub>), 6.21-6.24 (d,CH), 7.17-7.22 (d, TzNH), 7.39-8.21(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm) 156, 151, 150, 137, 132, 129, 128, 125, 123,69,51,49,45;MS(C<sub>16</sub>H<sub>16</sub>ClN<sub>5</sub>), (m/z): 313,285,277, 208,188,183,125,105,87, 52 (M+).

3-(4-chlorophenyl)-7-[(6-chloropyridin-3-yl)methyl]-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole (4b)

Yield-57%, FTIR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3371(NH str), 3006 (ArCH str), 2905,2888(CH<sub>2</sub> str), 1617(C=N str), 1480,1442(CH=CH str), 757,701(C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.22 (s, 2H, CH<sub>2</sub>), 3.70 (t, J=7.5 HZ, CH<sub>2</sub>), 3.61 (t, J=7.5 HZ, CH<sub>2</sub>), 6.24-6.26 (d,CH), 7.19-7.22 (d, TzNH), 7.33-8.21(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm) 156, 151, 150, 137, 133, 129, 128, 125, 123,69,51,49; MS (C<sub>16</sub>H<sub>15</sub>Cl<sub>2</sub>N<sub>5</sub>) (m/z) : 347, 319, 311, 287, 237, 222, 208, 139, 125, 96,87,86,51 (M+).

3-(2-chlorophenyl)-7-[(6-chloropyridin-3-yl)methyl]-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole (4c)

Yield -65%, FTIR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3369(NH str), 3005 (ArCH str), 2905,2887(CH<sub>2</sub> str), 1617(C=N str), 1481,1447(CH=CH str), 756,698(C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.21 (s, 2H, CH<sub>2</sub>), 3.72 (t, J=7.5 HZ, CH<sub>2</sub>), 3.63 (t, J=7.5 HZ, CH<sub>2</sub>), 6.24-6.27(d,CH),7.18-7.23 (d, TzNH), 7.29-8.18(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm) 156, 151, 150, 137, 133, 131, 129, 128, 127, 123, 66, 52, 49, 45; MS (C<sub>16</sub>H<sub>15</sub>Cl<sub>2</sub>N<sub>5</sub>), (m/z) : 347, 319, 311, 287, 237, 222, 208, 139, 125, 96, 87, 86, 51 (M+).

7-[(6-chloropyridin-3-yl)methyl]-3-(4-nitrophenyl)-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole(4d)

Yield-70%, FTIR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3371(NH str), 3007 (ArCH str), 2908,2893(CH<sub>2</sub> str), 1619(C=N str), 1575,1526(NO<sub>2</sub> str),1483,1445(CH=CH str), 756(C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.20 (s, 2H, CH<sub>2</sub>), 3.77-3.81 (t, J=7.5 HZ, CH<sub>2</sub>), 3.62-3.65 (t, J=7.5 HZ, CH<sub>2</sub>), 6.22-6.25(d,CH),7.20-7.23 (d, TzNH), 7.31-8.29(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm): 156,151,150,147,137,133,131,126,124,123,69,51,49,45; MS (C<sub>16</sub>H<sub>15</sub>ClN<sub>6</sub>O<sub>2</sub>), (m/z) : 358, 330, 322, 311, 237, 233, 208, 150, 125, 97, 87, 51 (M+).

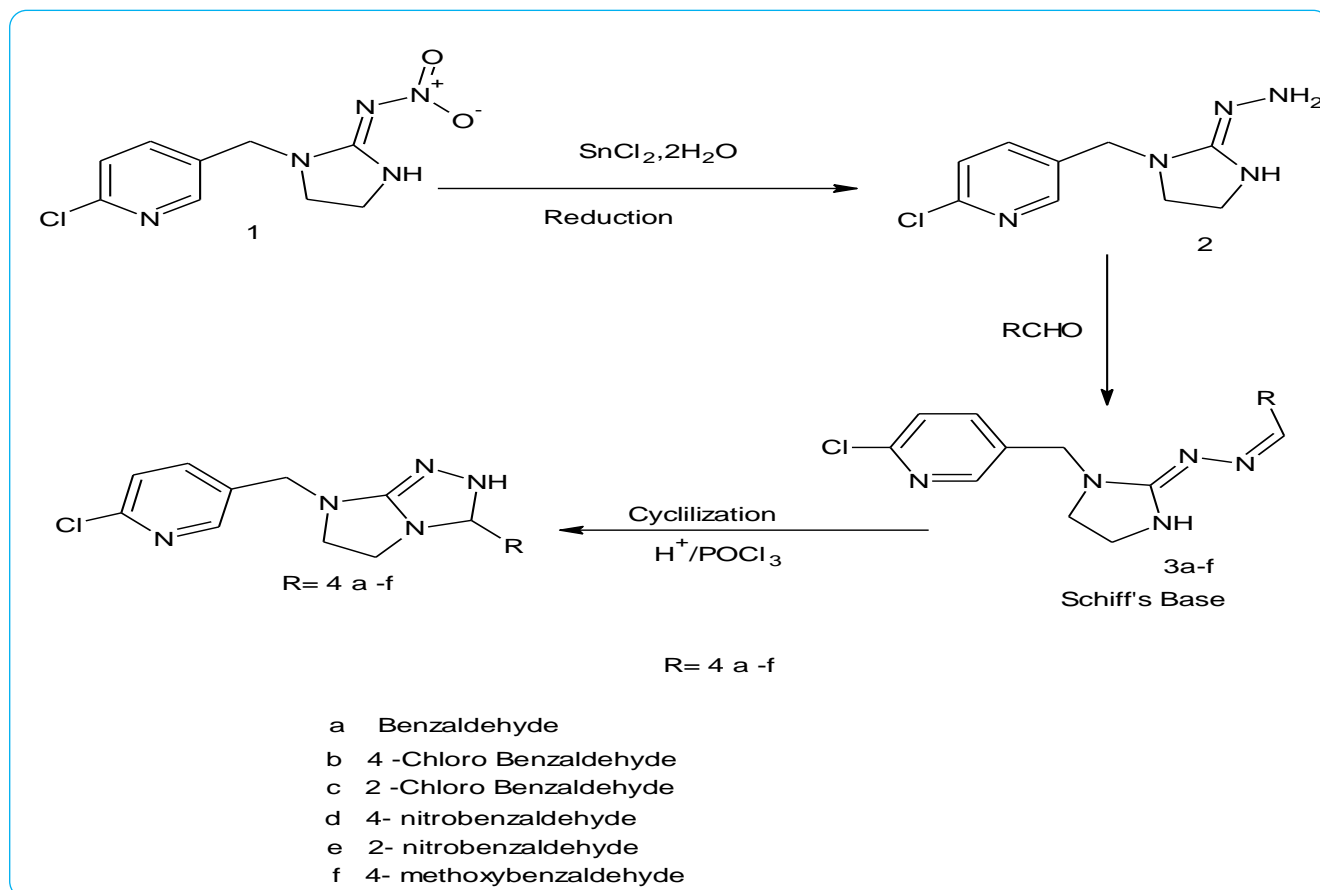
7-[(6-chloropyridin-3-yl)methyl]-3-(2-nitrophenyl)-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole(4e)

Yield-77%, FTIR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3370(NH str), 3007 (ArCH str), 2906,2898(CH<sub>2</sub> str), 1617 (C=N str), 1581,1533(NO<sub>2</sub> str),1481,1443 (CH=CH str), 757 (C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.21 (s, 2H, CH<sub>2</sub>), 3.87-3.90 (t, J=7.5 HZ, CH<sub>2</sub>), 3.70-3.77 (t, J=7.5 HZ, CH<sub>2</sub>), 6.26-6.29(d,CH), 7.25-7.28 (d, TzNH), 7.32-8.25(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm) 156, 151, 150, 146, 137, 135, 132, 127, 126, 125, 123, 67, 51, 49, 45; MS (C<sub>16</sub>H<sub>15</sub>ClN<sub>6</sub>O<sub>2</sub>), (m/z) : 358, 330, 322, 311, 237, 233, 208, 150, 125, 96, 87, 52 (M+).

7-[(6-chloropyridin-3-yl)methyl]-3-(4-methoxyphenyl)-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole (4f)

Yield (71%),IR (KBr,  $\nu$   $\text{cm}^{-1}$ ) : 3374(NH str), 3007 (ArCH str), 2911,2891(CH<sub>2</sub> str), 2896(CH<sub>3</sub> str), 1617 (C=N str), 1483,1439 (CH=CH str), 1098(COC str), 757 (C-Cl str), <sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm)  $\delta$  4.50 (s, 2H, CH<sub>2</sub>), 3.57-3.61 (t, J=7.5 HZ, CH<sub>2</sub>), 3.71-3.75 (t, J=7.5 HZ, CH<sub>2</sub>), 3.77(s,OCH<sub>3</sub>) 6.28-6.31(d,CH), 7.22-7.25 (d, TzNH), 7.37-8.25(m,ArH); <sup>13</sup>C NMR (CDCl<sub>3</sub>, ppm) 160, 156, 151, 156, 137, 133, 129, 123, 122, 115, 67, 55, 51, 49, 45; MS (C<sub>17</sub>H<sub>18</sub>ClN<sub>5</sub>O), (m/z) : 343, 315, 311, 307, 237, 218, 208, 135, 125, 87, 82, 52 (M+).

Scheme



The standard solutions of standard and synthesized compounds were prepared by dissolving them in 1% acetone and 1% DMF with 0.1% Tween-20 solution, to get 300, 600 and 800 mg litre<sup>-1</sup> concentration. The treatments of these

compounds were done through oral route, by dipping the fresh tobacco leaves in different concentrated solutions and then feed to Mealybugs. Similarly, Mango hopper nymph and *Helicoverpa armigera* (Hub) were feed with treated fresh inflorescence. The mortality data was collected, after 72 hrs. of treatment and presented in (Table 1).

Table 1 Mortality data of treated compounds against sucking insect pests

Compound name	Concentrations mg. litre <sup>-1</sup>	Mortality after 24 hours of treatment		
		<i>H. armigera</i> (Hub)*	Mealybugs*	Mango hoppers*
4a	300	58	53	82
	600	94	82	88
	800	96	87	90
4b	300	72	59	83
	600	91	83	90
	800	97	93	95
4c	300	75	58	83
	600	91	85	91
	800	98	96	99
4d	300	62	58	83
	600	95	85	90
	800	97	91	98
4e	300	60	59	84
	600	95	85	90
	800	96	93	97
4f	300	50	44	78
	600	91	80	81
	800	92	84	83
Imidacloprid	300	52	46	90
	600	100	100	100
	800	100	100	100
Control (Solvent)	-	5	4	8

\*Means of six replications

Table 2 Mortality data of treated compounds against sucking insect pests

Compound name	Concentrations mg. litre <sup>-1</sup>	Mortality after 48 hours of treatment		
		<i>H. armigera</i> (Hub)*	Mealybugs*	Mango hoppers*
4a	300	59	54	82
	600	94	82	89
	800	96	88	90
4b	300	73	61	83
	600	91	83	91
	800	98	95	98
4c	300	75	58	84
	600	92	86	91
	800	98	96	99
4d	300	62	59	84
	600	96	85	91
	800	97	91	98
4e	300	60	59	84
	600	96	85	91
	800	96	93	97
4f	300	51	44	78
	600	91	81	81
	800	92	84	83
Imidacloprid	300	52	46	90
	600	100	100	100
	800	100	100	100
Control (Solvent)	-	5	4	8

\*Means of six replications

#### Antibacterial activity

Synthesized compound's antibacterial activity was carried out by turbidimeter test [24]. As the positive control, Kocide ® 3000 (Cu (OH)) was used (200mg/L). In order to achieve final concentrations of 300, 600, and 800 mg/L, the

synthesized molecule were first dissolve in dimethyl Sulfoxide make up to volume using hydrated Tween-20 (0.1%, Tween-20: water, v/v), and mix 5 mL nutrient broth medium in tubes. The solanacearum pathogen was added to 40 L of NB liquid medium that was added to each of these tubes individually. The

next 48 hours were spent vibration with 180 rpm at 30°C. Using the following equation, it was possible to calculate how much the circle mycelium inhibited the assay relative to the blank.

$$\text{Relative inhibitory rate (\%)} = [(A_0 - A_1) / A_0] \times 100\%$$

A<sub>0</sub>: OD values of medium of bacilli (Control)  
A<sub>1</sub>: OD values of the medium (toxic)

Table 3 Mortality data of treated compounds against sucking insect pests

Compound name	Concentrations mg. litre <sup>-1</sup>	Mortality after 72 hrs. of treatment		
		<i>H. armigera</i> (Hub)*	Mealybugs*	Mango hoppers*
4a	300	61	55	83
	600	94	83	89
	800	96	89	91
4b	300	75	63	85
	600	95	83	93
	800	100	98	99
4c	300	77	65	84
	600	94	84	94
	800	100	99	99
4d	300	63	60	84
	600	96	85	93
	800	97	95	98
4e	300	64	61	85
	600	96	86	94
	800	98	97	98
4f	300	53	45	79
	600	91	86	81
	800	93	86	86
Imidacloprid	300	59	54	82
	600	100	100	100
	800	100	100	100
Control (Solvent)	-	5	4	8

\*Means of six replications

Table 4 The anti-bacterial screening of synthesized molecule and Imidacloprid at 300, 600, 800 mg/L

Compound name	Concentrations (mg litre <sup>-1</sup> )	<i>Bacterial wilt</i> (Tobacco)	<i>Bacterial wilt</i>
		(%)*	(Tomato) (%)*
4a	300	54	57
	600	67	78
	800	77	83
4b	300	72	71
	600	88	90
	800	98	98
4c	300	73	71
	600	89	92
	800	98	97
4d	300	70	66
	600	88	87
	800	96	96
4e	300	69	65
	600	87	83
	800	95	94
4f	300	39	37
	600	53	43
	800	56	56
Imidacloprid	300	42	40
	600	54	56
	800	69	66
Kocide® 3000 (Cu(OH))	200	100	100

\*Means of six replications

## RESULTS AND DISCUSSION

**Chemistry:** In the scheme, the 7-[(6-chloropyridin-3-yl)methyl]-3-substituted phenyl-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole(4a-f) was obtained from 2-chloro-5-((2E)-2-[(2E)-(substituted phenylmethylidene)hydrazono]imidazolidin-1-yl)methylpyridine(3a-f) by Cyclization process by phosphorus oxy chloride (POCl<sub>3</sub>). All

Compound (3a-f) prepared by reacting with 2-chloro-5-((2-hydrazinylideneimidazolidin-1-yl)methyl)pyridine with different Aromatic Aldehyde, 2-chloro-5-((2-hydrazinylideneimidazolidin-1-yl)methyl)pyridine(2) was obtained by Reduction of Imidacloprid, to obtained compound 2, Ethyl acetate was used to extract the solution after the pH was made alkaline by adding 5% NaOH. To create the yellowish brown colour compound, the organic stage is thoroughly wash



by Braine solution and dried over sodium sulphate, yield 79%. The IR spectrum of compound 2 displayed the characteristic sharp absorption bands of NH and NH<sub>2</sub> at 3302, 3408 cm<sup>-1</sup>, C=N 1617 cm<sup>-1</sup> respectively (Scheme-1). The <sup>1</sup>H NMR spectrum singlet signals of protons NH<sub>2</sub> appear at 8.99 and 2.06 (s, 2H, CH<sub>2</sub>), 3.51 (t, J=7.5 HZ, CH<sub>2</sub>), 3.62 (t, J=7.5 HZ, CH<sub>2</sub>), 7.72 (d, J=7.5 HZ, Py1H), 8.30 (s, Py1H) ppm with Carbon NMR spectrums 159, 151, 150, 137, 133, 124, 51, 50, 46, respectively. Compound 3a-f and 4a-f also purified and analyzed by FT-Infrared, Proton NMR, Carbon 13 NMR and Mass spectral data. The <sup>1</sup>H-NMR spectrum singlet signals of protons NH appear at 7.17-7.28 ppm triazolo proton of, imidazo[2,1-c][1,2,4]triazole derivative of 7-[(6-chloropyridin-3-yl)methyl]-3-substituted phenyl-2,5,6,7-tetrahydro-3H-imidazo[2,1-c][1,2,4]triazole derivatives and all final synthesized compounds also confirmed with Carbon NMR spectrums respectively. The final synthesized compound 4a-f is having parent ion mass peak at m/z 313, 347, 347, 358, 358, 343, respectively. The Mass spectral fragmentation pattern confirmed the structure of the synthesized compounds in addition to other spectral data.

#### Biological activity

##### Insecticidal activity

The mortality rate of *H. armigera* (Hub), Mealybugs (*Planococcus citri*) and Mango hoppers [*Idioscopus clypealis*] by synthesized novel neonicotinoid derivatives are shown in (Table 1). The death rate of all insects at 800 mg litre-1 concentration solution was altogether higher than the death rate at all other concentrations synthesized compound. According to biological tests, the majority of the synthesized compounds have excellent insecticidal properties against various insect species.

#### Antibacterial activity

The synthesized molecule was evaluated by a Turbidimeter test for their antimicrobial activity aligned with bacterial wilt (tobacco) & bacterial wilt (tomato). Kocide® - 3000 were used as a standard for antibacterial activity, and synthesized compound Imidacloprid showed moderate activity.

## CONCLUSION

A novel Neonicotinoid derivatives 2-chloro-5-({-2-hydrazinylideneimidazolidin-1-yl} methyl} pyridine synthesized by the reduction reaction from 1-[(6-chloropyridin-3-yl)methyl]-N-nitroimidazolidin-2-imine(Imidacloprid). By using FT-Infrared, Proton NMR, Carbon 13 NMR, mass spectrometry and elemental analysis to characterize final compound structures, their biological activities were evaluated. The title compound exhibits promising insecticidal activities against Mealybugs, according to preliminary biological activity tests. Similarly, mango hopper nymph and *H. armigera* (Hub) at 300,600 mg/L and comparative activity with Imidacloprid at 800mg/L. Furthermore, at an amount of 800 mg/L, the synthesized compound and Imidacloprid demonstrated promising antibacterial activity aligned with *Pseudomonas solanacearum* (e.g., Bacterial- wilt tobacco and bacterial-wilt tomato). The obtained results are encouraging, which validated that this work is helpful for advance study on the discovery of new chemical entity and efficient pesticides along with bactericides that might facilitate with being applied in management techniques to vector control.

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