Synthesis and Insecticidal Activity of [1(2H),2'-Bipyridin]-2-one Derivatives

Noriyasu SAKAMOTO, Takao ISHIWATARIt and Noritada MATSUO*

Agricultural Chemicals Research Laboratory, Sumitomo Chemical Co., Ltd., 4-2-1 Takatsukasa, Takarazuka, Hyogo 665-8555, Japan

Environmental Health Division, Sumitomo Chemical Co., Ltd., 2-27-1 Shinkawa, Chuo-ku, Tokyo 104, Japan

(Received January 28, 2000; Accepted June 12, 2000)

A series of [1(2H),2'-bipyridin]-2-one derivatives were synthesized and their insecticidal activity against German cockroaches and houseflies was evaluated. The strength of activity varied markedly depending upon the substituents and their positions on the pyridone and the pyridine ring. Electron-withdrawing substituents at the 3, 3', 5 and 5'-positions on both rings are required for the insecticidal activity, especially against German cockroaches. Furthermore, a couple of trifluoromethyl groups at the 5 and 5'-positions on both rings are essential for the activity. Among all compounds tested, a chlorine atom at the 3-position on the pyridone ring, and a chlorine atom, a fluorine atom or a trifluoromethyl group at the 3'-position on the pyridine ring were more preferable.

Key words: [1(2H),2'-bipyridin]-2-one derivatives, pyridone ring, pyridine ring, German cockroaches, houseflies, insecticide.

INTRODUCTION

It is well-known that the incorporation of fluorine atoms into biologically active molecules often leads to increased activity compared to that of the parent compounds. 2,3-Dichloro-5-trifluoromethylpyridine is an important starting material for various agrochemicals and pharmaceuticals. The very high levels of activity of compounds derived from the above pyridine can in part be attributed to the presence of the trifluoromethyl group. In our continuing research to find new pesticides, we found out the novel [1(2H)-3,3'-dichloro-5, 5'-bis(trifluoromethyl)-2'-bipyridin]-2-one (3) displayed insecticidal properties, which was isolated as a serendipitous product in the reaction of 2,3-dichloro-5-trifluoromethylpyridine in the presence of base. Recently, we reported this discovery and the convenient synthetic method of compound 3, and the chemical reactivity of compound 3 with some nucleophiles for the syntheses of its derivatives (6 and 11) (Fig. 1). In this paper, we report the syntheses of other [1(2H),2'-bipyridin]-2-one derivatives and the structure-activity relationships of [1(2H),2'-bipyridin]-2-one derivatives against German cockroaches and houseflies.

MATERIALS AND METHODS

1. Synthesis of Compounds

The synthetic methods of [1(2H),2'-bipyridin]-2-one derivatives (3, 6 and 11) were synthesized according to the methods described in the literatures. Compound 14 was prepared by the reaction of compound 13 with potassium cyanide, in the same manner for preparing compound 6. [1(2H),2'-Bipyridin]-2-one derivatives (2 and 7) were prepared by the chlorination and trifluoromethylation of compound 1, respectively, according to the literatures. The synthetic methods of other derivatives are shown in Fig. 2 and 3. These derivatives (1, 4, 5, 9, 10, 12, 13 and 15-17) were obtained by the reaction of substituted or unsubstituted pyridones with 2-halopyridine derivatives in the presence of base. Recently, we reported this discovery and the convenient synthetic method of [1(2H),2'-bipyridin]-2-one 3, and the chemical reactivity of compound 3 with some nucleophiles for the syntheses of its derivatives (6 and 11) (Fig. 1). In this paper, we report the syntheses of other [1(2H),2'-bipyridin]-2-one derivatives and the structure-activity relationships of [1(2H),2'-bipyridin]-2-one derivatives against German cockroaches and houseflies.

* To whom correspondence should be addressed.
1.1 Reaction of (un)substituted pyridones with 2-halopyridine derivatives

Starting materials, (un)substituted pyridones and 2-halopyridine derivatives, were either obtained commercially or prepared according to the known methods. Typical synthetic procedures and spectroscopical data are shown below.

1.1.1 [1(2H)-3-Chloro-3', 5, 5'-tris(trifluoromethyl)-2'-bipyridin]-2-one (13)

To a suspension of sodium hydride (60% in oil, 0.10 g, 2.50 mmol) in N,N-dimethylformamide (10 ml) cooled at 0°C was added a solution of 3-chloro-5-trifluoromethyl-2-pyridone (0.50 g, 2.53 mmol) in N,N-dimethylformamide (2 ml), and the mixture was stirred for 10 min. To the mixture was added dropwise 2-chloro-3, 5-bis(trifluoromethyl)pyridine (0.60 g, 2.4 mmol) in N,N-dimethylformamide (2 ml) at room temperature, and the mixture was stirred at 100°C for 24 hr. The reaction was cooled to room temperature. The reaction mixture was poured onto ice water (50 ml), and then extracted with ethyl acetate (2 X 50 ml). The organic extracts were combined, washed with water (100 ml) and saturated aqueous sodium chloride solution (100 ml), dried over MgSO₄, filtered, and the solvent was evaporated, yielding a residue (1.02 g) that was chromatographed on silica gel. Elution with 5% EtOAc/hexane yielded the title compound (13) as white crystals: 0.79 g (76.0% yield); mp: 102-103°C. ¹H NMR (CDCl₃/TMS, 60 MHz) δ ppm: 6.29 (1H, t, J = 7.0 Hz), 6.61 (1H, d, J = 8.0 Hz), 7.68-7.15 (2H, m), 8.12 (1H, bs, C₆H₂N), 8.75 (1H, bs, C₆H₂N). Anal. Found: C, 48.15; H, 2.27; N, 10.20.

1.1.2 [1(2H)-3'-Chloro-5'-trifluoromethyl-2'-bipyridin]-2-one (1)

Yield: 28%, mp: 131-132°C. ¹H NMR (CDCl₃/TMS, 60 MHz) δ ppm: 6.29 (1H, t, J = 7.0 Hz), 6.61 (1H, d, J = 8.0 Hz), 7.68-7.15 (2H, m), 8.12 (1H, bs, C₆H₂N), 8.75 (1H, bs, C₆H₂N). Anal. Found: C, 48.15; H, 2.27; N, 10.20%.

1.1.3 [1(2H)-3'-Chloro-5', 5'-bis(trifluoromethyl), 2'-bipyridin]-2-one (4)

Yield: 61%, mp: 137-138°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.77 (1H, bs), 7.99 (1H, bs), 8.19 (1H, d, J = 1.8 Hz, C₆H₂N), 8.79 (1H, d, J = 1.8 Hz, C₆H₂N).

1.1.4 [1(2H)-3-Bromo-3'-chloro-5, 5'-bis(trifluoromethyl)-2'-bipyridin]-2-one (5)

Yield: 64%, mp: 141-142°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.77 (1H, bs), 7.99 (1H, bs), 8.19 (1H, d, J = 1.8 Hz, C₆H₂N), 8.79 (1H, d, J = 1.8 Hz, C₆H₂N).

1.1.5 [1(2H)-3, 5'-Dichloro-5-trifluoromethyl-2'-bipyridin]-2-one (9)

Yield: 58%, mp: 150-151°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.75 (1H, d, J = 2.60 Hz), 7.87 (1H, dd, J = 2.60, 8.57 Hz), 8.01 (1H, d, J = 8.57 Hz), 8.35 (1H, bs).

1.1.6 [1(2H)-3, 3', 5'-Trichloro-5-trifluoromethyl-2'-bipyridin]-2-one (10)

Yield: 78%, mp: 146-147°C. ¹H NMR (CDCl₃/TMS, 60 MHz) δ ppm: 7.64 (1H, d), 8.10 (2H, br), 8.35 (1H, bs), 8.80 (1H, bs).

1.1.7 [1(2H)-3, 3'-6'-Trichloro-5, 5' -bis(trifluoromethyl)-2'-bipyridin]-2-one (15)

Yield: 74%, mp: 127-128°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.72 (1H, bs), 7.78 (1H, bs), 8.26 (1H, bs), 8.80 (1H, bs).

1.1.8 [1(2H)-3, 3', 6' -Trichloro-5, 5'-bis(trifluoromethyl)-2'-bipyridin]-2-one (16)

Yield: 74%, mp: 127-128°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.72 (1H, bs), 7.78 (1H, bs), 8.26 (1H, s, C₆H₂N).

1.1.9 [1(2H)-3-Chloro-4', 5, 5'-tris(trifluoromethyl)-2'-bipyridin]-2-one (11)

Yield: 74%, mp: 112-113°C. ¹H NMR (CDCl₃/TMS, 300 MHz) δ ppm: 7.72 (1H, bs), 7.78 (1H, bs), 8.26 (1H, s, C₆H₂N).

1.1.10 [1(2H)-3, 6'-Dichloro-5, 5'-bis(trifluoromethyl)-2'-bipyridin]-2-one (17)

A solution of compound 3 (1.0 g, 2.66 mmol) and sodium thiomethoxide (0.37 g, 5.32 mmol) in tetrahydrofuran (20 ml) was stirred at room temperature for 0.5 hr. The reaction mixture was poured onto ice-water (50
The organic extracts were combined, washed with water (100 ml) and saturated aqueous sodium chloride (100 ml), dried over MgSO₄, filtered, and the solvent was evaporated, yielding a residue that was chromatographed on silica gel. Elution with 5% EtOAc/hexane yielded the title compound (8) as white crystals: 0.20 g (19.0% yield); mp: 135–136°C. ¹H NMR (CDCl₃/TMS, 300 MHz) (δ ppm: 2.53 (1H, s, SCH₃), 7.66 (1H, bs), 7.76 (1H, bs), 7.92 (1H, bs, C₅H₂N), 8.61 (1H, bs, C₅H₂N). Anal. Found: C, 40.17; H, 1.80; N, 7.21%.

1.3 [1(2H)-3',5'-Trichloro-5'-trifluoromethyl-2'-bipyridin]-2-one (2)

To a solution of compound 1 (2.40 g, 8.77 mmol) in acetic acid (50 ml) was introduced dry chlorine gas (1.50 g, 21.1 mmol) at 0°C. The mixture was stirred for 48 hr at room temperature. The reaction mixture was poured onto ice water (50 ml), neutralized by sodium hydroxide, and then extracted with ethyl acetate (2×50 ml). The organic extracts were combined, washed with water (100 ml) and saturated aqueous sodium chloride solution (100 ml), dried over MgSO₄, filtered, and the solvent was evaporated, yielding a residue that was chromatographed on silica gel. Elution with 10% EtOAc/hexane yielded the title compound (2) as white crystals: 1.51 g (50.0% yield); mp: 127–128°C. IR vmax (CHC₁₃) cm⁻¹ 1670 (C = O), ¹H NMR (CDCl₃/TMS, 60 MHz) (δ ppm: 7.34 (1H, d, J = 3.0 Hz), 7.66 (1H, d, J = 3.0 Hz), 7.92 (1H, bs, C₅H₂N), 8.61 (1H, bs, C₅H₂N).

1.4 [1(2H)-3'-Chloro-3',5'-tris(trifluoromethyl)-2'-bipyridin]-2-one (7)

To a solution of compound 4 (1.0 g, 2.93 mmol) and trifluoroacetic acid (1.0 g, 8.77 mmol) in dichloromethane (20 ml) was added a small piece of xenon difluoride at room temperature. After few minutes, volatile gas was evolved (initiation). The xenon difluoride (0.5 g, 2.96 mmol) was added to the reaction mixture portion by portion, the reaction temperature was controlled below 35°C. The reaction mixture was stirred at room temperature for 12 hr, poured onto water (30 ml) and then extracted with dichloromethane (2×50 ml). The organic extracts were combined, washed with water (100 ml), dried over MgSO₄, filtered, and the solvent was evaporated, yielding a residue that was chromatographed on silica gel. Elution with 5% EtOAc/hexane yielded the title compound (7) as white crystals: 0.47 g (39.0% yield); mp: 95–96°C. ¹H NMR (CDCl₃/TMS, 282 MHz) (δ ppm: 8.00 (2H, bs), 8.26 (1H, bs, C₅H₂N), 8.76 (1H, bs, C₅H₂N). ¹⁹F NMR (CDCl₃/CFCl₃, 282 MHz) (δ ppm: -65.0 (s, CF₃), -61.5 (s, CF₃), -61.0 (s, CF₃). Anal. Found: C, 37.85; H, 0.83; N, 6.89. Calcd for C₁₃H₄ClF₃N₂O: C, 38.03; H, 0.98; N, 6.82%.

1.5 [1(2H)-3'-Cyano-3',5',5'-tris(trifluoromethyl)-2'-bipyridin]-2-one (14)

A solution of compound 13 (1.44 g, 3.51 mmol) and potassium cyanide (0.37 g, 4.51 mmol) in dimethylsulfoxide (15 ml) was stirred at room temperature for 12 hr. The reaction mixture was poured onto water (50 ml) and then extracted with ethyl acetate (2×50 ml). The organic extracts were combined, washed with water (100 ml) and saturated aqueous sodium chloride (100 ml), dried over MgSO₄, filtered, and the solvent was evaporated, yielding a residue that was chromatographed on silica gel. Elution with 20% EtOAc/hexane yielded the title compound (14) as white crystals: 1.01 g (72.0% yield); mp: 159–160°C. ¹H NMR (CDCl₃/TMS, 60 MHz) (δ ppm: 7.88 (1H, m), 8.02 (1H, d), 8.41 (1H, bs, C₅H₂N), 9.04 (1H, bs, C₅H₂N).

2. Biological Evaluation

2.1 German cockroach

The following strains of German cockroach, Blattella germanica, were used: Pyrethroid resistant strain, O- colony (Umeda, et al., 1988), which were reared in our laboratory at 28±1°C, 50–60%RH, 18L-6D, and given dry rat food and water. Adult females and males of 7–14 days after emergence were used.

2.1.1 No-choice test

The acetone solution of the test chemicals were adsorbed onto 1 g of powdered rat food, mixed uniformly, and dried to obtain the test bait (0.2% concentration). A small plastic cup (about 10 ml in volume) containing this bait was put in a plastic container (650 ml in volume) with water and cockroach-shelter (folded filter paper). Twenty adult German cockroaches (10 females and 10 males) were released in the container. The number of dead cockroaches was counted at fourteen days after releasing and the mortality was calculated. The test was conducted in our laboratory at 25±1°C, 50–60%RH, 18L-6D.

Tests were replicated twice for each compounds to determine the activity rating which was expressed as insecticidal indices of 0 to 4, corresponding to 0–29, 30–59, 60–89, 90–99 and 100% mortality respectively. The results obtained are shown in Tables 1, 2, and 3.

2.1.2 Dual-choice test

The acetone solution of the test chemicals were adsorbed onto 1 g of powdered rat food, mixed uniformly, and dried to obtain the test bait (0.025, 0.05 and 0.1% concentration of test chemicals). Two small plastic cups (about 10 ml in volume), one containing a bait prepared from untreated food, the other containing a bait prepared from untreated food, were put in a plastic container (650 ml in volume) with water and cockroach-shelter (folded filter paper). Twenty adult German cockroaches (10 females and 10 males) were released in the container. The numbers of dead cockroaches were counted at fourteen days after
releasing and the mortality was calculated. The test was conducted in our laboratory at 25±1°C, 50–60%RH, 18L-6D.

Tests were replicated twice for each compound to determine the activity rating which was expressed as that for the no-choice experiment. The results obtained were shown in Table 4.

2.2 Housefly

The following strains of housefly, Musca domestica, were used: Susceptible strain, WHO SR. Each of the test chemicals (10%) was dissolved in xylene (35%) and N, N-dimethylformamide (35%), to which polyoxyethylene styrylphenyl ether (14%) and calcium dodecylbenzenesulfonate (6%) were added, and the mixture was well stirred to give a 10% emulsifiable concentrate of each compounds.

A piece of filter paper having the same size and about 30 mg of sucrose as a diet were placed in a polyethylene cup (diameter, 5.5 cm). A water dilution of an above emulsifiable concentrate of the test chemicals (500 ppm concentration of test chemicals) was dropped at a volume of 0.7 ml on the filter paper. Ten female adults of houseflies were released in the cup, which was kept covered. The numbers of dead houseflies were counted at 1 day after releasing and the mortality was calculated. The test was conducted in our laboratory at 25±1°C, 50–60%RH, 18L-6D.

Tests were replicated twice for each compounds to determine the activity rating which was expressed as insecticidal indices of 0 to 4, corresponding to 0-29, 30-59, 60-89, 90-99 and 100% mortality respectively. The results obtained are shown in Tables 1, 2, and 3.

RESULTS AND DISCUSSION

1. Effects of Substituents (R₃ and R₅) on the Pyridone Ring on Activities against German cockroaches by No-Choice Method and Houseflies

The effects of substituents R₃ and R₅ on the pyridone ring on the insecticidal activity were examined with various kinds of derivatives in which R₃', R₄', R₅' and R₆' were fixed as Cl, H, CF₃, and H respectively (Table 1). Among compounds, 3-8, having a trifluoromethyl group at the 5-position of the pyridone ring, compound 3 (R₅ = CI), 5 (R₃ = Br) and 6 (R₃ = CN) were active against both pests, and compound 4 (R₃ = H) was only active against houseflies, whereas 7 (R₃ = CF₃) and 8 (R₃ = SCH₃) were inactive against both pests. Compounds having a hydrogen atom or a chlorine atom at the 5-position of the pyridone ring (1 and 2) were inactive or less active. These results revealed that the trifluoromethyl group at the 5-position of the pyridone ring was essential for the insecticidal activity, and incorporation of an aromatic group at the 3-position of the pyridone ring was important for the activity, especially against German cockroach.

Table 1 [1(2H)-3'-chlooro-5'-trifloromethyl-2'-bipyridin]-2-one derivatives and their insecticidal activities against German cockroaches by no-choice method and houseflies.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>R₁</th>
<th>R₃</th>
<th>mp (°C)</th>
<th>German cockroach (0.2 g)</th>
<th>Housefly (500 ppm)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>H</td>
<td>H</td>
<td>131-132</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>2</td>
<td>Cl</td>
<td>Cl</td>
<td>127-128</td>
<td>0</td>
<td>1</td>
</tr>
<tr>
<td>3</td>
<td>Cl</td>
<td>CF₃</td>
<td>107-108</td>
<td>4</td>
<td>4</td>
</tr>
<tr>
<td>4</td>
<td>H</td>
<td>CF₃</td>
<td>137-138</td>
<td>0</td>
<td>4</td>
</tr>
<tr>
<td>5</td>
<td>Br</td>
<td>CF₃</td>
<td>141-142</td>
<td>4</td>
<td>2</td>
</tr>
<tr>
<td>6</td>
<td>CN</td>
<td>CF₃</td>
<td>144-145</td>
<td>3</td>
<td>4</td>
</tr>
<tr>
<td>7</td>
<td>CF₃</td>
<td>CF₃</td>
<td>95-96</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>8</td>
<td>SCH₃</td>
<td>CF₃</td>
<td>135-136</td>
<td>0</td>
<td>0</td>
</tr>
</tbody>
</table>

The insecticidal activities were expressed as an index 4, 3, 2, 1 and 0, each corresponding to 100% mortality, 90–99% mortality, 60–89% mortality, 30–59% mortality and 0–29% mortality, respectively.

Concentration of active ingredient.

2. Effects of Substituents (R₃' and R₅') on the Pyridine Ring on Activity against German cockroaches by No-Choice Method and Houseflies

The effects of substituents R₃' and R₅' on the pyridine ring on the insecticidal activity were examined with various kinds of derivatives in which R₃ and R₅ were fixed as Cl or CN, and CF₃, respectively (Table 2).

Table 2 [1(2H)-3-substituted-5-trifluoromethyl-2'-bipyridin]-2-one derivatives and their insecticidal activities against German cockroaches by no-choice method and houseflies.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>R₃'</th>
<th>R₅</th>
<th>mp (°C)</th>
<th>German cockroach (0.2 g)</th>
<th>Housefly (500 ppm)</th>
</tr>
</thead>
<tbody>
<tr>
<td>9</td>
<td>H</td>
<td>Cl</td>
<td>150-151</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>10</td>
<td>Cl</td>
<td>Cl</td>
<td>99-100</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>3</td>
<td>Cl</td>
<td>CF₃</td>
<td>107-108</td>
<td>4</td>
<td>4</td>
</tr>
<tr>
<td>11</td>
<td>F</td>
<td>CF₃</td>
<td>110-111</td>
<td>4</td>
<td>4</td>
</tr>
<tr>
<td>12</td>
<td>H</td>
<td>CF₃</td>
<td>146-147</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>13</td>
<td>CF₃</td>
<td>CF₃</td>
<td>102-103</td>
<td>4</td>
<td>4</td>
</tr>
<tr>
<td>14</td>
<td>CF₃</td>
<td>CF₃</td>
<td>159-160</td>
<td>4</td>
<td>NT&lt;sup&gt;c&lt;/sup&gt;</td>
</tr>
</tbody>
</table>

<sup>a</sup> Insecticidal activities are expressed as in Table 1.

<sup>b</sup> Concentration of active ingredient.

<sup>c</sup> NT: not tested.

2. Effects of Substituents (R₃' and R₅') on the Pyridine Ring on Activity against German cockroaches by No-Choice Method and Houseflies

The effects of substituents R₃' and R₅' on the pyridine ring on the insecticidal activity were examined with various kinds of derivatives in which R₃ and R₅ were fixed as Cl or CN, and CF₃, respectively (Table 2).
Among compounds (3, 11-14) having a trifluoromethyl group at the 5'-position of the pyridine ring, compound 3 (R3' = Cl), 11 (R3' = F), 13 (R3' = CF3) and 14 (R3' = CF3) were active, whereas compound 12 (R3' = H) was inactive. Compounds 9 and 10 having a chlorine atom at the 5'-position of the pyridine ring were inactive. These results revealed that the trifluoromethyl group at the 5'-position of the pyridine ring was also essential for the insecticidal activity, and incorporation of a substituent at the 3'-position of the pyridine ring was important for the activity. One possible explanation for this is that the favorable conformation of the pyridine ring for the activity was transformed by the steric influence of the substituent at the 3'-position of the pyridine ring.

3. Effects of Substituents (R3', R4' and R6') on the Pyridine Ring on Activity against German cockroaches by No-Choice Method

The effects of substituents R3', R4' and R6' on the pyridine ring on the insecticidal activity were examined with derivatives in which R3, R5 and R6' were fixed as Cl, CF3 and CF3 respectively (Table 3). Among compounds 3 and 15 having a chlorine atom at the 3'-position of the pyridine ring, compound 3 unsubstituted at the 4' and 6'-position of the pyridine ring was active, whereas compound 15 substituted by the chlorine atom at the 6'-position was inactive. Compounds 16 and 17 unsubstituted at the 3'-position of the pyridine ring and substituted at the 4' or 6'-position were inactive. The above-mentioned results indicated that only incorporation of the substituent at the 3'-position of the pyridine ring was important for insecticidal activity and substitution at the 4' or 6'-position was unfavorable.

4. Insecticidal Activity of [1(2H) -3',3'-disubstituted-5,5'-bistrifluoromethyl-2'-bipyridin]-2-one Derivatives against German cockroaches by Dual-Choice Method

Based on the above results, active compounds (3, 5, 6, 11 and 13-14) identified by the no-choice method against German cockroaches were chosen for the second step of evaluation by dual-choice method (Table 4). As the results, compound 3 showed the most potent activity in this series of derivatives and was effective even at 0.025%. Compounds 11 and 13 were active at 0.05% and compound 5 was inactive at 0.1%. The results of the evaluation by this dual-choice method indicated that the repelling activity of more insecticidally active compounds 3, 11, and 13 was so weak against German cockroaches.

In summary, electron-withdrawing substituents at the 3, 3', 5 and 5'-positions on both the pyridone and pyridine rings were required for the insecticidal activity, especially against German cockroach. Furthermore, a couple of trifluoromethyl groups at the 5 and 5'-positions on the both rings were essential for the activity. Among all compounds tested, the chlorine atom at the 3-position on the pyridone ring, and the chlorine atom, the fluorine atom or the trifluoromethyl group at the 3'-position on the pyridine ring were more favorable.

To understand the physicochemical background of the substituent effects, the structure-activity analyses have been carried out during this process, and will be published elsewhere.

REFERENCES

2) L. L. Smith, J. H. Johnston, B. C. Gerwick & E. A. Egli:
378


要 約

[1(2H),2’-ビビリジン]-2-オノ誘導体の合成と殺虫活性

坂本典保, 石渡多可男, 松尾憲志
種々の [1(2H),2’-ビビリジン]-2-オノ誘導体を合成し、
チャパネキブリ及びイエパエに対する殺虫活性を調べ
た。その結果、活性の強さは両方の環の 3, 5 位及び 3’, 5’
位の電子吸収性置換基に大きく依存すること、活性発現の
ためには両方の環の 5 及び 5’位にそれぞれトリフルオロメチル基が必須であることが示唆された。また評価した化
合物の中では、ビリドン環の 3 位に塩素原子、ビリジン環
の 3’位に塩素原子、フッ素原子またはトリフルオロメチル
基を導入した化合物がより好ましいことが判明した。