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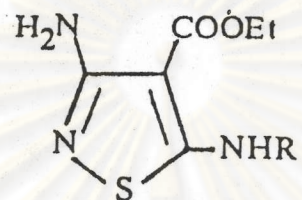
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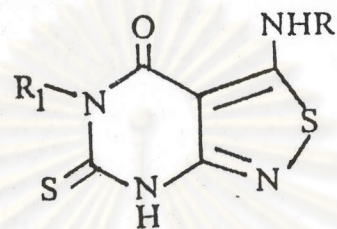




| No. | R                             | Molecular<br>formular   | Yield<br>(%) | MP.<br>(°C) |
|-----|-------------------------------|---|--------------|-------------|
| 1.  | CH <sub>3</sub>               | C <sub>7</sub> H <sub>11</sub> N <sub>3</sub> O <sub>2</sub> S  | 48           | 151-152     |
| 2.  | C <sub>6</sub> H <sub>5</sub> | C <sub>12</sub> H <sub>13</sub> N <sub>3</sub> O <sub>2</sub> S | 85           | 159-160     |

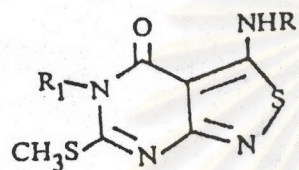
Table 1 : Physical Data of 3-Amino-4-carbethoxy-5-(substituted) aminoisothiazoles



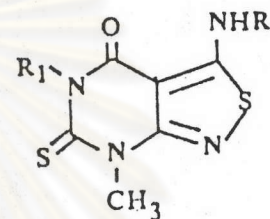


| No. | R                             | R <sub>1</sub>                | Molecular<br>formular  | Yield<br>(%) | MP.<br>(°C) |
|-----|-------------------------------|-------------------------------|--|--------------|-------------|
| 3   | C <sub>6</sub> H <sub>5</sub> | CH <sub>3</sub>               | C <sub>12</sub> H <sub>10</sub> N <sub>4</sub> OS <sub>2</sub> | 40           | >260        |
| 4   | C <sub>6</sub> H <sub>5</sub> | C <sub>6</sub> H <sub>5</sub> | C <sub>17</sub> H <sub>12</sub> N <sub>4</sub> OS <sub>2</sub> | 32           | >260        |
| 5   | CH <sub>3</sub>               | CH <sub>3</sub>               | C <sub>7</sub> H <sub>8</sub> N <sub>4</sub> OS <sub>2</sub>   | 50           | >260        |
| 6   | CH <sub>3</sub>               | C <sub>6</sub> H <sub>5</sub> | C <sub>12</sub> H <sub>10</sub> N <sub>4</sub> OS <sub>2</sub> | 35           | >260        |

Table 2 : Physical Data of 5-Substituted-3-(substituted)  
aminoisothiazolo [3,4-d] pyrimidine-4-one-6(7H)  
-thione



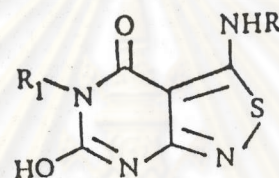
NO. 8,9,11,13



NO. 7,10,12

| No. | R                             | R <sub>1</sub>                | Methylation Position | Molecular Formular   | Yield (%) | MP. (°C) | Elemental Analysis |       |          |       |          |       |
|-----|-------------------------------|-------------------------------|----------------------|--|-----------|----------|--------------------|-------|----------|-------|----------|-------|
|     |                               |                               |                      |  |           |          | Carbon             |       | Hydrogen |       | Nitrogen |       |
|     |                               |                               |                      |  |           |          | Calcd.             | Found | Calcd.   | Found | Calcd.   | Found |
| 7   | C <sub>6</sub> H <sub>5</sub> | CH <sub>3</sub>               | 7N                   | C <sub>12</sub> H <sub>10</sub> N <sub>4</sub> OS <sub>2</sub> | 22        | 196-197  | 51.32              | 51.10 | 3.95     | 4.07  | 18.42    | 18.49 |
| 8   | C <sub>6</sub> H <sub>5</sub> | CH <sub>3</sub>               | 6S                   | C <sub>13</sub> H <sub>12</sub> N <sub>4</sub> OS <sub>2</sub> | 62        | 182-183  | 51.32              | 51.49 | 3.95     | 3.92  | 18.42    | 18.34 |
| 9   | C <sub>6</sub> H <sub>5</sub> | C <sub>6</sub> H <sub>5</sub> | 6S                   | C <sub>18</sub> H <sub>14</sub> N <sub>4</sub> OS <sub>2</sub> | 73        | 248-249  | 59.02              | 58.99 | 3.82     | 3.81  | 15.30    | 15.26 |
| 10  | CH <sub>3</sub>               | CH <sub>3</sub>               | 7N                   | C <sub>8</sub> H <sub>10</sub> N <sub>4</sub> OS <sub>2</sub>  | 23        | 235-236  | 39.67              | 40.02 | 4.13     | 4.18  | 23.14    | 23.39 |
| 11  | CH <sub>3</sub>               | CH <sub>3</sub>               | 6S                   | C <sub>8</sub> H <sub>10</sub> N <sub>4</sub> OS <sub>2</sub>  | 64        | 248-249  | 39.67              | 40.35 | 4.13     | 4.07  | 23.14    | 23.33 |
| 12  | CH <sub>3</sub>               | C <sub>6</sub> H <sub>5</sub> | 7N                   | C <sub>13</sub> H <sub>12</sub> N <sub>4</sub> OS <sub>2</sub> | -         | 249-250  | 51.32              | 51.43 | 3.95     | 4.01  | 18.42    | 18.17 |
| 13  | CH <sub>3</sub>               | C <sub>6</sub> H <sub>5</sub> | 6S                   | C <sub>13</sub> H <sub>12</sub> N <sub>4</sub> OS <sub>2</sub> | -         | 258-259  | 51.32              | 51.17 | 3.95     | 3.83  | 18.42    | 18.30 |

Table 3 : Physical Data of the Methylation Product of 5-Substituted-3-(substituted) aminoisothiazolo [3,4-d] pyrimidine-4-one-6(7H)-thione



| No. | R                             | R <sub>1</sub>                | Molecular<br>Formular   | Yield<br>(%) | MP.<br>(°C) | Elemental Analysis |       |          |       |          |       |
|-----|-------------------------------|-------------------------------|---|--------------|-------------|--------------------|-------|----------|-------|----------|-------|
|     |                               |                               |   |              |             | Carbon             |       | Hydrogen |       | Nitrogen |       |
|     |                               |                               |   |              |             | Calcd.             | Found | Calcd.   | Found | Calcd.   | Found |
| 14  | C <sub>6</sub> H <sub>5</sub> | CH <sub>3</sub>               | C <sub>12</sub> H <sub>10</sub> N <sub>4</sub> O <sub>2</sub> H | 63           | >300        | 52.55              | 52.35 | 3.65     | 3.53  | 20.40    | 20.37 |
| 15  | C <sub>6</sub> H <sub>5</sub> | C <sub>6</sub> H <sub>5</sub> | C <sub>17</sub> H <sub>12</sub> N <sub>4</sub> O <sub>2</sub> S | 61           | >300        | 60.71              | 60.72 | 3.57     | 3.52  | 16.66    | 16.63 |
| 16  | CH <sub>3</sub>               | CH <sub>3</sub>               | C <sub>7</sub> H <sub>8</sub> N <sub>4</sub> O <sub>2</sub> S   | 65           | >300        | 39.62              | 39.54 | 3.77     | 3.76  | 26.42    | 26.32 |
| 17  | CH <sub>3</sub>               | C <sub>6</sub> H <sub>5</sub> | C <sub>12</sub> H <sub>12</sub> N <sub>4</sub> O <sub>2</sub> S | 59           | >300        | 52.55              | 52.63 | 3.65     | 3.51  | 20.44    | 20.72 |

Table 4 : Physical Data of 5-Substituted-3-(substituted) amino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one

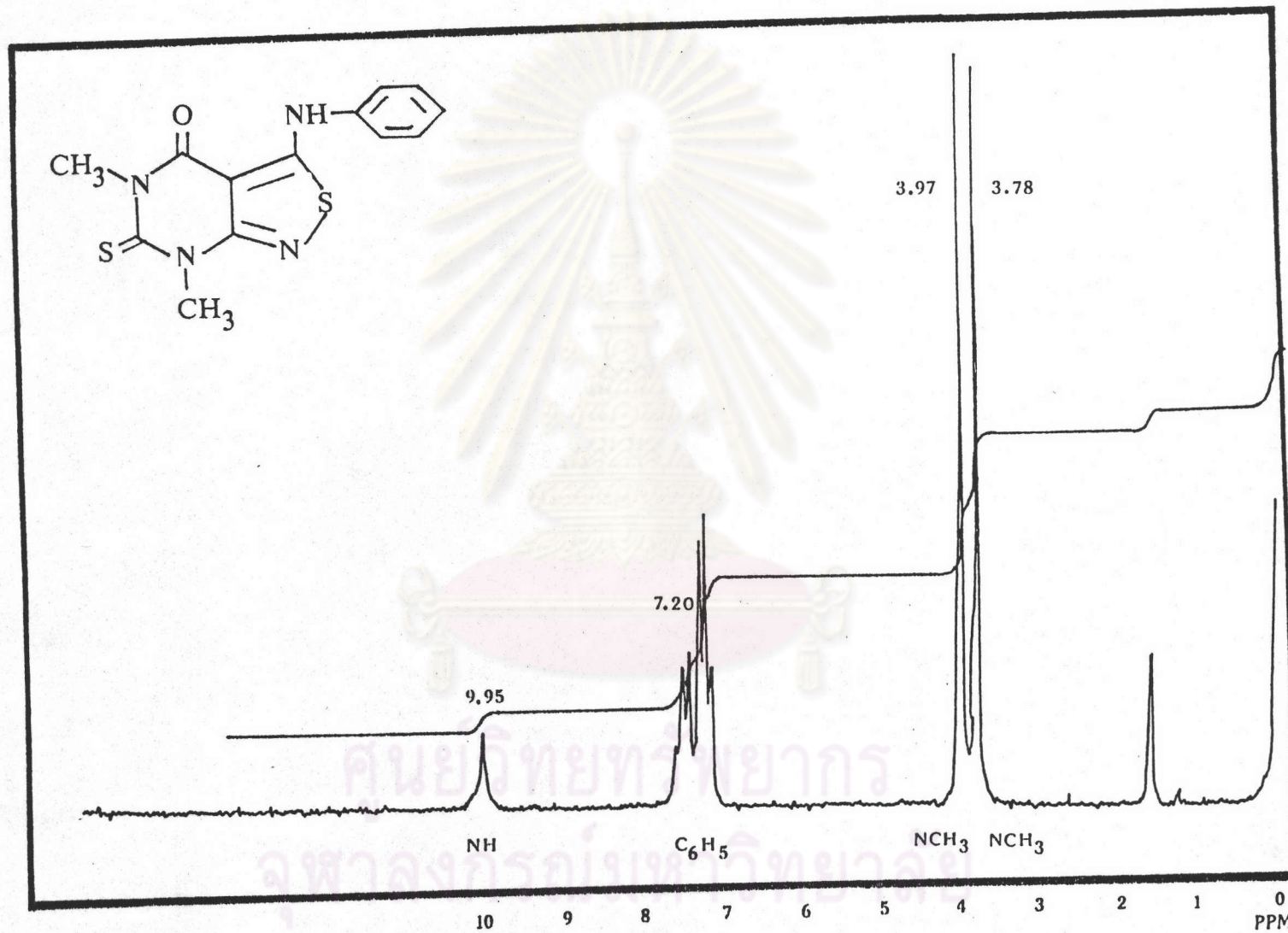


Figure 4 : The  $^1\text{H}$ NMR spectrum of 5,7-Dimethyl-3-phenylaminoisothiazolo [3,4-d] pyrimidine-4-one-6-thione (in  $\text{CDCl}_3$ )

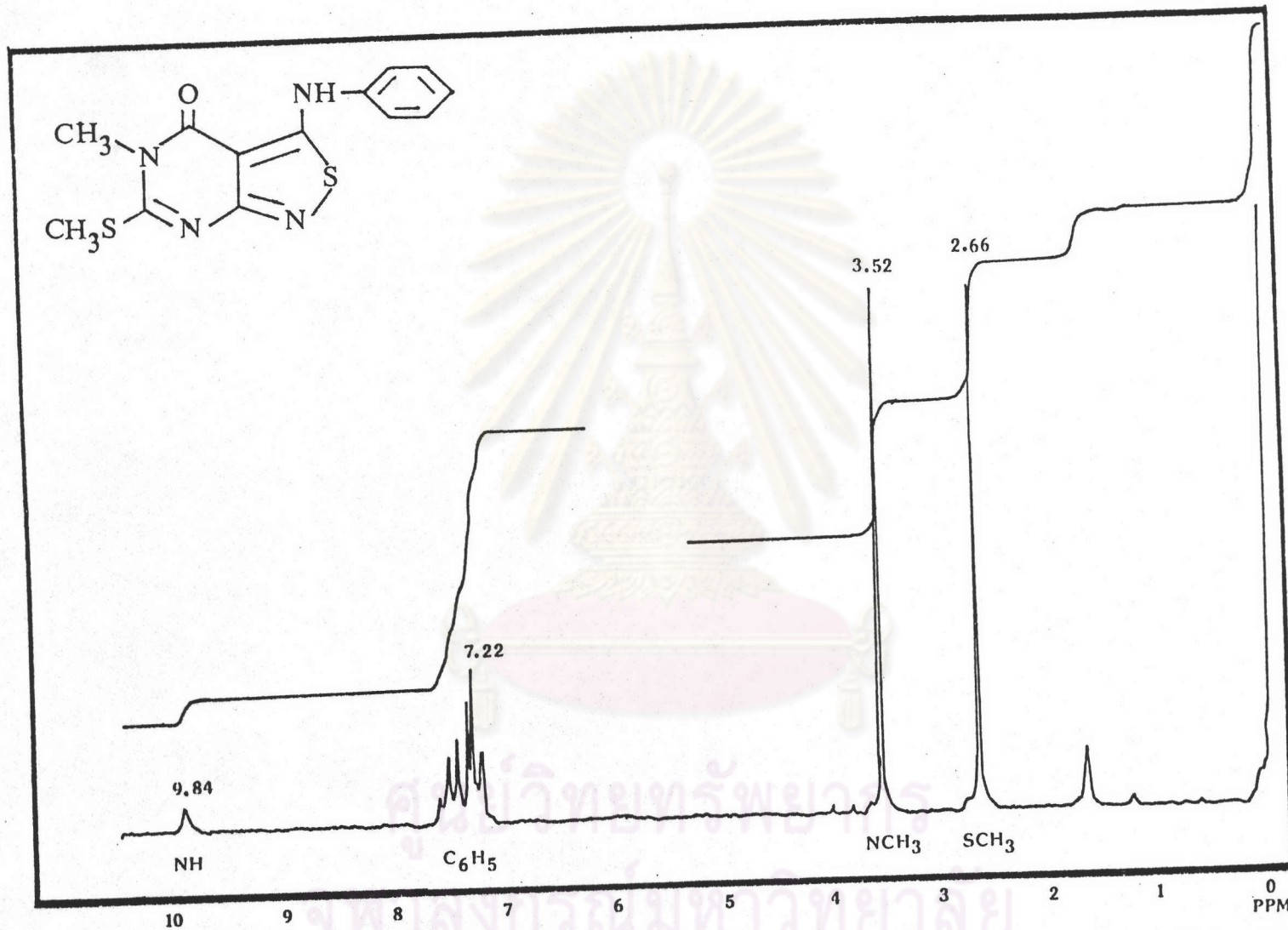


Figure 5 : The <sup>1</sup>NMR spectrum of 5-Methyl-6-methylthio-3-phenylaminoisothiazolo [3,4-d] pyrimidine-4-one (in CDCl<sub>3</sub>)

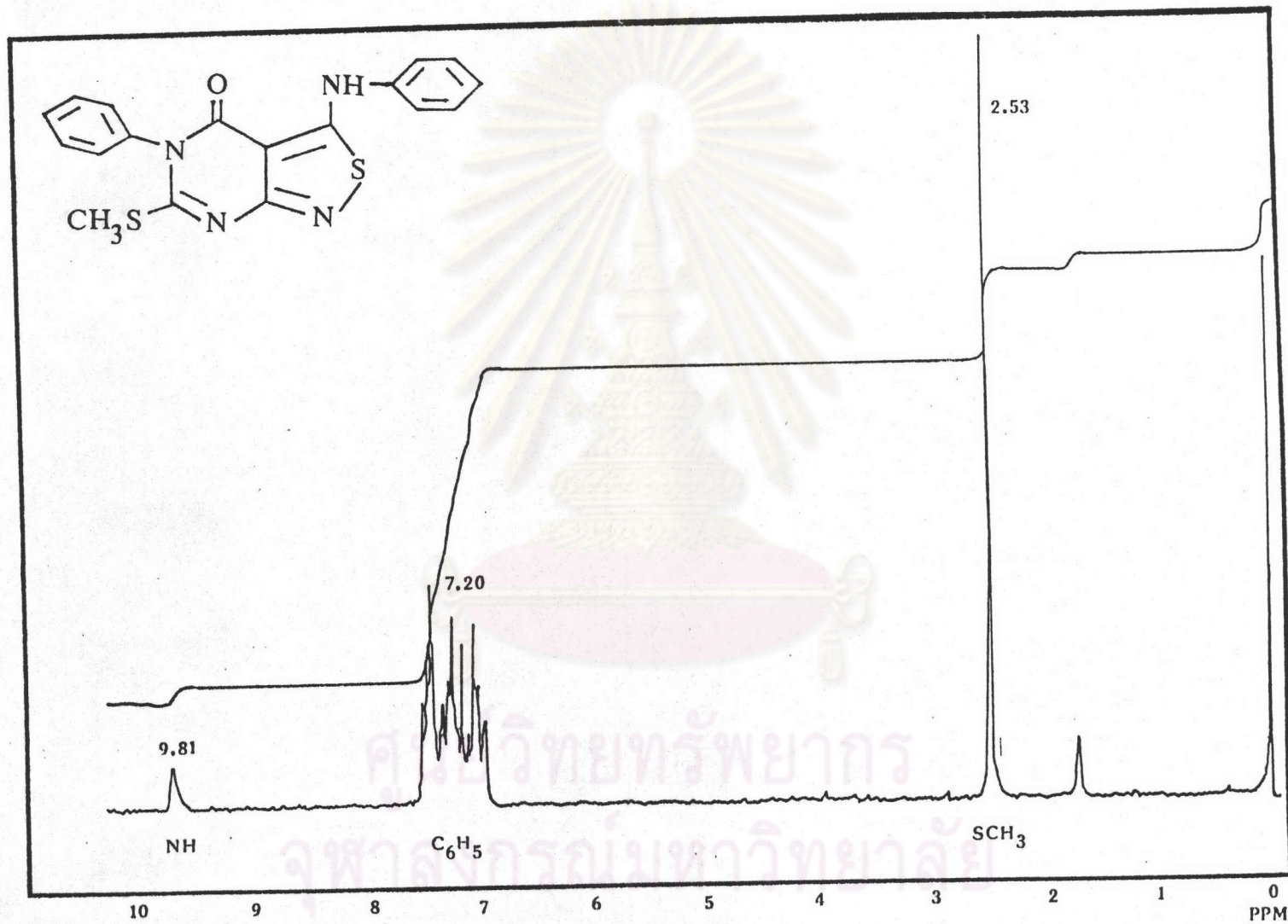


Figure 6 : The  $^1\text{H}$ NMR spectrum of 5-Phenyl-6-methylthio-3-phenylaminoisothiazolo [3,4-d] pyrimidine-4-one (in  $\text{CDCl}_3$ )

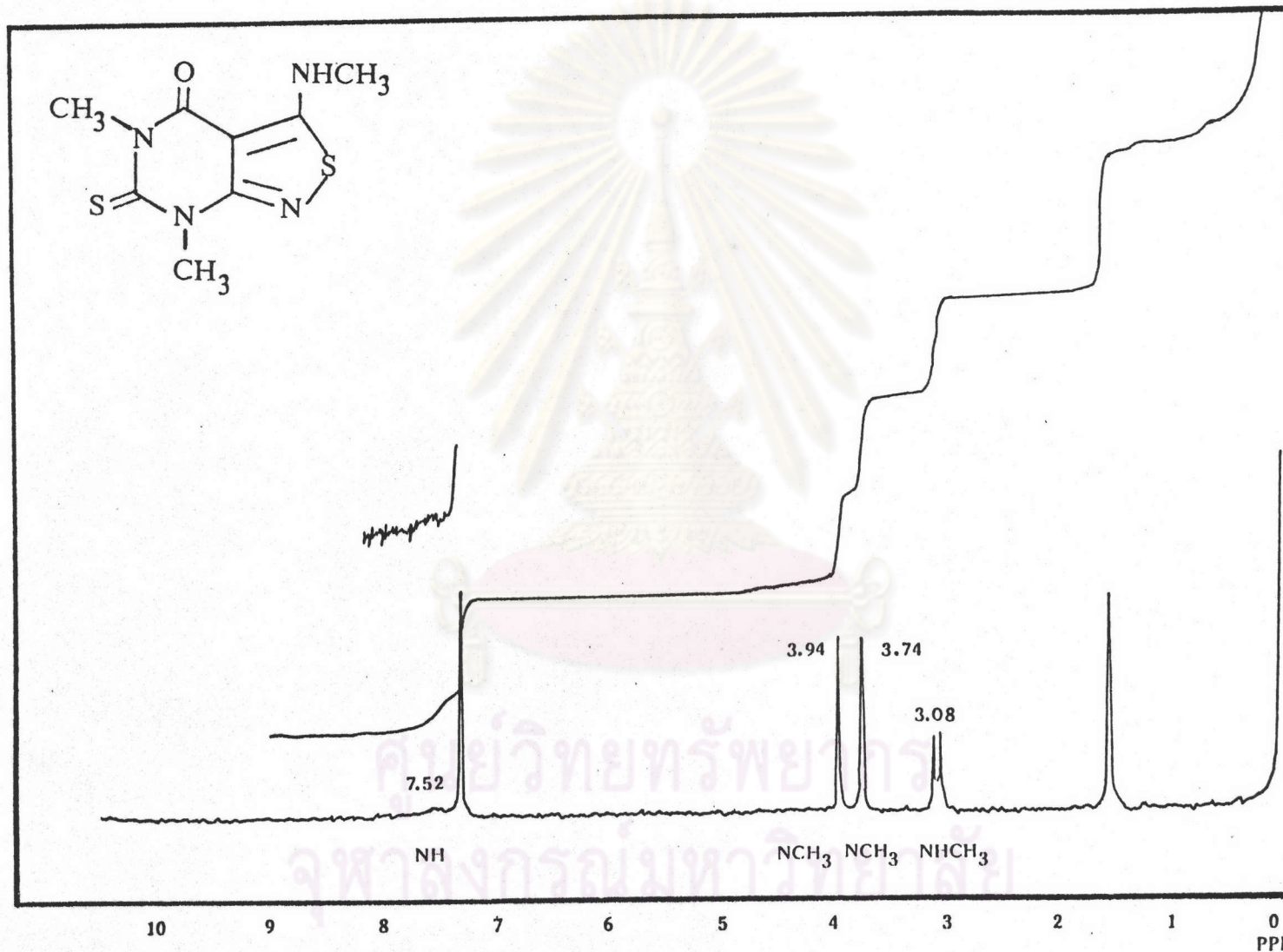


Figure 7 : The  $^1\text{H}$  NMR spectrum of 5,7-Dimethyl-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one-6-thione (in  $\text{CDCl}_3$ )



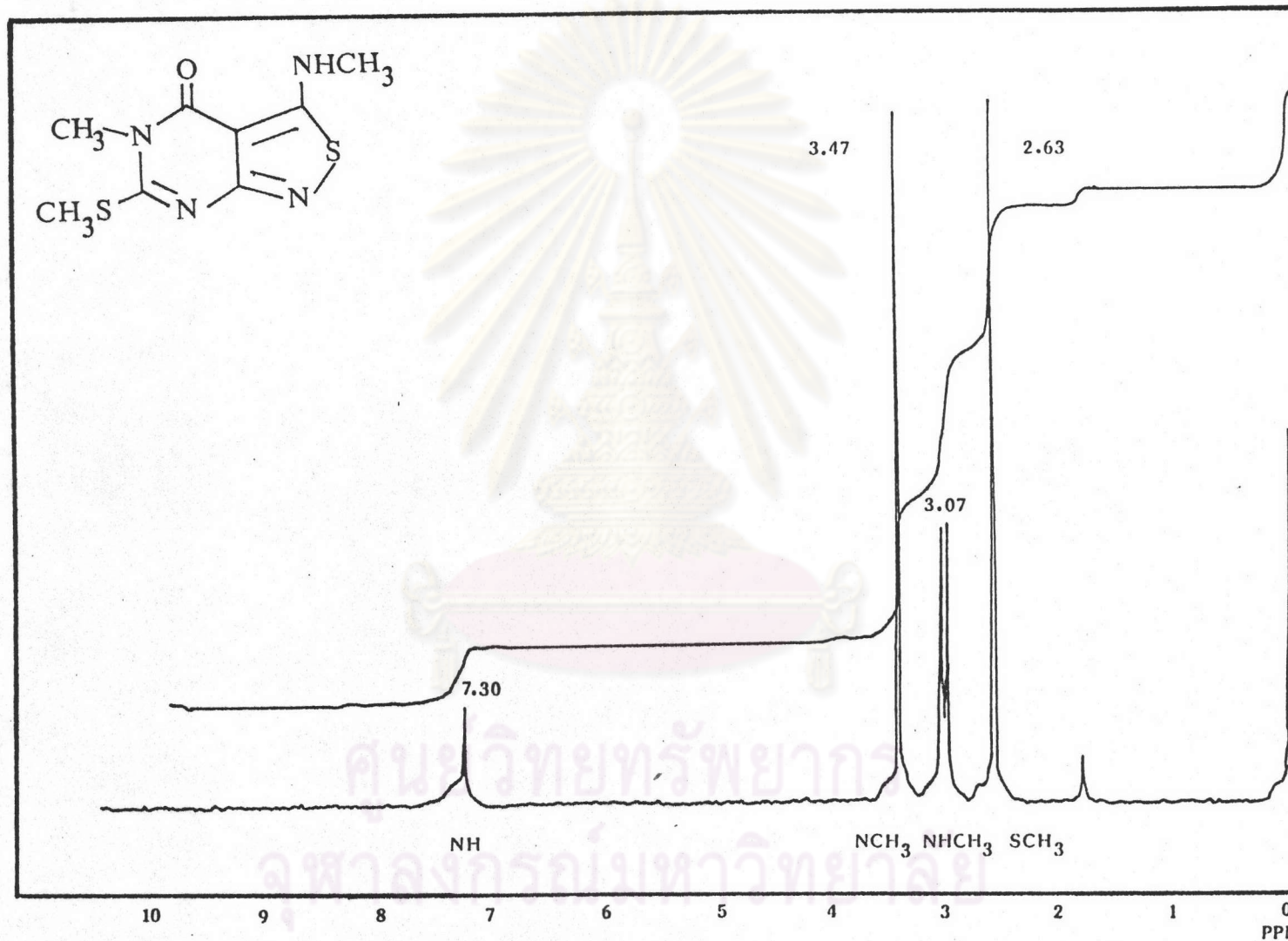


Figure 8 : The <sup>1</sup>H NMR spectrum of 5-Methyl-6-methylthio-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one (in CDCl<sub>3</sub>)

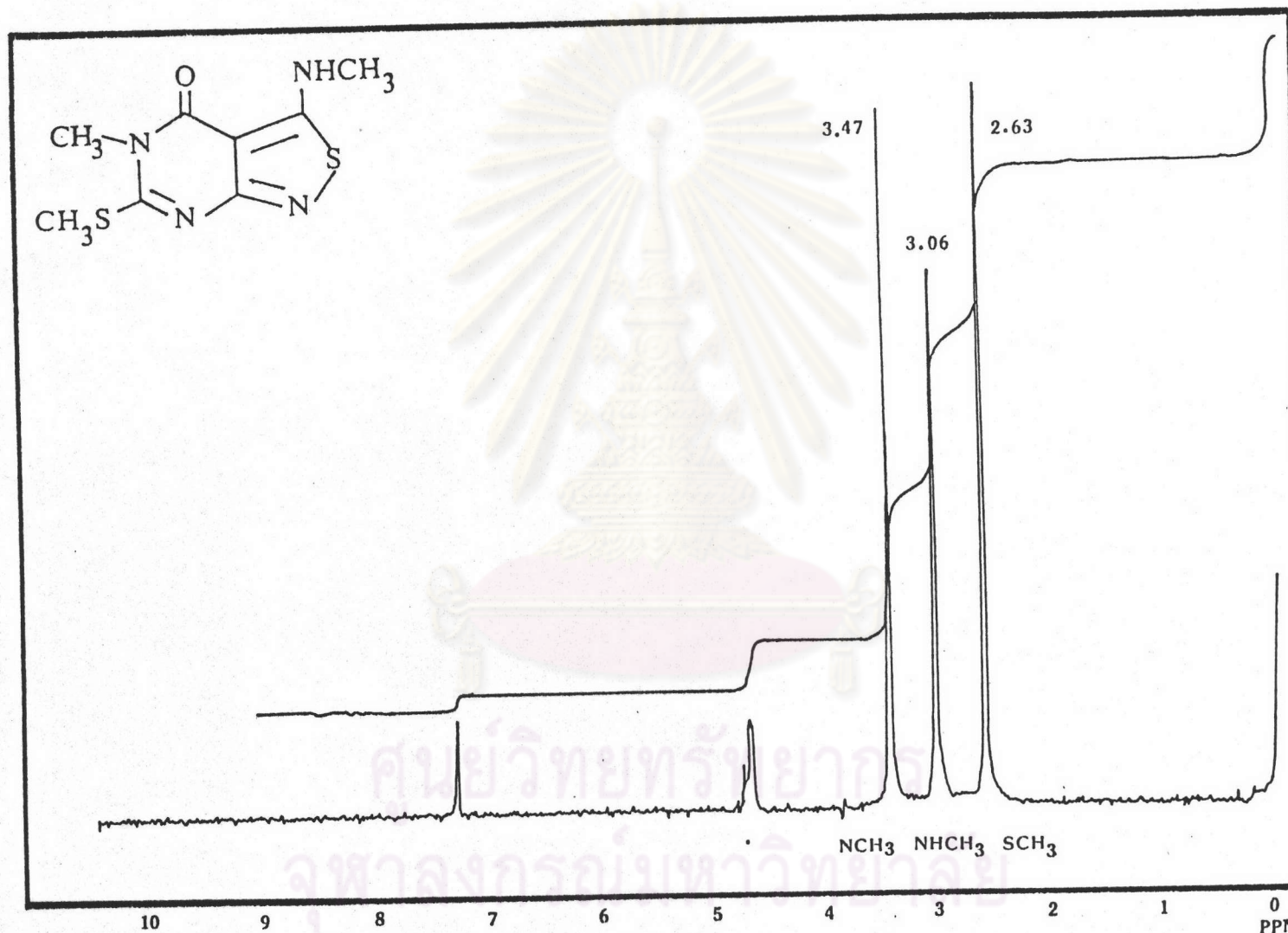


Figure 9 : The  $^1\text{H}$ NMR spectrum of 5-Methyl-6-methylthio-3-methylaminoisothiazolo  
[3,4-d] pyrimidine-4-one (in  $\text{CDCl}_3$ ,  $\text{D}_2\text{O}$ )

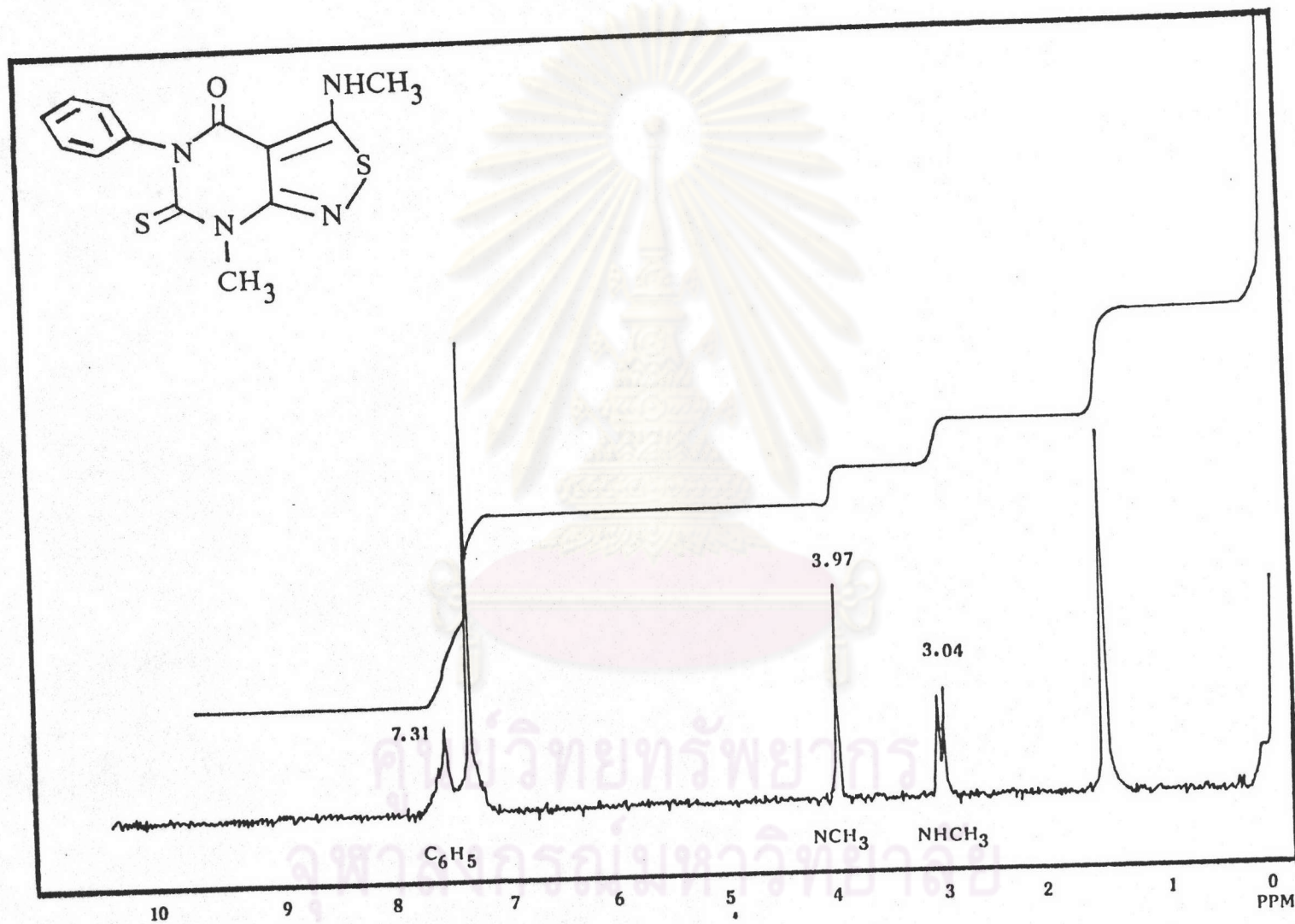


Figure 10 : The  $^1\text{H}$ NMR spectrum of 7-Methyl-5-phenyl-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one-6-thione (in  $\text{CDCl}_3$ )

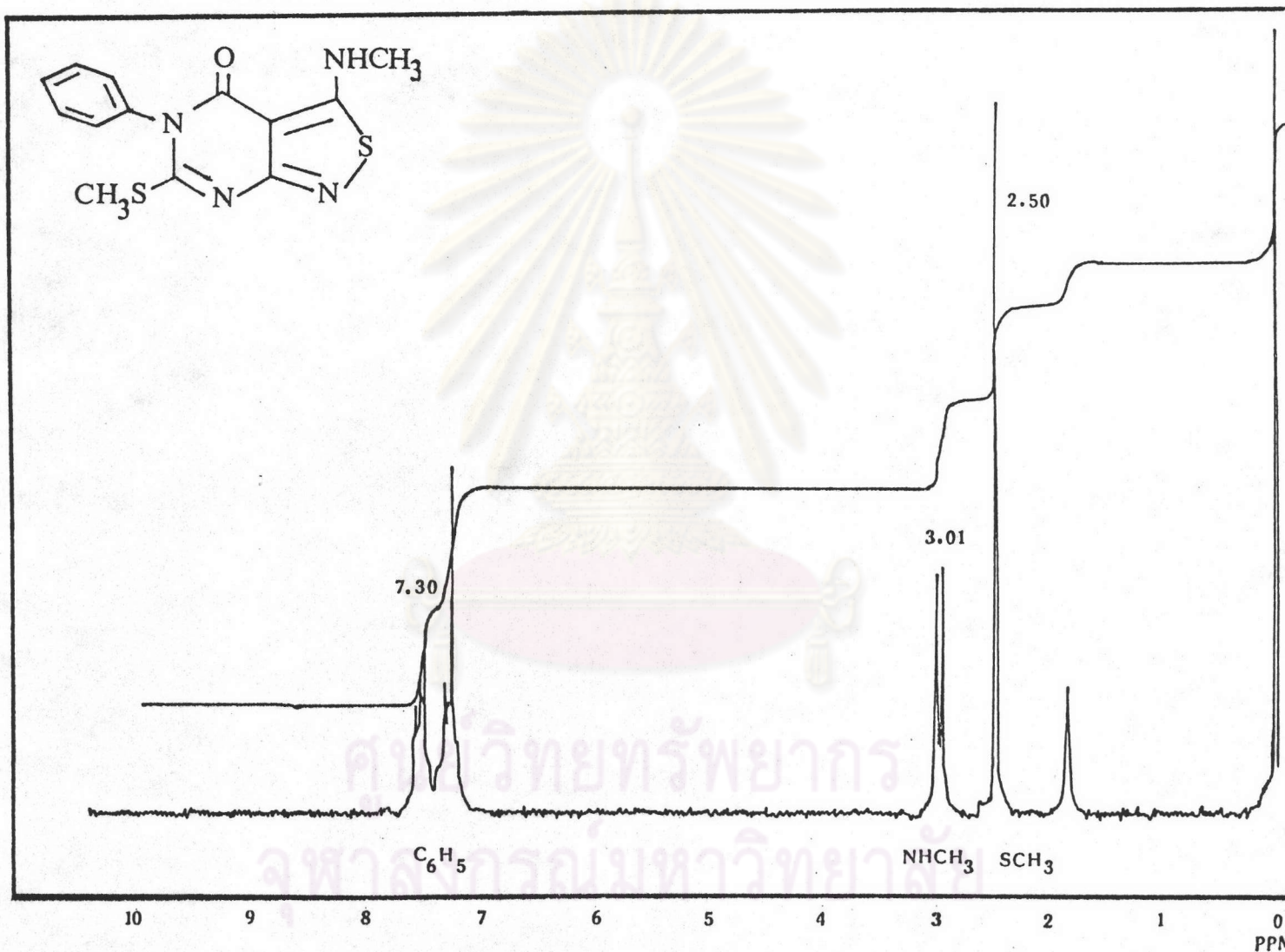


Figure 11 : The <sup>1</sup>H NMR spectrum of 5-Phenyl-6-methylthio-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one (in CDCl<sub>3</sub>)

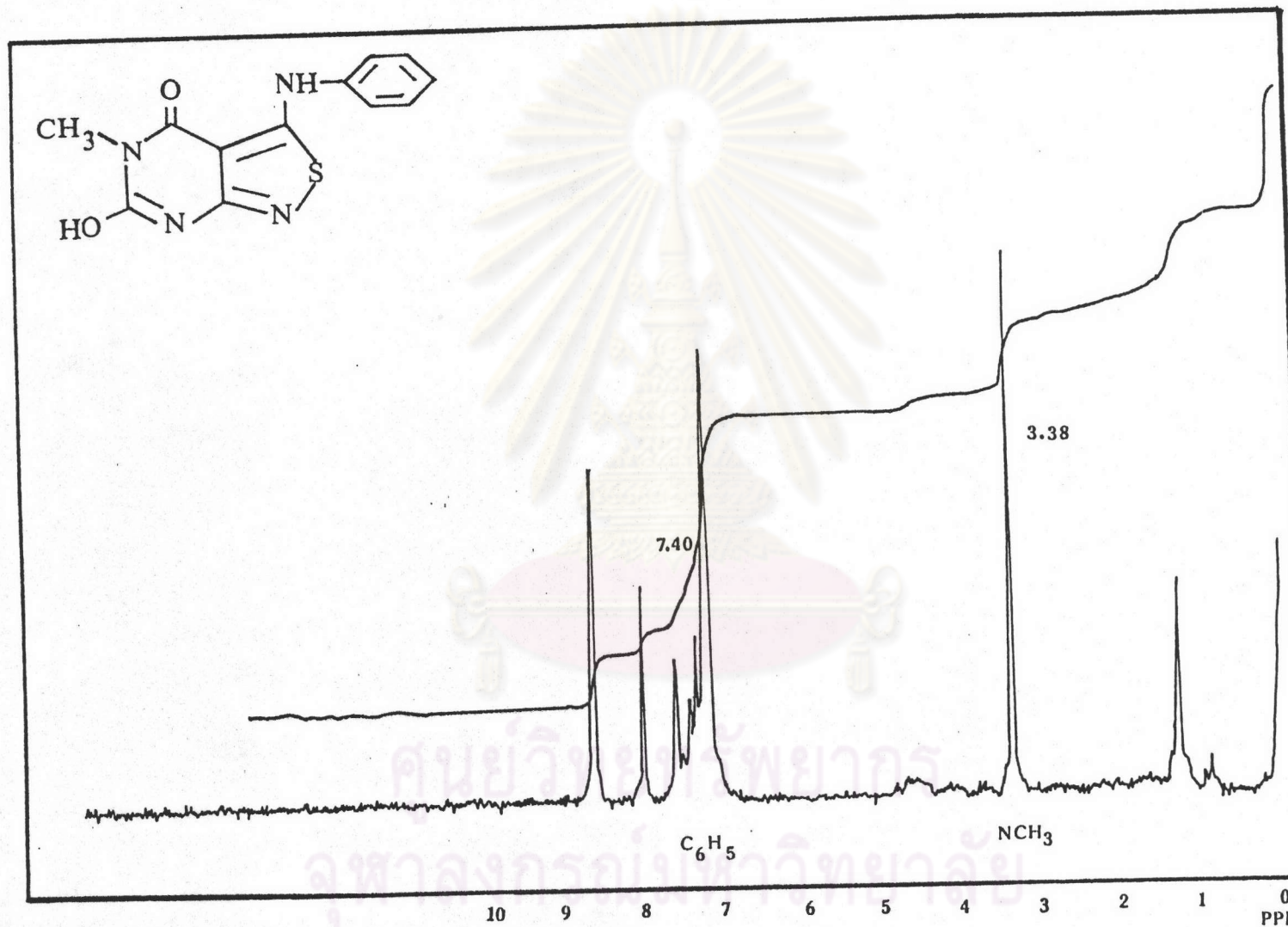


Figure 12 : The  $^1\text{H}$  NMR spectrum of 5-Phenyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in  $\text{C}_5\text{D}_5\text{N}$ )

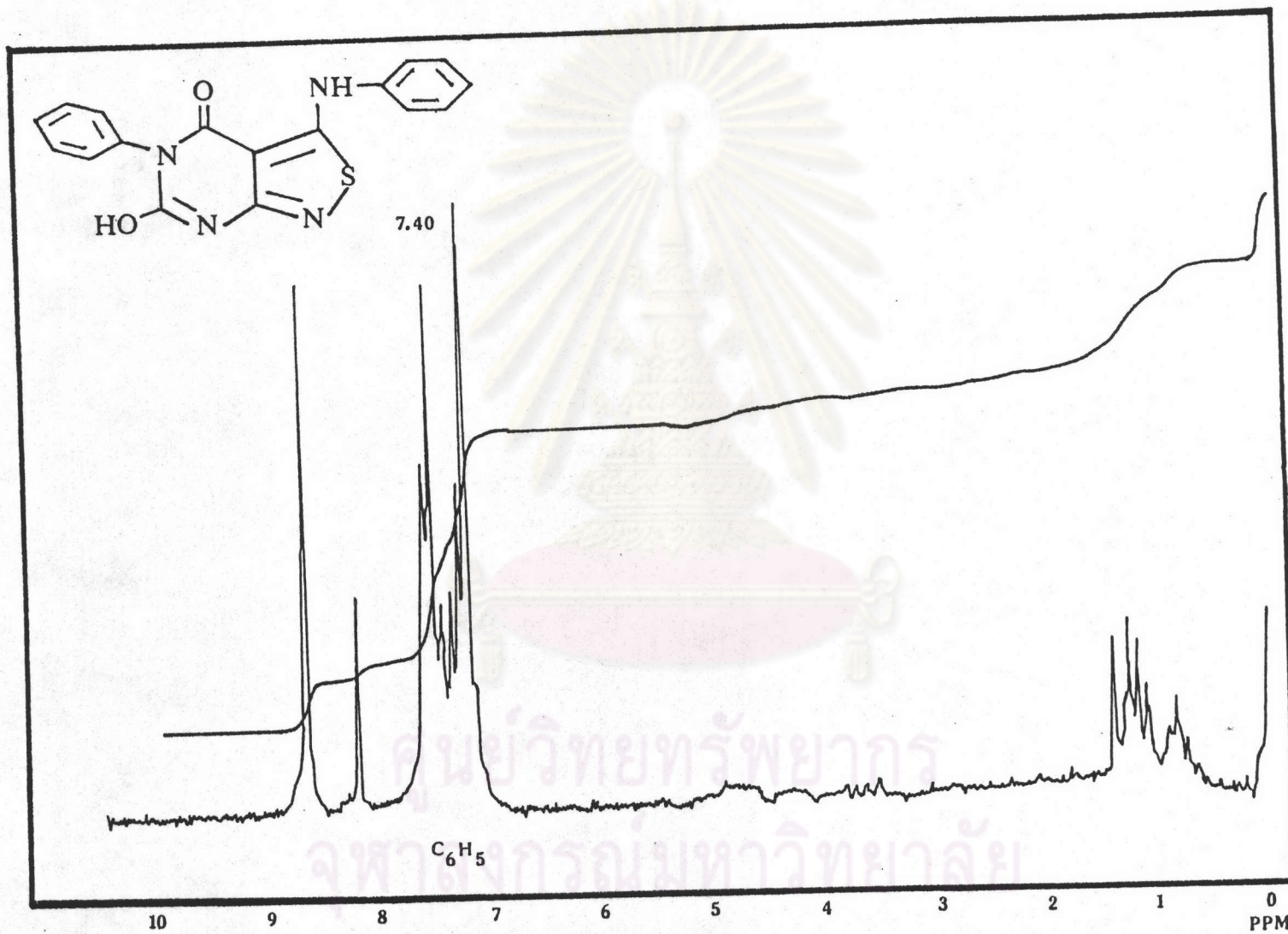


Figure 13 : The <sup>1</sup>H NMR spectrum of 5-Phenyl-3-phenylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in C<sub>5</sub>D<sub>5</sub>N)

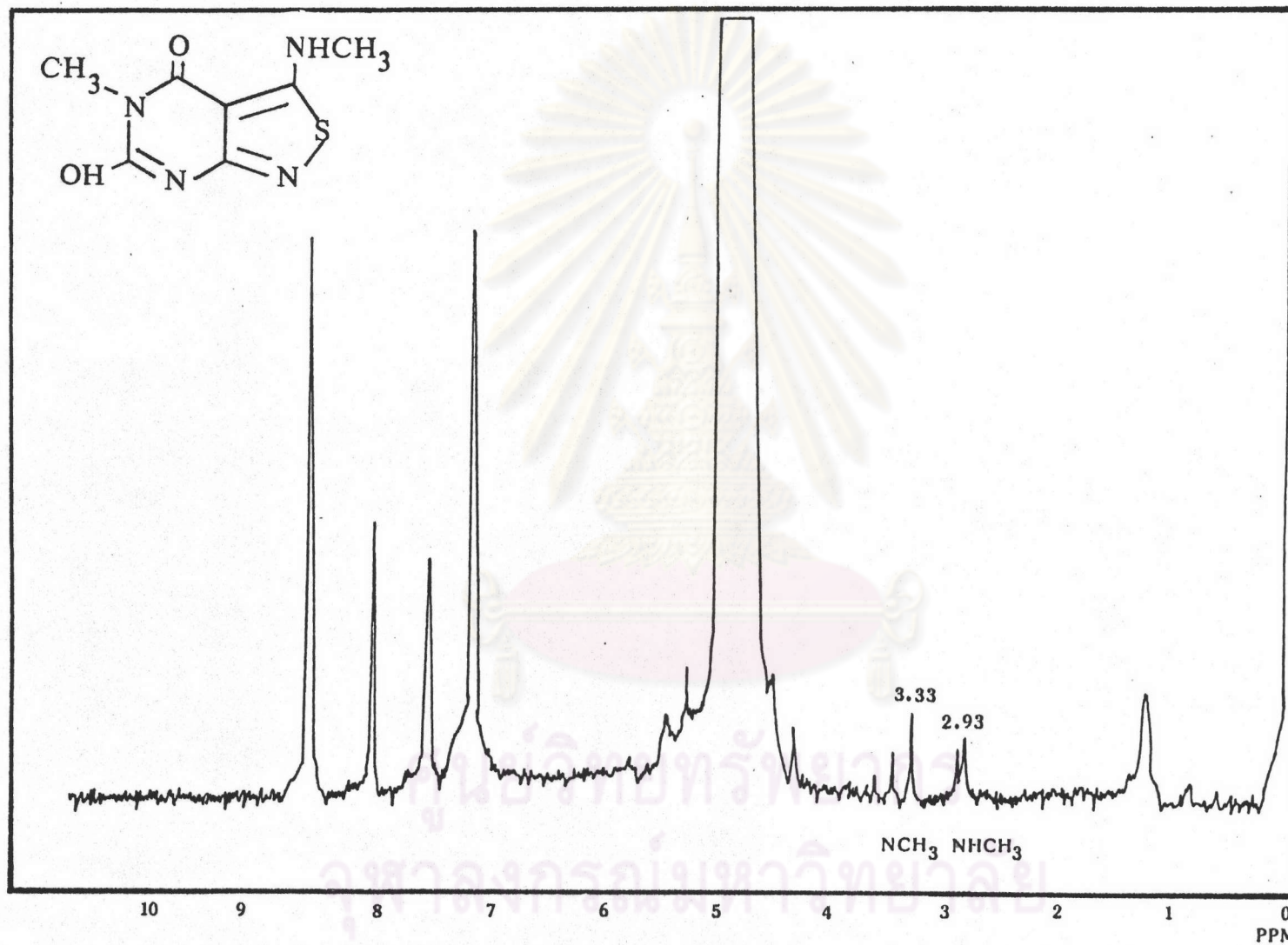


Figure 14 : The  $^1\text{H}$ NMR spectrum of 5-Methyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in  $\text{C}_5\text{D}_5\text{N}$ )

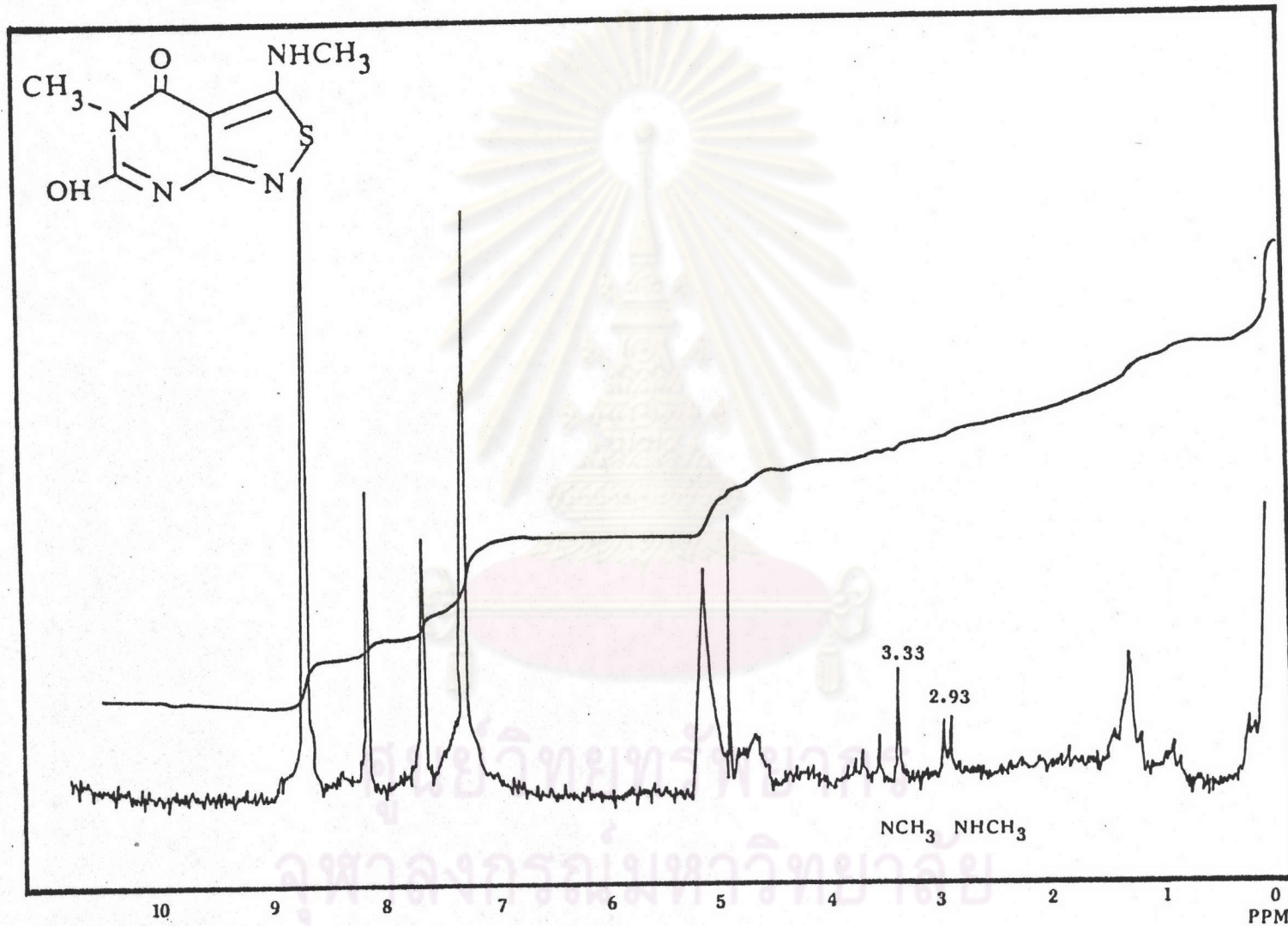


Figure 15 : The  $^1\text{H}$ NMR spectrum of 5-Methyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in  $\text{C}_5\text{D}_5\text{N}, \text{CDCl}_3$ )



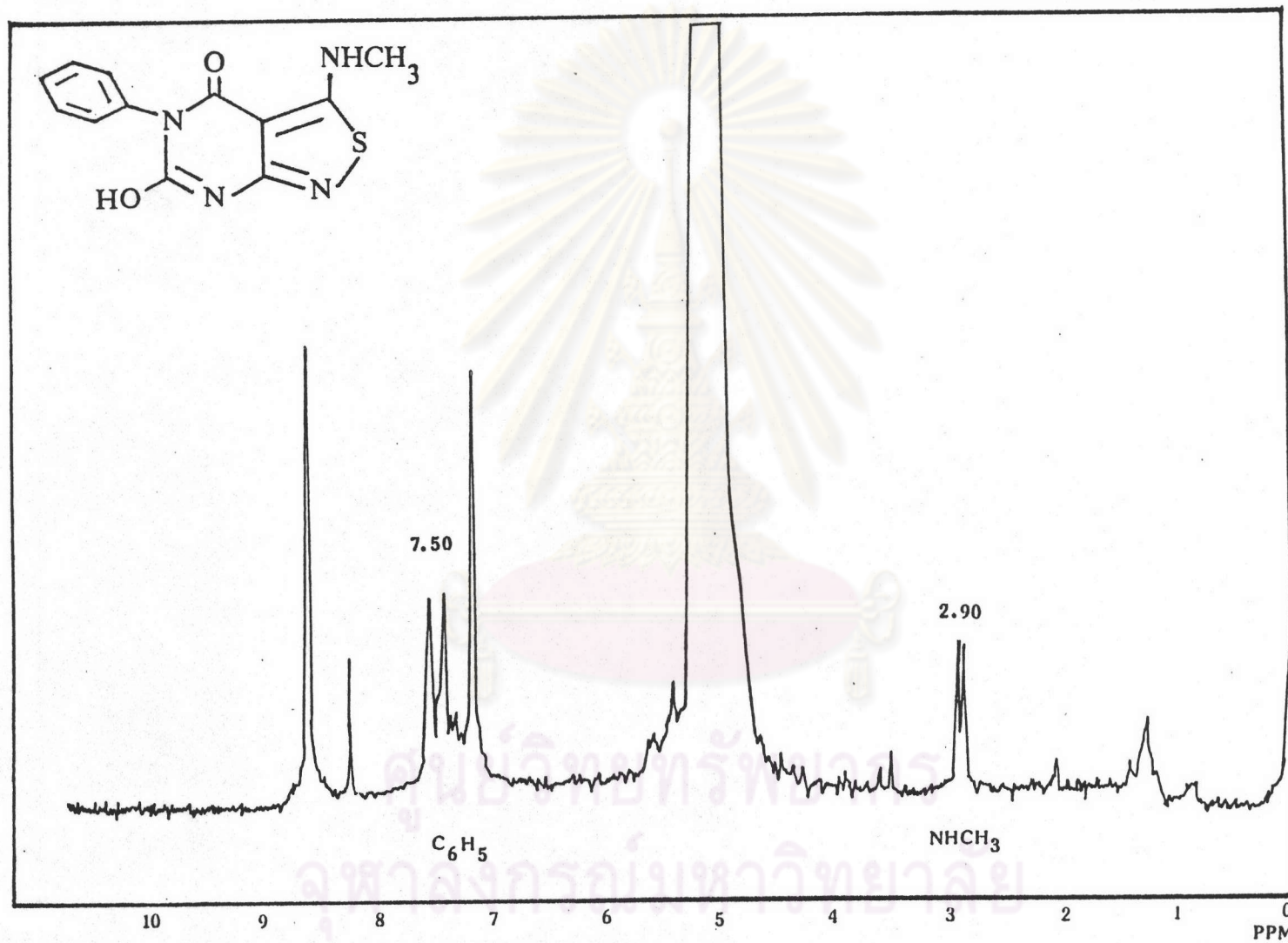


Figure 16 : The <sup>1</sup>NMR spectrum of 5-Phenyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in C<sub>5</sub>D<sub>5</sub>N)

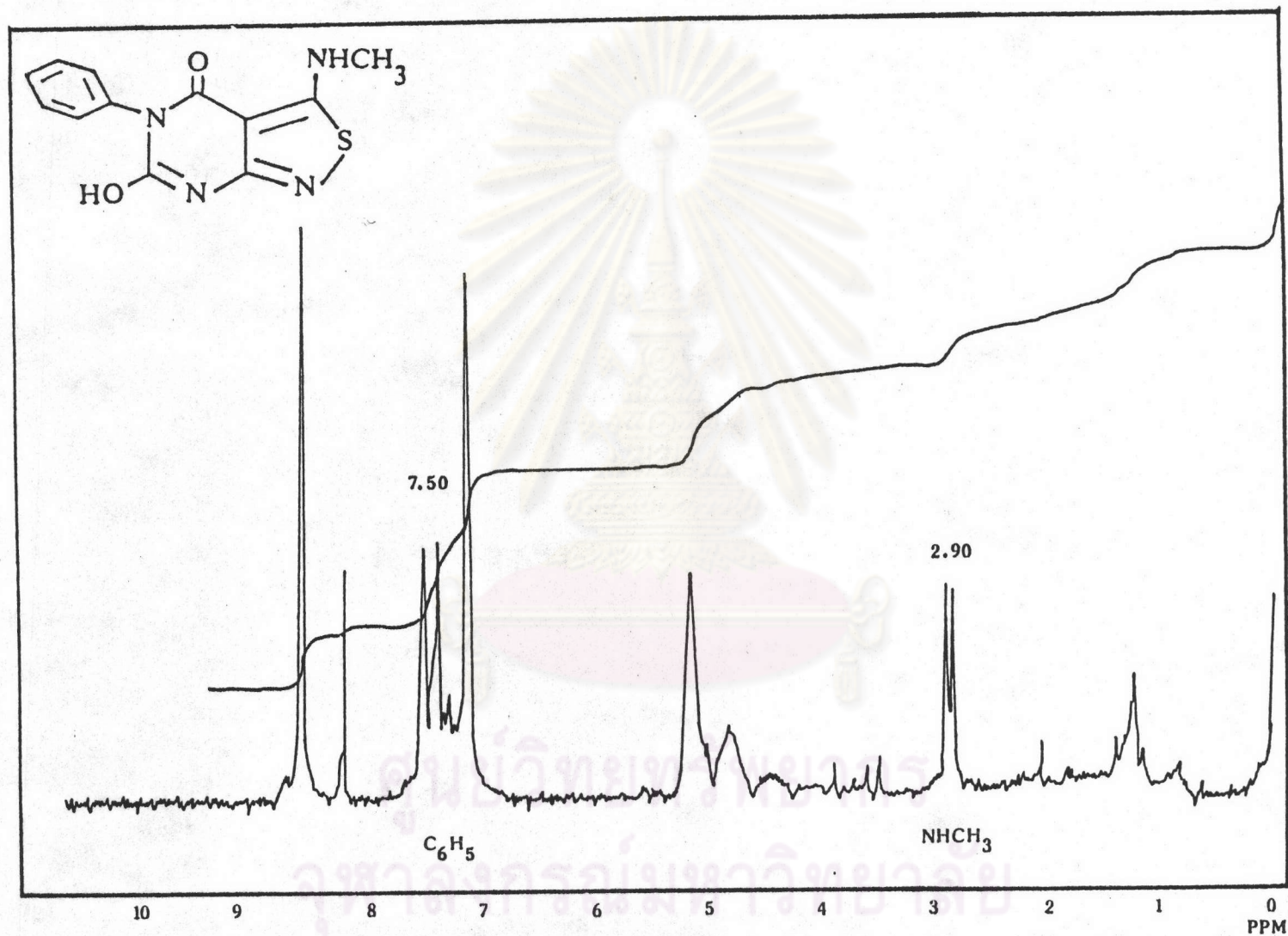


Figure 17 : The  $^1\text{H}$  NMR spectrum of 5-Phenyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one (in  $\text{C}_5\text{D}_5\text{N}$ ,  $\text{CDCl}_3$ )

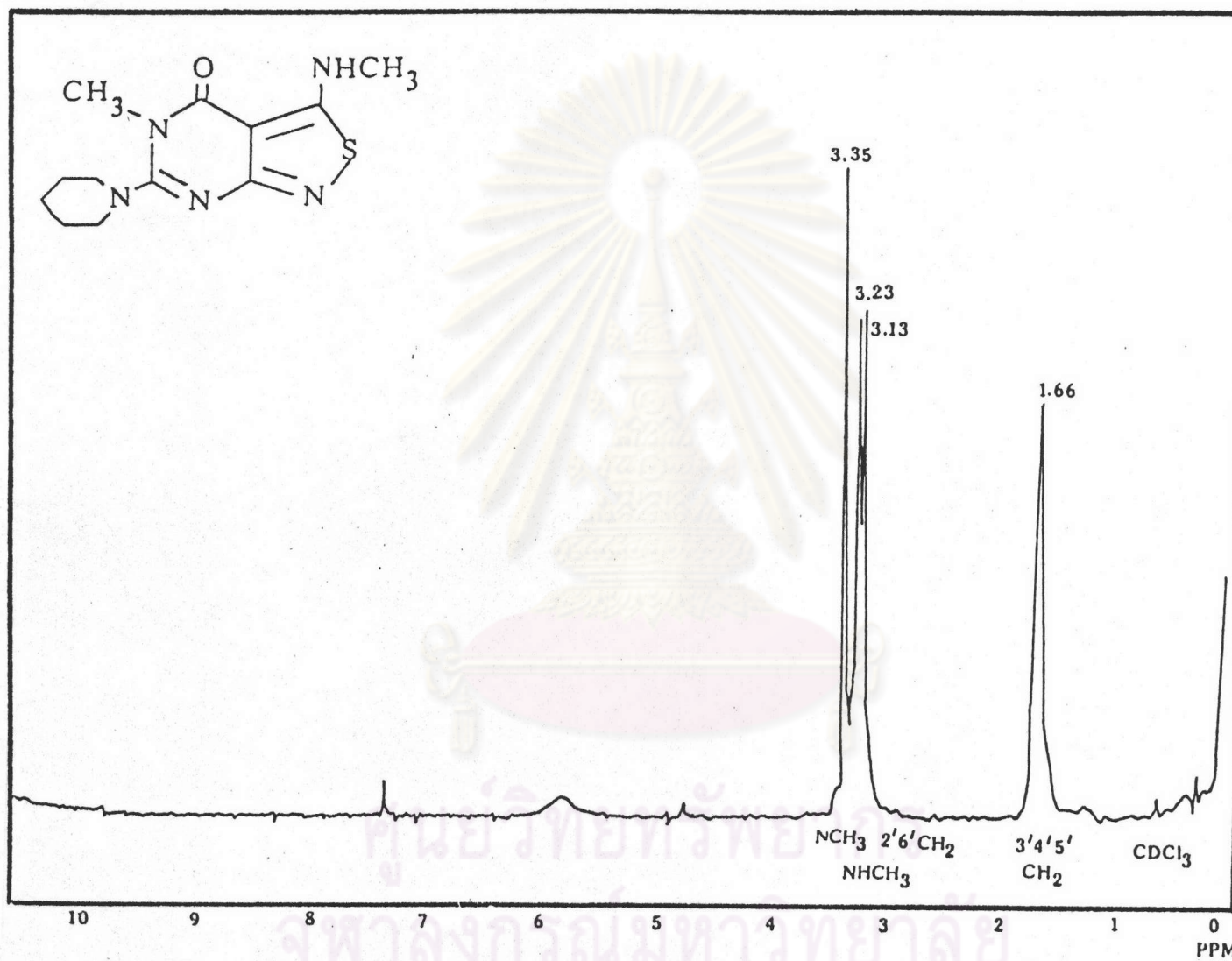


Figure 18 : The  $^1\text{H}$ NMR spectrum of 5-Methyl-3-methylamino-6-(1'-piperidino) isothiazolo [3,4-d] pyrimidine-4-one (in  $\text{CDCl}_3$ )

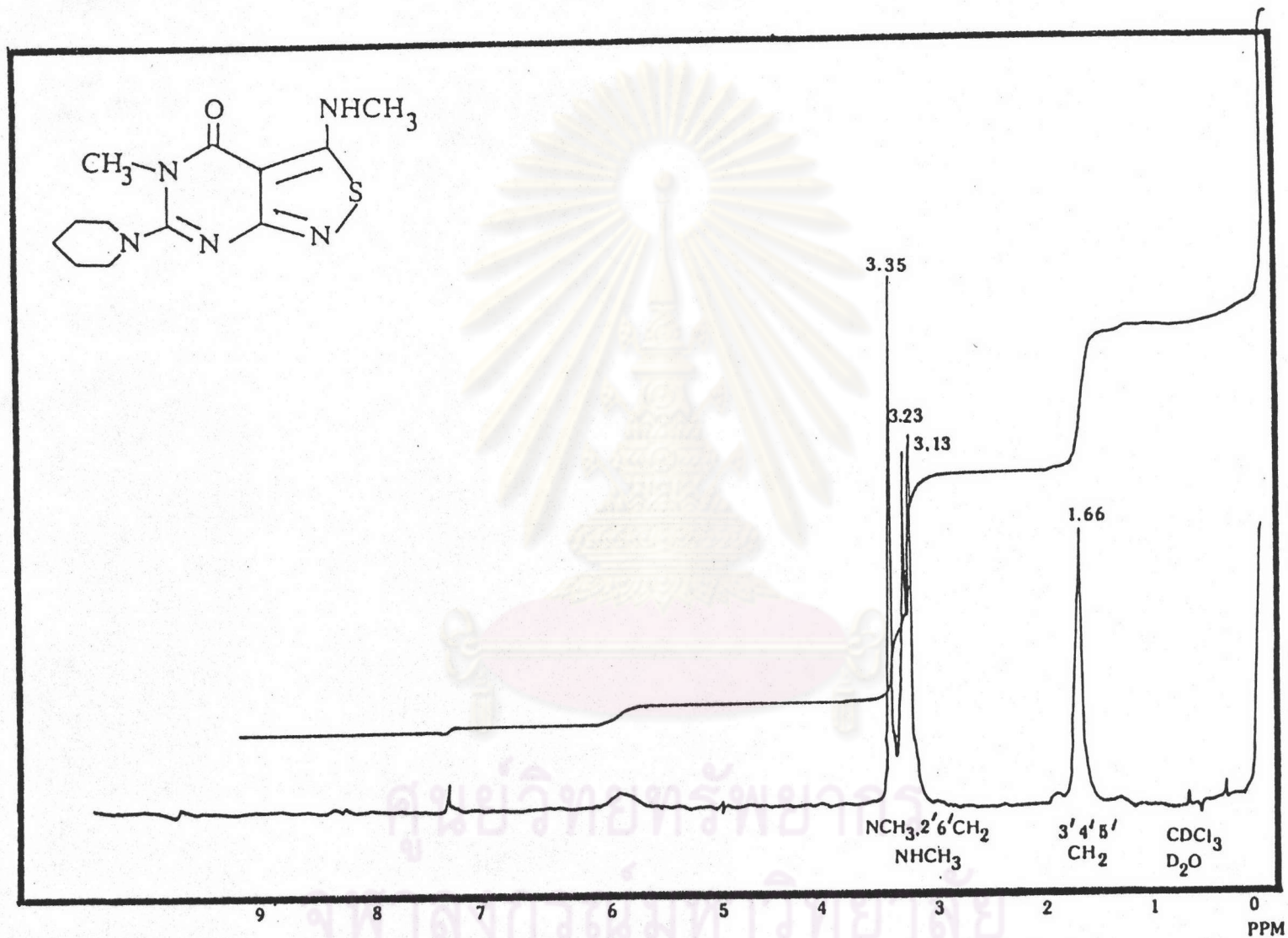


Figure 19 : The  $^1\text{H}$ NMR spectrum of 5-Methyl-3-methylamino-6-(1'-piperidino) isothiazolo [3,4-d] pyrimidine-4-one (in  $\text{CDCl}_3, \text{D}_2\text{O}$ )

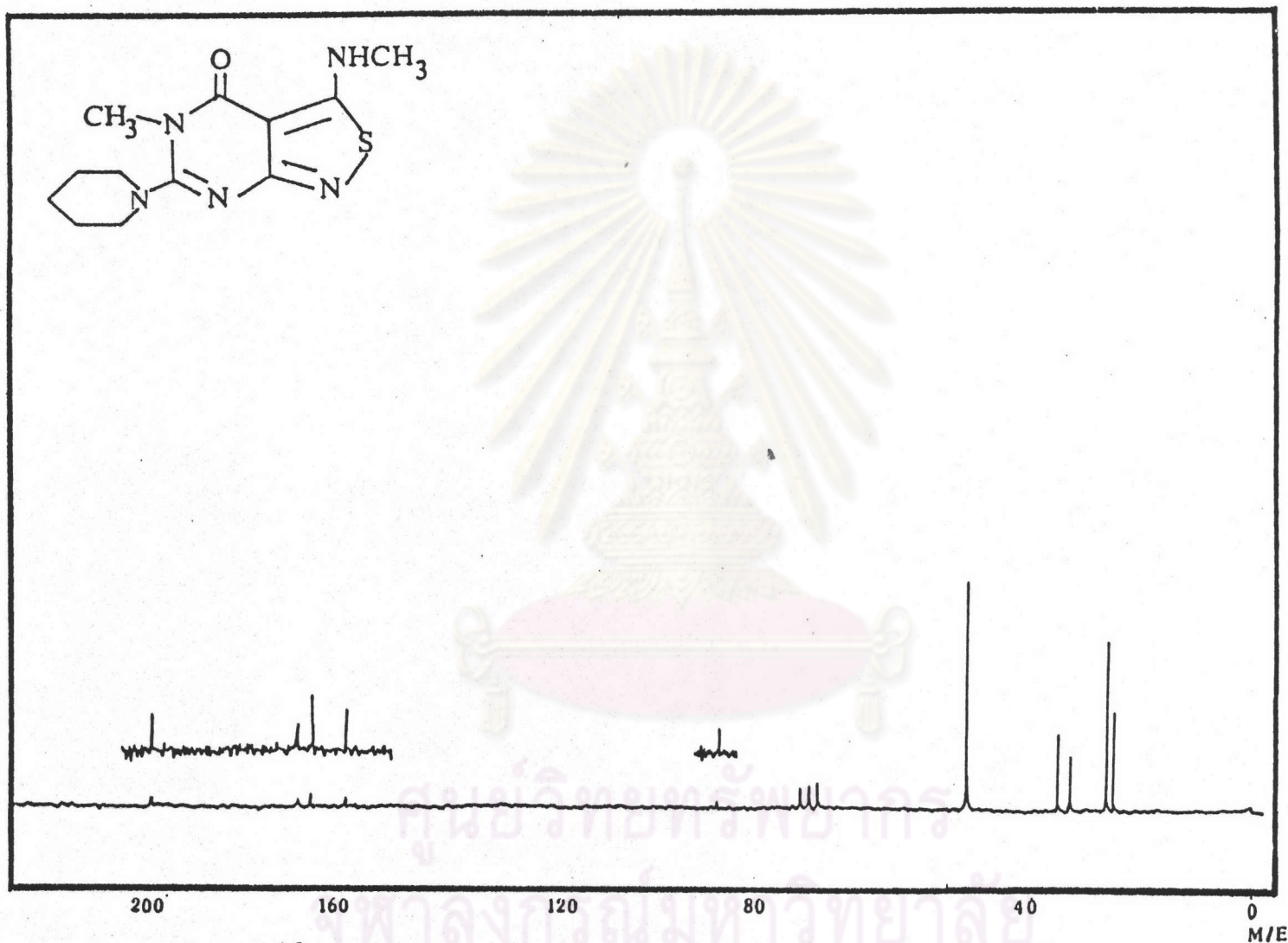


Figure 20 : The  $^{13}\text{C}$  NMR spectrum of 5-Methyl-3-methylamino-(1'-piperidino) isothiazolo [3,4-d] pyrimidine 4-one (in  $\text{CDCl}_3$ , proton decoupling technique)

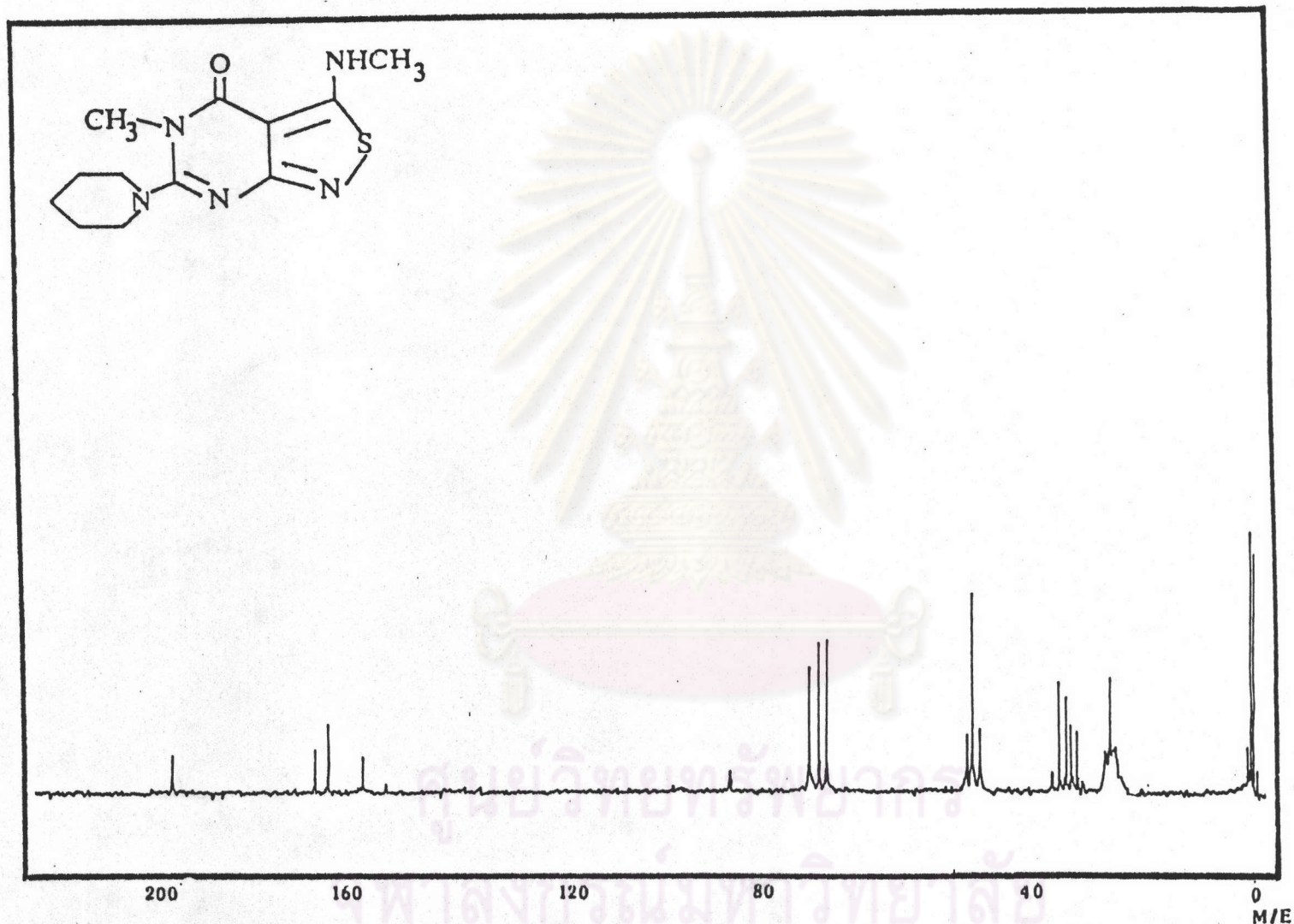


Figure 21 : The  $^{13}\text{C}$  NMR spectrum of 5-Methyl-3-methylamino-6-(1'-piperidino)isothiazolo [3,4-d] pyrimidine-4-one (in  $\text{CDCl}_3$ , off resonance technique)

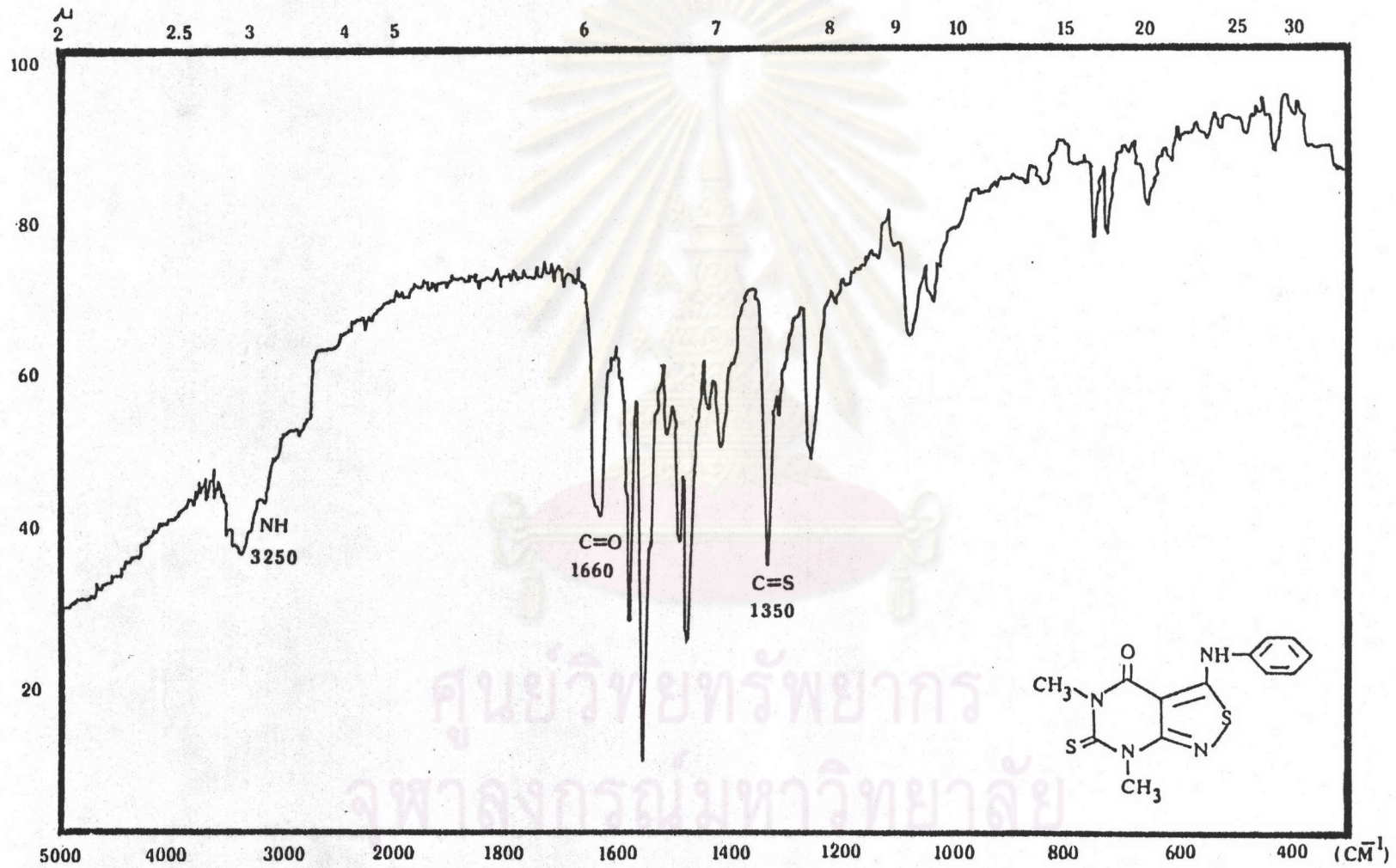


Figure 22 : The IR spectrum of 5,7-Dimethyl-3-phenylaminoisothiazolo[3,4-d]pyrimidine-4-one-6-thione



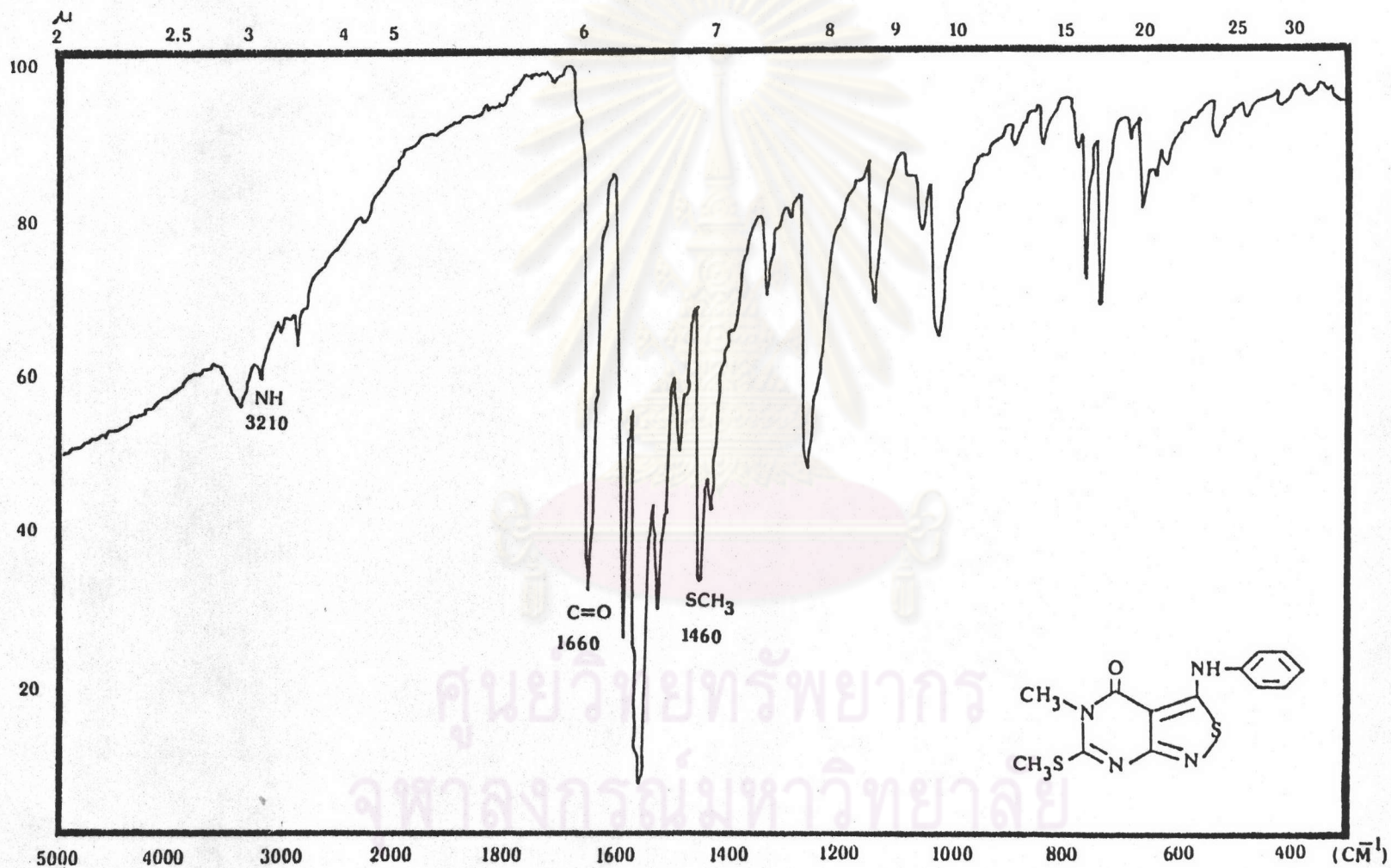


Figure 23 : The IR spectrum of 5-Methyl-6-methylthio-3-phenylaminoisothiazolo  
[3,4-d] pyrimidine-4-one



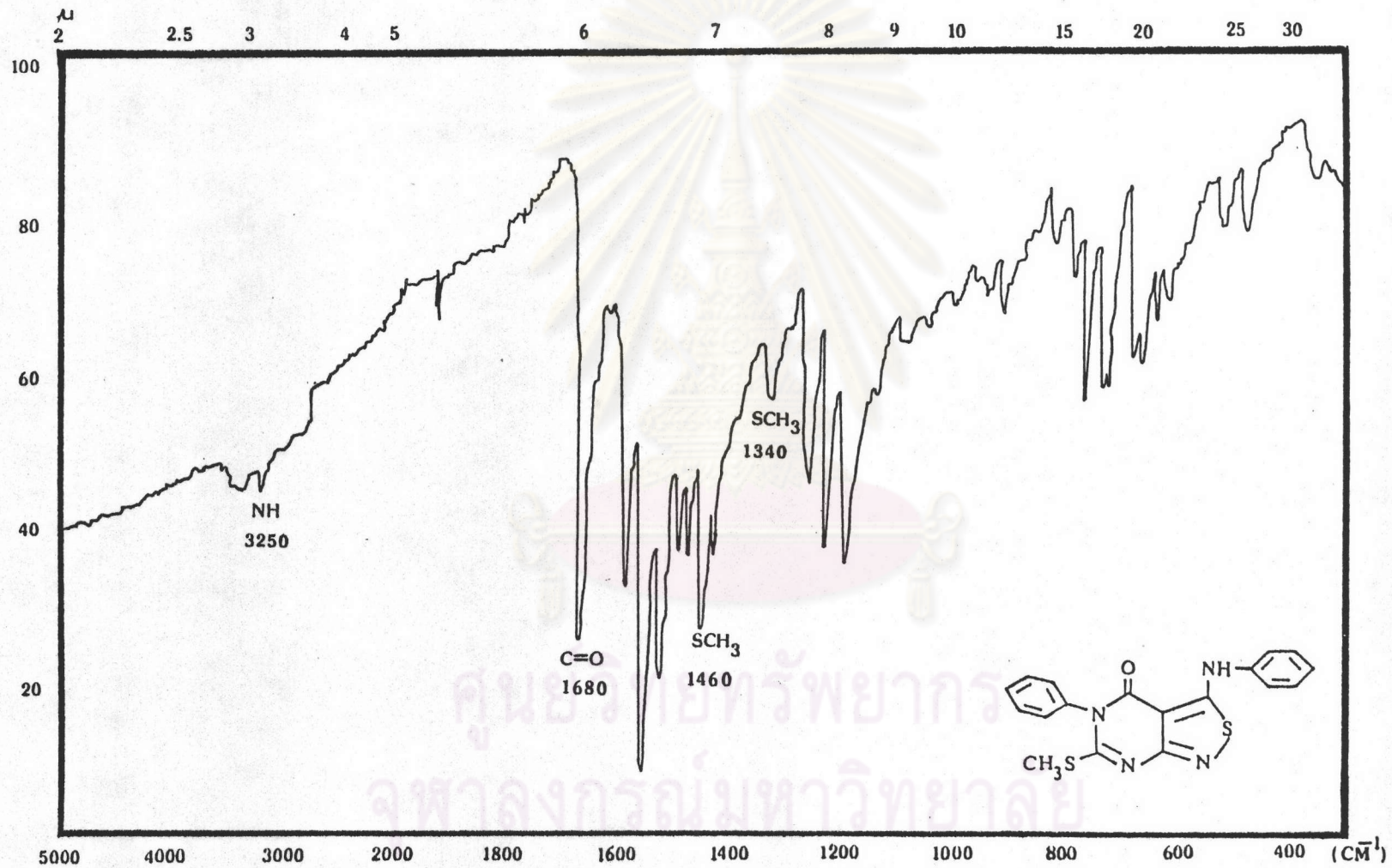


Figure 24 : The IR spectrum of 5-Phenyl-6-methylthio-3-phenylaminoisothiazolo  
[3,4-d] pyrimidine-4-one

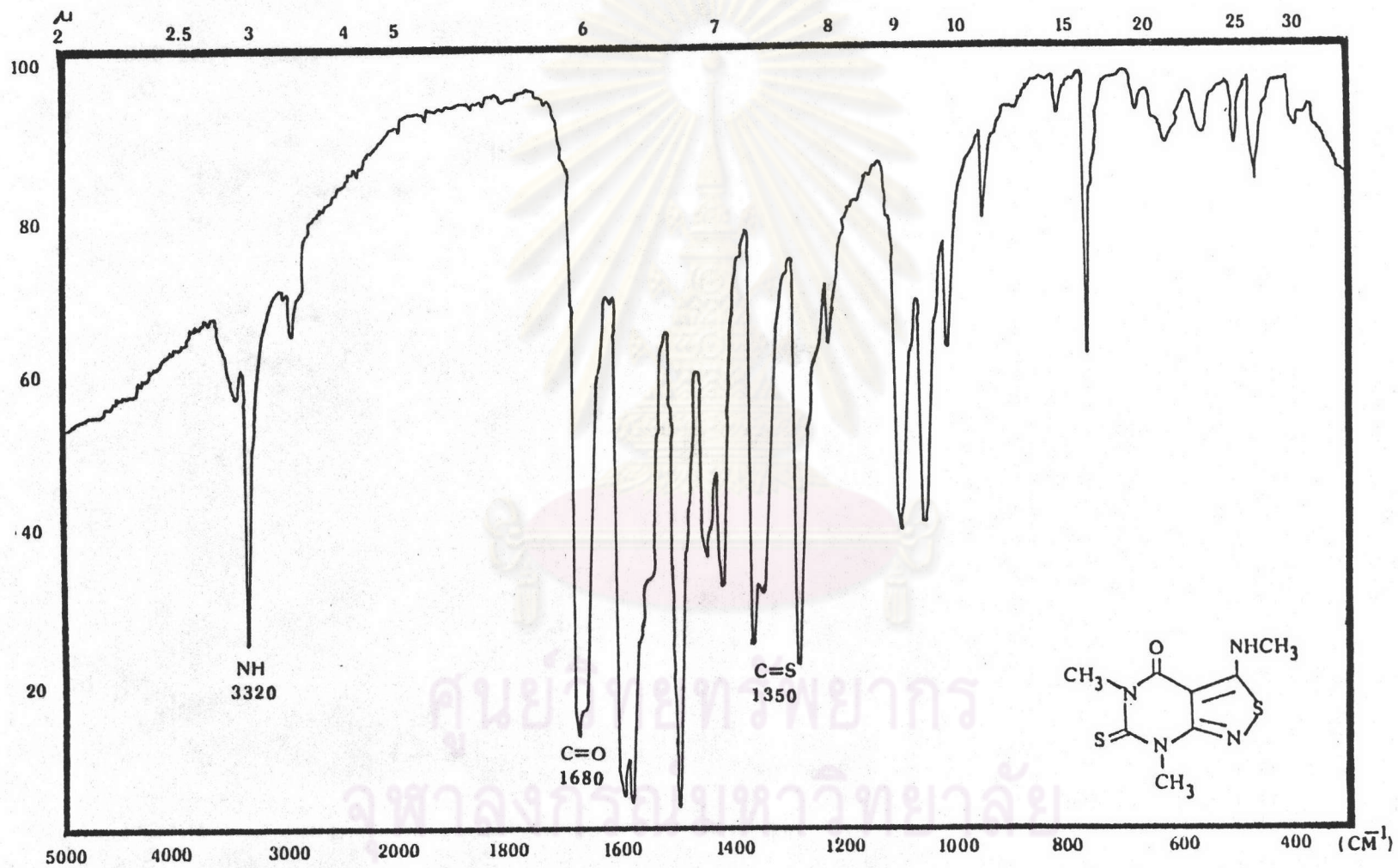


Figure 25 : The IR spectrum of 5,7-Dimethyl-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one-6-thione

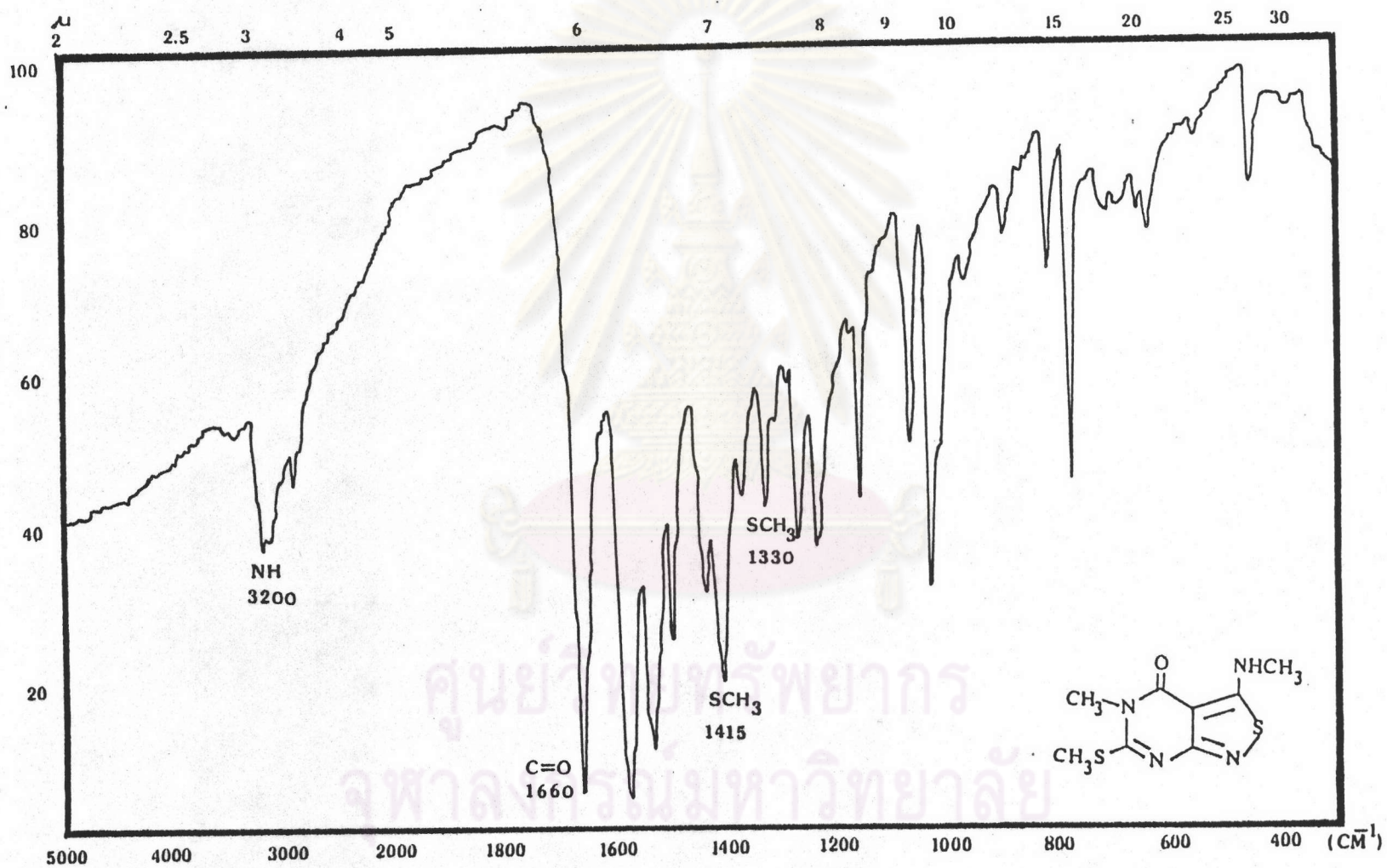


Figure 26 : The IR spectrum of 5-Methyl-6-methylthio-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one

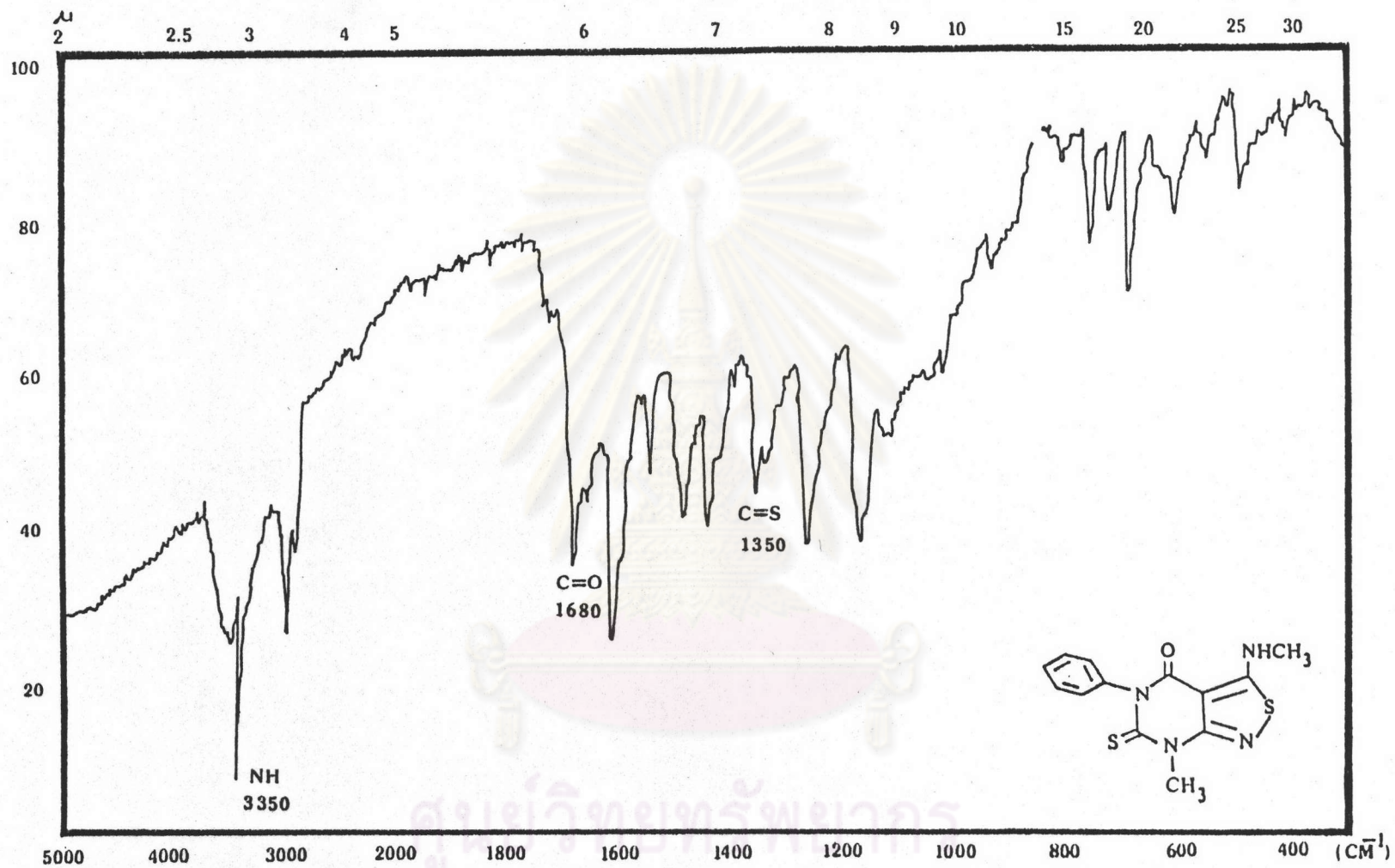


Figure 27 : The IR spectrum of 7-Methyl-5-phenyl-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one-6-thione

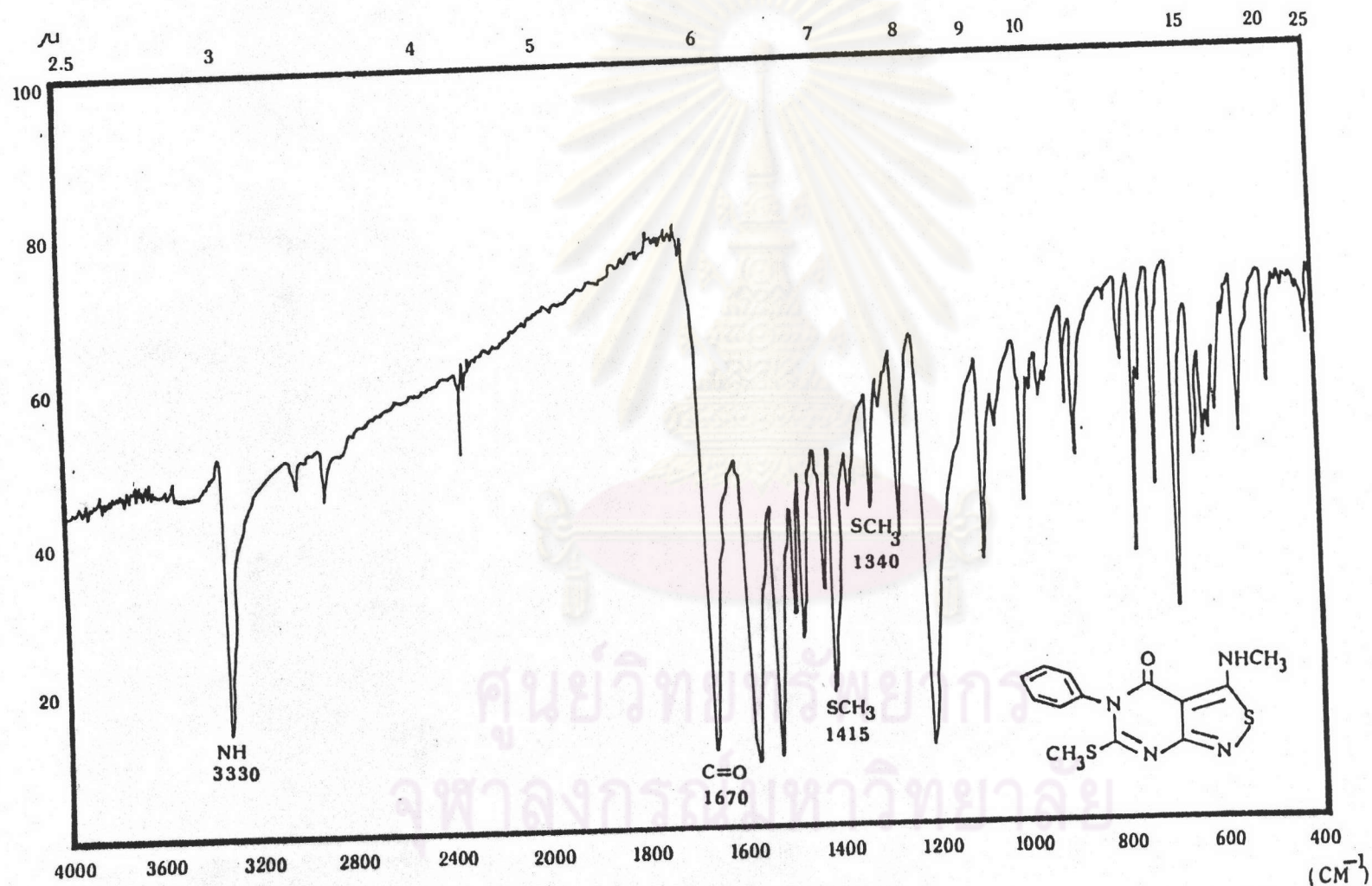


Figure 28 : The IR spectrum of 5-Phenyl-6-methylthio-3-methylaminoisothiazolo [3,4-d] pyrimidine-4-one

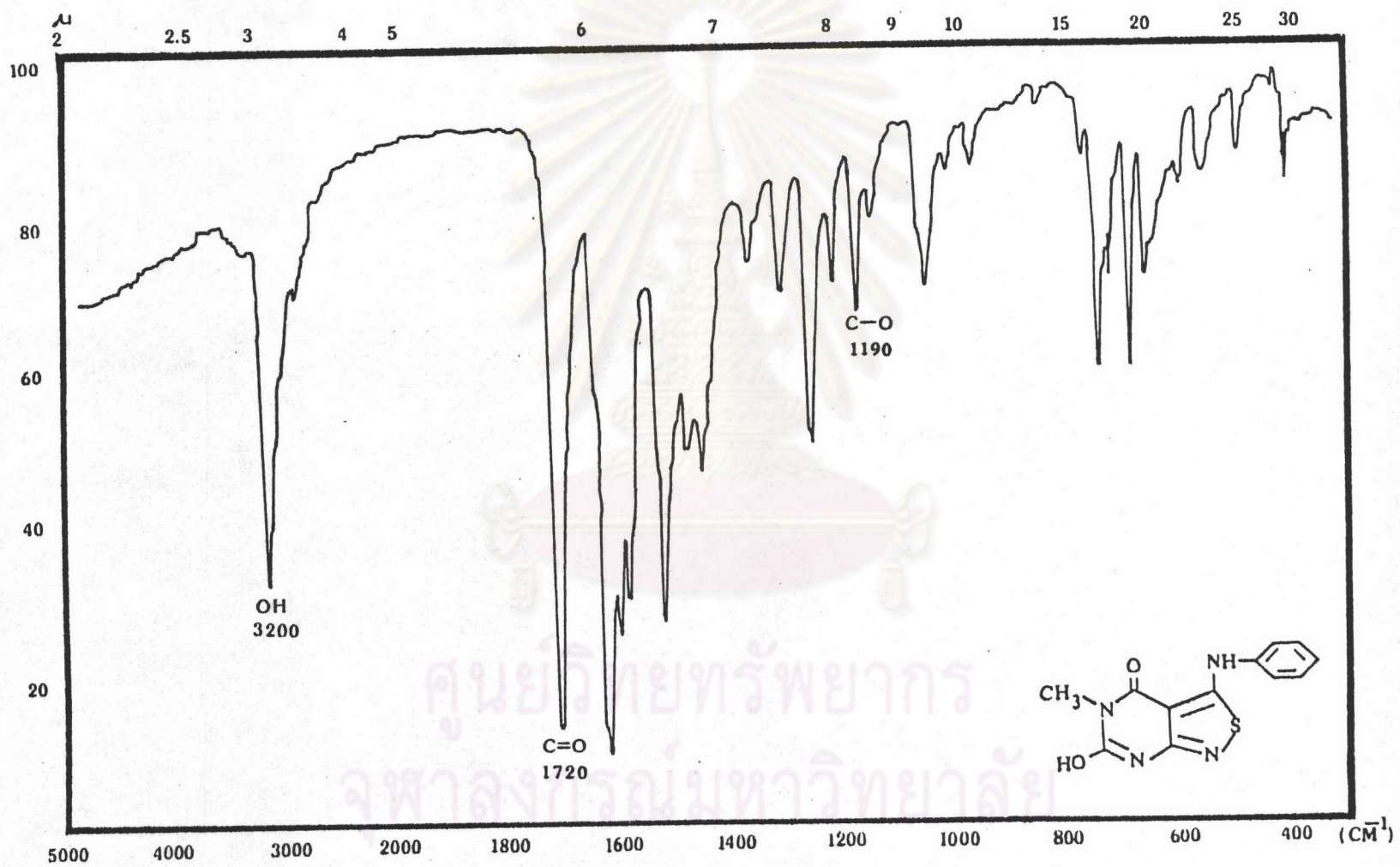


Figure 29 : The IR spectrum of 5-Methyl-3-phenylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one

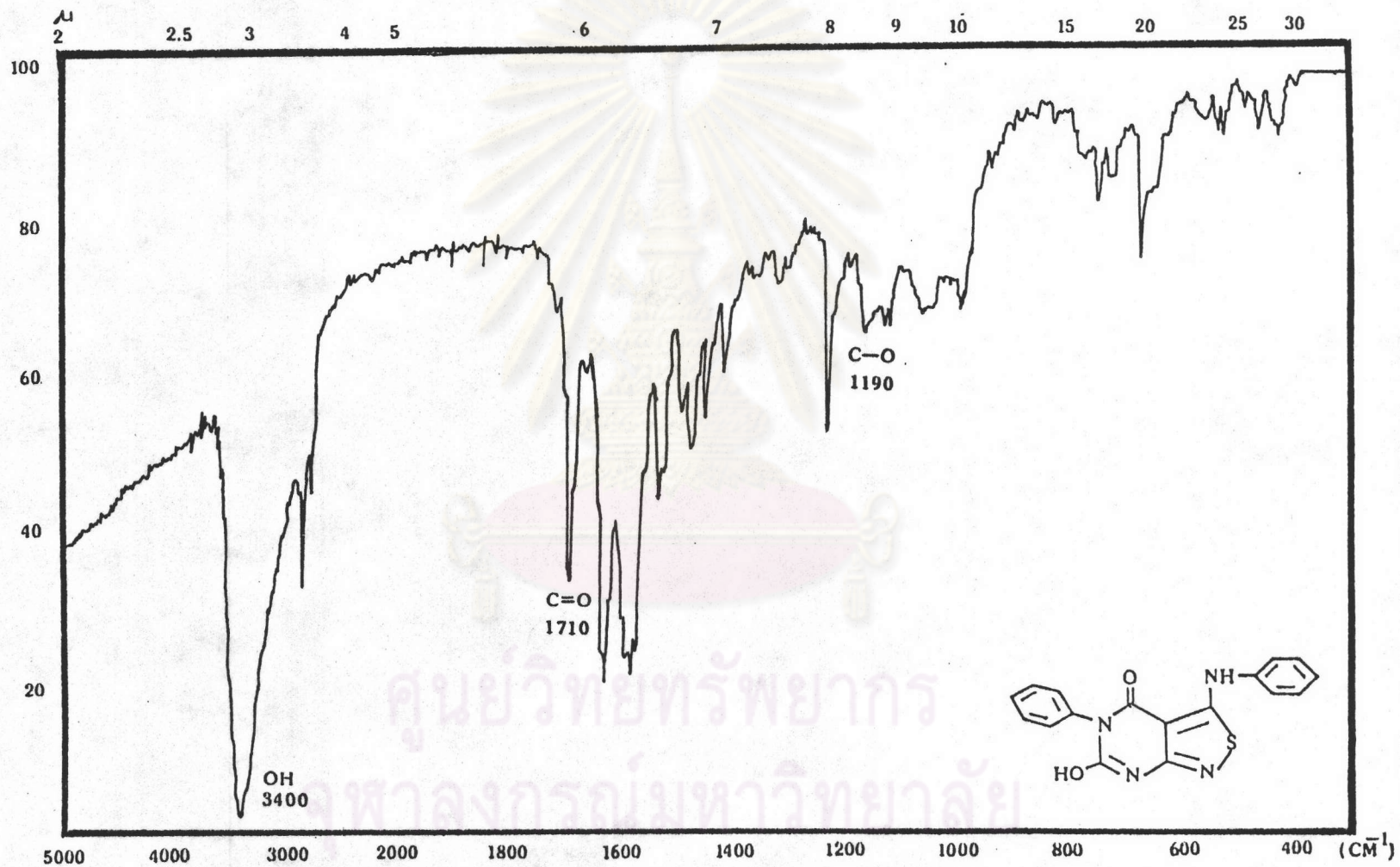


Figure 30 : The IR spectrum of 5-Phenyl-3-phenylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one

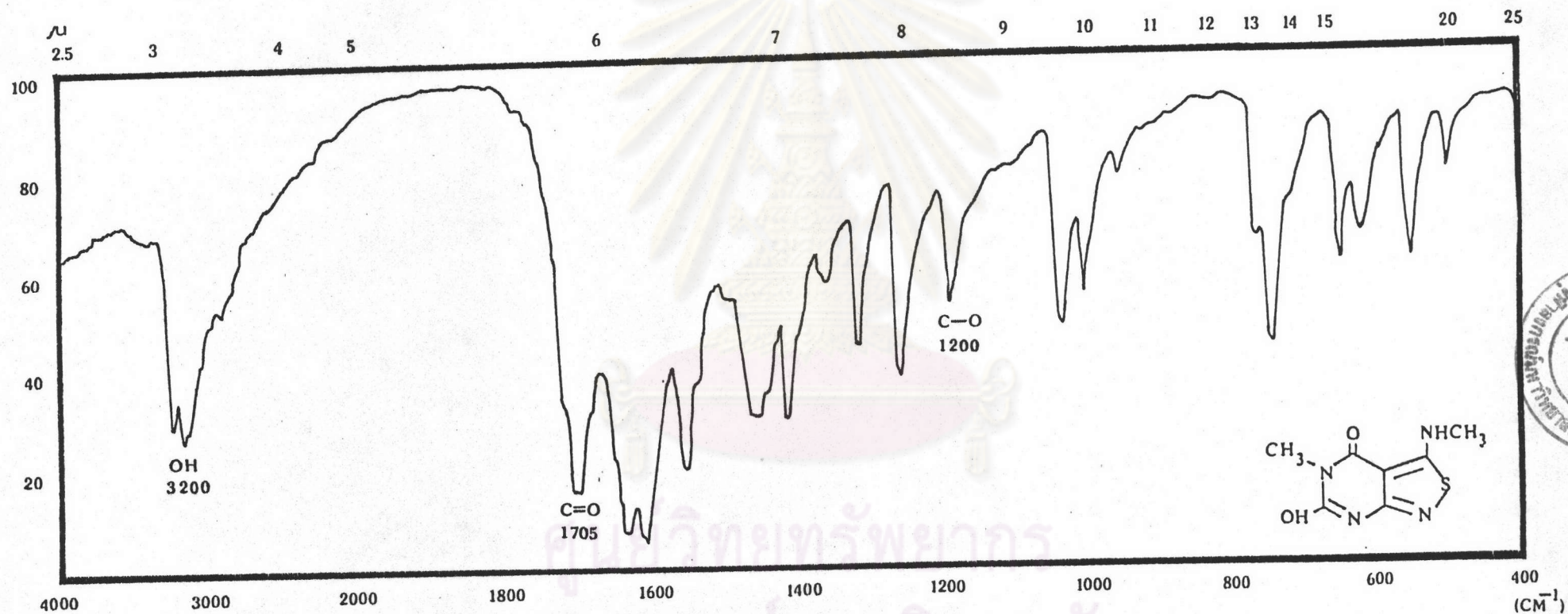


Figure 31 : The IR spectrum of 5-Methyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one



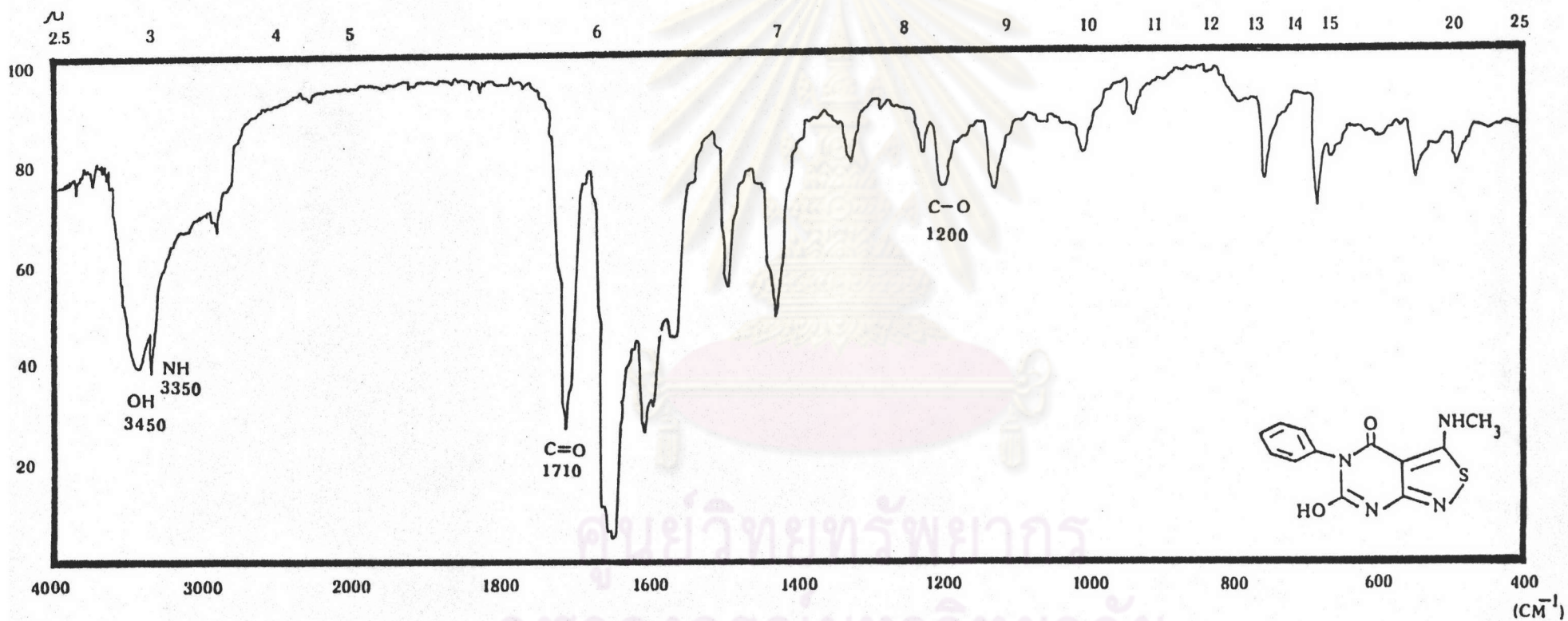


Figure 32 : The IR spectrum of 5-Phenyl-3-methylamino-6-hydroxyisothiazolo [3,4-d] pyrimidine-4-one

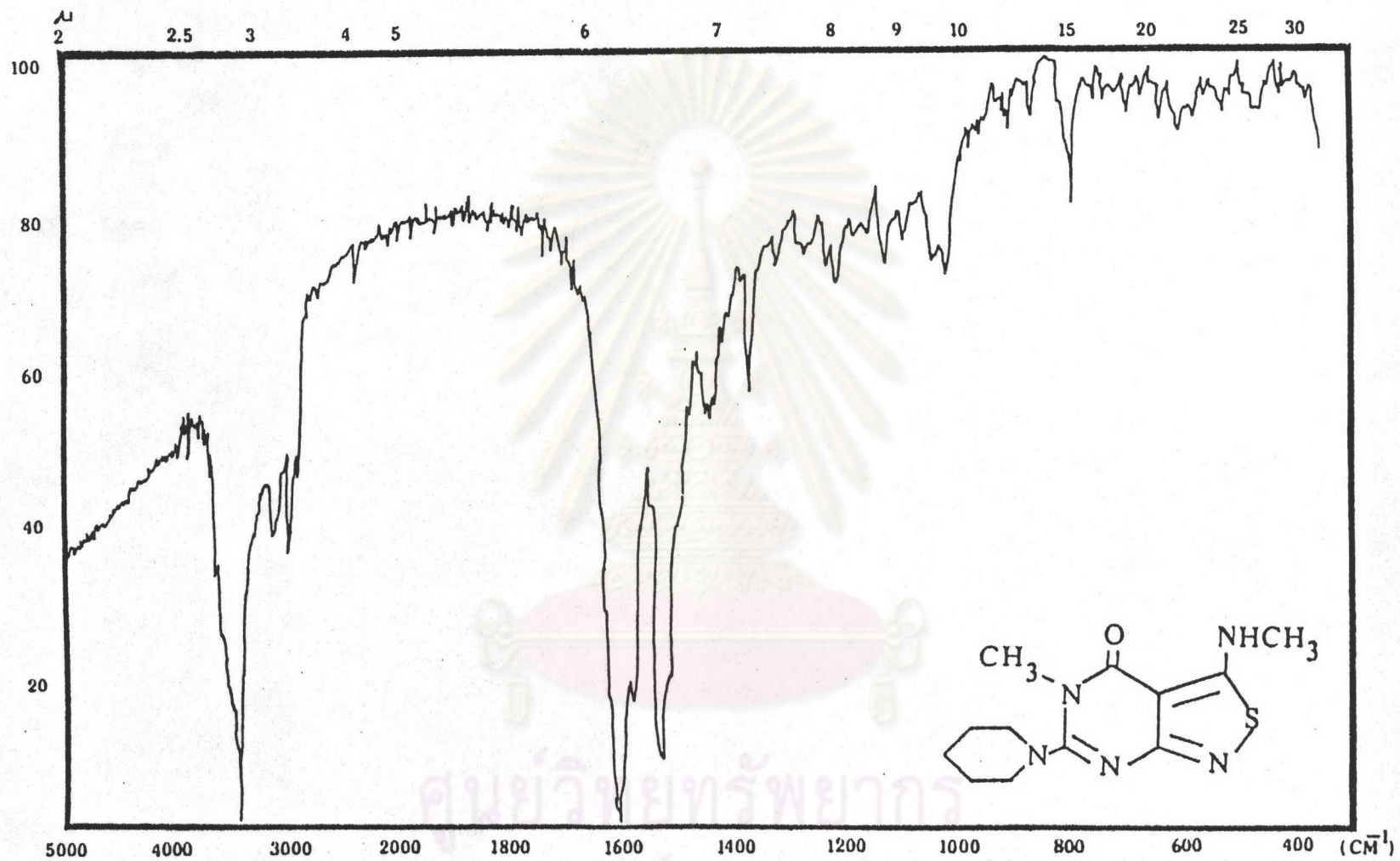


Figure 33 : The IR spectrum 5-Methyl-3-methylamino-6-(1'-piperidino)isothiazolo [3,4-d] pyrimidine-4-one



### VITA

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