

## Pyridopyrimidines

### VI.\* Synthesis and reactions of 4-iminopyrido[2,3-*d*]pyrimidines and 3*H*-2-thioxopyrido[2,3-*d*]pyrimidin-4-ones

C. G. DAVE\*\*, P. R. SHAH, P. S. PANDYA, and G. K. SHAH

*Department of Chemistry, St. Xavier's College,  
Ahmedabad-380 009, India*

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Synthesis of 3-substituted 1*H*-4-imino-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-*d*]pyrimidine-2-thiones, 3-substituted 3*H*-2-thioxo-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-*d*]pyrimidin-4-ones, 3-substituted 3*H*-2-methylmercapto-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-*d*]pyrimidin-4-ones, and 3-substituted 3*H*-2-(morpholino/piperidino)-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-*d*]pyrimidin-4-ones has been reported. The structures of newly synthesized compounds have been established on the basis of the spectral data.

Описывается синтез 3-замещенных 1*H*-4-имино-5-(4-метоксифенил)-7-фенилпиридо[2,3-*d*]пиримидин-2-тионов, 3-замещенных 3*H*-2-тиоксо-5-(4-метоксифенил)-7-фенилпиридо[2,3-*d*]пиримидин-4-онов, 3-замещенных 3*H*-2-метилмеркапто-5-(4-метоксифенил)-7-фенилпиридо[2,3-*d*]пиримидин-4-онов и 3-замещенных 3*H*-2-(морфолино/пиперидино)-5-(4-метоксифенил)-7-фенилпиридо[2,3-*d*]пиримидин-4-онов. Строение новополученных соединений установлено на основе спектральных данных.

Pyridopyrimidines are of importance because of their close structural similarities with quinoxalones and pteridines [1, 2]. Recently, DeGraw *et al.* [3] have synthesized 5,10-dideazaaminopterin, a 2,4-diaminopyrido[2,3-*d*]pyrimidine derivative and studied its activity against folate-dependent bacteria. Moreover, we have reported the synthesis of pyrido[2,3-*d*]pyrimidines from 2-amino-3-carbomethoxy-pyridines [4] and 2-amino-3-cyanopyridines [5]. Therefore, it seemed to be of interest to study in continuation of our earlier work the reactions between *I* and *III* with various isothiocyanates (R—NCS) and reactions of compounds *IV* and *V*.

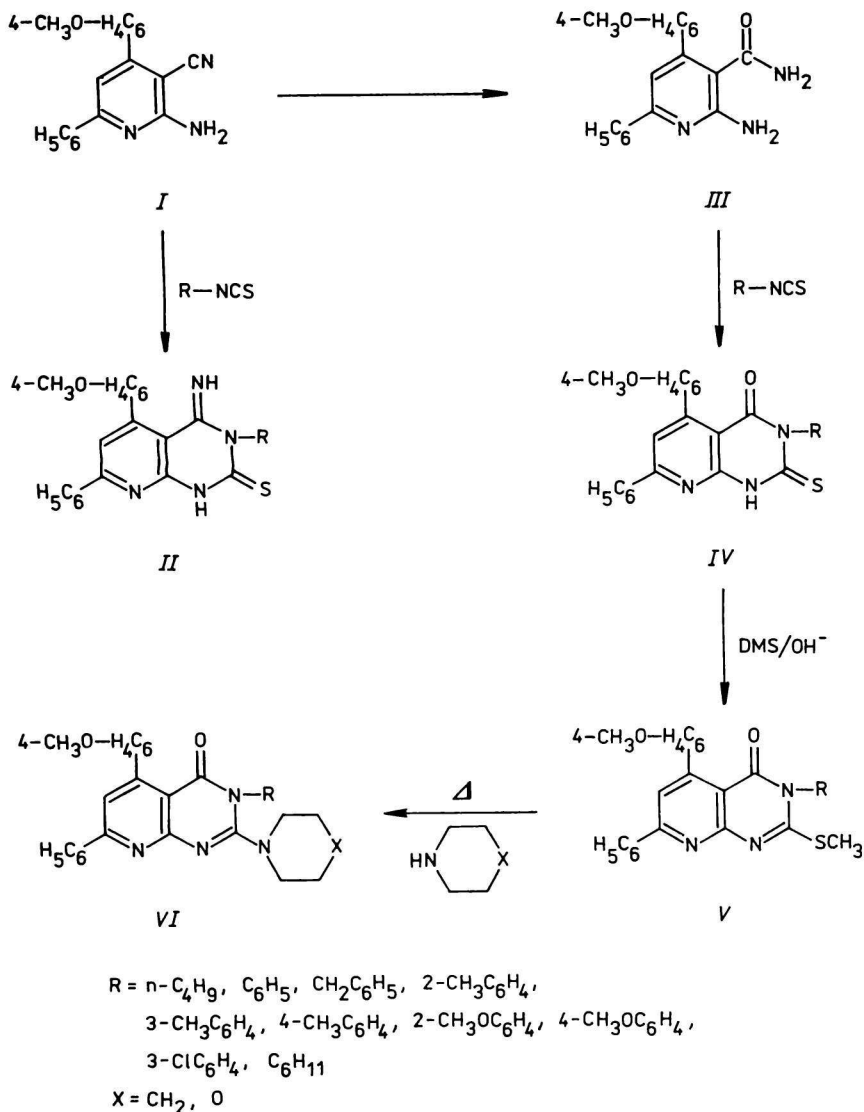
2-Amino-3-cyanopyridine *I* and 2-amino-3-carbamoylpyridine *III* when reacted with various isothiocyanates, gave 1*H*-4-iminopyrido[2,3-*d*]pyrimidine-2-thiones *II* and 3*H*-2-thioxopyrido[2,3-*d*]pyrimidin-4-ones *IV*, respectively.

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\*\* Author to whom the correspondence should be addressed.

Compounds *IV* on methylation gave their 2-methylmercapto derivatives *V* which in turn were refluxed with morpholine or piperidine to yield 3*H*-2-(morpholino/piperidino)pyrido[2,3-*d*]pyrimidin-4-ones *VI* (Scheme 1).

Reactions between compound *I* and isothiocyanates required a little vigorous condition in dioxane—pyridine mixture as compared to the reaction between



Scheme 1

compound *III* and isothiocyanates. During the synthesis of compounds *II* the attempted separation of intermediate pyridylthioureas was not successful when refluxed in ethanol, benzene, dioxane, ethanol—dry HCl, NaOH, and NaOC<sub>2</sub>H<sub>5</sub>.

Infrared spectra of *II* showed absence of absorption band corresponding to the vibration of the C≡N group ( $\tilde{\nu} = 2210 \text{ cm}^{-1}$ ) along with the presence of characteristic absorption at  $\tilde{\nu} = 3050\text{--}3200 \text{ cm}^{-1}$  (NH),  $1540\text{--}1550 \text{ cm}^{-1}$  and  $1360\text{--}1400 \text{ cm}^{-1}$  (NH—C=S), and  $1165\text{--}1215 \text{ cm}^{-1}$  (C=S). Thus, the absence of C≡N vibration ruled out the possibility of formation of intermediate pyridylthioureas. Compounds *IV* exhibited a strong lactam  $\nu(\text{C=O})$  in the region of  $\tilde{\nu} = 1705\text{--}1725 \text{ cm}^{-1}$  with the other characteristic bands (Table 1).

The <sup>1</sup>H NMR spectra of all the pyrido[2,3-*d*]pyrimidines *II* and *IV* showed a singlet due to OCH<sub>3</sub> protons in the region of  $\delta = 3.35\text{--}3.39$  ppm and the resonance of NH protons as a singlet around  $\delta = 8.22$  ppm, a little downfield to aromatic protons in the region of  $\delta = 7.02$  to 8.1 ppm as multiplet. The imino (>C=NH) group in compounds *II* was evident due to the presence of a singlet near  $\delta = 8.7$  ppm integrating for one proton. In 2-methylmercapto compounds *V* the resonance of SCH<sub>3</sub> protons occurred as a singlet in the region of  $\delta = 2.70$  ppm which suggested the methylation of compounds *IV* at position 2. Mass spectra of *IVb* showed a strong molecular ion peak at  $m/z = 437$  which represents also the base peak. The fragment ion  $[\text{M}(\text{C}_6\text{H}_5\text{NCS})]^+\bullet$  is typical for fused pyrimidines having thioxo group [6, 7].

## Experimental

Starting isothiocyanates, 2-amino-3-cyanopyridines *I* and 2-amino-3-carbamoylpyridines *III* have been prepared by the procedures described in [8, 9].

Melting points are uncorrected. IR spectra were recorded on a Perkin—Elmer, model No. 377 spectrometer, and <sup>1</sup>H NMR spectra (DMSO-*d*<sub>6</sub>) were recorded on a Perkin—Elmer, model R 12 B (60 MHz) NMR spectrometer using TMS as internal standard. TLC was carried out on silica gel plates using benzene—methanol (volume ratio = 9 : 1) as eluent and spots were developed with iodine vapours.

### *3-Substituted 1H-4-imino-5-(4-methoxyphenyl)-7-phenylpyrido-[2,3-d]pyrimidine-2-thiones II*

A mixture of 2-amino-3-cyano-4-(4-methoxyphenyl)-6-phenylpyridine (*I*, 0.01 mol), appropriate isothiocyanate (0.01 mol), and pyridine—dioxane mixture (10—15 cm<sup>3</sup>) was refluxed for 20—25 h. The reaction mixture on cooling was added to crushed ice, and separated solid was filtered, washed with water followed by sodium hydrogencarbonate solution (5%) and finally with water. The dried crude product was crystallized from glacial acetic acid (Table 1).

Table 1

Properties and characteristic IR absorption bands of 1*H*-4-iminopyrido[2,3-*d*]pyrimidine-2-thiones *II*, 3*H*-2-thioxopyrido[2,3-*d*]pyrimidin-4-ones *IV*, 3*H*-2-methylmercaptopyrido[2,3-*d*]pyrimidin-4-ones *V*, and 3*H*-2-(morpholino/piperidino)pyrido[2,3-*d*]pyrimidin-4-ones *VI*

| Compound   | R   | Formula<br>$M_r$   | $w_i(\text{calc.})/\%$<br>$w_i(\text{found})/\%$ |      |       | Yield<br>% | M.p.<br>°C | $\tilde{\nu}/\text{cm}^{-1}$ |                   |                      |                   |                     |
|------------|---|--|--|------|-------|------------|------------|------------------------------|-------------------|----------------------|-------------------|---------------------|
|            |   |  | C  | H    | N     |            |            | $\nu(\text{N—H})$            | $\nu(\text{C=O})$ | $\nu(\text{NH—C=S})$ | $\nu(\text{C=S})$ | $\nu(\text{SCH}_3)$ |
| <i>Ila</i> | $\text{C}_6\text{H}_5$                        | $\text{C}_{26}\text{H}_{20}\text{N}_4\text{OS}$          | 71.56  | 4.60 | 12.84 | 63         | 165—167    | 3120                         | —                 | 1565                 | 1200              | —                   |
|            |   | 436.52   | 71.42  | 4.55 | 12.78 |            |            |                              |                   | 1365                 | 1180              |                     |
| <i>Ilb</i> | $\text{CH}_2\text{C}_6\text{H}_5$             | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{OS}$          | 72.00  | 4.88 | 12.44 | 61         | 140—142    | 3095                         | —                 | 1570                 | 1200              | —                   |
|            |   | 450.55   | 71.92  | 4.82 | 12.39 |            |            |                              |                   | 1365                 | 1175              |                     |
| <i>Ilc</i> | <i>o</i> - $\text{CH}_3\text{C}_6\text{H}_4$  | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{OS}$          | 72.00  | 4.88 | 12.44 | 57         | 210—211    | 3085                         | —                 | 1570                 | 1220              | —                   |
|            |   | 450.55   | 71.88  | 4.80 | 12.35 |            |            |                              |                   | 1380                 | 1180              |                     |
| <i>Ild</i> | <i>m</i> - $\text{CH}_3\text{C}_6\text{H}_4$  | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{OS}$          | 72.00  | 4.88 | 12.44 | 56         | 228—230    | 3090                         | —                 | 1580                 | 1200              | —                   |
|            |   | 450.55   | 72.09  | 4.89 | 12.46 |            |            |                              |                   | 1375                 | 1188              |                     |
| <i>Ile</i> | <i>p</i> - $\text{CH}_3\text{C}_6\text{H}_4$  | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{OS}$          | 72.00  | 4.88 | 12.44 | 60         | 216—218    | 3090                         | —                 | 1565                 | 1195              | —                   |
|            |   | 450.55   | 71.92  | 4.84 | 12.41 |            |            |                              |                   | 1370                 | 1180              |                     |
| <i>Ilf</i> | <i>o</i> - $\text{CH}_3\text{OC}_6\text{H}_4$ | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{O}_2\text{S}$ | 69.52  | 4.72 | 12.02 | 72         | 240—241    | 3100                         | —                 | 1580                 | 1195              | —                   |
|            |   | 466.55   | 69.63  | 4.75 | 12.15 |            |            |                              |                   | 1365                 | 1180              |                     |
| <i>Ilg</i> | <i>m</i> - $\text{CH}_3\text{OC}_6\text{H}_4$ | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{O}_2\text{S}$ | 69.52  | 4.72 | 12.02 | 68         | 226—227    | 3100                         | —                 | 1575                 | 1200              | —                   |
|            |   | 466.55   | 69.50  | 4.71 | 12.00 |            |            |                              |                   | 1380                 | 1175              |                     |
| <i>Ilh</i> | <i>p</i> - $\text{CH}_3\text{OC}_6\text{H}_4$ | $\text{C}_{27}\text{H}_{22}\text{N}_4\text{O}_2\text{S}$ | 69.52  | 4.72 | 12.02 | 64         | 205—207    | 3105                         | —                 | 1570                 | 1195              | —                   |
|            |   | 466.55   | 69.35  | 4.69 | 11.90 |            |            |                              |                   | 1370                 | 1180              |                     |
| <i>Ili</i> | <i>m</i> - $\text{ClC}_6\text{H}_4$           | $\text{C}_{26}\text{H}_{19}\text{ClN}_4\text{OS}$        | 66.59  | 4.05 | 11.95 | 70         | 232—233    | 3100                         | —                 | 1570                 | 1200              | —                   |
|            |   | 471.01   | 66.41  | 4.00 | 11.90 |            |            |                              |                   | 1365                 | 1180              |                     |

Table 1 (Continued)

| Compound   | R  | Formula   | $w_i(\text{calc.})/\%$<br>$w_i(\text{found})/\%$ |       |       | Yield | M.p.    | $\tilde{\nu}/\text{cm}^{-1}$ |      |      |                   |                   |
|------------|--|---|--|-------|-------|-------|---------|------------------------------|------|------|-------------------|-------------------|
|            |  |   | $M_r$  | C     | H     |       |         | N                            | %    | °C   | $\nu(\text{N—H})$ | $\nu(\text{C=O})$ |
| <i>IVa</i> | n-C <sub>4</sub> H <sub>9</sub>                  | C <sub>24</sub> H <sub>23</sub> N <sub>3</sub> O <sub>2</sub> S | 69.04  | 5.55  | 10.06 | 49    | 341—342 | 3100                         | 1700 | 1565 | 1200              | —                 |
|            |  |   | 417.51   | 69.24 | 5.56  |       |         |                              |      |      |                   |                   |
| <i>IVb</i> | C <sub>6</sub> H <sub>5</sub>                    | C <sub>26</sub> H <sub>19</sub> N <sub>3</sub> O <sub>2</sub> S | 71.37  | 4.38  | 9.61  | 51    | 336—338 | 3055                         | 1695 | 1560 | 1220              | —                 |
|            |  |   | 437.50   | 71.58 | 4.40  |       |         |                              |      |      |                   |                   |
| <i>IVc</i> | o-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>2</sub> S | 71.62  | 4.69  | 9.31  | 42    | 338—339 | 3095                         | 1715 | 1580 | 1200              | —                 |
|            |  |   | 451.53   | 71.73 | 4.70  |       |         |                              |      |      |                   |                   |
| <i>IVd</i> | m-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>2</sub> S | 71.62  | 4.69  | 9.31  | 45    | 338—340 | 3100                         | 1715 | 1565 | 1200              | —                 |
|            |  |   | 451.53   | 71.72 | 4.70  |       |         |                              |      |      |                   |                   |
| <i>IVe</i> | p-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>2</sub> S | 71.62  | 4.69  | 9.31  | 57    | 331—332 | 3095                         | 1715 | 1580 | 1200              | —                 |
|            |  |   | 451.53   | 71.74 | 4.70  |       |         |                              |      |      |                   |                   |
| <i>IVf</i> | o-CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>3</sub> S | 69.36  | 4.53  | 8.99  | 37    | 334—335 | 3090                         | 1712 | 1580 | 1195              | —                 |
|            |  |   | 467.53   | 69.46 | 4.54  |       |         |                              |      |      |                   |                   |
| <i>IVg</i> | p-CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>3</sub> S | 69.36  | 4.53  | 8.99  | 92    | 329—330 | 3100                         | 1705 | 1575 | 1200              | —                 |
|            |  |   | 467.53   | 69.46 | 4.54  |       |         |                              |      |      |                   |                   |
| <i>IVh</i> | C <sub>6</sub> H <sub>11</sub>                   | C <sub>26</sub> H <sub>25</sub> N <sub>3</sub> O <sub>2</sub> S | 70.40  | 5.68  | 9.47  | 43    | 332—334 | 3100                         | 1695 | 1575 | 1210              | —                 |
|            |  |   | 443.54   | 70.56 | 5.69  |       |         |                              |      |      |                   |                   |
| <i>Va</i>  | n-C <sub>4</sub> H <sub>9</sub>                  | C <sub>25</sub> H <sub>25</sub> N <sub>3</sub> O <sub>2</sub> S | 69.58  | 5.84  | 9.74  | 91    | 314—315 | 3090                         | 1700 | 1580 | 1205              | —                 |
|            |  |   | 431.53   | 69.32 | 5.81  |       |         |                              |      |      |                   |                   |
| <i>Vb</i>  | C <sub>6</sub> H <sub>5</sub>                    | C <sub>27</sub> H <sub>21</sub> N <sub>3</sub> O <sub>2</sub> S | 71.82  | 4.69  | 9.31  | 78    | 269     | —                            | 1700 | —    | —                 | 880               |
|            |  |   | 451.53   | 71.51 | 4.65  |       |         |                              |      |      |                   |                   |
| <i>Vc</i>  | o-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>28</sub> H <sub>23</sub> N <sub>3</sub> O <sub>2</sub> S | 72.23  | 4.98  | 9.03  | 87    | 298—299 | —                            | 1720 | —    | —                 | 875               |
|            |  |   | 465.56   | 72.10 | 4.81  |       |         |                              |      |      |                   |                   |
| <i>Vd</i>  | m-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>28</sub> H <sub>23</sub> N <sub>3</sub> O <sub>2</sub> S | 72.23  | 4.98  | 9.03  | 75    | 288—290 | —                            | 1695 | —    | —                 | 890               |
|            |  |   | 465.56   | 72.10 | 4.95  |       |         |                              |      |      |                   |                   |

Table 1 (Continued)

| Compound            | R  | Formula   | $w_i(\text{calc.})/\%$<br>$w_i(\text{found})/\%$ |      |       | Yield | M.p.    | $\tilde{\nu}/\text{cm}^{-1}$ |      |    |                   |                   |
|---------------------|--|---|--|------|-------|-------|---------|------------------------------|------|----|-------------------|-------------------|
|                     |  |   | $M_r$  | C    | H     |       |         | N                            | %    | °C | $\nu(\text{N—H})$ | $\nu(\text{C=O})$ |
| <i>Ve</i>           | <i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>28</sub> H <sub>23</sub> N <sub>3</sub> O <sub>2</sub> S | 72.23  | 4.98 | 9.03  | 86    | 275—277 | —                            | 1700 | —  | —                 | 875               |
|                     |  | 465.56  | 72.11  | 4.96 | 9.01  |       |         |                              |      |    |                   |                   |
| <i>Vf</i>           | <i>o</i> -CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>28</sub> H <sub>23</sub> N <sub>3</sub> O <sub>3</sub> S | 69.83  | 4.81 | 8.73  | 67    | 282—283 | —                            | 1725 | —  | —                 | 875               |
|                     |  | 481.56  | 69.81  | 4.80 | 8.72  |       |         |                              |      |    |                   |                   |
| <i>Vg</i>           | <i>p</i> -CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>28</sub> H <sub>23</sub> N <sub>3</sub> O <sub>3</sub> S | 69.83  | 4.81 | 8.73  | 71    | 305—306 | —                            | 1720 | —  | —                 | 890               |
|                     |  | 481.56  | 69.51  | 4.78 | 8.61  |       |         |                              |      |    |                   |                   |
| <i>Vh</i>           | C <sub>6</sub> H <sub>11</sub>                           | C <sub>27</sub> H <sub>27</sub> N <sub>3</sub> O <sub>2</sub> S | 70.87  | 5.95 | 9.18  | 87    | 310—312 | —                            | 1700 | —  | —                 | 895               |
|                     |  | 457.57  | 70.92  | 5.98 | 9.20  |       |         |                              |      |    |                   |                   |
| X = O               |  |   |  |      |       |       |         |                              |      |    |                   |                   |
| <i>Vla</i>          | C <sub>6</sub> H <sub>5</sub>                            | C <sub>30</sub> H <sub>26</sub> N <sub>4</sub> O <sub>3</sub>   | 73.45  | 5.34 | 11.42 | 61    | 352—353 | —                            | 1698 | —  | —                 | —                 |
|                     |  | 490.28  | 73.70  | 5.36 | 11.54 |       |         |                              |      |    |                   |                   |
| <i>Vlb</i>          | <i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>31</sub> H <sub>28</sub> N <sub>4</sub> O <sub>3</sub>   | 73.79  | 5.60 | 11.10 | 58    | 348—349 | —                            | 1685 | —  | —                 | —                 |
|                     |  | 504.32  | 73.62  | 5.62 | 11.30 |       |         |                              |      |    |                   |                   |
| <i>Vlc</i>          | <i>o</i> -CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>31</sub> H <sub>28</sub> N <sub>4</sub> O <sub>4</sub>   | 71.52  | 5.42 | 10.76 | 59    | 341—342 | —                            | 1702 | —  | —                 | —                 |
|                     |  | 520.32  | 71.78  | 5.43 | 10.99 |       |         |                              |      |    |                   |                   |
| X = CH <sub>2</sub> |  |   |  |      |       |       |         |                              |      |    |                   |                   |
| <i>Vld</i>          | C <sub>6</sub> H <sub>5</sub>                            | C <sub>31</sub> H <sub>26</sub> N <sub>4</sub> O <sub>2</sub>   | 76.52  | 5.39 | 11.51 | 65    | 359—360 | —                            | 1700 | —  | —                 | —                 |
|                     |  | 486.56  | 76.80  | 5.41 | 11.70 |       |         |                              |      |    |                   |                   |
| <i>Vle</i>          | <i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>  | C <sub>32</sub> H <sub>28</sub> N <sub>4</sub> O <sub>2</sub>   | 76.78  | 5.64 | 11.19 | 64    | 360     | —                            | 1705 | —  | —                 | —                 |
|                     |  | 500.66  | 76.88  | 5.66 | 11.30 |       |         |                              |      |    |                   |                   |
| <i>Vlf</i>          | <i>o</i> -CH <sub>3</sub> OC <sub>6</sub> H <sub>4</sub> | C <sub>32</sub> H <sub>28</sub> N <sub>4</sub> O <sub>3</sub>   | 71.63  | 5.26 | 10.44 | 71    | 360     | —                            | 1695 | —  | —                 | —                 |
|                     |  | 516.60  | 71.82  | 5.29 | 10.51 |       |         |                              |      |    |                   |                   |

*3-Substituted 3H-2-thioxo-5-(4-methoxyphenyl)-7-phenylpyrido-  
[2,3-d]pyrimidin-4-ones IV*

A mixture of 2-amino-3-carbamoyl-4-(4-methoxyphenyl)-6-phenylpyridine (*III*, 0.01 mol), appropriate isothiocyanate (0.01 mol), and diphenyl ether (30.0 cm<sup>3</sup>) was refluxed for 8 h. The reaction mixture was kept overnight at room temperature and separated solid was filtered, washed with cold ethanol, dried and crystallized from DMF—ethanol mixture (Table 1).

*3-Substituted 3H-2-methylmercapto-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-d]pyrimidin-4-ones V*

Compound *IV* (0.005 mol) was dissolved in a mixture of ethanol (20.00 cm<sup>3</sup>) and NaOH (0.01 mol). To this clear solution, dimethyl sulfate (0.005 mol) was added with constant stirring. The reaction mixture on cooling was added to the crushed ice and separated solid was filtered, washed with water, followed by sodium hydrogencarbonate (5 %) and finally with water, dried and crystallized from DMF—ethanol mixture (Table 1).

*3-Substituted 3H-2-(morpholino/piperidino)-5-(4-methoxyphenyl)-7-phenylpyrido[2,3-d]pyrimidin-4-ones VI*

Compound *V* (0.005 mol) was refluxed in morpholine or piperidine (10.00 cm<sup>3</sup>) for 16 h. The reaction mixture on cooling was added to crushed ice and separated solid was filtered, washed with water, dried and crystallized from DMF—ethanol mixture (Table 1).

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